

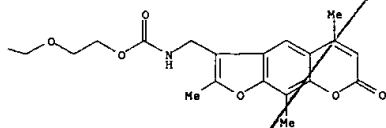
09/762,871

Page 1

=> d ibib ab hitstr 1-69 112

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:365048 CAPLUS
 DOCUMENT NUMBER: 131:170527
 TITLE: Cholesteryl esters of furocoumarin and coumarin carboxylic acids
 AUTHOR(S): Traven, Valery F.; Tolmachev, Alexander Yu.; Podhaluzina, Natalja Ya.; Kanevskii, Dmitrii S.
 CORPORATE SOURCE: Department of Organic Chemistry, D.Mendeleev University of Chemical Technology of Russia, Moscow, 125047, Russia
 SOURCE: Heterocyclic Communications (1999), 5(2), 183-187
 CODEN: HCOMEX; ISSN: 0793-0283
 PUBLISHER: Freund Publishing House Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

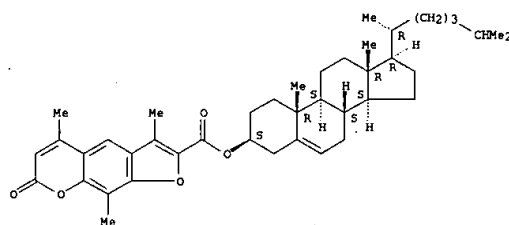
AB Cholesteryl esters of angelicin and psoralen carboxylic acids have been prepd. by condensation of o-acetyl(hydroxy)coumarins with cholesteryl chloroacetate in acetonitrile in presence of potassium carbonate. Attempts to prep. these esters starting from furocoumarin carboxylic acids were unsuccessful. Cholesteryl ester of 2-(4-methyl-7-coumarinyloxy)butanoic acid has been prepd. via alkylation of the acid by cholesteryl tosylate. The prepd. cholesteryl esters form thin films suitable for the Langmuir technol.

IT 239082-83-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of cholesteryl esters of furocoumarin and coumarin carboxylic acids)

RN 239082-83-8 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, 3,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-2-carboxylate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/762,871

Page 5

=> d all 1-2

L17 ANSWER 1 OF 2 SCISEARCH COPYRIGHT 2003 ISI (R)
 AN 1999:394033 SCISEARCH
 GA The Genuine Article (R) Number: 196XC
 TI Cholesteryl esters of furocoumarin and coumarin carboxylic acids
 AU Traven V F (Reprint); Tolmachev A Y; Podhaluzina N Y;
 Kanevskii D S
 CS D MENDELEEV UNIV CHEM TECHNOL RUSSIA, DEPT ORGAN CHEM, MOSCOW 125047,
 RUSSIA (Reprint)
 CYA RUSSIA
 SO HETEROCYCLIC COMMUNICATIONS, (APR 1999) Vol. 5, No. 2,
 pp. 183-187.
 Publisher: FREUND PUBLISHING HOUSE LTD, STE 500, CHESHAM HOUSE, 150 REGENT
 ST, LONDON W1R 5FA, ENGLAND.
 ISSN: 0793-0283.
 DT Article: Journal
 FS PHYS
 LA English
 REC Reference Count: 11
 AB Cholesteryl esters of angelicin and psoralen carboxylic acids have been
 prepared by condensation of o-acetyl(hydroxy)coumarins with cholesteryl
 chloroacetate in acetonitrile in presence of potassium carbonate. Attempts
 to prepare these esters starting from furocoumarin carboxylic acids turned
 to be unsuccessful. Cholesteryl ester of 2-(4-methyl-7-
 coumarinyloxy)butanoic acid has been prepared via alkylation of the acid
 by cholesteryl tosylate. The resulted cholesteryl esters form thin films
 fitted for the Langmuir technology.
 CC CHEMISTRY, ORGANIC
 RE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)
BESSION T	1991	128	1517	J HETEROCYCLIC CHEM
BLINOV L M	1983	152	1263	USP KHIM
BLINOV L M	1988	143	1155	USPEHI FIZICHESKIH N
GNANAGURU K	1985	150	12337	J ORG CHEM
MASSARANI E	1963	118	1254	FARMACO
MURRAY R D H	1982	1		NATURAL COUMARINS OC
SALVI V A	1968	145	1439	J INDIAN CHEM SOC
SIAMSHURIN A A	1962	1	186	IZV AKAD NAUK MOLDAV
THAKAR K A	1977	146	1810	CURR SCI
THAKAR N N	1981	120	1560	INDIAN J CHEM A
WOODS L L	1962	127	13703	J ORG CHEM

L17 ANSWER 2 OF 2 SCISEARCH COPYRIGHT 2003 ISI (R)
 AN 1999:263735 SCISEARCH
 GA The Genuine Article (R) Number: 181EM
 TI New ways of lactone ring shortening and cyclopropanation in coumarin
 derivatives
 AU Traven V F (Reprint); Tolmachev A Y; Podhaluzina N Y;
 Kanevskii D S; Solovieva N P
 CS D MENDELEEV UNIV CHEM TECHNOL RUSSIA, DEPT ORGAN CHEM, MOSCOW 125047,
 RUSSIA (Reprint)
 CYA RUSSIA
 SO HETEROCYCLIC COMMUNICATIONS, (19 MAR 1999) Vol. 5, No.
 1, pp. 69-76.
 Publisher: FREUND PUBLISHING HOUSE LTD, STE 500, CHESHAM HOUSE, 150 REGENT
 ST, LONDON W1R 5FA, ENGLAND.
 ISSN: 0793-0283.
 DT Article: Journal
 FS PHYS
 LA English
 REC Reference Count: 13
 AB Depending on their structures, substituted 3-carboethoxycoumarins react
 with 4-methylphenacylbromide in presence of potassium carbonate (solvent -
 acetonitrile) via lactone ring shortening (with formation of
 corresponding benzofuran derivatives) or via lactone ring cyclopropanation
 (with formation of condensed cyclopropane derivatives of coumarin).
 CC CHEMISTRY, ORGANIC
 RE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)
ANON	1	13	1209	ORG SYNTHESIS COLL
BOZILLOVA A	1989	119	12963	SYNTHETIC COMMUN
DARBARWAR M	1982	15	1337	SYNTHESIS-STUTTGART
DULENKO V I	1979	1	192	KHIM GETEROTSIKL
ELDERFIELD R C	1951	12	15	HETEROCYCL COMPOUNDS
FALL Y	1995	141	1647	HETEROCYCLES
IVANOV C	1986	116	11679	SYNTHETIC COMMUN
REZINGER G E	1967	1	1159	CHEM IND-LONDON
SAMMOUR A	1974	182	1369	ACTA CHIM HUNG
TRAVEN V F	1996	12	1345	HETEROCYCL COMMUN
TRAVEN V F	1997	13	1339	HETEROCYCL COMMUN
WATZONEX S	1960	182	1439	J AM CHEM SOC
WIDMAN O	1918	151	11210	BER

=> d his

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FILE 'REGISTRY' ENTERED AT 11:07:07 ON 21 FEB 2003

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L2 2408 S SPERMIDINE?
L3 187 S PSORALEN?
L4 261 S SPERMINE?
L5 28 S POLYLYSINE?
L6 382 S PROTAMINE?
L7 3193 S L1 OR L2 OR L3 OR L4 OR L5 OR L6
L8 STRUCTURE UPLOADED
L9 0 S L8 SAM SUB=L3
L10 0 S L8 FULL SUB=L3
L11 0 S L8 SUB=L7 SAM
L12 2 S L8 FULL SUB=L7
L13 STRUCTURE UPLOADED
L14 0 S L13
L15 9 S L13 FULL

FILE 'CAPLUS' ENTERED AT 11:16:01 ON 21 FEB 2003

L16 3 S L15

FILE 'SCISEARCH' ENTERED AT 11:17:57 ON 21 FEB 2003

L17 2 S TRAVEN?/AU AND TOLMACHEV?/AU AND 1999/PY AND 5/SO

FILE 'USPATFULL' ENTERED AT 11:20:49 ON 21 FEB 2003

L18 0 S L15

FILE 'MARPAT' ENTERED AT 11:29:25 ON 21 FEB 2003

L19 0 S L15
L20 0 S L15 FULL

=> d ibib ab hitstr 1-30

L28 ANSWER 1 OF 30 USPATFULL
 ACCESSION NUMBER: 1998:61827 USPATFULL
 TITLE: Bone resorption inhibition/osteogenesis promotion compound
 INVENTOR(S): Zheng, Hu, Chengdu, China
 Weng, Lingling, Chengdu, China
 PATENT ASSIGNEE(S): Iskra Industry Co., Ltd., Tokyo, Japan (non-U.S. corporation)
 Institute of Pharmacology, West China Univ. of Medical Sciences, Sichuan Province, China (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5760214		19980602
	WO 9421667		19940929
APPLICATION INFO.:	US 1995-338505		19950301 (8)
	WO 1994-JP489		19940325
			19950301 PCT 371 date
			19950301 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-355404	19931229
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ford, John M.	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	12 Drawing Figure(s); 3 Drawing Page(s)	
LINE COUNT:	1045	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound represented by the following formula (I):

X--Y--Z (1)

[where Y is represented by the following formula (III): ##STR1## X is a monovalent group of a tetracycline type compound, and Z is a monovalent group of a steroid type compound such as estrogen]. The compound can concentrate on the bone tissue and has a bone resorption inhibition/ossification promotion functions.

IT 160912-26-5P 160912-27-6P 160912-36-7P

160912-37-8P
 (prepn. of tetracycline moiety-contg. steroids for inhibiting bone resorption and accelerating osteogenesis)

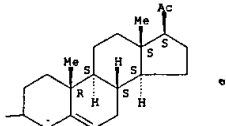
RN 160912-26-5 USPATFULL

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 1 OF 30 USPATFULL (Continued)

PAGE 1-B

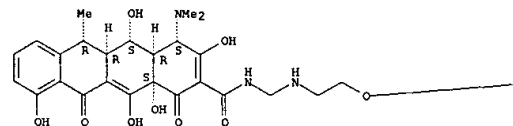


RN 160912-36-7 USPATFULL

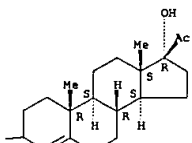
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

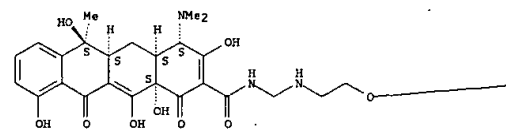


RN 160912-37-8 USPATFULL

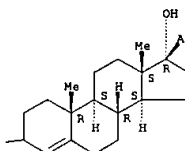
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-N-[[[2-[(13,20-dioxopregn-4-en-6-yl)methoxy]ethyl]amino]methyl]-1,4,4a,5,5a,6,11,12a-octahydro-

L28 ANSWER 1 OF 30 USPATFULL (Continued)

PAGE 1-A



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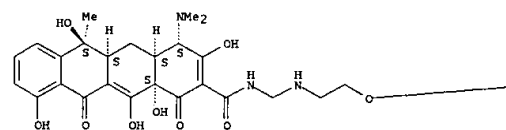


RN 160912-27-6 USPATFULL

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-N-[[[2-[(20-oxopregn-5-en-3-yl)oxy]ethyl]amino]methyl]-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

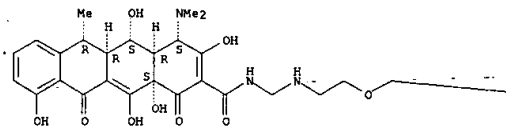


L28 ANSWER 1 OF 30 USPATFULL (Continued)

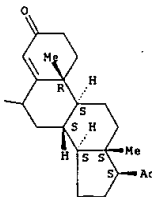
3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L28 ANSWER 2 OF 30 USPATFULL
 ACCESSION NUMBER: 97:118034 USPATFULL
 TITLE: Bone resorption inhibition/osteogenesis promotion
 pharmaceutical composition
 INVENTOR(S): Zheng, Hu, Chengdu, China
 Weng, Lingling, Chengdu, China
 PATENT ASSIGNEE(S): Iskra Industry Co., Ltd., Tokyo, Japan (non-U.S. corporation)
 Institute of Pharmacology, West China Univ. of Medical Sciences, Chengdu, China (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5698542		19971216
	WO 9518141		19950706
APPLICATION INFO.:	US 1995-507382		19950829 (8)
	WO 1994-JP2303		19941228
			19950829 PCT 371 date
			19950829 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-355404	19931229
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Criares, Theodore J.	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	16 Drawing Figure(s); 7 Drawing Page(s)	
LINE COUNT:	1672	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB A pharmaceutical composition comprising a compound represented by the following formula (I):

X--Y--Z (I)
 [where Y is represented by the following formula (III): ##STR1## X is a monovalent group of a tetracycline type compound, and Z is a monovalent group of steroid type compound such as estrogen].

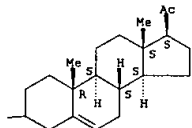
The compound can concentrate on the bone tissue and has a bone resorption inhibition/ossification promotion functions.

IT 160912-26-5P 160912-27-6P 160912-36-7P
 160912-37-8P
 (prepn. of tetracycline moiety-contg. steroids for inhibiting bone resorption and accelerating osteogenesis)
 RN 160912-26-5 USPATFULL
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.beta.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 2 OF 30 USPATFULL (Continued)

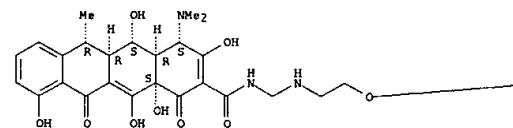
PAGE 1-B



RN 160912-36-7 USPATFULL
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-4-en-3-yl)oxy]ethyl]amino]methyl]-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

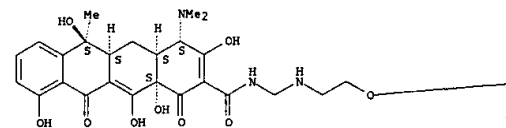


PAGE 1-B

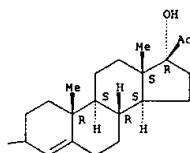
RN 160912-37-8 USPATFULL
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-N-[[[2-[(3,20-dioxopregn-4-en-6-yl)methoxy]ethyl]amino]methyl]-1,4,4a,5,5a,6,11,12a-octahydro-

L28 ANSWER 2 OF 30 USPATFULL (Continued)

PAGE 1-A



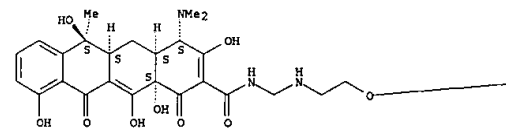
PAGE 1-B



RN 160912-27-6 USPATFULL
 CN 2-Naphthacene-1-carboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-N-[[[2-[(17-hydroxy-20-oxopregn-5-en-3-yl)oxy]ethyl]amino]methyl]-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.beta.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

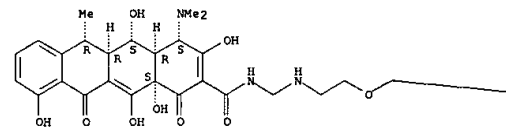
PAGE 1-A



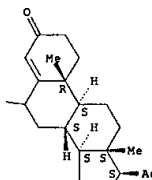
L28 ANSWER 2 OF 30 USPATFULL (Continued)
 3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, [4S-(4.alpha.,4a.alpha.,5a.alpha.,6.alpha.,12a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L28 ANSWER 3 OF 30 USPATFULL
 ACCESSION NUMBER: 97:94225 USPATFULL
 TITLE: Derivatives of estra 1,3,5(10)triene-17-one, 3-amino compounds and their use
 INVENTOR(S): Li, Pui-Kai, Library, PA, United States
 Sela, Kyle W., Export, PA, United States
 PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5677292		19971014
APPLICATION INFO.:	US 1996-607797		19960227 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-341410, filed on 17 Nov 1994		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Reamer, James H.		
LEGAL REPRESENTATIVE:	Eckert Seamans Cherin & Mellott		
NUMBER OF CLAIMS:	38		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	6 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	1007		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention discloses compounds useful as steroid sulfatase inhibitors. The compounds comprise the formula (1) ##STR1## wherein (a) R is selected from the group consisting of hydrogen, a lower alkyl group, an alkoxy group, halogen, NH.sub.2, NO.sub.2, C.tbd.N and N.dbd.C.dbd.S; and (b) the ring system ABCD is a steroid nucleus selected from the group consisting of estrone, dehydroepiandrosterone, estradiols, estradiol esters, pregnenolone, substituted estrones, substituted dehydroepiandrosterones, substituted estradiols, substituted estradiol esters and substituted pregnenolone. The compounds also comprise the formula (5) ##STR2## wherein (a) R.sub.1 is hydrogen and R.sub.2 is selected from the group consisting of SO.sub.2 CF.sub.3, SO.sub.2 NH.sub.2, SO.sub.2 (C.sub.1 -C.sub.6 -alkyl), COCF.sub.3, CONH.sub.2, CO(C.sub.1 -C.sub.6 -alkyl); and (b) the ring system ABCD is a steroid nucleus selected from the group consisting of estrone, dehydroepiandrosterone, estradiol, estradiol ester, pregnenolone, substituted estrones, substituted dehydroepiandrosterone, substituted estradiols, substituted estradiol esters and substituted pregnenolone. The invention also discloses methods of treating a patient therapeutically and prophylactically for estrogen dependent diseases with the compounds of this invention.

IT 163744-07-2P
 (prepn. of estra-1,3,5(10)-triene-17-ones and 3-amino steroids as estrone sulfatase inhibitors)
 RN 163744-07-2 USPATFULL
 CN Urea, [(3.beta.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 4 OF 30 USPATFULL
 ACCESSION NUMBER: 97:47392 USPATFULL
 TITLE: Amphipathic, micellar delivery systems for biologically active polyions
 INVENTOR(S): Wolff, Jon A., 1122 University Bay Dr., Madison, WI, United States 53705
 Budker, Vladimir, 204 N. Segoe Rd. #513, Madison, WI, United States 53705
 Gurevich, Vladimir, 2113 E. Johnson St., Madison, WI, United States 53704

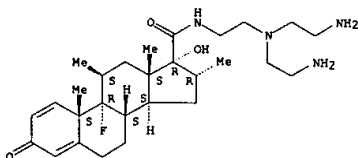
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5635487		19970603
APPLICATION INFO.:	US 1994-368150		19941229 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Stone, Jacqueline M.		
ASSISTANT EXAMINER:	Twomey, Patrick		
LEGAL REPRESENTATIVE:	Dressler, Rockey, Milnamow & Katz, Ltd.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1186		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

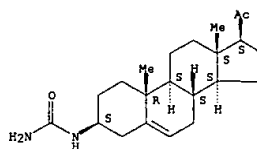
AB The present invention provides a composition comprising a population of micelles wherein each micelle comprises at least one amphipathic compound layer that surrounds a non-aqueous core that contains a polyion. Also provided are a method of preparing such a composition and the uses of such compositions for delivering biologically active polyions to cells.

IT 191990-42-8P
 (prepn. of glycolipid amphipathic micellar delivery systems for DNA and RNA biol. active polyions)
 RN 191990-42-8 USPATFULL
 CN Androsta-1,4-diene-17-carboxamide, N-[2-bis(2-aminoethyl)amino]ethyl]-9-fluoro-17-hydroxy-11,16-dimethyl-3-oxo-, (11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 3 OF 30 USPATFULL (Continued)



L28 ANSWER 5 OF 30 USPATFULL
 ACCESSION NUMBER: 97:27160 USPATFULL
 TITLE: Glucocorticoids
 INVENTOR(S): Zentel, Hans J., Berlin, Germany, Federal Republic of
 Topert, Michael, Berlin, Germany, Federal Republic of
 Laurent, Henry, Berlin, Germany, Federal Republic of
 Brumby, Thomas, Berlin, Germany, Federal Republic of
 Esperling, Peter, Berlin, Germany, Federal Republic of
 Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5616573		19970401
APPLICATION INFO.:	WO 9422898		19941013
	US 1995-530352		19951006 (8)
	WO 1994-EP937		19940324
			19951006 PCT 371 date
			19951006 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1993-4311987	19930407
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Prior, Kimberly J.	
LEGAL REPRESENTATIVE:	Millen, White, Zelano, & Branigan, P.C.	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1272	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Glucocorticoids of general formula I

R--Val--O--GC (II),

are described,

in which

O-GC is the radical of a 21-hydroxycorticoid that has an antiinflammatory action,

Val represents a valine radical in the 21-position of the corticoid and

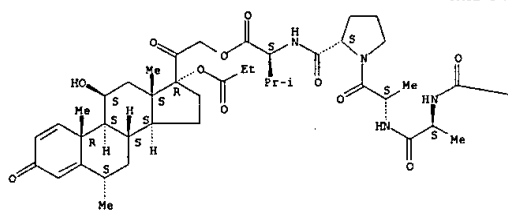
R means a hydrogen atom or a hydrocarbon radical with up to 32 carbon atoms that is optionally substituted by hydroxy groups, amino groups, oxo groups and/or halogen atoms and/or interrupted by oxygen atoms, SO.sub.2 groups and/or NH groups and their salts.

IT 161220-36-6P 161220-37-7P 161220-41-3P
 161220-42-4P 161220-49-1P 161220-50-4P
 161220-51-5P 161220-52-6P
 (prepn. of peptidylglucocorticoids as antiinflammatories)
 RN 161220-36-6 USPATFULL
 CN L-Valine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

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-OBu-t

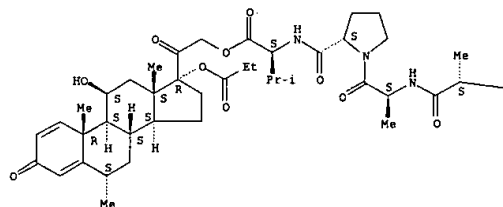
RN 161220-37-7 USPATFULL

CN L-Valine, N-[1-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

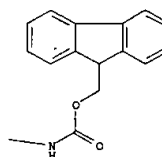
Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

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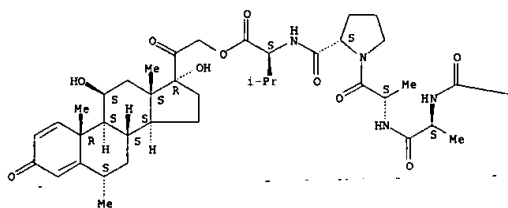
RN 161220-41-3 USPATFULL

CN L-Valine, N-[1-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 5 OF 30 USPATFULL (Continued)

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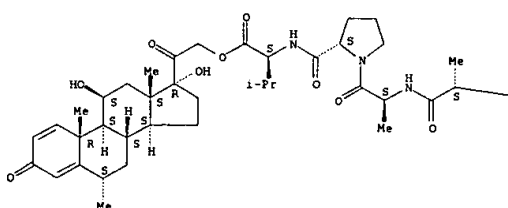
-OBu-t

RN 161220-42-4 USPATFULL

CN L-Valine, N-[1-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

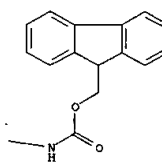
Absolute stereochemistry.

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L28 ANSWER 5 OF 30 USPATFULL (Continued)

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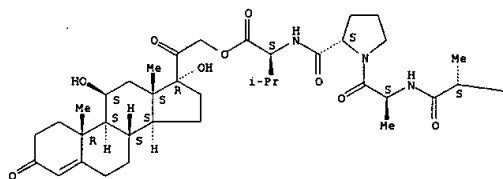


RN 161220-49-1 USPATFULL

CN L-Valine, N-[1-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregna-4-en-21-yl ester (9CI) (CA INDEX NAME)

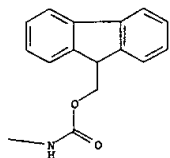
Absolute stereochemistry.

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L28 ANSWER 5 OF 30 USPATFULL (Continued)

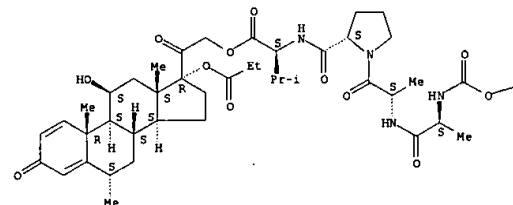
PAGE 1-B



RN 161220-50-4 USPATFULL
CN L-Valine, N-[1-[N-[N-(phenylmethoxy)carbonyl]-L-alanyl]-L-alanyl]-L-prolyl-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 161220-51-5 USPATFULL

CN L-Valine, N-[1-[N-(N-acetyl-L-alanyl)-L-alanyl]-L-prolyl]-,

L28 ANSWER 6 OF 30 USPATFULL

ACCESSION NUMBER: 96:101697 USPATFULL
TITLE: Derivatives of estra 1,3,5(10)triene-17-one, 3-amino compounds and their use
INVENTOR(S): Li, Pui-Kai, Library, PA, United States
Selcer, Kyle W., Export, PA, United States
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5571933		19961105
APPLICATION INFO.:	US 1994-341410		19941117 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Prior, Kimberly J.		
LEGAL REPRESENTATIVE:	Appleman, Jolene W., Silverman, Arnold B. Eckert Seaman Cherin & Mellott		
NUMBER OF CLAIMS:	18		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	6 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	885		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention discloses compounds useful as steroid inhibitors. The compounds comprise the formula (5) ##STR1## wherein (a) R.sub.1 is hydrogen and R.sub.2 is selected from the group consisting of SO.sub.2 CF.sub.3, SO.sub.2 NH.sub.2, SO.sub.2 (C.sub.1-C.sub.6-alkyl), COCF.sub.3, CONH.sub.2, CO(C.sub.1-C.sub.6-alkyl) and (b) the ring system ABCD is a steroid nucleus selected from the group consisting of estrone, dehydroepiandrosterone, estradiol, estradiol ester, pregnenolone, substituted estrones, substituted dehydroepiandrosterone, substituted estradiols, substituted estradiol esters and substituted pregnenolone. Preferably the steroid nucleus is selected from the group consisting of estrone, dehydroepiandrosterone and pregnenolone. The invention also discloses methods of treating a patient therapeutically and prophylactically for estrogen dependent diseases with the compounds of this invention.

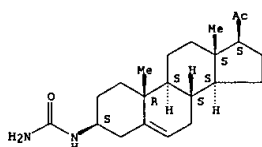
IT 183744-07-2P

(prepn. of estra-1,3,5(10)-trien-17-ones and 3-amino steroids as estrone sulfatase inhibitors)

RN 183744-07-2 USPATFULL

CN Urea, [(3.beta.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

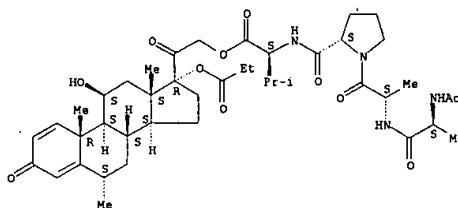
Absolute stereochemistry.



L28 ANSWER 5 OF 30 USPATFULL (Continued)

(6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

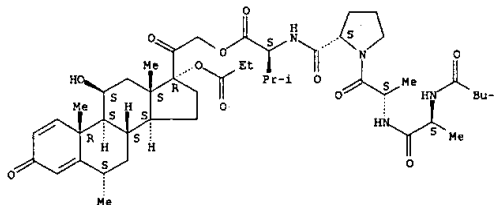
Absolute stereochemistry.



RN 161220-52-6 USPATFULL

CN L-Valine, N-[1-[N-[N-(1-oxopentyl)-L-alanyl]-L-alanyl]-L-prolyl]-, (6.alpha.,11.beta.)-11-hydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 7 OF 30 USPATFULL

ACCESSION NUMBER: 96:29681 USPATFULL
TITLE: Imidazolyloxyphenyl steroids
INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jon, Plainwell, MI, United States
VanDoornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5506354		19960409
APPLICATION INFO.:	US 1992-984302		19921201 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lovering, Richard D.		
ASSISTANT EXAMINER:	Scalzo, Catherine		
LEGAL REPRESENTATIVE:	Stein, Bruce		
NUMBER OF CLAIMS:	4		
EXEMPLARY CLAIM:	1		
LINE COUNT:	4474		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are amino substituted steroids (XI) which contain an imidazolyloxyphenyl group attached to the terminal carbon atom of the C.sub.17 -side chain which are useful as pharmaceutical agents for treating a number of conditions.

IT 111640-57-4P 111691-71-4P

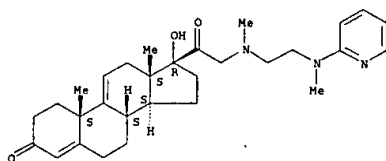
(prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

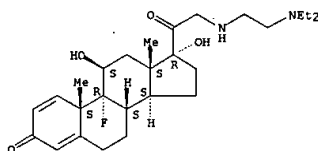
Absolute stereochemistry.

L28 ANSWER 7 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 8 OF 30 USPATFULL

ACCESSION NUMBER: 95:49389 USPATFULL
 TITLE: Amines useful in producing pharmaceutically active CNS compounds
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 Jacobsen, E. Jon, Plainwell, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 35053		19951010
US 509019		19920324 (Original)
US 1992-959310		19921009 (7)
US 1988-229675		19880808 (Original)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE: Reissue
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Ivy, C. Warren
 ASSISTANT EXAMINER: Mach, D. Margaret M.
 LEGAL REPRESENTATIVE: Stein, Bruce
 NUMBER OF CLAIMS: 3
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4308

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are .DELTA..sup.9(11)-steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17-side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16-steroids (IIa and IIb), reduced A-ring steroids (IV), .DELTA..sup.17(20)-steroids (Va and Vb) and .DELTA..sup.9(11)-steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT 111640-57-4P 111691-71-5P

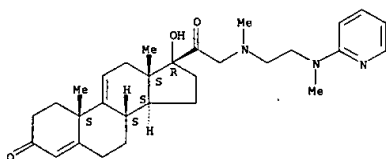
(prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

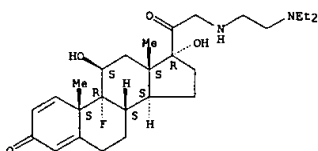
Absolute stereochemistry.

L28 ANSWER 8 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 9 OF 30 USPATFULL

ACCESSION NUMBER: 95:6003 USPATFULL
 TITLE: Pyrazinylpiperazinyl steroids
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5382661		19950117
US 1992-984298		19921201 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Shah, Mukund J.
 ASSISTANT EXAMINER: Stripada, P. K.
 LEGAL REPRESENTATIVE: Stein, Bruce
 NUMBER OF CLAIMS: 16
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4659

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are amino substituted steroids (XI) which contain a pyrazinylpiperazinyl group attached to the terminal carbon atom of the C.sub.17-side chain which are useful as pharmaceutical agents for treating a number of conditions.

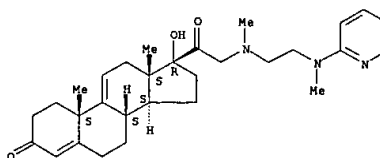
IT 111640-57-4P 111691-71-5P

(prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

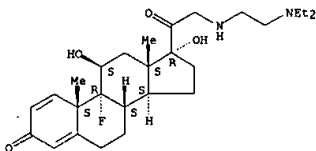


RN 111691-71-5 USPATFULL

CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-

L28 ANSWER 9 OF 30 USPATFULL (Continued)
11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 10 OF 30 USPATFULL

95:3956 USPATFULL
ACCESSION NUMBER:
TITLE: Pyridinylpiperazinyl steroids
INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jon, Plainwell, MI, United States
VanDoornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5380841		19950110
US 1992-984299		19921201 (7)
PATENT INFORMATION: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Shah, Mukund J.		
ASSISTANT EXAMINER: Scipada, P. K.		
LEGAL REPRESENTATIVE: Stein, Bruce		
NUMBER OF CLAIMS: 21		
EXEMPLARY CLAIM: 1		
LINE COUNT: 4724		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are amino substituted steroids (XI) which contain a pyridinylpiperazinyl group group attached to the terminal carbon atom of the C.sub.17 -side chain of the steroid which are useful as pharmaceutical agents for treating a number of conditions.

IT 111640-57-4P 111691-71-5P

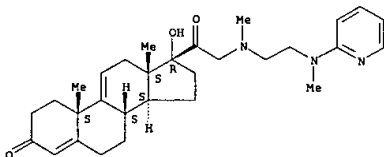
(prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

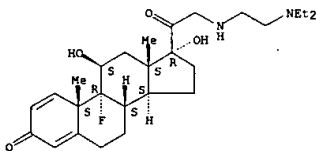
Absolute stereochemistry.

L28 ANSWER 10 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 11 OF 30 USPATFULL

95:3955 USPATFULL
ACCESSION NUMBER:
TITLE: Triazinylpiperazinyl steroids
INVENTOR(S): John M. McCall, Kalamazoo, MI, United States
Ayer, Donald E., Kalamazoo, MI, United States
Jacobsen, E. Jon, Plainwell, MI, United States
Van Doornik, Frederick J., Hamilton, MI, United States
Palmer, John R., Kalamazoo, MI, United States
Karnes, Harold A., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5380840		19950110
US 1992-983084		19921201 (7)
PATENT INFORMATION: Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Shah, Mukund, J.		
ASSISTANT EXAMINER: Scipada, P. K.		
LEGAL REPRESENTATIVE: Stein, Bruce		
NUMBER OF CLAIMS: 19		
EXEMPLARY CLAIM: 1		
LINE COUNT: 4698		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are amino substituted steroids (XI) which contain 4-[1,3,5-triazin-2-yl]- or 4-[1,2,4-triazin-3-yl]- 1-piperazinyl group attached to the terminal carbon atom of the C.sub.17 -side chain which are useful as pharmaceutical agents for treating a number of conditions.

IT 111640-57-4P 111691-71-5P

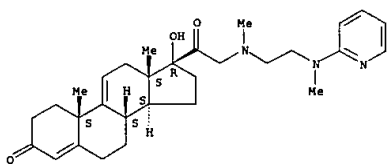
(prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

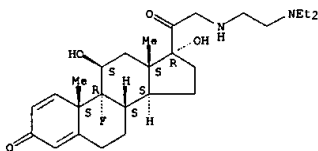
Absolute stereochemistry.

L28 ANSWER 11 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[(2-(diethylamino)ethyl)amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 12 OF 30 USPATFULL
 ACCESSION NUMBER: 95:3954 USPATFULL
 TITLE: Phenylpiperaziny steroids
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 Jacobsen, E. Jon, Plainwell, MI, United States
 VanDoornik, Frederick J., Hamilton, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5380839		19950110
US 1992-983082		19921201 (7)
Division of Ser. No. US 1991-749830, filed on 26 Aug 1991, now patented, Pat. No. US 5175281 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Shah, Mukund J.		
ASSISTANT EXAMINER: Sripada, P. K.		
LEGAL REPRESENTATIVE: Stein, Bruce		
NUMBER OF CLAIMS: 17		
EXEMPLARY CLAIM: 1		
LINE COUNT: 4603		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB Disclosed are amino substituted steroids (XI) which contain a phenylpiperaziny group attached to the terminal carbon atoms of the C.sub.17 -side chain, which are useful as pharmaceutical agents for treating a number of conditions.

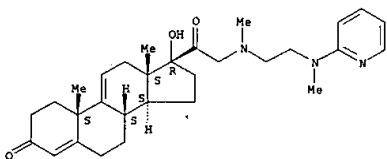
IT 111640-57-4P 111691-71-5P
 (prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

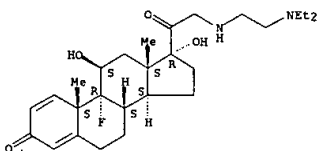
Absolute stereochemistry.

L28 ANSWER 12 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[(2-(diethylamino)ethyl)amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 13 OF 30 USPATFULL
 ACCESSION NUMBER: 94:75627 USPATFULL
 TITLE: Process for the preparation of 17.beta.-substituted-4-aza-5.alpha.-androstane-3-one derivatives
 INVENTOR(S): Fanzeri, Achille, Merate, Italy
 Ceriani, Lucio, Parabiago, Italy
 Griggi, Pierluigi, Monza, Italy
 Nesi, Marcella, Milan, Italy
 PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.R.L., Milan, Italy (non-U.S. corporation)

NUMBER	KIND	DATE
US 5342948		19940830
US 1993-27164		19930319 (8)
WO 1992-EP1620		19920716
		19930319 PCT 371 date
		19930319 PCT 102(e) date

NUMBER	DATE
GB 1991-15676	19910719
DOCUMENT TYPE: Utility	
FILE SEGMENT: Granted	
PRIMARY EXAMINER: Daus, Donald G.	
LEGAL REPRESENTATIVE: Ohlson, Spivak, McClelland, Maier & Neustadt	
NUMBER OF CLAIMS: 8	
EXEMPLARY CLAIM: 1	
LINE COUNT: 507	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The preparation of a compound of formula (I) ##STR1## wherein X is oxygen or sulphur; R.sub.1 is hydrogen or C.sub.1 -C.sub.6 alkyl; each of R.sub.2 and R.sub.3 is, independently, hydrogen, C.sub.1 -C.sub.6 alkyl, C.sub.5 or C.sub.6 cycloalkyl or C.sub.6 -C.sub.9 cycloalkylalkyl; R.sub.4 is hydrogen, C.sub.1 -C.sub.6 alkyl, C.sub.3 - or C.sub.6 cycloalkyl, C.sub.6 -C.sub.9 cycloalkylalkyl or aryl; and the symbol represents a single or a double bond; by a multi-step process is disclosed.

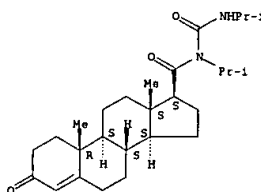
IT 146175-25-9P

(prepn. and oxidn. of, on prepn. of azaandrostane deriv.)

RN 146175-25-9 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[(1-methylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



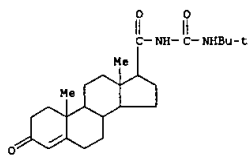
L28 ANSWER 13 OF 30 USPATFULL (Continued)

IT 146175-35-1P 147119-96-8P

(prepn. of, as intermediate for azaandrostanone deriv.)

RN 146175-35-1 USPATFULL

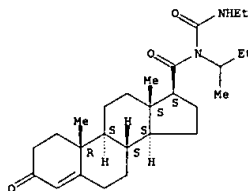
CN Androst-4-ene-17-carboxamide, N-[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)



RN 147119-96-8 USPATFULL

CN Androst-4-ene-17-carboxamide, N-[(ethylamino)carbonyl]-N-[1-methylpropyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



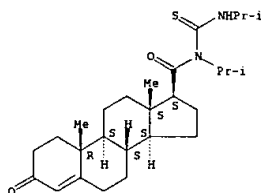
IT 146175-26-0P 146175-27-1P 146175-28-2P

(prepn. of, as intermediate of azaandrostanone deriv.)

RN 146175-26-0 USPATFULL

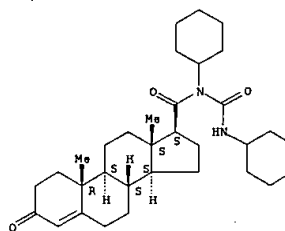
CN Androst-4-ene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 13 OF 30 USPATFULL (Continued)

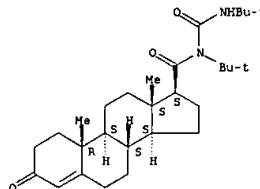
L28 ANSWER 13 OF 30 USPATFULL (Continued)



RN 146175-27-1 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(1,1-dimethylethyl)-N-[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146175-28-2 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[(1-methylethyl)amino]thioxomethyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 14 OF 30 USPATFULL

ACCESSION NUMBER: 94:53543 USPATFULL

TITLE: Piperazine compounds which are substituted
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 Jacobsen, E. Jonathan, Plainwell, MI, United States
 VanDoornik, Frederick J., Hamilton, MI, United States
 Palmer, John R., Kalamazoo, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5322943		19940621
APPLICATION INFO.:	US 1991-749829		19910826 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1988-227812, filed on 3 Aug 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Ivy: Warren C.
 ASSISTANT EXAMINER: Davis, Zinna N.
 LEGAL REPRESENTATIVE: Stein, Bruce
 NUMBER OF CLAIMS: 3
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4065

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are .DELTA..sup.9(11) -steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16 -steroids (IIIa and IIIb), reduced A-ring steroids (IV), .DELTA..sup.17(20) -steroids (Va and Vb) and .DELTA..sup.9(11) -steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT 111640-57-4P 111691-71-5P

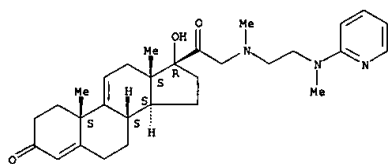
(prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

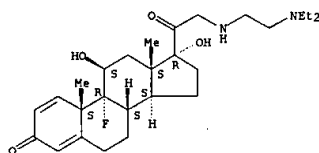
Absolute stereochemistry.

L28 ANSWER 14 OF 30 USPATFULL (Continued)

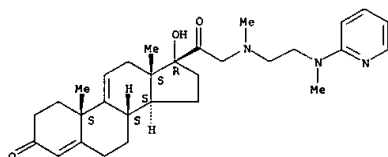


RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

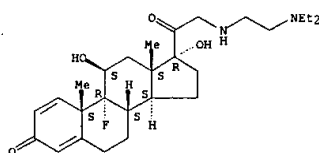


L28 ANSWER 15 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 15 OF 30 USPATFULL

93:102889 USPATFULL
 ACCESSION NUMBER:
 TITLE: Triazinylpiperazinyl amine intermediates
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 Ayer, Donald E., Kalamazoo, MI, United States
 Jacobsen, E. Jon, Plainville, MI, United States
 VanDoornik, Frederick J., Hamilton, MI, United States
 Palmer, John R., Kalamazoo, MI, United States
 Karnes, Harold A., Kalamazoo, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5268477		19931207
US 1992-977768		19921119 (7)
PATENT INFORMATION:		
APPLICATION INFO.: Division of Ser. No. US 1991-749829, filed on 26 Aug 1991 which is a division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		
RELATED APPLN. INFO.:		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Ivy, C. Warren		
ASSISTANT EXAMINER: Turnipseed, James H.		
LEGAL REPRESENTATIVE: Stein, Bruce		
NUMBER OF CLAIMS: 1		
EXEMPLARY CLAIM: 1		
LINE COUNT: 4122		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB The claimed invention is to triazin-2-yl and triazin-3-yl piperazines which are useful intermediates in the preparation of amino substituted steroid (XI) which are useful pharmaceutical agents.		
IT 111640-57-4P 111691-71-5P		
(prepn. of, as drug)		
RN 111640-57-4 USPATFULL		
CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)		

Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL

93:39990 USPATFULL
 ACCESSION NUMBER:
 TITLE: Unsaturated 17.beta.-substituted 3-carboxy steroids
 INVENTOR(S): Panzeri, Achille, Merate, Italy
 Nesi, Marcella, Milan, Italy
 Di Salle, Enrico, Milan, Italy
 PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Milan, Italy (non-U.S. corporation)

NUMBER	KIND	DATE
US 5212166		19930518
US 1992-886574		19920521 (7)
PATENT INFORMATION:		
APPLICATION INFO.:		
NUMBER		
DATE		
PRIORITY INFORMATION: IT 1991-M1432 19910524		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Cinti, Marianne M.		
ASSISTANT EXAMINER: Kestler, Kimberly J.		
LEGAL REPRESENTATIVE: Nikaido Marmelstein Murray & Oram		
NUMBER OF CLAIMS: 6		
EXEMPLARY CLAIM: 1,6		
LINE COUNT: 998		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB The present invention concerns steroidic 5.alpha.-reductase inhibitors having the following formula (I) ##STR1## wherein Y is oxygen or sulphur:

R is a group:

a) --OR.sub.4, wherein R.sub.4 is hydrogen or a C.sub.1 -C.sub.6 alkyl group;

b) ##STR2## wherein each of R.sub.5 and R.sub.6, independently, is hydrogen or a C.sub.1 -C.sub.6 alkyl group;

c) ##STR3## wherein R.sub.7 is hydrogen or a C.sub.1 -C.sub.6 alkyl group and W is a group:

(i) ##STR4## wherein R.sub.8 is a C.sub.1 -C.sub.6 alkyl group, a C.sub.5 -C.sub.6 cycloalkyl group, a C.sub.6 -C.sub.6 cycloalkylalkyl group, a phenyl group or a benzyl group; or

(ii) ##STR5## wherein R.sub.9 is a C.sub.1 -C.sub.6 alkyl group or a C.sub.5 -C.sub.6 cycloalkyl group; or

(iii) ##STR6## wherein R.sub.5 and R.sub.6 are as defined above; d) ##STR7## wherein each of R.sub.10 and R.sub.11 is, independently, hydrogen or a C.sub.1 -C.sub.6 alkyl group or taken together with the nitrogen atom to which they are linked form a pentatomic or hexatomic saturated heteromonocyclic ring, optionally containing at least one additional heteroatom selected from oxygen and nitrogen, and n is an integer of 2 to 4;

R.sub.1 is hydrogen, a C.sub.1 -C.sub.6 alkyl group, a C.sub.5 -C.sub.6 cycloalkyl group, a C.sub.6 -C.sub.6 cycloalkylalkyl group or an aryl group;

each of R.sub.2 and R.sub.3 is, independently, selected from the group

L28 ANSWER 16 OF 30 USPATFULL (Continued)
 consisting of hydrogen, C.sub.1 -C.sub.6 alkyl, C.sub.5 -C.sub.6 cycloalkyl, C.sub.6 -C.sub.9 cycloalkylalkyl and aryl or R.sub.2 and R.sub.3, taken together with the nitrogen atom to which they are linked, form a pentatomic or hexatomic saturated heteromonocyclic ring, optionally containing at least one additional heteroatom selected from oxygen and nitrogen; and the symbol () represents a single or a double bond provided that when it is a double bond the hydrogen in the 5.alpha. position doesn't exist and the pharmaceutically acceptable salts thereof.

In view of their 5.alpha. reductase inhibiting activity the compounds of the invention can be useful for the treatment of androgen dependent conditions.

IT 105360-79-0P 146175-25-9P 146175-26-0P

146175-27-1P 146175-28-2P 146175-31-7P

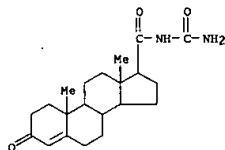
146175-32-8P 146175-33-9P 146175-34-0P

146175-35-1P 146175-36-2P 146175-37-3P

(prepn. and reaction of, in prepn. of testosterone 5.alpha.-reductase inhibitors)

RN 105360-79-0 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(aminocarbonyl)-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

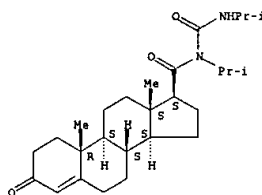


RN 146175-25-9 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[[[(1-methylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

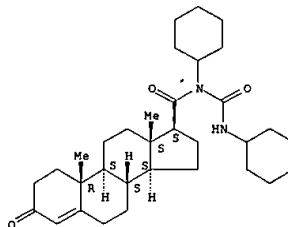
L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-26-0 USPATFULL

CN Androst-4-ene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

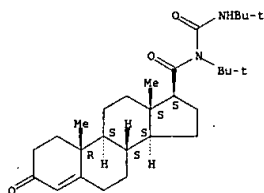


RN 146175-27-1 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(1,1-dimethylethyl)-N-[[[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

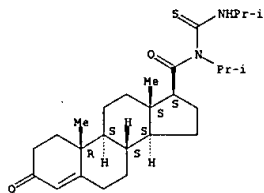
L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-28-2 USPATFULL

CN Androst-4-ene-17-carboxamide, N-(1-methylethyl)-N-[[[(1-methylethyl)amino]thioxomethyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

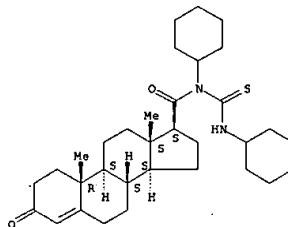


RN 146175-31-7 USPATFULL

CN Androst-4-ene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)thioxomethyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

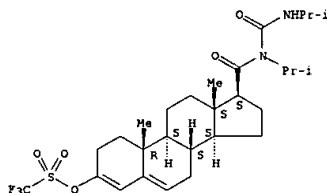
L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-32-8 USPATFULL

CN Androsta-3,5-diene-17-carboxamide, N-(1-methylethyl)-N-[[[(1-methylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

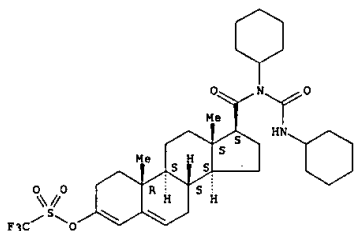


RN 146175-33-9 USPATFULL

CN Androsta-3,5-diene-17-carboxamide, N-cyclohexyl-N-[(cyclohexylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

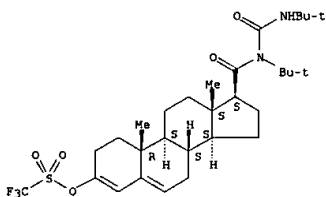
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



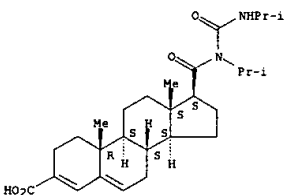
RN 146175-34-0 USPATFULL
 CN Androsta-3,5-diene-17-carboxamide, N-[(1,1-dimethylethyl)-N-[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



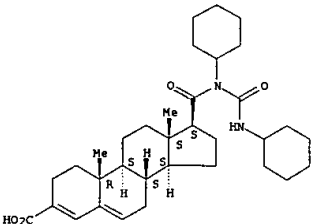
RN 146175-35-1 USPATFULL
 CN Androsta-4-ene-17-carboxamide, N-[(1,1-dimethylethyl)amino]carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146175-04-4 USPATFULL
 CN Androsta-3,5-diene-3-carboxylic acid, 17-[(1-methylethyl)[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

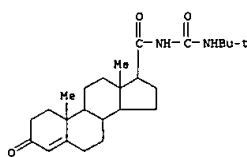
Absolute stereochemistry.



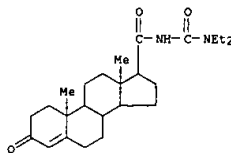
RN 146175-05-5 USPATFULL
 CN Androsta-3,5-diene-3-carboxylic acid, 17-[(1,1-dimethylethyl)[(1,1-dimethylethyl)amino]carbonyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)

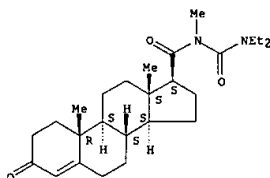


RN 146175-36-2 USPATFULL
 CN Androsta-4-ene-17-carboxamide, N-[(diethylamino)carbonyl]-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)



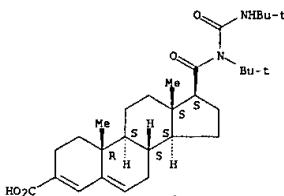
RN 146175-37-3 USPATFULL
 CN Androsta-4-ene-17-carboxamide, N-[(diethylamino)carbonyl]-N-methyl-3-oxo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



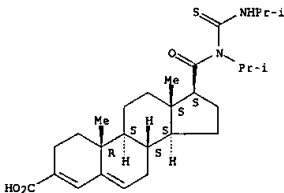
IT 146175-03-3P 146175-04-4P 146175-05-5P
 146175-06-6P 146175-07-7P 146175-08-8P
 146175-09-9P 146175-10-2P 146175-11-3P

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-06-6 USPATFULL
 CN Androsta-3,5-diene-3-carboxylic acid, 17-[(1-methylethyl)[(1-methylethyl)amino]thioxomethyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

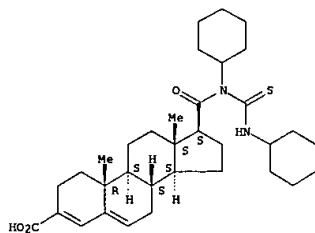
Absolute stereochemistry.



RN 146175-07-7 USPATFULL
 CN Androsta-3,5-diene-3-carboxylic acid, 17-[(1-methylethyl)[(1-methylethyl)amino]thioxomethyl]amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

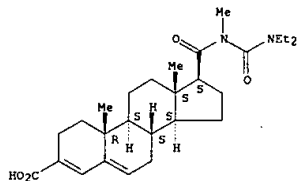
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-08-8 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(diethylamino)carbonyl]methylamino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

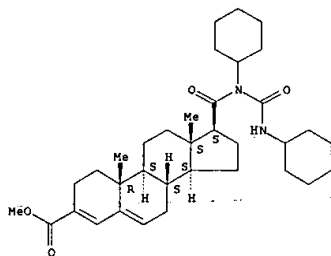
Absolute stereochemistry.



RN 146175-09-9 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

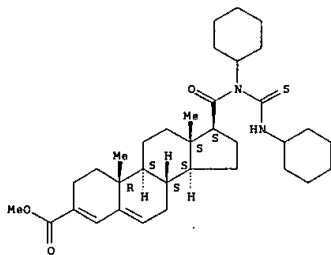
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-12-4 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[cyclohexyl[(cyclohexylamino)thioxomethyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

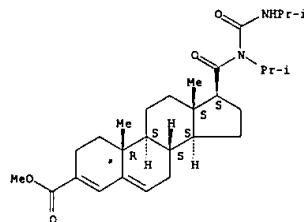
Absolute stereochemistry.



RN 146175-13-5 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1,1-dimethylethyl)[[(1,1-dimethylethyl)amino]carbonyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

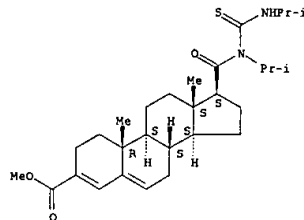
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-10-2 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]thioxomethyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

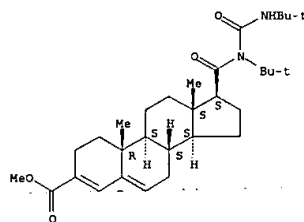
Absolute stereochemistry.



RN 146175-11-3 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[cyclohexyl[(cyclohexylamino)carbonyl]amino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

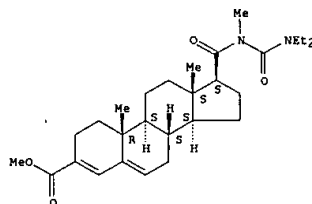
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-14-6 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(diethylamino)carbonyl]methylamino]carbonyl]-, methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

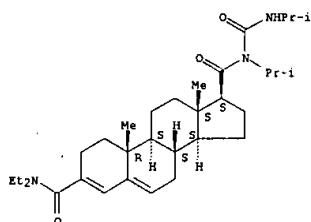
Absolute stereochemistry.



RN 146175-15-7 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N3,N3-diethyl-N17-(1-methylethyl)-N17-[[[(1-methylethyl)amino]carbonyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

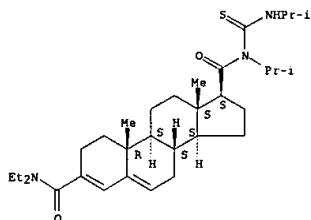
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-16-8 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N3,N3-diethyl-N17-[(1-methylethyl)-N17-[[[(1-methylethyl)amino]thioxomethyl]-, (17.beta.)- (9CI) (CA INDEX NAME)

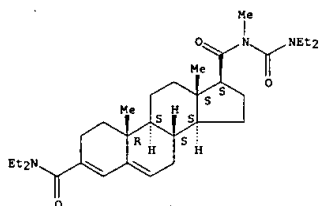
Absolute stereochemistry.



RN 146175-17-9 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N17-cyclohexyl-N17-[(cyclohexylamino)carbonyl]-N3,N3-diethyl- (9CI) (CA INDEX NAME)

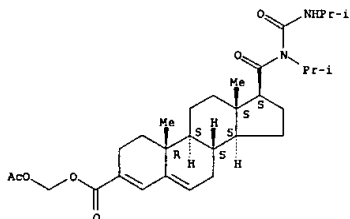
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-20-4 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)]][(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (acetyloxy)methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

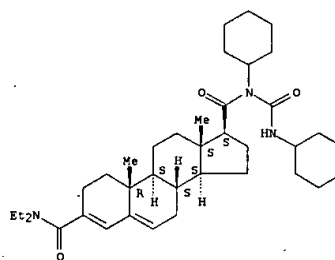
Absolute stereochemistry.



RN 146175-21-5 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)]][(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (2,2-dimethyl-1-oxopropoxy)methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

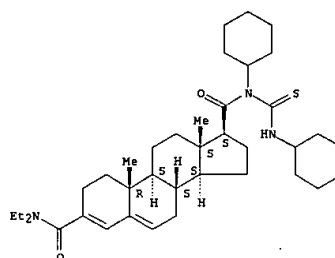
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-18-0 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N17-cyclohexyl-N17-[(cyclohexylamino)thioxomethyl]-N3,N3-diethyl-, (17.beta.)- (9CI) (CA INDEX NAME)

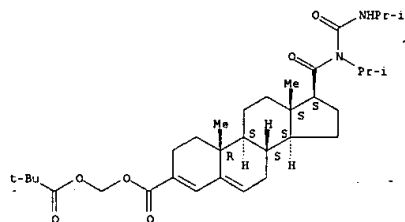
Absolute stereochemistry.



RN 146175-19-1 USPATFULL
CN Androsta-3,5-diene-3,17-dicarboxamide, N17-[(diethylamino)carbonyl]-N3,N3-diethyl-N17-methyl-, (17.beta.)- (9CI) (CA INDEX NAME)

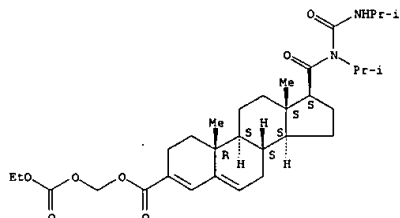
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)



RN 146175-22-6 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)]][(1-methylethyl)amino]carbonyl]amino]carbonyl]-, (ethoxycarbonyl)oxy)methyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

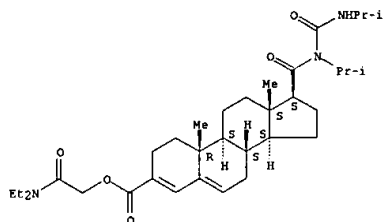
Absolute stereochemistry.



RN 146175-23-7 USPATFULL
CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)]][(1-methylethyl)amino]carbonyl]amino]carbonyl]-, 2-(diethylamino)-2-oxoethyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

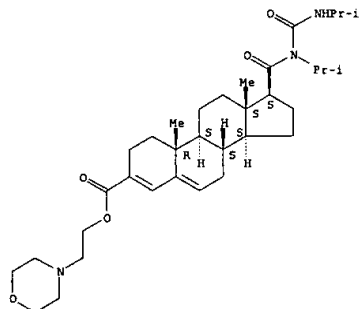
Absolute stereochemistry.

L28 ANSWER 16 OF 30 USPATFULL (Continued)

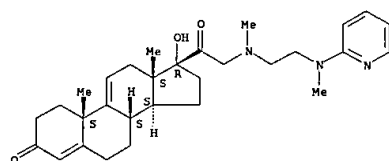


RN 146175-24-8 USPATFULL
 CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1-methylethyl)[[(1-methylethyl)amino]carbonyl]amino]carbonyl]-, 2-(4-morpholinyl)ethyl ester, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

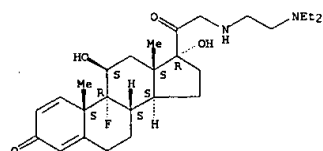


L28 ANSWER 17 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL
 CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 17 OF 30 USPATFULL

92:106943 USPATFULL
 ACCESSION NUMBER:
 TITLE: Pharmacologically active pyrimidinylpiperazinylsteroids
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 Ayer, Donald E., Kalamazoo, MI, United States
 Jacobsen, E. Jonathan, Plainwell, MI, United States
 VanDoornik, Frederick J., Hamilton, MI, United States
 Palmer, John R., Kalamazoo, MI, United States
 Karnes, Harold A., Kalamazoo, MI, United States
 The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

PATENT ASSIGNEE(S):

NUMBER	KIND	DATE
US 5175281		19921229
US 1991-749830		19910826 (7)
PATENT INFORMATION:		
APPLICATION INFO.: Division of Ser. No. US 1988-229675, filed on 8 Aug 1988, now patented, Pat. No. US 5099019 which is a continuation-in-part of Ser. No. US 1988-227812, filed on 3 Aug 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned		
RELATED APPLN. INFO.:		

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Shah, Mukund J.
 ASSISTANT EXAMINER: Ward, E. C.
 LEGAL REPRESENTATIVE: Stein, Bruce
 NUMBER OF CLAIMS: 23
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4652

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are .DELTA..sup.9(11) -steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16 -steroids (IIa and IIb), reduced A-ring steroids (IV), .DELTA..sup.17(20) -steroids (Va and Vb) and .DELTA..sup.9(11) -steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

IT 111640-57-48 111691-71-5P

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl[2-(methyl-2-pyridinylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 18 OF 30 USPATFULL

92:42755 USPATFULL
 ACCESSION NUMBER:
 TITLE: Steroid compounds
 INVENTOR(S): Hori, Kimihiko, Utsunomiya, Japan
 Suzuki, Yasuto, Ichikai, Japan
 Morioka, Tomoki, Ichikai, Japan
 Moriaki, Shigeru, Utsunomiya, Japan
 Hirota, Osamu, Ichikai, Japan
 Tsuchiya, Shuichi, Utsunomiya, Japan
 Kao Corporation, Tokyo, Japan (non-U.S. corporation)

PATENT ASSIGNEE(S):

NUMBER	KIND	DATE
US 5116829		19920526
US 1991-683346		19910410 (7)

NUMBER	DATE
JP 1990-107255	19900423

PRIORITY INFORMATION:
 DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Siegel, Alan
 ASSISTANT EXAMINER: Kestler, Kimberly J.
 LEGAL REPRESENTATIVE: Ohlon, Spivak, McClelland, Maier & Neustadt
 NUMBER OF CLAIMS: 7
 EXEMPLARY CLAIM: 1,3
 NUMBER OF DRAWINGS: 3 Drawing Figure(s); 2 Drawing Page(s)
 LINE COUNT: 782

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 21-substituted steroid compound is disclosed. The compound has a structure of formula (I), ##STR1## wherein R.sub.1 is a hydrogen atom, a lower alkyl, lower alkenyl, lower alkoxy, or phenyl group, R.sub.2 is a hydroxyl group or an acyloxy group having 1-6 carbon atoms, R.sub.3 is a hydrogen atom or a lower alkyl group, or R.sub.2 and R.sub.3 may together form a lower alkylidenedioxy group, X.sub.1 and X.sub.2 may be the same or different and individually represents a hydrogen atom or a halogen atom, Y.sub.1 and Y.sub.2 may be the same or different and individually represents a methylene group or a sulfur atom, Z is a sulfur atom or an imino group, the wave line means that the configuration of R.sub.3 may be of either .alpha. or .beta., and dotted line between the 1 and 2 position means that the bond may be the double bond. It has excellent anti-inflammatory, anti-allergic and anti-asthma activities with little side effects, and is useful for the prevention, cure, and treatment of on inflammation, allergic diseases, rheumatism, and the like.

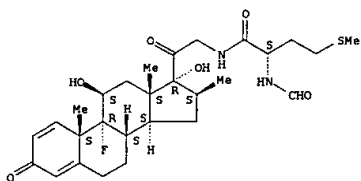
IT 138716-10-6P
 (prepn. of, as antiinflammatory, antiallergic, etc.)

RN 138716-10-6 USPATFULL

CN Butanamide, N-[(11.beta.,16.beta.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]-2-(formylamino)-4-(methylthio)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 18 OF 30 USPATFULL (Continued)



L28 ANSWER 19 OF 30 USPATFULL

ACCESSION NUMBER: 92:23302 USPATFULL
 TITLE: Amines useful in producing pharmaceutically active CNS compounds
 INVENTOR(S): McCall, John M., Kalamazoo, MI, United States
 Ayer, Donald E., Kalamazoo, MI, United States
 Jacobsen, E. Jonathan, Plainwell, MI, United States
 VanDoornik, Frederick J., Hamilton, MI, United States
 Palmer, John R., Kalamazoo, MI, United States
 Karnes, Harold A., Kalamazoo, MI, United States
 PATENT ASSIGNEE(S): Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5099019		19920324
APPLICATION INFO:	US 1988-229675	19880808 (7)
RELATED APPLN. INFO:	Continuation-in-part of Ser. No. US 1987-121822, filed on 11 May 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-888231, filed on 29 Jul 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-877287, filed on 23 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-811058, filed on 19 Dec 1985, now abandoned which is a continuation-in-part of Ser. No. US 1985-775204, filed on 12 Sep 1985, now abandoned	
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Turnipsaw, James H.	
LEGAL REPRESENTATIVE:	Stein, Bruce	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4117	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are .DELTA..sup.9(11)-steroids (VI) and amino substituted steroids (XI) which contain an amino group attached to the terminal carbon atom of the C.sub.17-side chain, more particularly amino steroids (Ia and Ib), aromatic steroids (II), .DELTA..sup.16-steroids (IIa and IIb), reduced A-ring steroids (IV), .DELTA..sup.17(20)-steroids (Va and Vb) and .DELTA..sup.9(11)-steroids (VI) which are useful as pharmaceutical agents for treating a number of conditions.

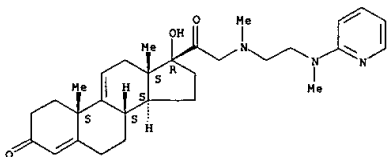
IT 111640-57-4# 111691-71-5P
 (prepn. of, as drug)

RN 111640-57-4 USPATFULL

CN Pregna-4,9(11)-diene-3,20-dione, 17-hydroxy-21-[methyl(2-(methyl-2-pyridinylamino)ethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

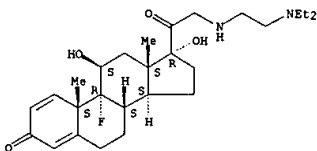
L28 ANSWER 19 OF 30 USPATFULL (Continued)



RN 111691-71-5 USPATFULL

CN Pregna-1,4-diene-3,20-dione, 21-[[2-(diethylamino)ethyl]amino]-9-fluoro-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 20 OF 30 USPATFULL

ACCESSION NUMBER: 90:38377 USPATFULL
 TITLE: Interligand metal transfer assay
 INVENTOR(S): Hale, Ron L., Woodside, CA, United States
 Wieder, Irwin, Los Altos, CA, United States
 PATENT ASSIGNEE(S): Baxter International Inc., Deerfield, IL, United States (U.S. corporation)

NUMBER	KIND	DATE
US 4925804		19900515
APPLICATION INFO:	US 1986-875449	19860617 (6)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Warden, Robert J.	
ASSISTANT EXAMINER:	Scheiner, Toni R.	
LEGAL REPRESENTATIVE:	Irell & Manella	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 2 Drawing Page(s)	
LINE COUNT:	1448	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

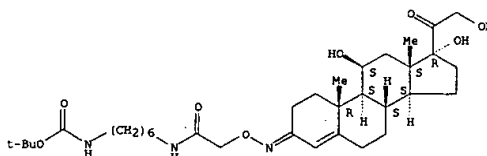
AB A new reporter mechanism for biospecific reactions is disclosed. This mechanism involves interligand metal ion transfer in which a metal ion is directly transferred from one chelate complex to another following the occurrence of the biospecific reaction. The second chelate complex is separate from and detectably different than the first chelate complex. This invention can take the form of methods of chemical analysis and kits for conducting such methods. In preferred embodiments of this invention the detectable difference is a difference in fluorescence, such as an increase or decrease which occurs as a result of the formation of the second chelate. In further preferred embodiments the difference in fluorescence is detected using fluorescent background rejection methods.

IT 129499-20-3P
 (prepn. and reaction of, for chelating agent prepn. for triiodothyronine detn. by fluorescence immunoassay with interligand metal transfer)

RN 129499-20-3 USPATFULL

CN Carbamic acid, [6-[[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregna-4-en-3-ylidene]amino]oxy]acetyl]amino]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

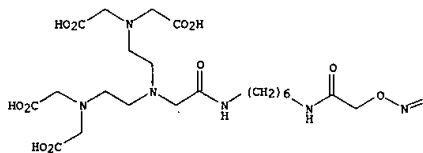
Absolute stereochemistry.
 Double bond geometry unknown.



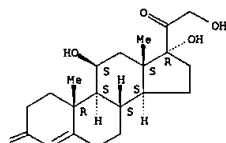
IT 129499-23-6P
 (prepn. of, as chelating agent, for triiodothyronine detn. by

L28 ANSWER 20 OF 30 USPATFULL (Continued)
 fluorescence immunoassay with interligand metal transfer)
 RN 129499-23-6 USPATFULL
 CN 3,6,9,16-Tetraazaoctadecanoic acid, 6-[2-[bis(carboxymethyl)amino]ethyl]-3-
 (carboxymethyl)-8,17-dioxo-18-[[[(11.alpha.)-1,17,21-trihydroxy-20-
 oxopregn-4-en-3-ylidene]amino]oxy]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.
 Double bond geometry unknown.

PAGE 1-A

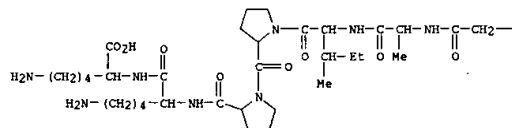


PAGE 1-B

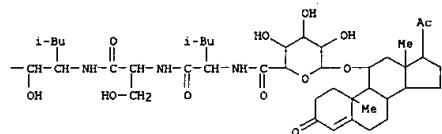


L28 ANSWER 21 OF 30 USPATFULL (Continued)

PAGE 1-A

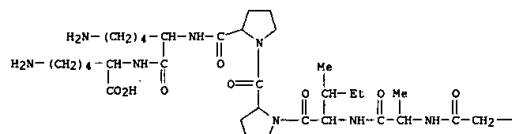


PAGE 1-B



IT 94720-75-9P
 (prepn. of, for progesterone detn. by enzyme inhibitor labeled
 immunoassay)
 RN 94720-75-9 USPATFULL
 CN L-Lysine, N2-[N2-[1-[1-[N-[N-[4-[[N-[N-[1-O-[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]-.beta.-D-glucopyranuronoyl]-L-leucyl]-L-seryl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-alanyl]-L-isoleucyl]-L-prolyl]-L-prolyl]-L-lysyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



L28 ANSWER 21 OF 30 USPATFULL
 ACCESSION NUMBER: 87:89121 USPATFULL
 TITLE: Immunoassay
 INVENTOR(S): Baker, Terence S., Staines, England
 Powell, Michael J., Maidenhead, England
 Titmas, Richard C., Maidenhead, England
 Boots-Celltech Diagnostics Limited, Slough, England
 (non-U.S. corporation)

NUMBER	KIND	DATE
US 4716109		19871229
US 1984-575390		19840130 (6)
20030506		

NUMBER	DATE
GB 1983-2622	19830131
GB 1983-20164	19830726

PRIORITY INFORMATION:
 DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Kepplinger, Esther M.
 LEGAL REPRESENTATIVE: Cushman, Darby & Cushman
 NUMBER OF CLAIMS: 18
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 4 Drawing Figure(s); 2 Drawing Page(s)
 LINE COUNT: 982

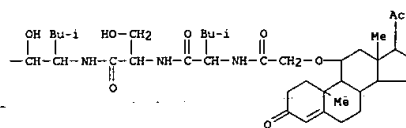
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is described an enzyme inhibitor labelled immunoassay for measuring the concentration of an analyte in a sample wherein the substrate for the enzyme forms at least a part of the sample. In a particular embodiment the sample comprises or consists of a milk sample and the inhibitor label is capable of inhibiting the activity of an enzyme capable of clotting milk. Examples are given of suitable inhibitors. The assay described may be used to measure the concentration of progestogens or oestrogens in milk using the techniques of heterogeneous or homogeneous enzyme inhibitor labelled immunoassay. The results of such an assay give an indication of the fertility of a milk producing domestic animal (e.g. a cow) and may be used to diagnose pregnancy of such an animal. Particular compounds for use in the assay are described, as is a kit of reagents for use in the assay.

IT 94720-76-0
 (in progesterone detn. in milk by enzyme inhibitor labeled immunoassay)
 RN 94720-76-0 USPATFULL
 CN L-Lysine, N2-[N2-[1-[1-[N-[N-[4-[[N-[N-[1-O-[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]-.beta.-D-glucopyranuronoyl]-L-leucyl]-L-seryl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-alanyl]-L-isoleucyl]-L-prolyl]-L-prolyl]-L-lysyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

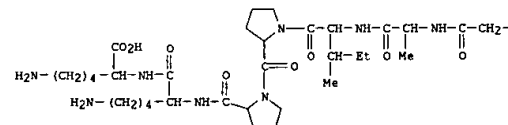
L28 ANSWER 21 OF 30 USPATFULL (Continued)

PAGE 1-B



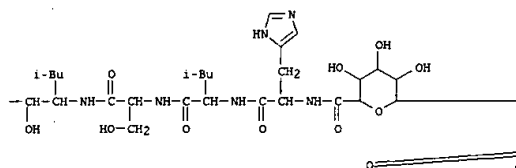
IT 94720-73-7P
 (prepn. of, for progesterone detn. in milk by homogeneous enzyme
 inhibitor labeled immunoassay)
 RN 94720-73-7 USPATFULL
 CN L-Lysine, N2-[N2-[1-[1-[N-[N-[4-[[N-[N-[1-O-[(11.alpha.)-3,20-dioxopregn-4-en-11-yl]-.beta.-D-glucopyranuronoyl]-L-leucyl]-L-seryl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-alanyl]-L-isoleucyl]-L-prolyl]-L-prolyl]-L-lysyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

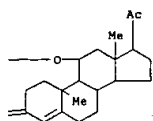


L28 ANSWER 21 OF 30 USPATFULL (Continued)

PAGE 1-B



PAGE 1-C



L28 ANSWER 22 OF 30 USPATFULL

ACCESSION NUMBER: 86:49382 USPATFULL
 TITLE: Steroid esters of N-(2-halogenoethyl)-N-nitroso-carbamoyl-amino acids and peptides thereof, as well as methods for preparing them
 INVENTOR(S): Eisenbrand, Gerhard, Sandhausen, Germany, Federal Republic of
 Schreiber, Joachim, Heidelberg, Germany, Federal Republic of
 PATENT ASSIGNEE(S): Stiftung Deutsches Krebsforschungszentrum, Heidelberg, Germany, Federal Republic of (non-U.S. corporation)

NUMBER	KIND	DATE
PATENT INFORMATION:	US 4609496	19860902
	WO 8303414	19831013
APPLICATION INFO.:	US 1983-557138	19831117 (6)
	WO 1983-EP90	19830323
		19831117 PCT 371 date
		19831117 PCT 102(e) date

NUMBER	DATE
PRIORITY INFORMATION:	DE 1982-3210637 19820323
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Roberts, Elbert L.
LEGAL REPRESENTATIVE:	Browdy & Neimark
NUMBER OF CLAIMS:	15
EXEMPLARY CLAIM:	1, 13
LINE COUNT:	392

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

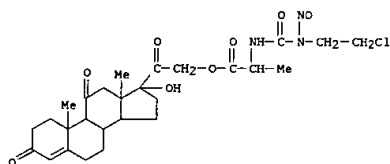
AB Steroid-N-(2-halogen ethyl)-N-nitroso-carbamoyl-amino acid or peptide esters of the general formula: ##STR1## where R.sub.1 and R.sub.2, which may be identical or different, mean the radical of an amino acid beyond the C atom in the beta position (if present), R.sub.3 means the radical of a steroid or a stilbene derivative pharmacologically similar in action, n is a number from 0-5 and Hal stands for chlorine or fluorine, as well as the method for preparing them by the conversion of an appropriate carbamoyl-amino acid or carbamoyl-peptide in a manner known per se with steroid alcohols or the conversion of steroid-amino acid or steroid-peptide esters with corresponding N-nitroso-carbamoylation or corresponding N-nitroso-carbamoyl-amino acids or peptides in a manner known per se.

IT 89083-82-9P 89083-83-0P 89083-86-3P
 89083-88-5P 89083-90-9P 89083-91-0P
 89083-97-6P 89083-98-7P
 (prepn. of)

RN 89083-82-9 USPATFULL

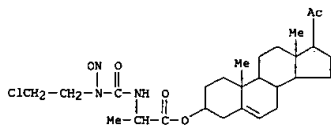
CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-, 17-hydroxy-3,11,20-trioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 22 OF 30 USPATFULL (Continued)



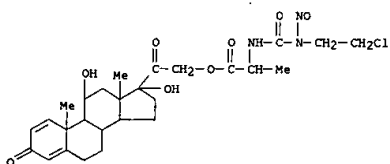
RN 89083-83-0 USPATFULL

CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-, (3.beta.)-20-oxopregn-5-en-3-yl ester (9CI) (CA INDEX NAME)



RN 89083-86-3 USPATFULL

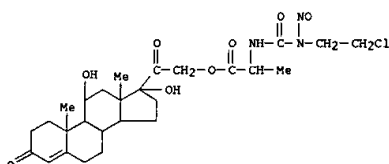
CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)



RN 89083-88-5 USPATFULL

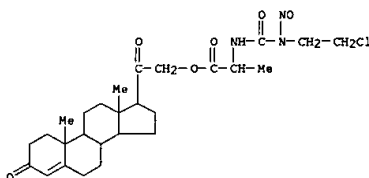
CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 22 OF 30 USPATFULL (Continued)



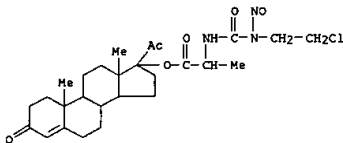
RN 89083-90-9 USPATFULL

CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-, 3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)



RN 89083-91-0 USPATFULL

CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-, 3,20-dioxopregn-4-en-17-yl ester (9CI) (CA INDEX NAME)

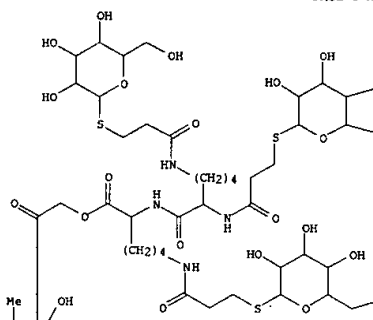


RN 89083-97-6 USPATFULL

CN L-Alanine, N-[[[(2-chloroethyl)nitrosoamino]carbonyl]-L-alanyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

L28 ANSWER 25 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

—OH

—OH

—OH

L28 ANSWER 26 OF 30 USPATFULL

ACCESSION NUMBER: 82:36452 USPATFULL
 TITLE: Immunochemical assay reagent for the determination of haptens, and assay method therewith
 INVENTOR(S): Sakakibara, Kyoichi, Tokyo, Japan
 Manita, Hideaki, Sagamihiro, Japan
 Gondo, Masaaki, Kawasaki, Japan
 Yamashita, Haruo, Kunitachi, Japan
 PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4341758		19820727
APPLICATION INFO.:	US 1979-83938		19791011 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1978-125710	1978:1014
	JP 1978-125711	1978:1014

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Fagelson, Anna P.
 LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack
 NUMBER OF CLAIMS: 6
 EXEMPLARY CLAIM: 1,2,3
 NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
 LINE COUNT: 2279

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel immunochemical assay reagents comprising combinations of (A) a carboxyl-containing water-soluble mono-olefinic polymeric compound combined with a hapten or its chemically modified product, or a hapten-supported latex resulting from the chemical linking of the hapten-bound polymeric compound to a polymeric latex, with (B) a hapten antibody, or a hapten antibody-supported carrier; and a method for immunochemically determining haptens by using the aforesaid reagent. This reagent is very stable and can be stored for an extended period of time without degradation. It enables transition from an agglutination inhibited pattern to an agglutinated pattern to be discerned clearly and rapidly with high sensitivity.

IT 75088-42-5DP, reduced, reaction products with polyacrylic acid

75088-42-5P

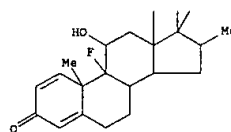
(prepn. of)

RN 75088-42-5 USPATFULL

CN L-lysine, N6-[(phenylmethoxy)carbonyl]-N2-[1-O-[(3.alpha.,5.beta.,11.beta.)-11,17,21-trihydroxypregnan-3-yl]-.beta.-D-glucopyranuronoyl]-, methyl ester (9CI) (CA INDEX NAME)

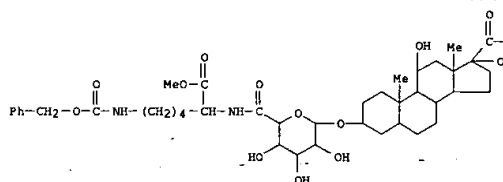
L28 ANSWER 25 OF 30 USPATFULL (Continued)

PAGE 2-A



L28 ANSWER 26 OF 30 USPATFULL (Continued)

PAGE 1-A

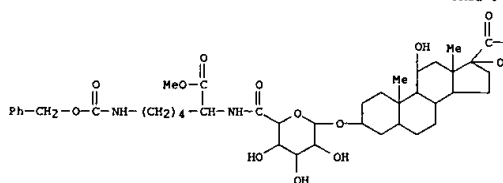


PAGE 1-B

—CH2—OH

RN 75088-42-5 USPATFULL
 CN L-lysine, N6-[(phenylmethoxy)carbonyl]-N2-[1-O-[(3.alpha.,5.beta.,11.beta.)-11,17,21-trihydroxypregnan-3-yl]-.beta.-D-glucopyranuronoyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—CH2—OH

L28 ANSWER 27 OF 30 USPATFULL
 ACCESSION NUMBER: 81:37079 USPATFULL
 TITLE: Cortisol radioimmunoassay method and cortisol derivatives useful therefor
 INVENTOR(S): Kojima, Masaharu, Fukuoka, Japan
 Sone, Hisao, Fukuoka, Japan
 Ogawa, Hiroshi, Kashiwa, Japan
 Nakazawa, Nobuhiko, Urawa, Japan
 Tachibana, Seiji, Tokyo, Japan
 PATENT ASSIGNEE(S): Daiichi Radioisotope Laboratories, Ltd., Tokyo, Japan
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4277460		19810707
APPLICATION INFO.:	US 1979-68834		19790822 (6)
RELATED APPLN. INFO.:	Division of Ser. No. US 1977-802397, filed on 1 Jun 1977, now patented, Pat. No. US 4190593		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1976-63985	19760601
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Padgett, Benjamin R.	
ASSISTANT EXAMINER:	Nucker, Christine M.	
LEGAL REPRESENTATIVE:	Sughrue, Rothwell, Mion, Zinn and Macpeak	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 3 Drawing Page(s)	
LINE COUNT:	480	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The cortisol compound of the formula (E) ##STR1## wherein R represents a hydroxy group, a tyrosine lower alkyl ester residue, a tyramine residue, a histamine residue, a 7-aminoheptanoyl-tyrosine lower alkyl ester residue, a radioiodinated tyrosine lower alkyl ester residue, a radioiodinated tyramine residue, a radioiodinated histamine residue, a radioiodinated 7-aminoheptanoyltyrosine lower alkyl ester residue, a protein or a polypeptide and a radioimmunoassay method using cortisol derivative.

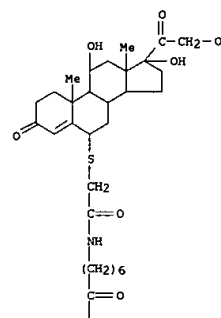
IT 65644-73-7DP, labeled with iodine-125
 (prepn. of, cortisol radioimmunoassay in relation to)

RN 65644-73-7 USPATFULL

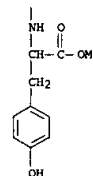
CN L-Tyrosine, O-[1-oxo-7-[[[(6.alpha.,11.beta.)-11,17,21-trihydroxy-3,20-dioxopregn-4-en-6-yl]thio]acetyl]amino]heptyl]-, methyl ester (9CI) (CA INDEX NAME)

L28 ANSWER 27 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 2-A



L28 ANSWER 28 OF 30 USPATFULL
 ACCESSION NUMBER: 80:10320 USPATFULL
 TITLE: Cortisol radioimmunoassay method and cortisol derivatives useful therefor
 INVENTOR(S): Kojima, Masaharu, Fukuoka, Japan
 Sone, Hisao, Fukuoka, Japan
 Ogawa, Hiroshi, Kashiwa, Japan
 Nakazawa, Nobuhiko, Urawa, Japan
 Tachibana, Seiji, Tokyo, Japan
 PATENT ASSIGNEE(S): Daiichi Radioisotope Laboratories, Ltd., Tokyo, Japan
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4190593		19800226
APPLICATION INFO.:	US 1977-802397		19770601 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1976-63985	19760601
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Roberts, Elbert L.	
ASSISTANT EXAMINER:	Whittenbaugh, Robert C.	
LEGAL REPRESENTATIVE:	Sughrue, Rothwell, Mion, Zinn and Macpeak	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 3 Drawing Page(s)	
LINE COUNT:	471	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The cortisol compound of the formula (E) ##STR1## wherein R represents a hydroxy group, a tyrosine lower alkyl ester residue, a tyramine residue, a histamine residue, a 7-aminoheptanoyl-tyrosine lower alkyl ester residue, a radioiodinated tyrosine lower alkyl ester residue, a radioiodinated tyramine residue, a radioiodinated histamine residue, a radioiodinated 7-aminoheptanoyltyrosine lower alkyl ester residue, a protein or a polypeptide and a radioimmunoassay method using cortisol derivative.

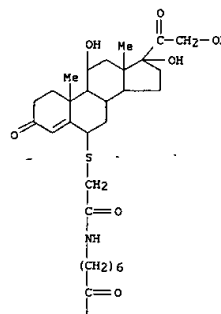
IT 65644-73-7DP, labeled with iodine-125
 (prepn. of, cortisol radioimmunoassay in relation to)

RN 65644-73-7 USPATFULL

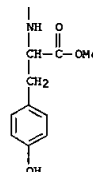
CN L-Tyrosine, O-[1-oxo-7-[[[(6.alpha.,11.beta.)-11,17,21-trihydroxy-3,20-dioxopregn-4-en-6-yl]thio]acetyl]amino]heptyl]-, methyl ester (9CI) (CA INDEX NAME)

L28 ANSWER 28 OF 30 USPATFULL (Continued)

PAGE 1-A



PAGE 2-A



L28 ANSWER 29 OF 30 USPATFULL
 ACCESSION NUMBER: 80:9142 USPATFULL
 TITLE: Novel .DELTA..sup.4 -pregnenes
 INVENTOR(S): Nedelec, Lucien, Le Raincy, France
 Pierdet, Andre, Nuisy-le-Sec, France
 Derasdt, Roger, Les Pavillons-sous-Bois, France
 PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4189477		19800219
APPLICATION INFO.:	US 1978-903600		19780508 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1977-13864	19770506
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Roberts, Elbert L.	
LEGAL REPRESENTATIVE:	Hammond & Littell	
NUMBER OF CLAIMS:	23	
EXEMPLARY CLAIM:	1,11	
LINE COUNT:	802	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel .DELTA..sup.4 -pregnenes of the formula ##STR1## wherein X.sub.1 is selected from the group consisting of .dbd.O and ##STR2## Y is selected from the group consisting of hydrogen and halogen, R.sub.1 is selected from the group consisting of hydrogen and acyl of an organic carboxylic acid of 1 to 18 carbon atoms, X.sub.2 is selected from the group consisting of hydrogen and --OH, R in the 16.alpha.- or .beta.-position is selected from the group consisting of hydrogen, --OH, and methyl, Z is selected from the group consisting of (1) --OH, (2) alkoxy of 1 to 12 carbon atoms, (3) cycloalkoxy of 3 to 12 carbon atoms, (4) acloxy of an organic carboxylic acid of 1 to 18 carbon atoms, (5) ##STR3## wherein W is a hydrocarbon of 1 to 12 carbon atoms, (6) ##STR4## wherein R.sub.2 is hydrogen or alkyl of 1 to 12 carbon atoms, (7) ##STR5## wherein R.sub.3 is a hydrocarbon of 1 to 12 carbon atoms and (8) ##STR6## the dotted line in the A ring indicates the optional presence of a double bond in the 1(2)-position and A and B are both hydrogen or A is methyl, chlorine or fluorine while B is hydrogen or A and B may form a double bond in the 6(7)-position with the proviso that if the A ring has a 1(2) double bond, A is hydrogen or fluorine, B is hydrogen, Y is hydrogen or fluorine, X.sub.2 is hydrogen or --OH, R is methyl and Z is not --OH having a very marked antiallergic activity with a reduced anti-inflammatory activity and a process for their preparation.

IT 69765-22-6P 69765-23-7P

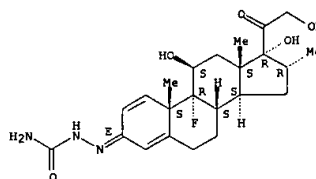
(prepn. of)

RN 69765-22-6 USPATFULL

CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17,21-trihydroxy-16-methyl-, 3-[(aminocarbonyl)hydrazono], (3E,11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

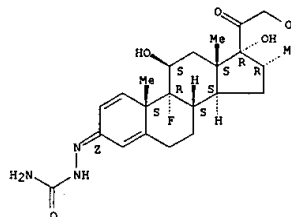
L28 ANSWER 29 OF 30 USPATFULL (Continued)



RN 69765-23-7 USPATFULL

CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17,21-trihydroxy-16-methyl-, 3-[(aminocarbonyl)hydrazono], (3E,11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L29 ANSWER 30 OF 30 USPATFULL
 ACCESSION NUMBER: 76:33614 USPATFULL
 TITLE: Novel N-nitroso compounds, compositions containing such compounds, processes for their preparation and methods of treatment therewith, and novel intermediates
 INVENTOR(S): Hogberg, Knut Bertil, Helsingborg, Sweden
 Fax, Hans Jacob, Helsingborg, Sweden
 Konyves, Imre, Hittarp, Sweden
 PATENT ASSIGNEE(S): Stamvik, Anders Robert, Helsingborg, Sweden
 Aktebolaget Leo, Helsingborg, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 3963707		19760615
APPLICATION INFO.:	US 1974-445572		19740225 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1973-10613	19730305
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Roberts, Elbert L.	
LEGAL REPRESENTATIVE:	Hueschen, Gordon W.	
NUMBER OF CLAIMS:	62	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3217	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel N-halogenoalkyl-N-nitroso carbamates and N.sup.4 halogenoalkyl-N.sup.4 -nitroso allophanates of steroid compounds, having an anti-tumor activity, and to the preparation thereof. The invention is also concerned with pharmaceutical compositions containing the said compounds, and methods of treatment therewith.

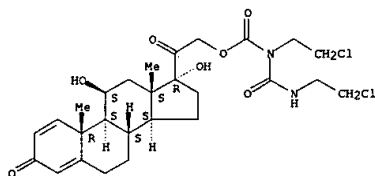
IT 54025-67-1P

(prepn. of)

RN 54025-67-1 USPATFULL

CN Pregna-1,4-diene-3,20-dione, 21-[[[(2-chloroethyl)[(2-chloroethyl)amino]carbonyl]amino]carbonyloxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 11:07:01 ON 21 FEB 2003)

FILE 'REGISTRY' ENTERED AT 11:07:07 ON 21 FEB 2003

L1 175 S PSORALEN
L2 2408 S SPERMIDINE?
L3 187 S PSORALEN?
L4 261 S SPERMINE?
L5 28 S POLYLYSINE?
L6 382 S PROTAMINE?
L7 3193 S L1 OR L2 OR L3 OR L4 OR L5 OR L6
L8 STRUCTURE UPLOADED
L9 0 S L8 SAM SUB=L3
L10 0 S L8 FULL SUB=L3
L11 0 S L8 SUB=L7 SAM
L12 2 S L8 FULL SUB=L7
L13 STRUCTURE UPLOADED
L14 0 S L13
L15 9 S L13 FULL

FILE 'CAPLUS' ENTERED AT 11:16:01 ON 21 FEB 2003

L16 3 S L15

FILE 'SCISEARCH' ENTERED AT 11:17:57 ON 21 FEB 2003

L17 2 S TRAVEN?/AU AND TOLMACHEV?/AU AND 1999/PY AND 5/SO

FILE 'USPATFULL' ENTERED AT 11:20:49 ON 21 FEB 2003

L18 0 S L15

FILE 'MARPAT' ENTERED AT 11:29:25 ON 21 FEB 2003

L19 0 S L15
L20 0 S L15 FULL

FILE 'REGISTRY' ENTERED AT 11:32:39 ON 21 FEB 2003

L21 STRUCTURE UPLOADED
L22 19 S L21
L23 7784 S L21 FULL
L24 STRUCTURE UPLOADED
L25 504 S L24 FULL SUB=L23
L26 411 S L25 AND 1/NC

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L27 46 S L26
L28 30 S L27 NOT PY>=1999

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FILE 'REGISTRY' ENTERED AT 11:07:07 ON 21 FEB 2003

L1 175 S PSORALEN
L2 2408 S SPERMIDINE?
L3 187 S PSORALEN?
L4 261 S SPERMINE?
L5 28 S POLYLYSINE?
L6 382 S PROTAMINE?

L7 3193 S L1 OR L2 OR L3 OR L4 OR L5 OR L6
L8 STRUCTURE UPLOADED
L9 0 S L8 SAM SUB=L3
L10 0 S L8 FULL SUB=L3
L11 0 S L8 SUB=L7 SAM
L12 2 S L8 FULL SUB=L7
L13 STRUCTURE UPLOADED
L14 0 S L13
L15 9 S L13 FULL

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L16 3 S L15

FILE 'SCISEARCH' ENTERED AT 11:17:57 ON 21 FEB 2003
L17 2 S TRAVEN?/AU AND TOLMACHEV?/AU AND 1999/PY AND 5/SO

FILE 'USPATFULL' ENTERED AT 11:20:49 ON 21 FEB 2003
L18 0 S L15

FILE 'MARPAT' ENTERED AT 11:29:25 ON 21 FEB 2003
L19 0 S L15
L20 0 S L15 FULL

FILE 'REGISTRY' ENTERED AT 11:32:39 ON 21 FEB 2003
L21 STRUCTURE UPLOADED
L22 19 S L21
L23 7784 S L21 FULL
L24 STRUCTURE UPLOADED
L25 504 S L24 FULL SUB=L23
L26 411 S L25 AND 1/NC

FILE 'USPATFULL' ENTERED AT 11:36:00 ON 21 FEB 2003
L27 46 S L26
L28 30 S L27 NOT PY>=1999

FILE 'CAPLUS' ENTERED AT 11:39:18 ON 21 FEB 2003
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L30 0 S L29 NOT L27

09/762,871

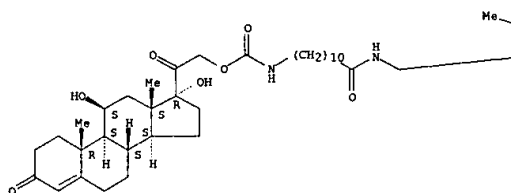
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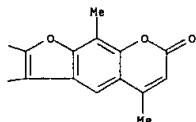


L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

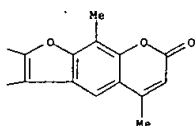


RN 259815-83-3 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[3-oxo-3-[[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyloxy]-, (11.beta.,16.alpha.)]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

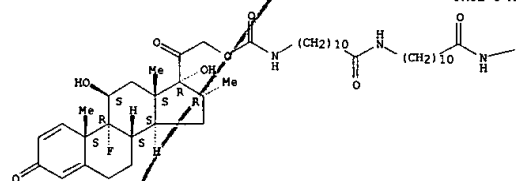
PAGE 1-B



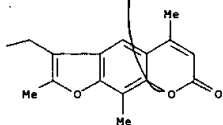
RN 259815-89-9 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[3-oxo-3-[[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyloxy]-, (11.beta.,16.alpha.)]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

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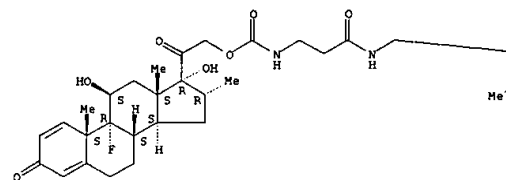


RN 259815-94-6 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[[[1,9-dioxo-11-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8-dioxo-2,10-diazadec-1-yl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)]- (9CI)
 (CA INDEX NAME)

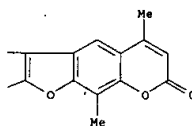
Absolute stereochemistry.

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

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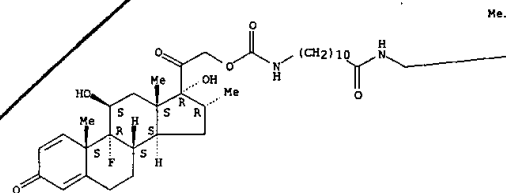
PAGE 1-B



RN 259815-86-6 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[3-oxo-3-[[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyloxy]-, (11.beta.,16.alpha.)]- (9CI)
 (CA INDEX NAME)

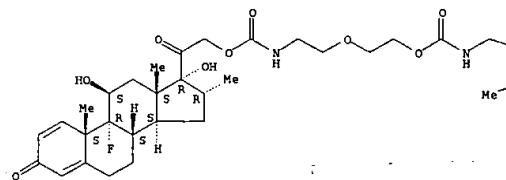
Absolute stereochemistry.

PAGE 1-A

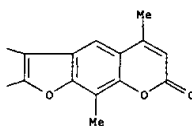


L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



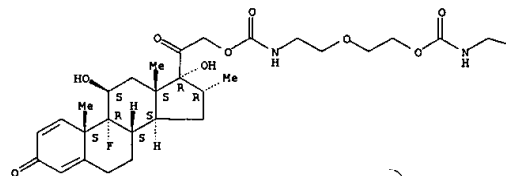
PAGE 1-B



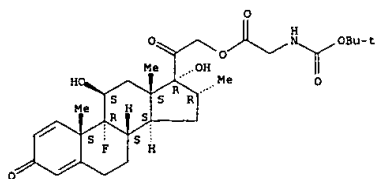
RN 259815-97-9 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8,13,16-tetraoxa-2,10,18-triazanonadec-1-yl]oxy]-, (11.beta.,16.alpha.)]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

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L12 ANSWER 13 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:740333 CAPLUS
 DOCUMENT NUMBER: 128:10873
 TITLE: A three-hybrid reporter gene method for screening for proteins binding defined ligands
 INVENTOR(S): Liu, Jun; Licitra, Edward J.
 PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741255	A1	19971106	WO 1997-US6912	19970425
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1241265	A2	20020918	EP 2002-76267	19970325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, SI, IT, LV, FI, RO, MX, CY, AL				
CA 2252886	AA	19971106	CA 1997-2252886	19970425
EP 907750	A1	19990414	EP 1997-921370	19970425
EP 907750	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 5928868	A	19990727	US 1997-845674	19970425
JF 2000508923	T2	20000718	JF 1997-539036	19970425
DE 29724617	U1	20020718	DE 1997-29724617	19970425
AT 224454	E	20021015	AT 1997-921370	19970425
PRIORITY APPLN. INFO.:				
			US 1996-17341P	P 19960426
			EP 1997-921370	A3 19970425
			WO 1997-US6912	W 19970425

AB A method for identifying the binding partner for a define ligand using an extension of the two-hybrid system is described. The method uses a fusion protein of the LexA protein and a ligand binding protein to bind to a LexA operator upstream of a reporter gene. This is bound to by a conjugate of the natural ligand for the protein and the ligand of interest. Possible binding partners for the ligand are identified by introduction of an expression library in which the proteins are synthesized as fusion products with a transcriptional activator. When the necessary combination of LexA fusion protein, ligand, and transcriptional activator fusion protein are brought together, the reporter gene is expressed. The method is particularly intended for the identification of natural binding partners for small mol's. A fusion product of LexA and the rat glucocorticoid receptor is used in a reconstruction expt. with FK506-binding protein FKBP12 is used to demonstrate using a conjugate of dexamethasone and FK506 as the hybrid ligand.

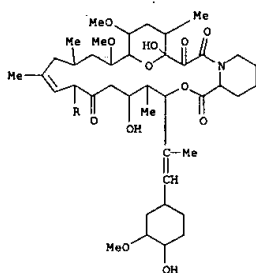
IT 199191-60-1P
 RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (hybrid ligand for detection of FK506-binding proteins; three-hybrid reporter gene method for screening for proteins binding defined ligands)

RN 199191-60-1 CAPLUS

L12 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

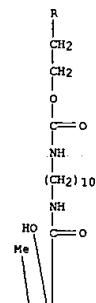
CN Carbanic acid, [10-[[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-dien-17-yl]carbonyl]amino]decyl]-, 2-[(3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)-1,4,5,6,7,8,11,12,13,14,15,16,17,18,19,20,21,23,24,25,26,26a-docosahydro-5,19-dihydroxy-3-[[[1E]-2-[(1R,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-1,7,20,21-tetraoxo-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxazacyclotricosin-8-yl]ethyl ester (9CI) (CA INDEX NAME)

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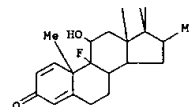


L12 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L12 ANSWER 15 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:96286 CAPLUS

DOCUMENT NUMBER: 124:185375

TITLE: Synthesis of co-drugs of alkylating agents and steroidal anti-inflammatories

AUTHOR(S): Pal, Niranjan N.; Miyawa, John H.; Perrin, John H.

CORPORATE SOURCE: Dep. Med. Chem., Univ. Florida, Gainesville, FL, 32610, USA

SOURCE: Drug Development and Industrial Pharmacy (1996), 22(2), 181-4

CODEN: DDIPD8; ISSN: 0363-9045

PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Co-drugs of the anti-inflammatory agents dexamethasone and prednisone, and anti-neoplastic agents melphalan and chlorambucil, were synthesized using an esterification reaction. The carboxylic acids were activated using dicyclohexylcarbodiimide (DCC) and dimethylaminopyridine (DMAP) to give esters dexamethasone and prednisone 21-melphalanate hydrochlorides and dexamethasone and prednisone 21-chlorambucilates. Preliminary investigations have shown that these esters are hydrolyzed to their component drugs and show comparable in vitro activity to the parent drugs.

IT 174283-30-8P, Dexamethasone 21-tert-butoxycarbonylmelphalanate

174283-31-9P, Prednisone 21-tert-butoxycarbonylmelphalanate

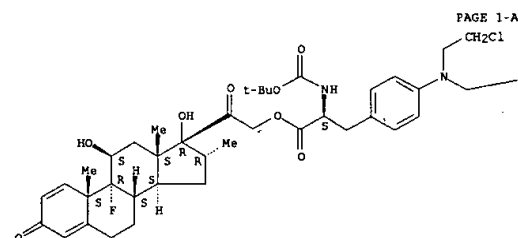
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of co-drugs of alkylating agents and steroidal anti-inflammatories)

RN 174283-30-8 CAPLUS

CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]-N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 15 OF 69 CAPLUS COPYRIGHT 2003 ACS

(Continued)

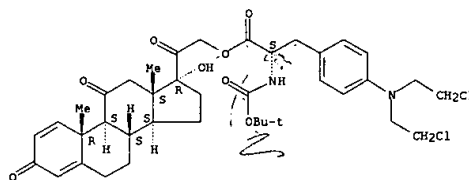
PAGE 1-B

-CH₂Cl

RN 174283-31-9 CAPLUS

CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]-N-[(1,1-dimethylethoxy)carbonyl]-, 17-hydroxy-3,11,20-trioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 16 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:692430 CAPLUS

DOCUMENT NUMBER: 123:199201

TITLE: New digitalis steroids. Synthesis of 17.alpha.-amino 5.beta.,14.beta.-steroids by thermolysis of 17.beta.-azidocarbonyloxymethyl derivatives

AUTHOR(S): Fedrizzi, Giorgio; Bernardi, Luigi; Marazzi, Giuseppe;

Melloni, Piero; Frigerio, Marco

CORPORATE SOURCE: Prassis Ist. Ricerche Sigma-Tau, Settimo Milanese,

SOURCE: 20019, Italy

Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1995), (13),

1755-8

CODEN: JCPRB4; ISSN: 0300-922X

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:199201

AB An efficient procedure for the synthesis of otherwise difficult to access 17.alpha.-amino derivs. of the digitalis series is described. The key reaction is the stereospecific thermocyclization of 3.beta.-acetoxo-

17.beta.-azidocarbonyloxymethyl-5.beta.-androstan-14.beta.-ol to

(17R)-3.beta.-acetoxo-14.beta.-hydroxypicro[5.beta.-androstan-17,4'-

oxazolidin]-2'-one (I).

IT 167958-58-9P 167958-62-5P

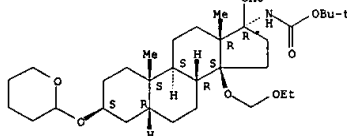
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 17.alpha.-amino 5.beta.,14.beta.-steroids by thermolysis of 17.beta.-azidocarbonyloxymethyl derivs.)

RN 167958-58-9 CAPLUS

CN Carbanic acid, [(3.beta.,5.beta.,14.beta.,17.alpha.)-14-(ethoxymethoxy)-17-formyl-3-[(tetrahydro-2H-pyran-2-yl)oxy]androstan-17-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167958-62-5 CAPLUS

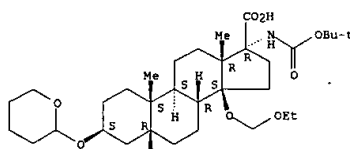
CN Androstane-17-carboxylic acid, 17-[[[(1,1-dimethylethoxy)carbonyl]amino]-14-(ethoxymethoxy)-3-[(tetrahydro-2H-pyran-2-yl)oxy]-, (3.beta.,5.beta.,14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 16 OF 69 CAPLUS COPYRIGHT 2003 ACS

(Continued)



L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:382640 CAPLUS
 DOCUMENT NUMBER: 122:161384
 TITLE: Preparation of peptidylglucocorticoids as antiinflammatories.
 INVENTOR(S): Zentel, Hans Jochaim; Toepert, Michael; Laurent, Henry; Brumby, Thomas; Esperling, Peter
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Ger. Offen., 9 pp.
 CODEN: GWXXRX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4311987	A1	19941013	DE 1993-4311987	19930407
WO 9422898	A1	19941013	WO 1994-EP937	19940324
W: AU, CA, CZ, FI, HU, JP, KP, NO, NZ, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2158643	AA	19941013	CA 1994-2158643	19940324
AU 9465048	A1	19941024	AU 1994-65048	19940324
EP 696090	B2	19980903		
EP 693080	A1	19960124	EP 1994-912531	19940324
EP 693080	B1	19980422		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08508727	T2	19960917	JP 1994-521644	19940324
AT 165366	E	19980515	AT 1994-912531	19940324
ES 2118399	T3	19980916	ES 1994-912531	19940324
US 5616573	A	19970401	US 1995-530352	19951006
PRIORITY APPLN. INFO.: DE 1993-4311987 19930407				
WO 1994-EP937 19940324				

OTHER SOURCE(S): MARPAT 122:161384
 AB R-Val-OGC [OGC = residue of an antiinflammatory 21-hydroxycorticoid; R = H, (HO-, amino-, oxo-, and/or halo-substituted) (O-, SO2-, and/or NH-interrupted)hydrocarbyl], were prepd. Thus, 6.alpha.-methylprednisolone-17-propionate was coupled with BOC-Val-OH using dimethylaminopyridine/DCC in CH2Cl2 to give 96% 6.alpha.-methylprednisolone-17-propionate-21-[N-(tert-butoxycarbonyl)valinate] (BOC-Val-OMPP). This was deprotected with CF3CO2H (80%) and the resulting salt was coupled with BOC-Ala-Ala-Pro-OH using hydroxybenzotriazole/DCC/N-methylmorpholine in CH2Cl2 to give BOC-Ala-Ala-Pro-Val-OMP. The latter as a 0.3% (wt./vol.) prepn. gave 81% inhibition of croton oil-induced edema in rat ears, vs. 67% inhibition for 6.alpha.-methylprednisolone-17-propionate-21-acetate. Title compds. are cleaved to the active form by leukocyte elastase, minimizing concn. of active compds. in noninflamed areas.

IT 161220-33-3P 161220-36-6P 161220-38-8P
 161220-41-3P 161220-46-8P

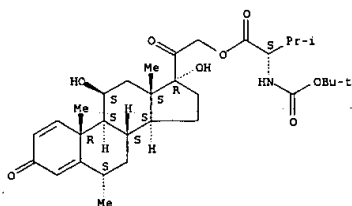
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)
 (prepn. of peptidylglucocorticoids as antiinflammatories)

RN 161220-33-3 CAPLUS
 CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

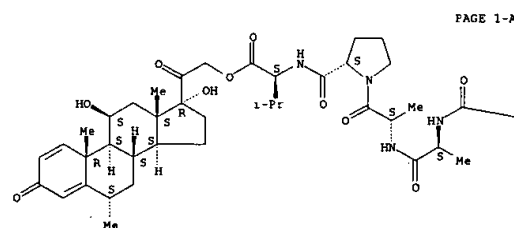
RN 161220-38-8 CAPLUS
 CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161220-41-3 CAPLUS
 CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-alanyl-L-prolyl-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

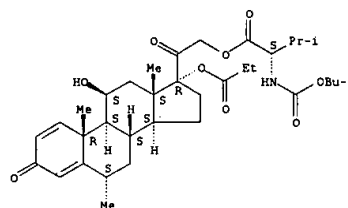
Absolute stereochemistry.



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L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

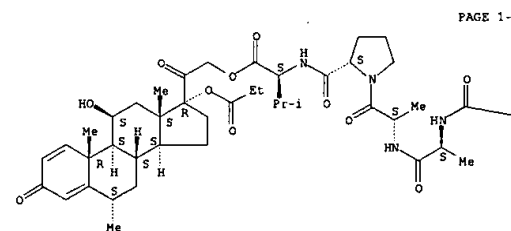
Absolute stereochemistry.



RN 161220-36-6 CAPLUS

CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-alanyl-L-prolyl-, (6.alpha.,11.beta.)-11,17-dihydroxy-6-methyl-3,20-dioxo-17-(1-oxopropoxy)pregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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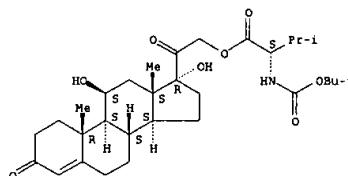
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L12 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

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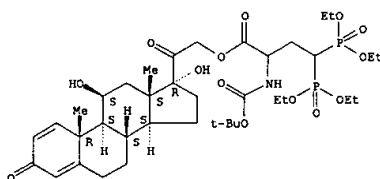
RN 161220-46-8 CAPLUS
 CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



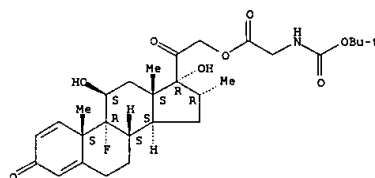
L12 ANSWER 18 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1995:48899 CAPLUS
 DOCUMENT NUMBER: 122:81729
 TITLE: Synthesis of gem-bisphosphonic conjugates of cortisone derivatives
 AUTHOR(S): Guervenou, J.; Sturtz, G.
 CORPORATE SOURCE: Lab. Chim. Hetero-Org., U.F.R. Sci. Tech., Brest, F-29285, Fr.
 SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1994), 88(1-4), 1-13
 CODEN: PSSLEC; ISSN: 1042-6507
 Journal
 DOCUMENT TYPE: French
 LANGUAGE: French
 AB 21-Esters of prednisolone, hydrocortisone, and cortodoxone and [(HO)2P(O)]2CHCH2CHRCO2H [R = H, NH2] or [(HO)2P(O)]2CHCH2CO2H were prepd. by esterifying the steroids with the protected carboxylic acids. The esters were isolated as their di-Na salts for use as prodrugs in bone therapy (no data).
 IT 152071-78-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN (prepn. of carboxyalkyldiphosphonate esters of cortisones)
 CN 152071-78-8 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[4,4-bis(diethoxyphosphinyl)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-11,17-dihydroxy-, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 19 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:579961 CAPLUS
 DOCUMENT NUMBER: 121:179961
 TITLE: Synthesis and pharmacological activity of a water-soluble ester of dexamethasone with glycine hydrochloride
 AUTHOR(S): Ryakhovskaya, M. I.; Nikin, V. B.; Engalycheva, G. N.
 CORPORATE SOURCE: USSR
 SOURCE: Sintez i Issledovanie Biol. Aktivnykh Soed. i Lek. Preparatov: Materialy 10 Konf. Molodykh Uchenykh i Spetsialistov, Vses. N.-I. Khim.-Farm. In-t, M. (1992) 64-9
 From: Ref. Zh., Khim. 1992, Abstr. No. 19E98
 Journal
 DOCUMENT TYPE: Russian
 LANGUAGE: Russian
 AB Title only translated.
 IT 157610-50-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN (prepn. and deprotection of)
 CN 157610-50-9 CAPLUS
 CN Glycine, N-[[[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

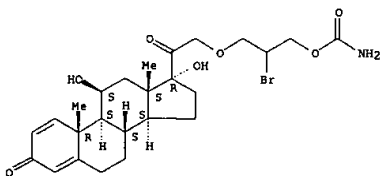


L12 ANSWER 20 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:557290 CAPLUS
 DOCUMENT NUMBER: 121:157290
 TITLE: Sulfonyl derivatives
 INVENTOR(S): Takayanagi, Takeo
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S., 9 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5290773	A	19940301	US 1990-497508	19900321

 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 121:157290
 AB Sulfonyl compds. I [R, R' = H, COCH3, COCH2R4, CH2CH2OH, CH2CH2OCH2, etc.], R4 = Cl, NMeCHO, NMeOH, aziridino, NHCCH2CH2OH; M = Mg, wherein RR'N can be changeable to alkyl, halo, aminomethyl; R1, R2 = NMeCHO, aziridino, 6-mercaptopuriny, prednisolyl, 5-fluorouracilyl, salicylhydrazidyl, hydroxylamine, etc., OMe, OEt, OCH2CH2CH2, OPh, benzhydryl, or ethers (ClCH2)O, BuOMe, Bu2O, etc.] are claimed. I undergo bimol. condensation by the corresponding agents and easily form simple metal salts, esp. metal complexes which combat viruses and inhibit tissue growth (no data).
 IT 141218-22-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 RN (reaction of, with benzenesulfonyl metal salt, anticancer complex by)
 CN 141218-22-6 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[3-[(aminocarbonyl)oxy]-2-bromopropoxy]-11,17-dihydroxy-, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

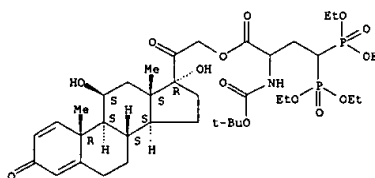


L12 ANSWER 21 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:54782 CAPLUS
 DOCUMENT NUMBER: 120:54782
 TITLE: Preparation of bis(phosphono)alkanoate esters of cortisone analogs as prodrugs for treatment of bone disease
 INVENTOR(S): Sturtz, Georges; Guervenou, Joel
 PATENT ASSIGNEE(S): Universite de Bretagne Occidentale, Fr.
 SOURCE: Fr. Demande, 17 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

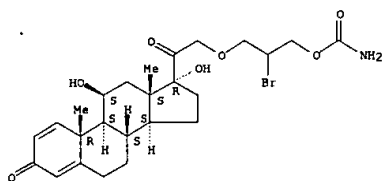
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2683527	A1	19930514	FR 1991-14058	19911112

 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 120:54782
 AB Title compds. [I: R = COXCH[P(O)(OH)]2; M = alkali metal cation, NH4+, cyclohexylammonium, etc.; X = (CH2)n, CH2(NH2) (sic); Y = H, OH, O (sic); n = 1-4; dashed line = optional bond] were prepd. as antiinflammatory prodrugs (no data). Thus, HO2CCH(NHCO2CMe3)CH2CH[P(O)(OEt)]2 (prepn. given) was condensed with prednisolone to give, after deprotection and sapon., I [R = COCH(NH2)CH2CH[P(O)(OH)]2, Y = OH, dashed line = bond].
 IT 152071-78-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN (prepn. and reaction of, in prepn. of prodrug for treatment of bone disease)
 CN 152071-78-8 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[4,4-bis(diethoxyphosphinyl)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-11,17-dihydroxy-, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

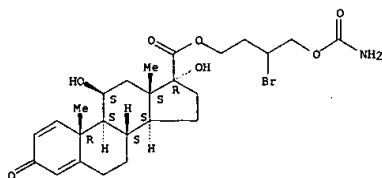


L12 ANSWER 24 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 144230-83-1 CAPLUS
 CN Androsta-1,4-diene-17-carboxylic acid, 11,17-dihydroxy-3-oxo-, 4-[(aminocarbonyl)oxy]-3-bromobutyl ester, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 25 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:213353 CAPLUS
 DOCUMENT NUMBER: 118:213353
 TITLE: Preparation of antirheumatic pregnadienediones.
 INVENTOR(S): Moriaki, Shigeru; Hase, Tadashi; Tsuchiya, Shuichi;
 Hori, Kimihiko; Suzuki, Yasuto
 PATENT ASSIGNEE(S): Kao Corp., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04297422	A2	19921021	JP 1991-63408	19910327

PRIORITY APPLN. INFO.: JP 1991-63408 19910327

OTHER SOURCE(S): MARPAT 118:213353

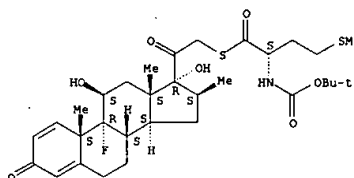
AB The title compds. [I: R1 = H, alkyl, alkoxy, phenyl; R2 = H, acyloxy; R3 = H, alkyl; or R2R3 = alkylidenedioxy; Z = S, imino; X1, X2 = H, halo; Y1, Y2 = CH2, S, NH] are prepd. A soln. of 9-fluoro-11.beta., 17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione-21-thiol in EtOAc and a soln. of DCC in EtOAc were sequentially added to a suspension of N-formyl-L-methionine, the resulting mixt. was stirred at room temp. for 4 h to give 9-fluoro-21-[2-(formylamino)-4-(methylthio)butylthio]-11.beta., 17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione. This at 10-5 M showed >50% inhibition of IL-1 in an in vitro study. Formulations contg. I are also described.

IT 138716-07-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antirheumatic)

RN 138716-07-1 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.beta.,21(S))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 26 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:169434 CAPLUS
 DOCUMENT NUMBER: 118:169434
 TITLE: 21-Substituted steroids
 INVENTOR(S): Hori, Kimihiko; Suzuki, Yasuto; Morioka, Tomonori;
 Moriaki, Shigeru; Sakamoto, Keiko; Tsuchiya, Shuichi
 PATENT ASSIGNEE(S): Kao Corp., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273892	A2	19920930	JP 1991-33118	19910227

PRIORITY APPLN. INFO.: JP 1991-33118 19910227

OTHER SOURCE(S):

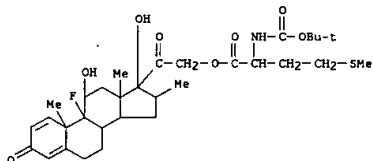
AB Title compds. I and II (X, Y = H, halo; R1 = H, lower alkyl, alkylthioalkyl, CH2Ph; R2 = H, lower alkyl, lower alkoxy, Ph; R3 = lower alkyl; R4 = H, lower alkyl; the broken line between 1 and 2 positions indicates possible presence of a double bond; R2 is not H when R1 is methylthioethyl in I or II; the case of R1 = methylthioethyl, R2 = R4 = Me, X = F, and Y = H is absent in II), useful for anti-inflammatory agents, are prepd. Thus, treating 4.00 g 21-iodobetamethasone with 4.57 g N-acetyl-L-methionine in Me2CO in the presence of Et3N at room temp. and then at 30 degrees, gave 1.76 g 21-[2-(acetamino)-4-methylthio-1-oxobutyl]-9-fluoro-11.beta., 17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione, which showed 70.5% suppression of edemata when tested against Wistar male rats vs. 23.0% for betamethasone valerate.

IT 146433-83-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, for anti-inflammatory agents)

RN 146433-83-2 CAPLUS

CN L-Methionine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.beta.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)



L12 ANSWER 27 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:74382 CAPLUS
 DOCUMENT NUMBER: 118:74382
 TITLE: Substituted steroids for treatment of eye diseases
 INVENTOR(S): Hase, Tadashi; Moriaki, Shigeru; Tsuchiya, Shuichi;
 Hori, Kimihiko; Suzuki, Yasuto
 PATENT ASSIGNEE(S): Kao Corp., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04297423	A2	19921021	JP 1991-63409	19910327

PRIORITY APPLN. INFO.: JP 1991-63409 19910327

OTHER SOURCE(S):

AB 21-Substituted pregnanedione derivs. (Markush structure given) such as 9-fluoro-21-[2-(formylamino)-4-methylthio-1-oxobutylthio]-11.beta., 17-dihydroxy-16.beta.-methylpregna-1,4-diene-3,20-dione(I) are prepd. and pharmacol. studies with I and side effects are described. Fourteen I compds. were synthesized. I were effective in treating eye diseases such as conjunctivitis.

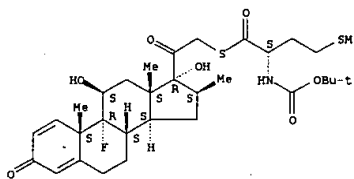
IT 138716-07-1P

RL: PREP (Preparation)
 (prepn. of, as ophthalmic pharmaceutical)

RN 138716-07-1 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 21-[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.beta.,21(S))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 28 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:235241 CAPLUS
 DOCUMENT NUMBER: 116:235241
 TITLE: Preparation of aromatic sulfone chelates as pharmaceuticals
 INVENTOR(S): Takayanagi, Takeo
 PATENT ASSIGNEE(S): Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
 CODEN: JJOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03287571	A2	19911218	JP 1990-89216	19900405
PRIORITY APPL. INFO.: JP 1990-89216 19900405				

OTHER SOURCE(S): MARPAT 116:235241

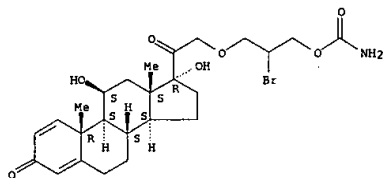
AB The title chelates, useful as pharmaceuticals (no data), are prepd. H2NCH2CH2SH was added to a soln. of 4-EtOCONHCH2CH2SO2Cl in pyridine with stirring at 30-40 degree, the soln. was kept at room temp., petroleum ether was added, the ppt. was dissolved in MeOCH2CH2OH, the soln. was treated with 1 mol equiv. each prednisolone carbamate, ClCH2CH2OMe, and CH3ONHMe)3 with stirring, 30-40% MgSO4 was added to give a guest-host chelate I.

IT 141218-22-6DP, compd. with arylsulfone magnesium complex
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as pharmaceutical)

RN 141218-22-6 CAPLUS

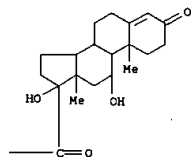
CN Pregna-1,4-diene-3,20-dione, 21-[3-[(aminocarbonyl)oxy]-2-bromopropoxy]-11,17-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 29 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

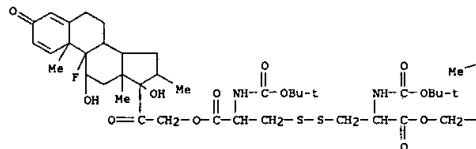
PAGE 1-B



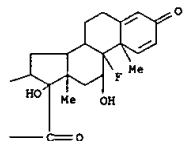
RN 140209-97-8 CAPLUS

CN L-Cystine, N,N'-bis[(1,1-dimethylethoxy)carbonyl]-, bis[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl] ester (9CI) (CA INDEX NAME)

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PAGE 1-B



L12 ANSWER 29 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:174712 CAPLUS
 DOCUMENT NUMBER: 116:174712
 TITLE: Synthesis of new local antiinflammatory thioesters based on antedrug concept
 AUTHOR(S): Milioni, C.; Jung, L.; Koch, B.
 CORPORATE SOURCE: Lab. Pharm. Chim., Fac. Pharm., Ilklich, 67401, Fr.
 SOURCE: European Journal of Medicinal Chemistry (1991), 26(9), 947-51
 CODEN: EJMCA5; ISSN: 0223-5234
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:174712

AB Title thioesters I (R1-R4 = H; R1R2 = bond, R3 = F, R4 = Me), II (R1-R4 = same; n = 1, 2) and III (R1-R4 = same; R5 = HCO, Ac, Me3CO2C, PhCH2O2C) were prepd. and they underwent in vitro pharmacol. evaluation. These new compds. were less potent than the parent corticosteroids. II (R1R2 = bond, R3 = F, R4 = Me, n = 1) was the most interesting compd. of the series and is now under further evaluation.

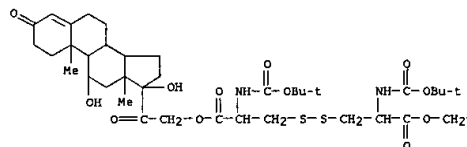
IT 140209-98-6P 140209-97-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as inflammation inhibitor)

RN 140209-98-6 CAPLUS

CN L-Cystine, N,N'-bis[(1,1-dimethylethoxy)carbonyl]-, bis[(11.beta.)-11,17-dihydroxy-3,20-dioxopregna-4-en-21-yl] ester (9CI) (CA INDEX NAME)

PAGE 1-A



L12 ANSWER 30 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:59741 CAPLUS
 DOCUMENT NUMBER: 116:59741
 TITLE: Preparation and formulation of 21-substituted pregnes as drugs
 INVENTOR(S): Hori, Kimihiko; Suzuki, Yasuto; Morioka, Tomoki; Shigeru, Moriwaki; Hirota, Osamu; Tsuchiya, Shuichi; Hase, Tadaashi
 PATENT ASSIGNEE(S): Kao Corp., Japan
 SOURCE: Eur. Pat. Appl., 22 pp.
 CODEN: EPXIXW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 452914	A2	19911023	EP 1991-106170	19910417
EP 452914	A3	19920506		
EP 452914	B1	19960710		

R: CH, DE, FR, GB, IT, LI, NL

JP 05001094	A2	19930108	JP 1991-71113	19910403
US 5116829	A	19920526	US 1991-683346	19910410
			JP 1990-107255	19900423

PRIORITY APPL. INFO.: MARPAT 116:59741

AB Title compds. [I: R = SCOC(NHCO)CH2Y1Y2Me; R1 = H, alkyl, alkenyl, alkoxy, Ph; R2 = OH, acyloxy; R3 = H, alkyl; R2R3 = alkylidenedioxy; X1, X2 = H, halo; Y1, Y2 = CH2, S; 2 = S, NH; dashed line = optional bond] were prepd. as antiinflammatories, antiallergics, etc. Thus, pregnadienedione II (R = SH) was condensed with L-MeSCH2CH2CH(NHCHO)CO2H to give I (R = SCOC(NHCHO)CH2CH2SMe) which gave 74% inhibition of induced edema on rat ear at 10-3M applied topically.

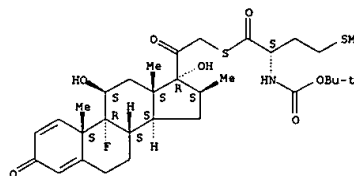
IT 138716-07-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as antiinflammatory, antiallergic, etc.)

RN 138716-07-1 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 21-[[2-[(1,1-dimethylethoxy)carbonyl]amino]-4-(methylthio)-1-oxobutyl]thio]-9-fluoro-11,17-dihydroxy-16-methyl-, [11.beta.,16.beta.,21(S)]- (9CI) (CA INDEX NAME)

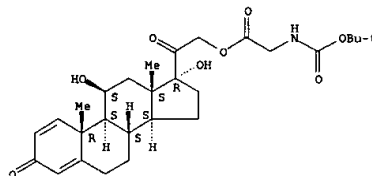
Absolute stereochemistry.



L12 ANSWER 30 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

L12 ANSWER 31 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:472168 CAPLUS
 DOCUMENT NUMBER: 115:72168
 TITLE: Synthesis and pharmacological activity of 21-esters of prednisolone containing glycine and glutamic acid
 AUTHOR(S): Ryakhovskaya, M. I.; Grinenko, G. S.; Alekseeva, L. M.; Engalycheva, G. N.; Nikitin, V. B.; Kaminka, M. E.; Glushkov, A. G.
 CORPORATE SOURCE: TSKhLS, Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheski Zhurnal (1991), 25(4), 16-18
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 115:72168
 AB Water-sol. prednisolone glycine and glutamic acid esters were prepd. and they showed the same pharmacol. activity as prednisolone hemisuccinate, while producing less pronounced systemic side effects when injected s.c.
 IT 135235-96-0P 135260-60-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and deprotection of)
 RN 135235-96-0 CAPLUS
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, 1-[(11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl] ester (9CI) (CA INDEX NAME)

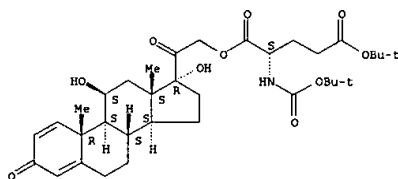
Absolute stereochemistry.



RN 135260-60-5 CAPLUS
 CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-[(11.beta.)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl] 5-[(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

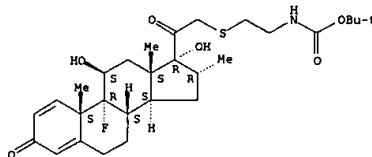
Absolute stereochemistry.

L12 ANSWER 31 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 32 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:409103 CAPLUS
 DOCUMENT NUMBER: 115:9103
 TITLE: Dexamethasone 21-(.beta.-isothiocyanatoethyl)thio ether: a new affinity label for glucocorticoid receptors
 AUTHOR(S): Lopez, Susana; Simons, S. Stoney, Jr.
 CORPORATE SOURCE: Steroid Horm. Sect., NIDDK, Bethesda, MD, 20892, USA
 SOURCE: Journal of Medicinal Chemistry (1991), 34(6), 1762-7
 CODEN: JMCMAH; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 115:9103
 AB The C-21 methanesulfonate ester of the synthetic glucocorticoid dexamethasone (I) is an efficient electrophilic affinity label of glucocorticoid receptors and exhibits irreversible antiglucocorticoid activity. In an effort to obtain other affinity labeling steroids with differing biol. activities, several new derivs. of I were prepd. which contained a reactive electrophilic substituent at various distances from the C-21 position. All compds. displayed relatively low affinity for rat glucocorticoid receptors (.ltoreq.8% of that of I) in a cell-free competition assay. Nevertheless, one compd., dexamethasone 21-(.beta.-isothiocyanatoethyl)thio ether (II), appeared to be an affinity label by virtue of its ability to block the cell-free exchange binding of [3H]I. [3H]II was then synthesized and reacted with cell-free receptors to give, after anal. on denaturing SDS-polyacrylamide gels, only one specifically labeled species at 98 kDa, which is the mol. wt. of authentic rat glucocorticoid receptor. These data directly establish II as a new affinity label for glucocorticoid receptors. Data on the reactivity of II and the stability of [3H]II-labeled receptors suggest that a cysteine-SH group has been labeled.
 IT 73816-22-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and hydrolysis of)
 RN 73816-22-5 CAPLUS
 CN Carbanic acid, [2-[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

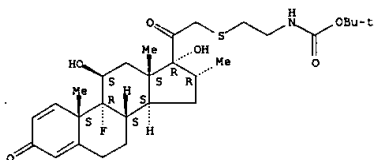
Absolute stereochemistry.



IT 131567-22-1P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and sequential hydrolysis and reaction with thiophosgene)
 RN 131567-22-1 CAPLUS
 CN Carbanic acid, [2-[[[(11.beta.,16.alpha.)-19-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl

L12 ANSWER 32 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
ester, labeled with tritium (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 33 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:612428 CAPLUS
DOCUMENT NUMBER: 113:212428
TITLE: An efficient route for the stereoselective conversion of ketones into three-carbons homologated primary E-allylamines: the palladium-catalyzed reaction of vinyl triflates with N,N-di-tert-butoxycarbonyl-N-allylamine

AUTHOR(S): Arcadi, A.; Bernocchi, E.; Cacchi, S.; Caglioti, L.; Marinelli, F.

CORPORATE SOURCE: Dip. Chim., Ing. Chim. Mater., Univ. Studi, L'Aquila, 67100, Italy

SOURCE: Tetrahedron Letters (1990), 31(17), 2463-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:212428

AB (E)-Allylamines N-protected with the easily removable tert-butoxycarbonyl (Boc) group are stereoselectively prepd. in good to high yield through the palladium-catalyzed reaction of vinyl triflates with N,N-di-tert-butoxycarbonyl-N-allylamine (I) in the presence of AcOK and Bu₄NCl. The reaction is very sensitive to the nature of the base. The use of bases other than AcOK has been examd. and proved to be unsuccessful. Thus, the Pd(OAc)₂-catalyzed reaction of androsta-3,5-dien-3-yl triflate II with I in the presence of AcOK and Bu₄NCl gave 70% allylamine III.

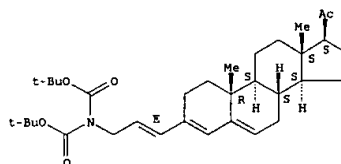
IT 130400-01-0P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 130400-01-0 CAPLUS

CN Imidodicarbonic acid, [3-(20-oxopregn-3,5-dien-3-yl)-2-propenyl]-, bis(1,1-dimethylethyl) ester, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L12 ANSWER 34 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:548402 CAPLUS

DOCUMENT NUMBER: 113:148402

TITLE: Interligand metal transfer as reporter mechanism for biospecific reaction, its use in immunoassays for drugs and hormones, and preparation of donor chelating agents

INVENTOR(S): Hale, Ron L.; Wieder, Irwin

PATENT ASSIGNEE(S): Baxter International, Inc., USA

SOURCE: U.S., 23 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4925804	A	19900515	US 1986-875449	19860617
			US 1986-875449	19860617

PRIORITY APPLN. INFO.: US 1986-875449 19860617

AB Methods using a new reporter mechanism for biospecific reactions are disclosed. This mechanism involves interligand metal ion transfer in which a metal ion is directly transferred from one chelate complex to another following the occurrence of the biospecific reaction. The second chelate complex is sep. from, and detectably different than, the first chelate complex. In preferred embodiments of this invention the detectable difference is a difference in fluorescence, such as an increase or decrease which occurs as a result of the formation of the second chelate. In further preferred embodiments the difference in fluorescence is detected using fluorescent background rejection methods. Thus, a fluorometric immunoassay for total thyroxine was performed using 8-anilino-1-naphthalenesulfonic acid, I (as donating chelate), and 4-(2,4,6-trimethoxyphenyl)pyridine-2,6-dicarboxylic acid (as 2nd, or receiving, ligand). A std. curve for 1.0-20.0 μg thyroxine/dL is shown. I was prepd. from thyroxine Me ester.HCl and isocyanate II. Immunoassays, and prepn. of appropriate chelating agents, for cortisol and theophylline detn. are also described.

IT 129499-20-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, for chelating agent prepn. for triiodothyronine detn. by fluorescence immunoassay with interligand metal transfer)

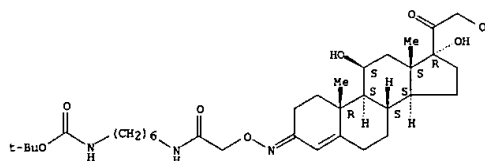
RN 129499-20-3 CAPLUS

CN Carbanic acid, [6-[[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregn-4-en-3-ylidene]amino]oxy]acetyl]amino]hexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

L12 ANSWER 34 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 35 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:508904 CAPLUS
 DOCUMENT NUMBER: 113:108904
 TITLE: Synthesis and study of pharmacological activity of 21-esters of hydrocortisone with glycine and glutamic acid
 AUTHOR(S): Ryakhovskaya, M. I.; Popova, E. V.; Grinenko, G. S.; Terekhina, A. I.; Gritsina, G. I.; Nikitin, V. B.; Engalycheva, G. N.; Kaminka, M. E.; Glushkov, R. G.
 CORPORATE SOURCE: VNIKhFI im. Ordzhonikidze, Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1990), 24(3), 26-9
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

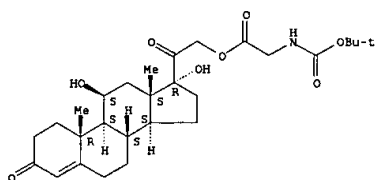
AB Hydrocortisone esters with glycine and glutamic acid [I, R = H or (CH₂)₂CO₂H] were prep'd. by the reaction of K salts of glycine or L-glutamic acid with 11.β.,17.α.-dihydroxy-21-iodopregn-4-ene-3,20-dione followed by hydrolysis of the tert-Bu esters. I did not show any androgenic, anabolic, estrogenic, antiandrogenic, antiestrogenic, or antiadrenocorticoid activities. The compds. showed anti-inflammatory activity similar to that of hydrocortisone. The compds. did not exert any activity of carbohydrate exchange and immune system in animals as compared to hydrocortisone.

IT 128887-38-7P 128887-41-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis-decarboxylation of)

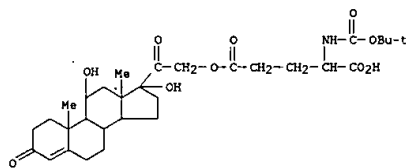
RN 128887-38-7 CAPLUS
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.β.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 128887-41-2 CAPLUS
 CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 5-[(11.β.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl] ester (9CI) (CA INDEX NAME)

L12 ANSWER 35 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 36 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:173544 CAPLUS
 DOCUMENT NUMBER: 110:173544
 TITLE: The synthesis of a conjugate of progesterone with Lucifer Yellow VS: a potential probe for fluorooimmunoassay of steroids
 AUTHOR(S): Kirk, David N.; Miller, Barry W.
 CORPORATE SOURCE: Chem. Dep., Queen Mary Coll., London, E1 4NS, UK
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1989), (11), 2979-82
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English

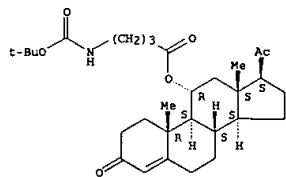
OTHER SOURCE(S): CASREACT 110:173544

AB Title conjugate I was prep'd. by treating Lucifer Yellow VS (II) with progesterone deriv. III. Preliminary evaluation of fluorescence indicates that the working limit in immunoassay will be solns. of ca. 2 nmolar concn.

IT 119991-95-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)
 RN 119991-95-6 CAPLUS
 CN Pregn-4-ene-3,20-dione, 11-[4-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-, (11.α.)- (9CI) (CA INDEX NAME)

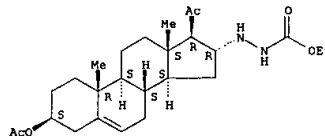
Absolute stereochemistry.



L12 ANSWER 37 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:39232 CAPLUS
 DOCUMENT NUMBER: 110:39232
 TITLE: Investigation of steroid 20-(carbethoxy)hydrazones by high resolution carbon-13 NMR spectroscopy
 AUTHOR(S): Bogdanov, V. S.; Turuta, A. M.; Kamernitskii, A. V.
 CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1988), (6), 1390-6
 CODEN: IASKA6; ISSN: 0002-3353
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB The carbon-13 NMR spectra of 13 title isomers, e.g., I and II, were det'd. and discussed.
 IT 118346-98-8
 RL: PRP (Properties)
 (carbon-13 NMR of)
 RN 118346-98-8 CAPLUS
 CN Hydrazinecarboxylic acid, 2-[(3.β.,16.α.)-3-(acetyloxy)-20-oxopregn-5-en-16-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:33385 CAPLUS

DOCUMENT NUMBER: 106:33385

TITLE: Androstane-17,16,17,18-tetracarboxylic acid esters as antiinflammatory agents and pharmaceuticals containing them

INVENTOR(S): Andersson, Paul Hakan; Andersson, Per Ture; Amelsson, Bengt Ingemar; Thalen, Bror Arne; Trofast, Jan Willem
Draco AB, Swed.
Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 200692	A1	19861105	EP 1986-850113	19860401
EP 200692	B1	19910911		
IL 78144	R1	19911212	IL 1986-78144	19860314
DK 8601384	A	19861005	DK 1986-1384	19860325
DK 165880	B	19930201		
DK 165880	C	19930621		
FI 8601274	A	19861005	FI 1986-1274	19860325
FI 86190	B	19920415		
FI 86190	C	19920727		
AT 67210	E	19910915	AT 1986-850113	19860401
AU 8655566	A1	19861009	AU 1986-55566	19860402
AU 594330	B2	19900308		
CS 266580	B2	19900112	CS 1986-2327	19860402
NO 8601312	A	19861006	NO 1986-1312	19860403
NO 165679	B	19901210		
NO 165679	C	19910327		
ZA 8602490	A	19861126	ZA 1986-2490	19860403
JP 61286399	A2	19861216	JP 1986-75678	19860403
JP 07064869	B4	19950712		
DD 244137	A5	19870325	DD 1986-244137	19860403
HU 41810	A2	19870528	HU 1986-1424	19860403
HU 200782	B	19900828		
ES 553674	A1	19871101	ES 1986-553674	19860403
US 4804656	A	19890214	US 1986-847933	19860403
SU 1604161	A3	19901030	SU 1986-4027266	19860403
CA 1278293	A1	19901227	CA 1986-505743	19860403
CN 86102263	A	19870204	CN 1986-102263	19860404
PL 148734	B1	19891130	PL 1986-258776	19860404
NO 8604979	A	19861001	NO 1986-4979	19861210
CN 1060471	A	19920422	CN 1991-110725	19911106

PRIORITY APPLN. INFO.:

SE 1985-1692 19850404
SE 1985-2932 19850613
SE 1985-1693 19850404
US 1986-843768 19860325
EP 1986-850113 19860401
NO 1986-1312 19860403
CN 1986-102193 19860404

AB Title steroids I [X1, X2 = H, halo; R1 = .beta.-OH, .beta.-Cl, oxo; R2 =

L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

H, .alpha.- or .beta.-Me, methylene; R3 = H, acyl; R4, R5 = H, alkyl, Ph; R6 = H, Me, haloalkyl, (un)satd. carbocyclyl or heterocyclyl, Me substituted by alicyclyl or aryl or hydrocarbyl, (un)substituted Ph, alkenyl, cycloalkenyl; Y = CR7R8, O, S, NR9; R7-R9 = H, hydrocarbyl, Ph; dotted line = single or double bond; are prepd. as antiinflammatory agents. Betamethasone was oxidized by aq. HIO4 in THF to give androstane-17,16,17,18-tetracarboxylic acid deriv. II (X1 = F, X2 = H, R1 = .beta.-OH, R2 = .beta.-Me, R3 = H, double bond present), which was esterified by EtCOCl in CH2Cl2 contg. Et3N, followed by treatment with Et2NH in Me2CO, to give II (R3 = EtCO, others as given). This was stirred with K2CO3, 18-crown-6, and MeCHClCO2Et in DMF at 80.degree. for 3 h to give an epimeric mixt. of I [X1 = F, X2 = H, R1 = .beta.-OH, R2 = .beta.-Me, R3 = EtCO, R4(R5) = Me, R5(R4) = H, R6 = Et, Y = O, double bond present] (III). One epimer of III bound to glucocorticoid receptor from homogenized rat thymus with an affinity 0.80 that of budesonide.

IT 105965-78-4P 105965-79-5P 105965-80-8P

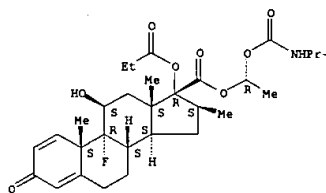
105966-37-8P 105966-38-9P 106033-98-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antiinflammatory agent)

RN 105965-78-4 CAPLUS

CN Androst-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(1-methylethylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

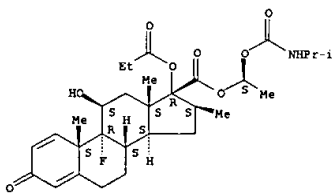


RN 105965-79-5 CAPLUS

CN Androst-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(1-methylethylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(S)]- (9CI) (CA INDEX NAME)

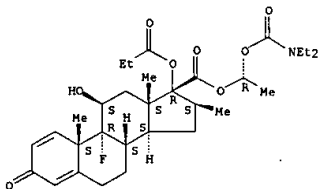
Absolute stereochemistry.

L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



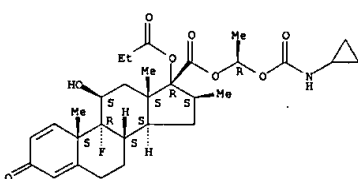
RN 105965-80-8 CAPLUS
CN Androst-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(diethylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105966-37-8 CAPLUS
CN Androst-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(cyclopropylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

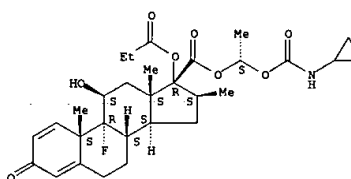


L12 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 105966-38-9 CAPLUS

CN Androst-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(cyclopropylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(S)]- (9CI) (CA INDEX NAME)

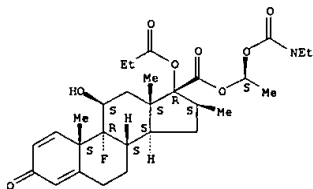
Absolute stereochemistry.



RN 106033-98-1 CAPLUS

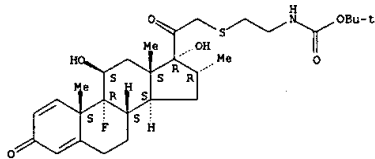
CN Androst-1,4-diene-17-carboxylic acid, 9-fluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 1-[[[(diethylamino)carbonyl]oxy]ethyl ester, [11.beta.,16.beta.,17.alpha.,17(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

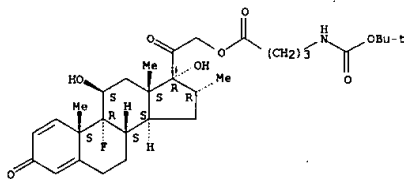


L12 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1986:491478 CAPLUS
 DOCUMENT NUMBER: 105:91478
 TITLE: Formation of a fluorescent glucocorticoid receptor-steroid complex in HTC cell cytosol
 AUTHOR(S): Pons, Michel; Robinson, T. E. Joan; Mercier, Louis; Thompson, E. Brad; Simons, S. Stoney, Jr.
 CORPORATE SOURCE: Lab. Chem., NIADDK, Bethesda, MD, 20205, USA
 SOURCE: Journal of Steroid Biochemistry (1985), 23(3), 267-73
 CODEN: JSTBRK; ISSN: 0022-4731
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB An intensely fluorescent rhodamine deriv. of dexamethasone, Dex-C2-Rho (I) [59143-17-6], was synthesized. I possessed high affinity for hepatoma tissue culture (HTC) cell glucocorticoid receptors in cell-free systems. Whole cell activity and receptor affinity of I were both much lower, apparently due to problems with cell permeability and/or metab. A specific, fluorescent receptor-steroid complex at concns. as low as 1 .times. 10⁻⁹ M was readily obsd. with crude HTC cell receptors after removal of the free I. This appears to be the 1st report of a fluorescent glucocorticoid receptor-steroid complex.
 IT 73816-22-5P
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, and reaction with tetra-Me rhodamine isothiocyanate)
 RN 73816-22-5 CAPLUS
 CN Carbamic acid, [2-[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 40 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



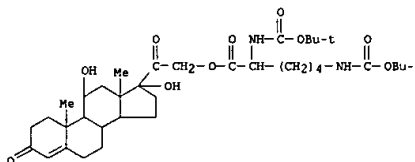
L12 ANSWER 40 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1985:464867 CAPLUS
 DOCUMENT NUMBER: 103:64867
 TITLE: GABA esters and GABA analog esters
 INVENTOR(S): Shashoua, Victor E.
 PATENT ASSIGNEE(S): McLean Hospital Corp., USA
 SOURCE: PCT Int. Appl., 118 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8500520	A1	19850214	WO 1984-US1206	19840801
W: AU, DK, JP				
AU 8432177	A1	19850304	AU 1984-32177	19840801
AU 591451	B2	19891207		
EP 133795	A1	19850306	EP 1984-305226	19840801
EP 133795	B1	19890118		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60502056	T2	19851128	JP 1984-503283	19840801
AT 40109	E	19890215	AT 1984-305226	19840801
DK 8501479	A	19850401	DK 1985-1479	19850401
US 5051448	A	19910924	US 1990-518227	19900507
PRIORITY APPLN. INFO.:				
			US 1983-519361	19830801
			US 1984-640507	19840724
			EP 1984-305226	19840801
			WO 1984-US1206	19840801
			US 1985-767903	19850815

AB The title compds. A[O2CCH(R3)CH(R1)N(R2)]n (R1, R2, and R3 = H, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, NH2, substituted aryl, etc.; R1R3 or R2R3 = carbocyclic ring; R4 = H or acyl; A = component having at least 1 esterifiable OH; n = 1 or total no. of esterifiable OH in A) were prepd. and evaluated for their ability to cross the blood-brain barrier, regulation of general locomotor activity, and prevention and/or treatment of seizures. The compds. were prepd. by a general method of ester synthesis. The lipid/water distribution of some of the compds. in 1-octanol [111-87-5] and water was detd. The uptake by brain and liver and pharmacol. activity was demonstrated. The compds. are useful for prepn. of pharmaceuticals.
 IT 89231-68-5P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deprotection of)
 RN 89231-68-5 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

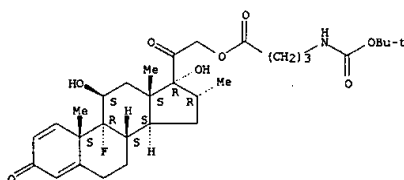
Absolute stereochemistry.

L12 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1985:172497 CAPLUS
 DOCUMENT NUMBER: 102:172497
 TITLE: Solution kinetics of a water-soluble hydrocortisone prodrug: hydrocortisone 21-lysinate
 AUTHOR(S): Johnson, Kevin; Amidon, Gordon L.; Fogany, Stefano
 CORPORATE SOURCE: Coll. Pharm., Univ. Michigan, Ann Arbor, MI, 48109-1065, USA
 SOURCE: Journal of Pharmaceutical Sciences (1985), 74(1), 87-9
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Hydrocortisone 21-lysinate (I) [95924-98-4] was synthesized as an amino acid prodrug of hydrocortisone to serve as a substrate for brush border aminopeptidases. This strategy was developed to demonstrate that an improvement in oral absorption could be obtained through reconversion in vivo. The aq. stability of I was studied over the pH range 3-8 at 25.degree.. Reversible acyl migration of the lysine group between the 21- and 17-position OH groups was obsd. as well as hydrolysis. The obsd. half-life for direct hydrolysis of I is 40 days at pH 3 and 30 min at pH 7. The relative instability at pH 7 is probably due to electrostatic stabilization of the neg. charged tetrahedral intermediate by the protonated amino groups.
 IT 95925-24-9P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deprotection of)
 RN 95925-24-9 CAPLUS
 CN L-lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, (11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl ester (9CI) (CA INDEX NAME)



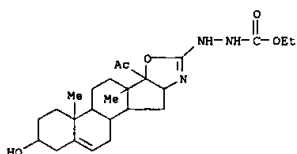
L12 ANSWER 42 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1984:156960 CAPLUS
 DOCUMENT NUMBER: 100:156960
 TITLE: γ -Aminobutyric acid esters. I. Synthesis, brain uptake, and pharmacological studies of aliphatic and steroid esters of γ -aminobutyric acid
 AUTHOR(S): Shashoua, Victor E.; Jacob, James N.; Ridge, Richard; Campbell, Alexander; Baldessarini, Ross J.
 CORPORATE SOURCE: Mailman Res. Cent., McLean Hosp., Belmont, MA, 02178, USA
 SOURCE: Journal of Medicinal Chemistry (1984), 27(5), 659-64
 CODEN: JMCNAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Esters of linolenyl alc., cholesterol, and dexamethasone with ^{14}C -labeled and unlabeled GABA were prepd. by esterifying the appropriate alc. with the N-tert-butoxycarbonyl (N-Boc) deriv. of labeled or unlabeled GABA and Boc-deblocking the resulting protected esters. The uptake of the above labeled esters into mouse brain increased 2-, 25-, and 81-fold, resp., over that of GABA. The cholesteryl ester of GABA depressed the general motor activity of mice and rats in a dose-dependent manner, whereas the other esters were inactive by this test.
 IT 89231-68-5P 89231-69-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deblocking of)
 RN 89231-68-5 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[4-[[[1,1-dimethylethoxy]carbonyl]amino]-1-oxobutoxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11 β ,16 α .)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

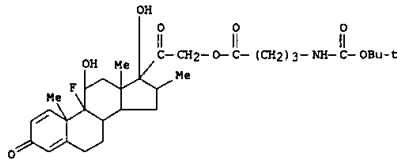


RN 89231-69-6 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[4-[[[1,1-dimethylethoxy]carbonyl]amino]-1-oxobutoxy]-9-fluoro-11,17-dihydroxy-16-methyl-, labeled with carbon-14, (11 β ,16 α .)-(9CI) (CA INDEX NAME)

L12 ANSWER 43 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1983:606390 CAPLUS
 DOCUMENT NUMBER: 99:206390
 TITLE: Biological activity of transformed steroids. XVIII. Comparative biological study of 20-keto steroids with additional oxo- and thiazoline E ring
 AUTHOR(S): Terekhina, A. I.; Gorenburgova, E. I.; Antipova, L. A.; Kamenitskii, A. V.; Istomina, Z. I.; Turuta, A. M.; Fadeeva, T. M.; Karapetyan, A. A.; Struchkov, Yu. T.
 CORPORATE SOURCE: Inst. Org. Khim., Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1983), 17(7), 813-17
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Twelve title steroids were tested for androgenic, anabolic, antiandrogenic, gestagenic, estrogenic, antiestrogenic, thymolytic, antiinflammatory, and mineralocorticoid effects following s.c. administration in various lab. animals. I, where R = OH, R1 = H or R1 = O and the double bond in the 4 or 5 position, had gestagenic and antiestrogenic effects. II, where R, R1, R11, and double bond as above, and R2 = Me or NHNHCO2Et also had gestagenic activity. II, where R = OH, R1 = H, R2 = Me and the double bond is in the 5 position had antiinflammatory activity. III, was also gestagenic. The prepn. of 4 among the 12 compds. is described.
 IT 73204-85-0
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (biol. activity of)
 RN 73204-85-0 CAPLUS
 CN Hydrazinecarboxylic acid, 2-[(3 β ,16 β .)-3-hydroxy-20-oxo-4'H-pregna-5-eno[16,17-dioxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 42 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



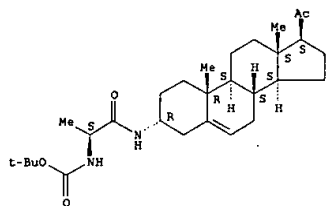
L12 ANSWER 44 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1983:488473 CAPLUS
 DOCUMENT NUMBER: 99:88473
 TITLE: 3-Aminopregn-5-ene derivatives, their salts and pharmaceutical compositions containing them
 INVENTOR(S): Torelli, Vesperto; Benzoni, Josette; Deraedt, Roger
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Ger. Offen., 28 pp.
 CODEN: GWXXEX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3239823	A1	19830505	DE 1982-3239823	19821027
DE 3239823	C2	19940616		
FR 2515188	A1	19830429	FR 1981-20135	19811027
FR 2515188	B1	19840928		
SE 8204918	A	19830428	SE 1982-4918	19820827
SE 456423	B	19881003		
SE 456423	C	19890202		
CA 1193247	A1	19850910	CA 1982-412754	19821004
ZA 8207745	A	19831130	ZA 1982-7745	19821022
US 4444767	A	19840424	US 1982-436524	19821025
AT 8203912	A	19880415	AT 1982-3912	19821025
AT 387023	B	19881125		
BE 894805	A1	19830426	BE 1982-209327	19821026
DK 8204731	A	19830428	DK 1982-4731	19821026
DK 160561	B	19910325		
DK 160561	C	19910909		
ES 516825	A1	19831201	ES 1982-516825	19821026
CH 655936	A	19860530	CH 1982-6242	19821026
NL 8204159	A	19830516	NL 1982-4159	19821027
JP 58083700	A2	19830519	JP 1982-187622	19821027
JP 04011559	B4	19920228		
GB 2110212	A1	19830615	GB 1982-30715	19821027
GB 2110212	B2	19851030		
HU 27435	O	19831028	HU 1982-3444	19821027
HU 186983	B	19851028		
ES 524922	A1	19840516	ES 1983-524922	19830812
			FR 1981-20135	19811027

PRIORITY APPLN. INFO.: CASREACT 99:88473
 OTHER SOURCE(S):
 AB: Immune stimulating aminopregn-5-ene I (R = Ac, HOCHMe; R1 = H, hydroxyalkyl; R2 = H, hydroxyalkyl, acyl, alkoxyalkyl, amino acid moiety) were prepd. from holamine derivs. Thus, peptide condensation reaction of holamine with PhCH2O2C-Gly-OH and subsequent hydrogenolysis-deblocking gave the glycineamide II. II was active in the adjuvant anaphylactic shock test in mice at 1 mg per animal.
 IT 86679-87-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deblocking of)
 RN 86679-87-0 CAPLUS
 CN Carbanic acid, [1-methyl-2-oxo-2-[[[3 α .)-20-oxopregn-5-en-3-yl]amino]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

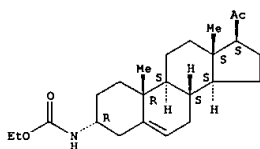
Absolute stereochemistry.

L12 ANSWER 44 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 86679-81-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and ketalization of)
 RN 86679-81-4 CAPLUS
 CN Carbamic acid, [(3.alpha.)-20-oxopregn-5-en-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:161027 CAPLUS
 DOCUMENT NUMBER: 98:161027
 TITLE: 11.alpha.-Aminoandrostanes
 INVENTOR(S): Philipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony; Pateman, Anthony James
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Eur. Pat. Appl., 44 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

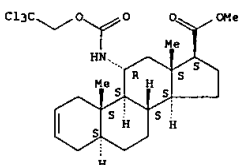
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 66468	A1	19821208	EP 1982-302786	19820528
EP 66468	B1	19840725		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8284287	A1	19821202	AU 1982-84287	19820528
JP 57203100	A2	19821213	JP 1982-89996	19820528
ZA 8203783	A	19830330	ZA 1982-3783	19820528
AT 8641	E	19840815	AT 1982-302786	19820528
US 4497805	A	19850205	US 1983-475899	19830316

PRIORITY APPLN. INFO.:

AB Antiarrhythmic (no data) aminoandrostanes I (R, R1 = alkyl, cycloalkyl; R2 = HO, alkoxy, acyloxy) were prepd. Thus, reductive alkylation of Me 11.alpha.-amino-2.beta.,3.alpha.-dihydroxy-5.alpha.-androstane-17.beta.-carboxylate with Me2CHCHO gave I (R = Me; R1 = Me2CHCH2; R2 = HO).

IT 82662-54-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (epoxidn. of)
 RN 82662-54-2 CAPLUS
 CN Androst-2-ene-17-carboxylic acid, 11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



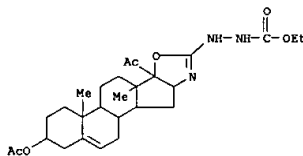
IT 82662-55-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrolysis-epoxide ring cleavage of)
 RN 82662-55-3 CAPLUS
 CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[[[(2,2,2-

L12 ANSWER 45 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:198561 CAPLUS
 DOCUMENT NUMBER: 98:198561
 TITLE: Conformation and chiroptical properties of [16,17.alpha.]-oxazolines and [16,17.alpha.]-thiazolines of 20-oxosteroids
 AUTHOR(S): Kamernitskii, A. V.; Turuta, A. M.; Deshko, T. N.; Karapetyan, A. A.; Struchkov, Yu. T.
 CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1982), (11), 2475-81
 CODEN: IASKA6; ISSN: 0002-3353
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB The conformations of steroidal oxazolines and thiazolines, i.e. I-III, were studied by CD spectroscopy and x-ray crystal structure anal. The conformations of these 1,3-azole deriva. were directly correlated with chiroptical properties of their azomethine chromophores.

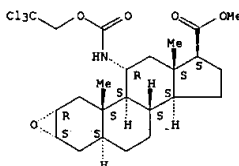
IT 73204-84-9
 RL: PRP (Properties)
 (conformation of, CD spectrum in relation to)
 RN 73204-84-9 CAPLUS
 CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-(acetyloxy)-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

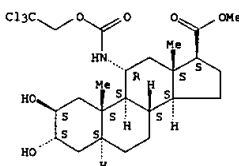
trichloroethoxy)carbonyl]amino]-, methyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 85382-96-3P 85382-99-6P 85383-01-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deblocking of)
 RN 85382-96-3 CAPLUS
 CN Androstane-17-carboxylic acid, 2,3-dihydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

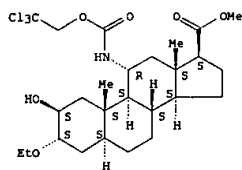
Absolute stereochemistry.



RN 85382-99-6 CAPLUS
 CN Androstane-17-carboxylic acid, 3-ethoxy-2-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

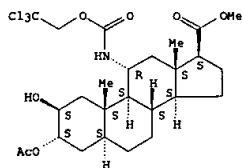
Absolute stereochemistry.

L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 85383-01-3 CAPLUS
 CN Androstane-17-carboxylic acid, 3-(acetyloxy)-2-hydroxy-11-[(2,2,2-trichloroethoxy)carbonylamino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

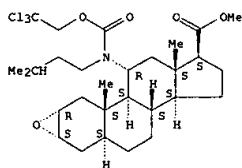
Absolute stereochemistry.



IT 85383-06-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and epoxidn. of)
 RN 85383-06-8 CAPLUS
 CN Androst-2-ene-17-carboxylic acid, 11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonylamino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

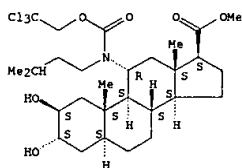
Absolute stereochemistry.

L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

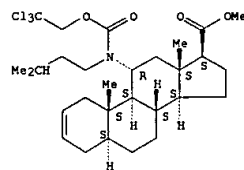


IT 85383-09-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 85383-09-1 CAPLUS
 CN Androstane-17-carboxylic acid, 2,3-dihydroxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonylamino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

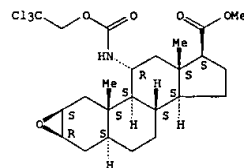


L12 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 85382-98-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and ethanolysis-epoxide ring cleavage of)
 RN 85382-98-5 CAPLUS
 CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[(2,2,2-trichloroethoxy)carbonylamino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 85383-07-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and hydrolysis-epoxide ring cleavage of)
 RN 85383-07-9 CAPLUS
 CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonylamino]-, methyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS

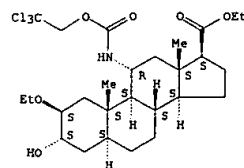
ACCESSION NUMBER: 1983:161026 CAPLUS
 DOCUMENT NUMBER: 98:161026
 TITLE: 11.alpha.-Aminoandrostanes
 INVENTOR(S): Philipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Eur. Pat. Appl., 43 pp.
 CODEN: EPXXKW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 66467	A1	19821208	EP 1982-302785	19820528
EP 66467	B1	19840808		
AU 8284285	A1	19821202	AU 1982-84285	19820528
JP 57203099	A2	19821213	JP 1982-89995	19820528
ZA 8203782	A	19830330	ZA 1982-3782	19820528
US 4515786	A	19850507	US 1983-509667	19830630
			GB 1981-16409	19810529
			US 1982-383338	19820528

AB Antiarrhythmic (no data) aminoandrostanes I (R, R3 = alkyl, cycloalkyl; R1 = H, alkoxy, acyloxy; R2 = alkoxy, acyloxy) were prepd. Thus, haloform oxidn. of the pregnanone II gave androstane-17-carboxylate III, which underwent esterification and then deblocking by Zn/HOAc to give the aminoandrostane IV. Reductive alkylation of IV by Me2CHCHO gave I (R = Me2CHCH2; R1 = R2 = EtO; R3 = Me).

IT 82662-52-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (acetylation of)
 RN 82662-52-0 CAPLUS
 CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonylamino]-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

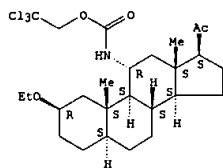
Absolute stereochemistry.



IT 85383-21-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydroxylation of)
 RN 85383-21-7 CAPLUS
 CN Carbamic acid, [(2.beta.,5.alpha.,11.alpha.)-2-ethoxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



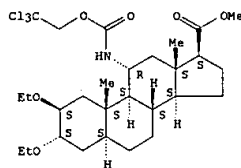
IT 85383-25-1P 85383-26-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

RN 85383-25-1 CAPLUS

CN Androstane-17-carboxylic acid, 2,3-diethoxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

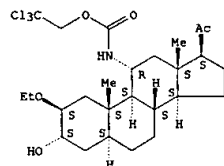


RN 85383-26-2 CAPLUS

CN Androstane-17-carboxylic acid, 3-(acetyloxy)-2-ethoxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

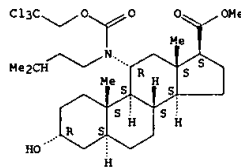
L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 85383-30-8 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



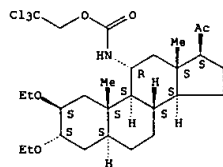
IT 85383-23-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and haloform oxidn. of)

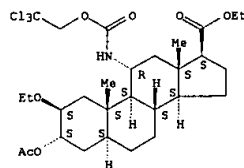
RN 85383-23-9 CAPLUS

CN Carbanic acid, [(2.beta.,3.alpha.,5.alpha.,11.alpha.)-2,3-diethoxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



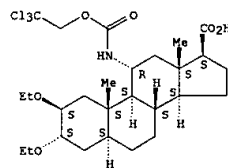
IT 85383-24-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)

RN 85383-24-0 CAPLUS

CN Androstane-17-carboxylic acid, 2,3-diethoxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 85383-22-8P 85383-30-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ethylation of)

RN 85383-22-8 CAPLUS

CN Carbanic acid, [(2.beta.,3.alpha.,5.alpha.,11.alpha.)-2-ethoxy-3-hydroxy-20-oxopregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

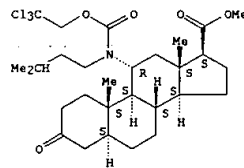
IT 85383-29-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 85383-29-5 CAPLUS

CN Androstane-17-carboxylic acid, 11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-3-oxo-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



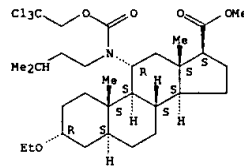
IT 85383-31-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 85383-31-9 CAPLUS

CN Androstane-17-carboxylic acid, 3-ethoxy-11-[(3-methylbutyl)[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS

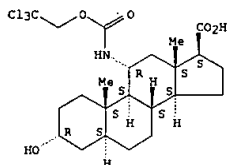
ACCESSION NUMBER: 1983:72557 CAPLUS
 DOCUMENT NUMBER: 98:72557
 TITLE: 11.alpha.-Amino-3.beta.-hydroxyandrostanes
 INVENTOR(S): Philipps, Gordon Hanley, Humber, David Cedric, Ewan,
 George Blanch, Coomber, Barry Anthony
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Eur. Pat. Appl., 40 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 59637	A1	19820908	EP 1982-301033	19820301
R: A7, BE, CH, DE, FR, GB, IT, LU, NL, SE				
GB 2093846	A	19820908	GB 1982-5939	19820301
AU 8280957	A1	19820909	AU 1982-80957	19820301
JP 57158800	A2	19820930	JP 1982-30674	19820301
ZA 8201337	A	19820223	ZA 1982-1337	19820301
US 4451405	A	19840529	US 1982-447190	19821206
PRIORITY APPLIN. INFO.:			GB 1981-6486	19810302
			US 1982-353068	19820301

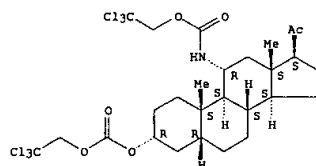
AB Antiarrhythmic (no data) aminohydroxyandrostane carboxylates 1 (R, R1 = alkyl, cycloalkyl; D-homo analog) were prepd. Thus, 11.alpha.-amino-3.alpha.-hydroxy-5.alpha.-pregnan-20-one was acylated by ClCO2CH2CCl3 and then underwent haloform oxidn., esterification, and deacylation to give Me 11.alpha.-amino-3.alpha.-hydroxy-5.alpha.-androstane-17.beta.-carboxylate. The latter underwent reductive alkylation with cyclopentanone, Jones oxidn., and NaBH4 redn. to give 5.alpha.-I (R = cyclopentyl, R1 = Me).

IT 82033-68-9P 82048-80-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and esterification of)
 RN 82033-68-9 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

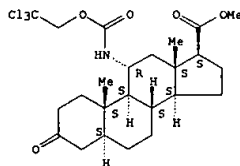


L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 82033-76-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and redn. of)
 RN 82033-76-9 CAPLUS
 CN Androstane-17-carboxylic acid, 3-oxo-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



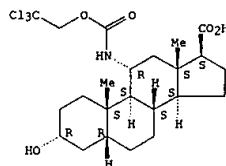
IT 82033-69-0P 82033-71-4P 82079-17-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reductive deacylation of)
 RN 82033-69-0 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

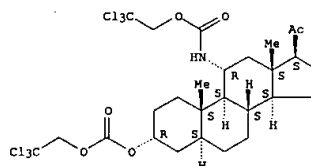
RN 82048-80-4 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 82033-67-8P 82033-70-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and haloform oxidn. of)
 RN 82033-67-8 CAPLUS
 CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

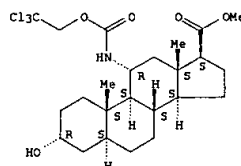
Absolute stereochemistry.



RN 82033-70-3 CAPLUS
 CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

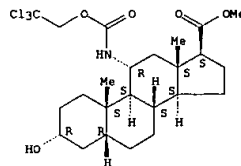
Absolute stereochemistry.

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



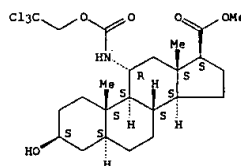
RN 82033-71-4 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82079-17-2 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

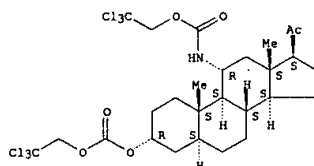


IT 84530-37-0P 84530-38-1P 84530-39-2P
 84530-40-5P 84530-41-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

(prepn. of)
 RN 84530-37-0 CAPLUS
 CN Carbanic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

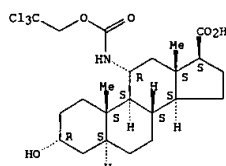


● HCl

RN 84530-38-1 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, hydrochloride, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

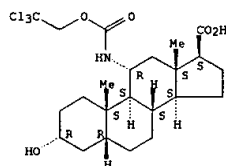


● HCl

RN 84530-39-2 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, hydrochloride, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

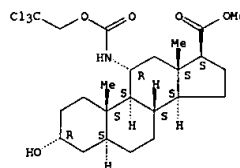
L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

L12 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

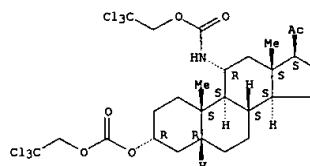


● HCl

RN 84530-40-5 CAPLUS

CN Carbanic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 84530-41-6 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, hydrochloride, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:492634 CAPLUS

DOCUMENT NUMBER: 97:92634

TITLE: 11.alpha.-Aminoandrostanes and compositions containing them

INVENTOR(S): Phillipps, Gordon Hanley; Humber, David Cedric; Ewan, George Blanch; Coomber, Barry Anthony

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE: Fr. Demande, 64 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2487359	A1	19820129	FR 1981-13799	19810715
FR 2487359	B1	19840713		
BE 889639	A1	19820115	BE 1981-205415	19810715
DK 8103151	A	19820117	DK 1981-3151	19810715
SE 8104393	A	19820117	SE 1981-4393	19810715
AU 8172877	A1	19820121	AU 1981-72877	19810715
AU 541732	B2	19850117		
GB 2080308	A	19820203	GB 1981-21812	19810715
GB 2080308	B2	19840328		
NL 8103358	A	19820216	NL 1981-3358	19810715
JP 57040499	A2	19820306	JP 1981-110633	19810715
DE 3127972	A1	19820415	DE 1981-3127972	19810715
US 4353898	A	19821012	US 1981-283454	19810715
ZA 8104844	A	19830223	ZA 1981-4844	19810715
CA 1173433	A1	19840828	CA 1981-381747	19810715
ZA 8104846	A	19830223	ZA 1981-4846	19810724
PRIORITY APPLN. INFO.:			GB 1980-23295	19800716
			GB 1980-39383	19801209
			GB 1981-6487	19810302
			GB 1981-16413	19810529

OTHER SOURCE(S): CASREACT 97:92634

AB Aminoandrostane carboxylates I (R = alkyl, cycloalkyl; R1 = H, alkoxy, acyloxy; R2 = alkyl, cycloalkyl) were prepd. as antiarrhythmics. Thus, acylating 11.alpha.-amino-2.beta.-ethoxy-3.alpha.-hydroxy-5.alpha.-pregnan-20-one with ClCO2CH2CCl3 and subsequent haloform oxidn. gave androstane carboxylic acid II (R3 = Cl3CCH2O2C; R4 = HO). Esterifying the last and then deblocking by Zn-HOAc gave III (R3 = H, R4 = EtO), which was alkylated by Me2CHCH2CH2Br and transesterified to give IV (R3 = Me2CHCH2CH2, R4 = MeO) (III). III had antiarrhythmic ED50 of 1.3 mg/kg in the rat against aconitine-induced arrhythmia.

IT 82662-56-4P

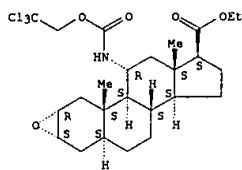
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and alcoholysis-epoxide ring cleavage of)

RN 82662-56-4 CAPLUS

CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



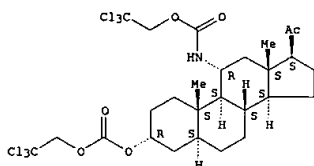
IT 82033-67-8P 82662-45-1P 82662-46-2P
82662-65-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and bromoform oxidn. of)

RN 82033-67-8 CAPLUS

CN Carbanic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

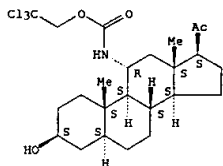


RN 82662-45-1 CAPLUS

CN Carbanic acid, [(5.alpha.,11.alpha.)-20-oxopregn-2-en-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 82033-69-0P 82033-71-4P 82079-17-2P

82662-49-5P 82662-80-8P 82662-51-9P

82662-52-0P 82662-60-0P 82662-61-1P

82662-62-2P 82662-63-3P 82662-64-4P

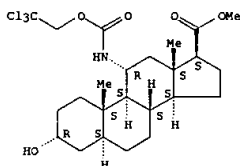
82662-70-2P 82667-11-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and deacylation of)

RN 82033-69-0 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

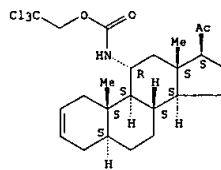


RN 82033-71-4 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

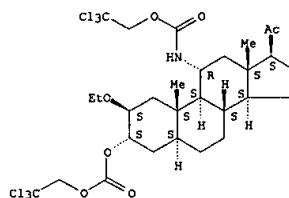
L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 82662-46-2 CAPLUS

CN Carbanic acid, [(2.beta.,3.alpha.,5.alpha.,11.alpha.)-2-ethoxy-20-oxo-3-[[2,2,2-trichloroethoxy]carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

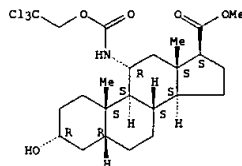


RN 82662-65-5 CAPLUS

CN Carbanic acid, [(3.beta.,5.alpha.,11.alpha.)-3-hydroxy-20-oxopregn-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

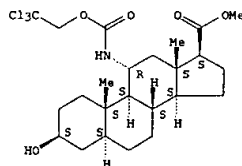
L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 82079-17-2 CAPLUS

CN Androstane-17-carboxylic acid, 3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

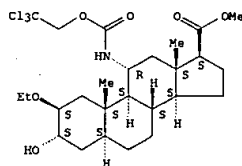
Absolute stereochemistry.



RN 82662-49-5 CAPLUS

CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

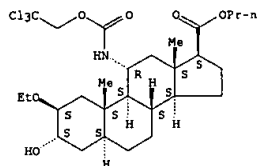


RN 82662-50-8 CAPLUS

CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[(2,2,2-trichloroethoxy)carbonyl]amino]-, propyl ester,

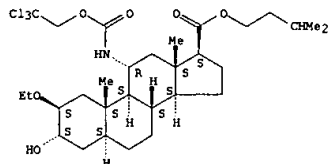
L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
(2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-51-9 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, 3-methylbutyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



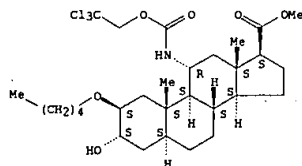
RN 82662-52-0 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

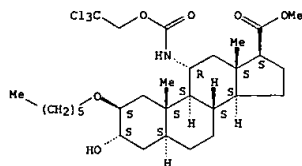
RN 82662-62-2 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-2-(pentyloxy)-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-63-3 CAPLUS
CN Androstane-17-carboxylic acid, 2-(hexyloxy)-3-hydroxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

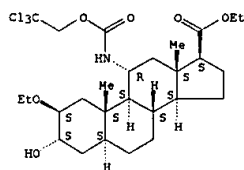
Absolute stereochemistry.



RN 82662-64-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-2-propoxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

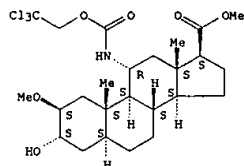
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



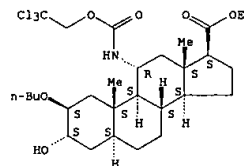
RN 82662-60-0 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-2-methoxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

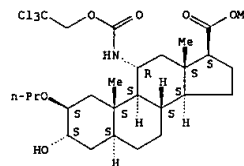


RN 82662-61-1 CAPLUS
CN Androstane-17-carboxylic acid, 2-butoxy-3-hydroxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, ethyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

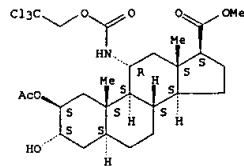


L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



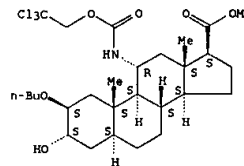
RN 82662-70-2 CAPLUS
CN Androstane-17-carboxylic acid, 2-(acetyloxy)-3-hydroxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82667-11-6 CAPLUS
CN Androstane-17-carboxylic acid, 2-butoxy-3-hydroxy-11-(((2,2,2-trichloroethoxy)carbonyl)amino)-, methyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

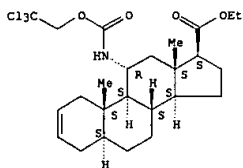


IT 82662-53-1P 82662-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
(prepn. and epoxidn. of)

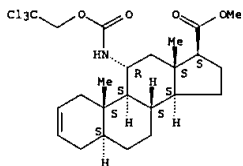
RN 82662-53-1 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, ethyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-54-2 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



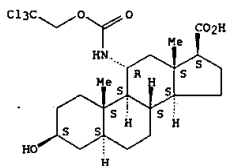
IT 82033-68-9P 82662-47-3P 82662-48-4P
82662-66-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of)
RN 82033-68-9 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

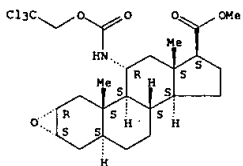
RN 82662-66-6 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 82662-53-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and methanolysis-epoxide ring cleavage of)
RN 82662-55-3 CAPLUS
CN Androstane-17-carboxylic acid, 2,3-epoxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (2.alpha.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

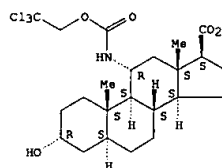
Absolute stereochemistry.



IT 82033-70-3P 82048-80-4P 82662-57-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 82033-70-3 CAPLUS
CN Carbanic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

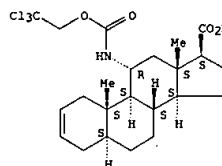
Absolute stereochemistry.

L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



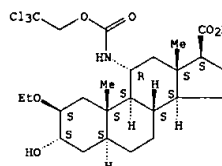
RN 82662-47-3 CAPLUS
CN Androst-2-ene-17-carboxylic acid, 11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-48-4 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

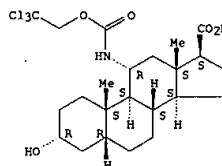
Absolute stereochemistry.



L12 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

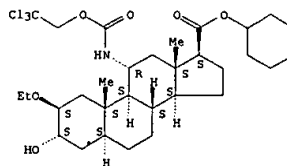
RN 82048-80-4 CAPLUS
CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82662-57-5 CAPLUS
CN Androstane-17-carboxylic acid, 2-ethoxy-3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, cyclohexyl ester, (2.beta.,3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



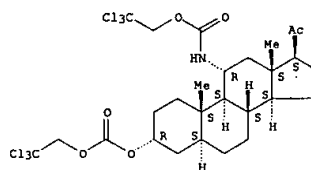
L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1982:406652 CAPLUS
 DOCUMENT NUMBER: 97:6652
 TITLE: 11.alpha.-Aminandrostanes and pharmaceutical compositions containing them
 INVENTOR(S): Philipps, Gordon Hanley; Ewan, George Blanch; Humber, David Cedric; Coomber, Barry Anthony
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Eur. Pat. Appl., 29 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 44227	A1	19820120	EP 1981-303256	19810715
EP 44227	B1	19830824		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8172880	A1	19820121	AU 1981-72880	19810715
JP 57040500	A2	19820306	JP 1981-110636	19810715
US 4352798	A	19821005	US 1981-283429	19810715
ZA 8104844	A	19830223	ZA 1981-4844	19810715
AT 4506	E	19830915	AT 1981-303256	19810715
ZA 8104846	A	19830223	ZA 1981-4846	19810724

PRIORITY APPLN. INFO.:
 GB 1980-23295 19800716
 GB 1981-6488 19810302
 EP 1981-303256 19810715
 AB The aminoandrostanes I (R = H, alkyl, cycloalkyl; R1 = H, alkyl, cycloalkyl) and the D-homo analogs of I were prepd. as antiarrhythmics (no data). Thus, bromoform oxidn. of the pregnanone II gave androstane-17-carboxylic acid III, which underwent successive esterification, deblocking, and alkylation by Me2CHCH2CH2I to give hydroxyandrostane-17-carboxylate IV. Jones oxidn. of IV gave 5.alpha.-I (R = Me2CHCH2CH2; R1 = Me).
 IT 82033-67-8P 82033-70-3P
 R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and bromoform oxidn. of)
 RN 82033-67-8 CAPLUS
 CN Carbamic acid, [(3.alpha.,5.alpha.,11.alpha.)-20-oxo-3-[[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

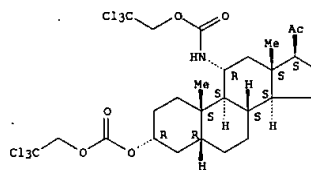
Absolute stereochemistry.

L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 82033-70-3 CAPLUS
 CN Carbamic acid, [(3.alpha.,5.beta.,11.alpha.)-20-oxo-3-[[[(2,2,2-trichloroethoxy)carbonyl]oxy]pregnan-11-yl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

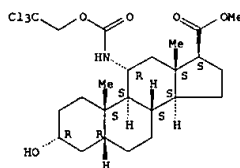
Absolute stereochemistry.



IT 82033-71-4P 82079-17-2P
 R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deblocking of)
 RN 82033-71-4 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

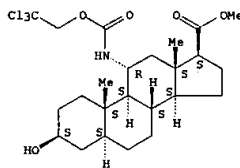
Absolute stereochemistry.

L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



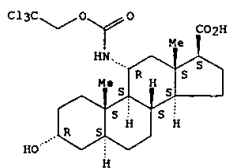
RN 82079-17-2 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.beta.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 82033-68-9P 82033-69-0P 82048-80-4P
 R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and esterification of)
 RN 82033-68-9 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

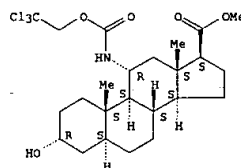
Absolute stereochemistry.



L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

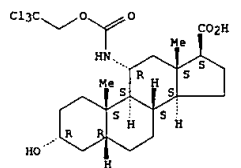
RN 82033-69-0 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (3.alpha.,5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 82048-80-4 CAPLUS
 CN Androstane-17-carboxylic acid, 3-hydroxy-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (3.alpha.,5.beta.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

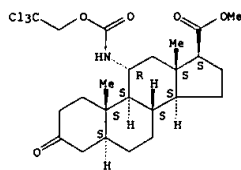
Absolute stereochemistry.



IT 82033-76-9P
 R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and redn. of)
 RN 82033-76-9 CAPLUS
 CN Androstane-17-carboxylic acid, 3-oxo-11-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, methyl ester, (5.alpha.,11.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 51 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1981:479909 CAPLUS

DOCUMENT NUMBER: 93:79909

TITLE: Radical reactions of N-haloamides with olefins. Part XI. The photochemical addition of N-haloamides to olefins: the influence of various factors on the competition between 1,2-addition and hydrogen abstraction

AUTHOR(S): Lessard, Jean; Mondon, Martine; Touchard, Daniel
CORPORATE SOURCE: Dep. Chim., Univ. Sherbrooke, Sherbrooke, QC, J1K 2R1, Can.

SOURCE: Canadian Journal of Chemistry (1981), 59(2), 431-50
CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal

LANGUAGE: English

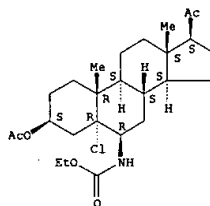
AB RCONR1X (I; X = halo) reacts photochem. with alkenes via competitive 1,2-addn. and H abstraction chain reactions (the quantum yields for these reactions are >1) as detd. from the adduct or I (X = H) yields in CH₂Cl₂. I (X = Br) gives smaller addn. yields than does I (X = Cl). The addn. yield increases with the electronegativity of R. The lower the reaction temp. the higher the addn. yield; at -70.degree., I (R1 = H) gives higher addn. yields with X = Br than with X = Cl. I (R1 = H) gives higher addn. yields than I (R1 = Me). HX scavengers do not affect the addn. yield. The addn. stereochem. of I to cyclohexene is affected by the electronegativity and size of R. I (X = Cl, R1 = H, R = ClCH₂, EtO, CF₃) give alkene adducts in high yield. The reaction of I with enol ethers at -70.degree. gives .alpha.-amido acetals or ketals. The reactions of I (R = ClCH₂, R1 = H, X = Cl) with II and of I (R1 = H, X = Cl, R = CF₃, OEt) with pregnenolone acetate is also reported.

IT 30841-54-49 64281-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation);
(prepn. of)

RN 30841-54-4 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

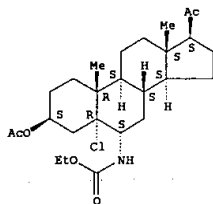


RN 64281-94-3 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.alpha.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 51 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



L12 ANSWER 52 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:514945 CAPLUS

DOCUMENT NUMBER: 93:114945

TITLE: Synthesis of some steroidal esters of .alpha.-amino acids

AUTHOR(S): Lapatsanis, Lucas; Profilis, Christos; Catsoulacos, Panayotis

CORPORATE SOURCE: Lab. Org. Chem., Univ. Athens, Athens, Greece

SOURCE: Journal of Chemical and Engineering Data (1980), 25(3), 287-9
CODEN: JCEAAX; ISSN: 0021-9568

DOCUMENT TYPE: Journal

LANGUAGE: English

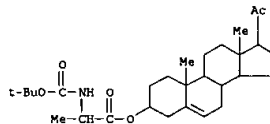
AB Title esters I (BOC = Me₃CO₂C; X = Ala, Pro-OCHMeCO; R = R1 = H; RR1 = bond), II [X = Ala, Gly; R = R1 = H; RR1 = bond; R2 = CHMe(CH₂)₃CHMe₂, Ac], and III were prepd. by esterifying the hydroxy steroid with BOC-X-OH by carbonyldiimidazole in CH₂Cl₂ or with BOC-X-OH 8-hydroxyquinoline ester in CH₂Cl₂. The above esters were BOC-deblocked by CF₃CO₂H or HCl/dioxane to give the corresponding amino acid steroid esters, which were isolated as the HCl, CF₃CO₂H, or oxalate salts.

IT 73670-12-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and deblocking of)

RN 73670-12-9 CAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.beta.)-20-oxopregn-5-en-3-yl ester (9CI) (CA INDEX NAME)



L12 ANSWER 53 OF 69 CAPLUS COPYRIGHT 2003 ACS

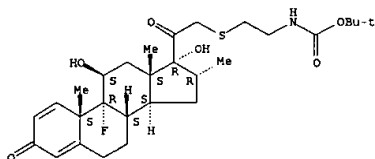
ACCESSION NUMBER: 1980:494311 CAPLUS
 DOCUMENT NUMBER: 93:94311
 TITLE: .alpha.-Keto mesylate: a reactive, thiol-specific functional group
 AUTHOR(S): Simons, S. Stoney, Jr.; Pons, Michel; Johnson, David F.
 CORPORATE SOURCE: Natl. Inst. Arthritis, Metab. Dig. Dis., NIH, Bethesda, MD, 20205, USA
 SOURCE: Journal of Organic Chemistry (1980), 45(15), 3084-8
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A systematic study of the reactivity of .alpha.-keto mesylates with various nucleophiles (i.e., carboxylate, OH, imidazole, NH₂, thiol acid anion, and SH) under mildly basic conditions is reported. .alpha.-Keto mesylates do not react with imidazole or OH groups, react extremely slowly (if at all) with carboxylate and primary amines, and react several thousand times faster with thiols and thiol acid anions. The very rapid reaction with thiols occurs only with the dissociated thiolate anion. Addn. of a .beta.-OH group to .alpha.-keto mesylates accelerates the reaction with thiolate anions by a factor of 3-12 in Me₂CO, but has no effect on reactions in DMF. .alpha.-Keto mesylates exhibit the same selectivity as .alpha.-keto chlorides for thiolate anions over amines. In view of this reactivity and selectivity, the .alpha.-keto mesylate appears to be a promising functional group for the electrophilic-affinity labeling of biol. macromols. in weakly basic solns.

IT 73816-22-5P 73816-33-8P 73816-34-9P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 73816-22-5 CAPLUS
 CN Carbamic acid, [2-[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

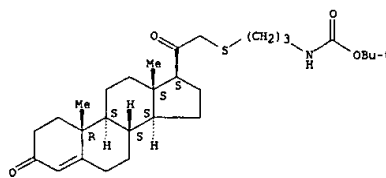
Absolute stereochemistry.



RN 73816-33-8 CAPLUS
 CN Carbamic acid, [3-[(3,20-dioxopregna-4-en-21-yl)thio]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

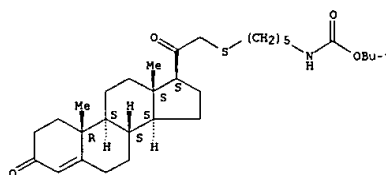
Absolute stereochemistry.

L12 ANSWER 53 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 73816-34-9 CAPLUS
 CN Carbamic acid, [5-[(3,20-dioxopregna-4-en-21-yl)thio]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 54 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:426658 CAPLUS
 DOCUMENT NUMBER: 93:26658
 TITLE: Pregn-4-ene derivatives
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Fr. Demande, 17 pp. Addn. to Fr. Demande 2,408,622.
 CODEN: FRXXRL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2421911	A2	19791102	FR 1978-10386	19780407
FR 2421911	B2	19840622		

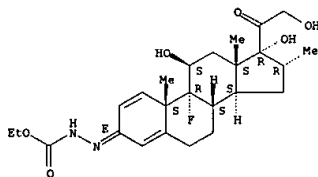
PRIORITY APPLN. INFO.: FR 1978-10386 19780407

AB Antiallergic and antiinflammatory pregnenes I (R = H, halo; R1 = H, acyl; R2 = H, OH; R3 = H, OH, Me; X = OH, alkenyloxy, Cl-12 cycloalkanyloxy; R4 = OH, R5 = H; R4R5 = O) and their 1,2-didehydro derivatives were prepd. Thus, 10.2 g 21-acetoxy-11.beta.,17-dihydroxy-16.alpha.-methylpregn-4-ene-3,20-dione was treated with 2.2 g MeONH₂.HCl in 800 mL MeOH 5 h at room temp. to give 4.6 g anti-I (X = MeO, R = R5 = H, R1 = Ac, R2 = R4 = OH, R3 = .alpha.-Me) (II) and 3.2 g syn-II.

IT 69765-21-5P 69778-20-7P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 69765-21-5 CAPLUS
 CN Hydrazinecarboxylic acid, [(3E,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI) (CA INDEX NAME)

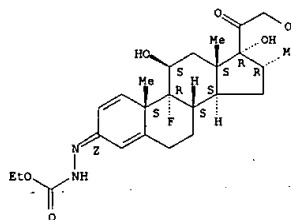
Absolute stereochemistry.
 Double bond geometry as shown.



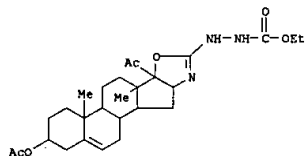
RN 69778-20-7 CAPLUS
 CN Hydrazinecarboxylic acid, [(3Z,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

L12 ANSWER 54 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 55 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1980:147038 CAPLUS
 DOCUMENT NUMBER: 92:147038
 TITLE: Transformed steroids. Communication 104. Preparation of [16,17]oxazolidinones of 20-ketosteroids by intramolecular isomerization of 16,17.alpha.-N-carbethoxyepiminopregnenolone
 AUTHOR(S): Istomina, Z. I.; Turuta, A. M.
 CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1979), (10), 2318-22
 CODEN: IASKA6; ISSN: 0002-3353
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Epiminopregnanone 1 underwent stereospecific ring cleavage in HOAc contg. H2NNHCO2Et to give oxazolidinones II and III, whose structures were detd. by IR and NMR spectra.
 IT 73204-84-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and deacetylation of)
 RN 73204-84-9 CAPLUS
 CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-(acetyloxy)-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 73204-77-0P 73204-85-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 73204-77-0 CAPLUS
 CN Carbamic acid, [(3.beta.,16.alpha.,17.alpha.)-3-(acetyloxy)-17-methyl-20-oxo-18-norpregna-5,13-dien-16-yl]-, ethyl ester (9CI) (CA INDEX NAME)

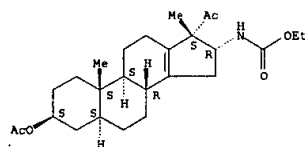
Absolute stereochemistry.

L12 ANSWER 56 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1979:20886 CAPLUS
 DOCUMENT NUMBER: 91:20886
 TITLE: Transformed steroids. 102. Approach to the synthesis of steroid 16,17-aziridines and stereodirectivity of the photoinduced addition of carbethoxynitrene to steroid 16-en-20-ones
 AUTHOR(S): Kamernitskii, A. V.; Istomina, Z. I.; Serebryakov, E. P.; Turuta, A. M.
 CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
 SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1979), (1), 186-91
 CODEN: IASKA6; ISSN: 0002-3353
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB Photolysis of dehydropregnenolone acetate I (R = H) with N3CO2Et gave 14-16a aziridinopregnene II (R = H) and 2.5-3l (carboethoxymino)pregnadienone I (R = NHCO2Et); column chromatog. of the photolysis mixt. using MeOH eluant also gave the methoxypregnene III. Similar photolysis of the 5,6-dihydro deriv. of I (R = H) gave the 5,6-dihydro deriv. of II (R = H) and the Wagner-Meerwein rearrangement product IV.

IT 70433-68-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 70433-68-0 CAPLUS
 CN Carbamic acid, [(3.beta.,5.alpha.,16.alpha.,17.alpha.)-3-(acetyloxy)-17-methyl-20-oxo-18-norpregn-13-en-16-yl]-, ethyl ester (9CI) (CA INDEX NAME)

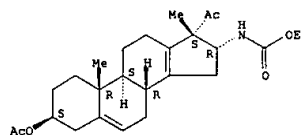
Absolute stereochemistry.



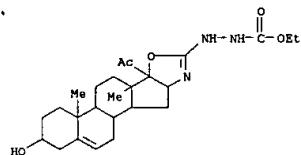
IT 53574-52-0P 70433-65-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, by reaction of carbethoxynitrene with pregnadiene deriv.)
 RN 53574-52-0 CAPLUS
 CN Carbamic acid, [(3.beta.)-3-(acetyloxy)-20-oxopregna-5,16-dien-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

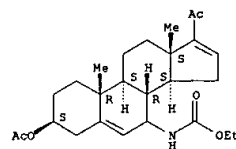
L12 ANSWER 55 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 73204-85-0 CAPLUS
 CN Hydrazinecarboxylic acid, 2-[(3.beta.,16.beta.)-3-hydroxy-20-oxo-4'H-pregn-5-eno[16,17-d]oxazol-2'-yl]-, ethyl ester (9CI) (CA INDEX NAME)

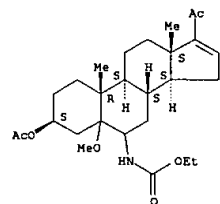


L12 ANSWER 56 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



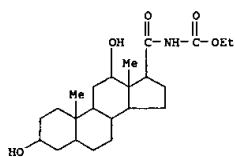
RN 70433-65-7 CAPLUS
 CN Carbamic acid, [(3.beta.)-3-(acetyloxy)-5-methoxy-20-oxopreg-16-en-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



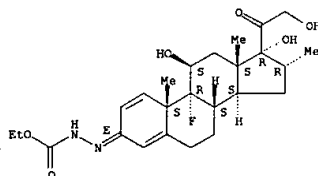
L12 ANSWER 57 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1979:204491 CAPLUS
 DOCUMENT NUMBER: 90:204491
 TITLE: Taurine and glycine derivatives
 INVENTOR(S): Gallo-Torres, Hugo; Guthrie, Robert William; Hamilton, James Guthrie; Kierstead, Richard Wightman; Sullivan, Ann Clare
 PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA
 SOURCE: U.S., 12 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4104285	A	19780801	US 1977-790164	19770422
PRIORITY APPLN. INFO.: US 1977-790164 19770422				
AB Cholanoyl amino acids I (R = H, alkanoyloxy, BzO; R1 = CO2H, alkoxycarbonyl, CH2SO3H; m, n = 0, 1) were prepd. Thus, 9.87 g 3.alpha.,12.alpha.-dihydroxy-24-nor-5.beta.-cholanolic acid was treated with ClCO2Et to give the carbonate, which was treated with 1.96 g glycine to give 7.8 g I (R = H, R1 = CO2H, m = n = 1). I inhibits pancreatic lipase in vitro and hypolipemic in rats.				
IT 70118-04-6P				
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and reaction of, with glycine)				
RN 70118-04-6 CAPLUS				
CN Carbanic acid, [(3.alpha.,5.beta.,12.alpha.,17.beta.)-3,12-dihydroxyandrostan-17-yl]carbonyl-, ethyl ester (9CI) (CA INDEX NAME)				



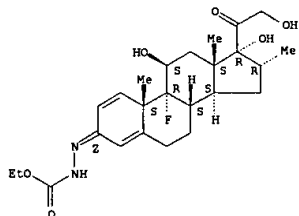
L12 ANSWER 58 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 69778-20-7 CAPLUS
 CN Hydrazinecarboxylic acid, [(3Z,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L12 ANSWER 58 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1979:138097 CAPLUS
 DOCUMENT NUMBER: 90:138097
 TITLE: Pregn-4-ene derivatives
 INVENTOR(S): Nedelec, Lucien; Pierdet, Andre; Deraedt, Roger
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Ger. Offen., 33 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2819480	A1	19781109	DE 1978-2819480	19780503
DE 2819480	C2	19890803		
FR 2408622	A1	19790608	FR 1977-13864	19770506
FR 2408622	B1	19800711		
SE 7804388	A	19781107	SE 1978-4388	19780418
SE 442120	B	19851202		
SE 442120	C	19860313		
SU 826958	A3	19810430	SU 1978-2607603	19780426
ZA 7802517	A	19790627	ZA 1978-2517	19780502
JP 53137943	A2	19781201	JP 1978-52888	19780504
JP 62038359	B4	19870817		
BE 866758	A1	19781106	BE 1978-187442	19780505
DK 7801961	A	19781107	DK 1978-1961	19780505
NL 7804840	A	19781108	NL 1978-4840	19780505
ES 469513	A1	19781201	ES 1978-469513	19780505
AU 7835808	A1	19791108	AU 1978-35808	19780505
AU 516891	B2	19810625		
HU 21530	O	19811228	HU 1978-RO978	19780505
HU 179294	B	19820928		
CA 1121342	A1	19820406	CA 1978-302674	19780505
CH 633564	A	19821215	CH 1978-4903	19780505
US 4189477	A	19800219	US 1978-903600	19780508
AT 7803309	A	19810115	AT 1978-3309	19780508
AT 363622	B	19810825		
GB 1601561	A	19811028	GB 1978-18196	19780508
GB 1601562	A	19811028	GB 1978-29885	19780508
PRIORITY APPLN. INFO.: FR 1977-13864 19770506				
GB 1978-18196 19780508				

AB Pregnenes I (R = OH, alkoxy, cycloalkoxy, acyloxy, aminocarbonyloxy, alkoxycarbonylamino, NHCONH2; R1 = R2 = H; R1R2 = bond; R1 = Me, Cl, F, R2 = H; R3 = H, halo; R4 = H, OH, Me; R5 = H, OH; R6 = H, Cl-18 acyl; X = O, H, OH) and their DELTA,1-derivs. were prepd. Thus, syn- and anti-1 (R = OMe, R1 = R2 = R6 = H, R3 = F, R4 = .alpha.-Me, R5 = OH, X = H, OH) were prepd. by treating the corresponding 3-oxo deriv. with MeONH2.HCl. These two compds. had ED50 in the passive cutaneous anaphylaxis test of 1.4 and 2.5 mg/kg, resp., orally in rats.

IT 69765-21-5P 69778-20-7P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 69765-21-5 CAPLUS

CN Hydrazinecarboxylic acid, [(3E,11.beta.,16.alpha.)-9-fluoro-11,17,21-trihydroxy-16-methyl-20-oxopregna-1,4-dien-3-ylidene]-, ethyl ester (9CI)

L12 ANSWER 59 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1978:437123 CAPLUS
 DOCUMENT NUMBER: 89:37123

TITLE: Some esters of progestins and alkylating agents and their cytostatic properties
 AUTHOR(S): Hansen, Bertil; Fex, Hans; Holmberg, Krister; Hogberg, Bertil; Jensen, Gunborg; Konyves, Imre
 CORPORATE SOURCE: Res. Lab., AB Leo, Helsingborg, Swed.
 SOURCE: Curr. Chemother., Proc. Int. Congr. Chemother., 10th (1978), Meeting Date 1977, Volume 2, 1276-8.
 Editor(s): Siegenthaler, Walter; Luethy, Ruedi. Am. Soc. Microbiol.; Washington, D. C.
 CODEN: 37XLA2

DOCUMENT TYPE: Conference
 LANGUAGE: English

AB Of the progestin esters, acids, and alkylating agents studied, compds. with structure I or II showed considerable antitumor activity in vivo against Walker 256, Hepatoma AH 130, and Ehrlich ascites tumors. The therapeutic indexes for most of the esters were higher than those for the corresponding acids.

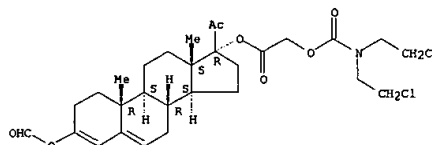
IT 66929-39-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (neoplasm inhibiting activity of)

RN 66929-39-3 CAPLUS

CN Pregna-3,5-dien-20-one, 17-[[[bis(2-chloroethyl)amino]carbonyl]oxy]acetyl oxy]-3-(formyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 60 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:584778 CAPLUS
 DOCUMENT NUMBER: 87:184778
 TITLE: 17.alpha.-Esters of gestagens with antitumor action
 INVENTOR(S): Few, Hans Jacob; Hansen, Bertil Valdemar; Holmberg, Krister Axel; Hogberg, Knut Bertil; Konyves, Imre
 PATENT ASSIGNEE(S): Aktiebolag Leo, Swed.
 SOURCE: Ger. Offen., 73 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2702509	A1	19770728	DE 1977-2702509	19770121
GB 1558472	A	19800103	GB 1976-2419	19760122
SE 7614264	A	19770723	SE 1976-14264	19761217
US 417269	A	19791204	US 1977-760152	19770117
AU 721426	A1	19780727	AU 1977-21426	19770119
FI 7700191	A	19770723	FI 1977-191	19770120
CA 1087168	A1	19801007	CA 1977-270108	19770120
BE 850668	A1	19770722	BE 1977-174317	19770121
DK 7700252	A	19770723	DK 1977-252	19770121
NO 7700190	A	19770725	NO 1977-190	19770121
NL 7700637	A	19770726	NL 1977-637	19770121
FR 2338951	A1	19770819	FR 1977-1777	19770121
FR 2338951	B1	19790824		
JP 5211553	A2	19770919	JP 1977-5064	19770121
HU 174941	P	19800428	HU 1977-LK799	19770121
AT 7700378	A	19800515	AT 1977-378	19770121
AT 360183	B	19801229		
SU 797585	D	19810115	SU 1977-2440653	19770121
			GB 1976-2419	19760122

PRIORITY APPLN. INFO.:

AB Pregnenedione esters I [A = 4-(ClCH₂CH₂)2NC₆H₄CH₂CO₂, 4-(ClCH₂CH₂)2NC₆H₄CH₂CONH(CH₂)₂, 4-O₂NC₆H₄CO₂, etc.], with antitumor activity, were prepd. by routine esterification. Thus, 20.0 g 17.alpha.-hydroxypregn-4-ene-3,20-dione was esterified with (ClCH₂CO₂)₂O to give 13.1 g 17.alpha.-chloroacetoxy compd., which (24.4 g) was refluxed with 4-(ClCH₂CH₂)2NC₆H₄CH₂CO₂H and Bu₄N⁺HSO₄⁻ in 2M NaOH to give 33.4 g I [A = 4-(ClCH₂CH₂)2NC₆H₄CH₂CO₂].

IT 64338-35-8P

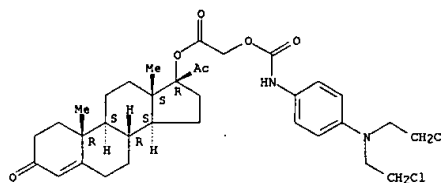
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 64338-35-8 CAPLUS

CN Pregn-4-ene-3,20-dione, 17-[[[4-bis(2-chloroethyl)amino]phenyl]amino]carbonyloxy]acetyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 60 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:533977 CAPLUS
 DOCUMENT NUMBER: 87:133977
 TITLE: The chromous chloride promoted addition of N-haloamides to olefins. III. Scope and limitations for the synthesis of N-(2-haloalkyl)amides
 AUTHOR(S): Briguez, Hughes; Paton, John M.; Lessard, Jean
 CORPORATE SOURCE: Dep. Chim., Univ. Sherbrooke, Sherbrooke, QC, Can.
 SOURCE: Canadian Journal of Chemistry (1977), 55(4), 700-19
 CODEN: CJCHAG; ISSN: 0008-4042
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A study of the chromous chloride promoted addn. of various N-chloro- and N-bromoamides (ZCONHX) to a variety of olefins shows that two types of addn. products can be obtained, namely N-(2-haloalkyl)amides (1,2-adducts) which generally predominate and N-alkylamides (1,H-adducts). The total yield of addn. products, the relative proportion of N-(2-haloalkyl)amide(s) and N-alkylamide, and the stereochem. of 1,2-addn. to cyclohexenes vary with the N-haloamide, that is with both Z and X, and also with the olefin. The best yields of 1,2-adducts were obtained with N-chlorocarbamate (Z = O-alkyl) and the proper choice of Z (e.g., 2,2,2-trichloroethoxy, benzyloxy) shows the potential of this method for the synthesis of N-protected .beta.-chloro primary amines where the amino group is attached to the less substituted carbon atom.

IT 64253-46-9P

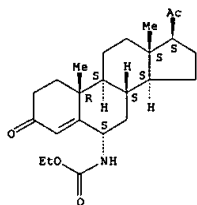
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of)

RN 64253-46-9 CAPLUS

CN Carbamic acid, [(6.alpha.)-3,20-dioxopregn-4-en-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 64227-33-4P 64253-45-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

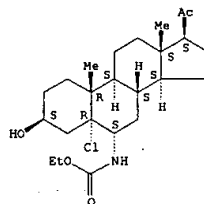
(prepn. and oxidn. of)

RN 64227-33-4 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.alpha.)-5-chloro-3-hydroxy-20-oxopregn-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

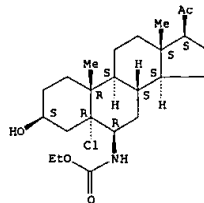
L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 64253-45-8 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-5-chloro-3-hydroxy-20-oxopregn-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 64281-94-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

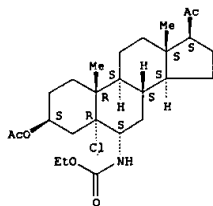
(prepn. and partial sapon. of)

RN 64281-94-3 CAPLUS

CN Carbamic acid, [(3.beta.,5.alpha.,6.alpha.)-3-(acetyloxy)-5-chloro-20-oxopregn-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

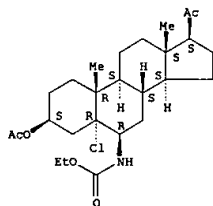
Absolute stereochemistry.

L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 30841-54-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and reactions of)
 RN 30841-54-4 CAPLUS
 CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

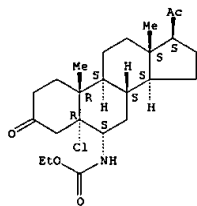
Absolute stereochemistry.



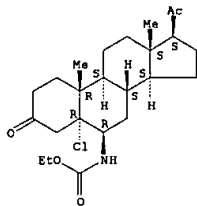
IT 64227-31-2P 64227-32-3P 64227-34-5P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 64227-31-2 CAPLUS
 CN Carbamic acid, [(5.alpha.,6.alpha.)-5-chloro-3,20-dioxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

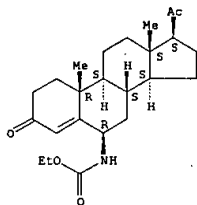


L12 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 64227-32-3 CAPLUS
 CN Carbamic acid, [(6.beta.)-3,20-dioxopregnan-4-en-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



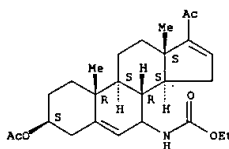
RN 64227-34-5 CAPLUS
 CN Carbamic acid, [(5.alpha.,6.alpha.)-5-chloro-3,20-dioxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 62 OF 69 CAPLUS COPYRIGHT 2003 ACS

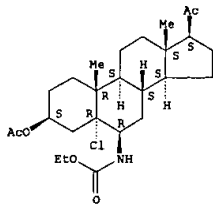
ACCESSION NUMBER: 1974:505796 CAPLUS
 DOCUMENT NUMBER: 81:105796
 TITLE: Fused aziridines. II. Reaction of photochemically generated ethoxycarbonylnitrene with 16-dehydropregnenolone acetate
 AUTHOR(S): Gandhi, R. P.; Singh, Majar; Sharma, T. D.
 CORPORATE SOURCE: Dep. Chem., Kurukshetra Univ., Kurukshetra, India
 SOURCE: Indian Journal of Chemistry (1974), 12(2), 117-19
 CODEN: IJOCAJ; ISSN: 0019-5103
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The reaction of photochem. generated ethoxycarbonylnitrene with 16,17-didehydropregnenolone acetate gave the aziridinyl ketones I and II, the aziridine III, and the carbamate IV. The stereochem. of I and II was detd. by ORD spectroscopy.
 IT 53574-52-0P
 RL: PREP (Preparation) (from cycloaddn. reaction of (ethoxycarbonyl)nitrene with didehydropregnenolone)
 RN 53574-52-0 CAPLUS
 CN Carbamic acid, [(3.beta.)-3-(acetyloxy)-20-oxopregna-5,16-dien-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 63 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1971:53134 CAPLUS
 DOCUMENT NUMBER: 74:53134
 TITLE: Chromous chloride promoted addition of N-chlorocarbamates to olefins. Synthesis of B-chlorocarbamates
 AUTHOR(S): Lessard, J.; Paton, J. M.
 CORPORATE SOURCE: Biochem. Lab., Natl. Res. Council, Ottawa, ON, Can.
 SOURCE: Tetrahedron Letters (1970), (56), 4883-6
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Cyclohexene is treated with CINHCO₂R compds. in the presence of CrCl₂ in MeOH and MeOH-CHCl₃ to give (2-chlorocyclohexyl) carbamate esters (I). The norbornylcarbamate esters (II) and Me₂C(Cl)CMe₂NHCO₂Et are similarly prep'd. The cis-1-trans-1 ratio increases when MeOH-CHCl₃ is used.
 IT 30841-54-4P 30859-36-0P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 30841-54-4 CAPLUS
 CN Carbamic acid, [(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-chloro-20-oxopregnan-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

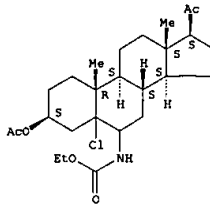
Absolute stereochemistry.



RN 30859-36-0 CAPLUS
 CN Pregnan-6-carbamic acid, 5-chloro-3.beta.-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

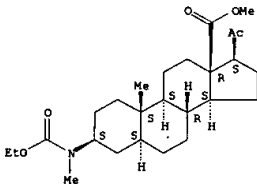
Absolute stereochemistry.

L12 ANSWER 63 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 64 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1970:464565 CAPLUS
 DOCUMENT NUMBER: 73:64565
 TITLE: Chemistry and pharmacology of semisynthetic compounds with cardiovascular activity derived from paravallarine, a naturally occurring steroid
 AUTHOR(S): Le Men, Jean; Provost, Jean; Tiberghien, Rene; Forgacs, Pierre; Eyraud, Henri; Aurousseau, Michel
 CORPORATE SOURCE: Fac. Mixte Med. Pharm., Reims, Fr.
 SOURCE: Chimica Therapeutica (1970), 5(1), 41-54
 CODEN: CHTPBA; ISSN: 0009-4374
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 AB I and II increased coronary flow in Langendorff's prep'n. (isolated perfused rabbit heart) by >50%, while the less active compds., such as III, IV, and V, induced increases of 20-30%. Intermediate compds., such as VI and VII induced increases of 30-50%. The most active compds. are characterized by the simultaneous occurrence of a 3-NMe₂ group, 18-OAc and 20-OAc groups, and a sat'd. C(5)-C(6) bond. Cardiovascular activity was decreased by change of the 3.beta.-amino to the 3.alpha.-amino group, the change of 20(S) to 20(R), substitution at 16.alpha. or 16.beta., replacement of the 3-N atom with an O-contg. functional group (e.g., Ac), and, in sat'd. compds. contg. 18-OAc and 20-OAc groups, by replacement of one of the Me (of the 3-NMe₂) with H or more complex groups. Activity was abolished by substitution in the 4- and 6-positions. The activity of compds. contg. a 3-NMe₂ group was max. when 18-Ac and 20-Ac groups were also present; further lengthening of the chain abolished activity.
 IT 29699-63-6P 29699-65-8P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 29699-63-6 CAPLUS
 CN 5.alpha.-Pregnan-18-oic acid, 3.beta.-(carboxymethylamino)-20-oxo-, 3-ethyl methyl ester (8CI) (CA INDEX NAME)

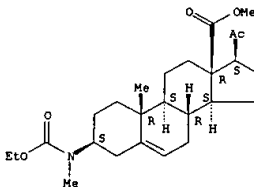
Absolute stereochemistry.



RN 29699-65-8 CAPLUS
 CN Pregn-5-en-18-oic acid, 3.beta.-(carboxymethylamino)-20-oxo-, 3-ethyl methyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 64 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



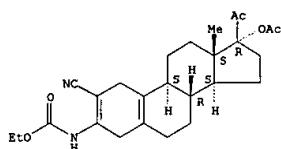
L12 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1970:415122 CAPLUS
 DOCUMENT NUMBER: 73:15122
 TITLE: Hypocholesteremic 2-cyano-3-amino-19-norpregna-1,3,5(10)-trienes
 INVENTOR(S): De Ruggieri, Pietro; Gandolfi, Carmelo; Guzzi, Umberto
 PATENT ASSIGNEE(S): Ormonoterapia Richter S.p.A.
 SOURCE: U.S., 6 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3503960	A	19700331	US 1966-573784	19660822
PRIORITY APPLN. INFO.:		IT 1965-18857	19650823	

AB The title compds. were prepd. for use as cortical, hypocholesterolemic, and hypophysis-blocking drugs. Thus, 2.alpha.-cyano-19-norpregna-4-en-17.alpha.-ol-3,20-dione 17-acetate 21 in abs. EtOH 1000 refluxed 24 hr under N with HCO₂NH₄ 12 gave a mixt. of 17 parts 2-cyano-3-amino-19-norpregna-2,4-dien-17.alpha.-ol-20-one 17-acetate and 2-cyano-3-amino-19-norpregna-2,5(10)-dien-17.alpha.-ol-20-one 17-acetate. This mixt. 11 in dry dioxane 200 stirred 20 hr with 10% Pd-C 11 and EtO₂CCH:CHCO₂Et 15, and the product worked up gave 2-cyano-3-amino-19-norpregna-1,3,5(10)-trien-17.alpha.-ol-20-one 17-acetate. A variety of derivs. were prepd.

IT 27369-64-8P 27369-65-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 27369-64-8 CAPLUS
 CN 19-Norpregna-2,5(10)-diene-3-carbamic acid, 2-cyano-17-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 27369-65-9 CAPLUS
 CN 19-Norpregna-1,3,5(10)-triene-3-carbamic acid, 2-cyano-17-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

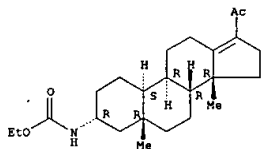
Absolute stereochemistry.

L12 ANSWER 66 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1970:12961 CAPLUS
 DOCUMENT NUMBER: 72:12961
 TITLE: Steroidal alkaloids. XCIII. 3-Amino .DELTA.5-steroid backbone rearrangement in acidic medium
 AUTHOR(S): Frappier, Francois; Khuong-Huu-Qu; Jarreau, Francois X.
 CORPORATE SOURCE: Inst. Chim. Subst. Natur., C.N.R.S., Gif-sur-Yvette, Fr.
 SOURCE: Bulletin de la Societe Chimique de France (1969), 9, 3265-71
 CODEN: BSCFAS; ISSN: 0037-8968
 DOCUMENT TYPE: Journal
 LANGUAGE: French

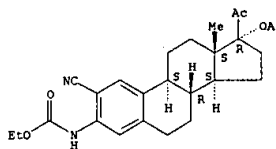
AB Holamine (3.alpha.-aminopregn-5-en-20-one) (I) is treated with H₂SO₄ to give 3.alpha.-amino-18,19-dinor-5.beta.,14.beta.-dimethyl-10.alpha.-pregn-13-en-20-one (II). Methylholaphylline (III) (a 3.beta.-amino compd.) gives a mixt. of trans-fused compd. IV and cis-fused compd. V. It is proposed that an interaction between the 3.beta.-NH₂ and the 19-Me (in III) inhibits a concerted rearrangement; V is the major product.

IT 24376-23-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 24376-23-6 CAPLUS
 CN 18,19-Dinor-5.beta.,10.alpha.,14.beta.-pregn-13(17)-ene-3.alpha.-carbamic acid, 5,14-dimethyl-20-oxo-, ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1969:439293 CAPLUS
 DOCUMENT NUMBER: 71:39293
 TITLE: 16.alpha.-(N-Carbalkoxy-N-hydroxyamino)pregnanes
 INVENTOR(S): Boissier, Jacques R.; Ratouis, Roger
 PATENT ASSIGNEE(S): Societe Industrielle pour la Fabrication des Antibiotiques (S.I.F.A.)
 SOURCE: Fr., 4 pp.
 CODEN: FROXAK
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

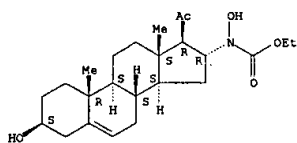
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1525296		19680517	FR	19670405

AB A soln. of 5 g. 3.alpha.-acetoxy-5.beta.-pregnane-11,20-dione, 5 cc. N-hydroxyurethane, and 1 cc. concd. HCl in 50 cc. AcOH was chilled 48 hrs., then poured over 100 g. ice, and the pptd. crude product recrystd., to give 4.8 g. 3.alpha.-acetoxy-16.alpha.-(N-carbalkoxy-N-hydroxyamino)-5.beta.-pregnane-11,20-dione, m. 182-3.degree. (Me₂CO-hexane), [alpha]_D 20D 72.degree. (c 1, CHCl₃). Similarly, but isolating the product by extn. and (or) chromatog. were prepd. (m.p. and [alpha]_D 25D in CHCl₃ given): 16.alpha.-(N-carbalkoxy-N-hydroxyamino) derivs. of: 3.beta.-acetoxy-5-en-20-one, 155-6.degree. (Me₂CO-hexane), -18.degree.; pregn-4-ene-3,20-dione, 196-7.degree. (Me₂CO-hexane), 86.5.degree.; 3.beta.-acetoxy-5.alpha.-pregn-9(11)-en-20-one, 129-31.degree. (CH₂Cl₂-hexane), 40.5.degree.; 21-acetoxy-4-ene-3,20-dione, 181-3.degree. (Me₂CO-hexane-CH₂Cl₂), 73.degree.; 21-acetoxy-4-ene-3,11,20-trione, 206.degree. (EtOAc-Et₂O), 132.degree.; 3.beta.-acetoxy-5.alpha.-pregnane-11,20-dione, 130.degree. (CH₂Cl₂-hexane), 47.5.degree.. Similarly from 3.beta.-hydroxypregna-5,16-dien-20-one (I) (but sapon. the esterified 3-OH group in the crude product with HClO₄) was prepd. 16.alpha.-(N-carbalkoxy-N-hydroxyamino)pregn-5-en-3.beta.-ol-20-one, m. 218.degree. (Me₂CO), [alpha]_D 25D -10.degree. (c 1, CHCl₃), which was also obtained by stirring 1.3 hrs. at 60.degree. with hydroxyurethane and KOH (or aq. benzyltrimethyl-ammonium hydroxide) in tetrahydrofuran and purifying the H₂O-pptd. product by chromatog. The compds. showed antiinflammatory activity.

IT 23139-35-7P 23139-68-6P 23139-69-7P
 23139-70-0P 23139-71-1P 23246-90-4P
 23246-91-5P 23266-81-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 23139-35-7 CAPLUS
 CN Pregn-5-ene-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-20-oxo-, ethyl ester (8CI) (CA INDEX NAME)

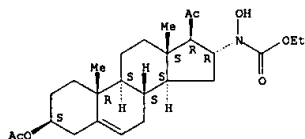
Absolute stereochemistry.

L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



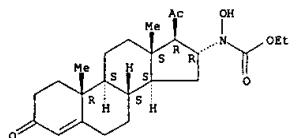
RN 23139-68-6 CAPLUS
CN Pregn-5-ene-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-20-oxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23139-69-7 CAPLUS
CN Pregn-4-ene-16.alpha.-carbamic acid, N-hydroxy-3,20-dioxo-, ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

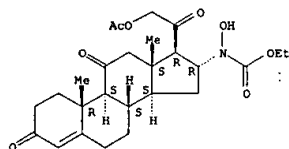


RN 23139-70-0 CAPLUS
CN 5.alpha.-Pregn-9(11)-ene-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-20-oxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.

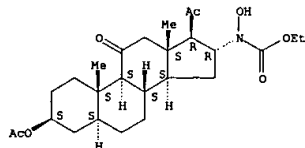
L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

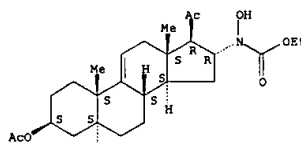


RN 23266-81-1 CAPLUS
CN 5.alpha.-Pregnane-16.alpha.-carbamic acid, N,3.beta.-dihydroxy-11,20-dioxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.

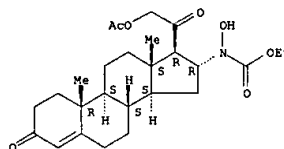


L12 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



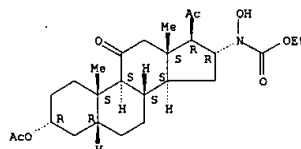
RN 23139-71-1 CAPLUS
CN Pregn-4-ene-16.alpha.-carbamic acid, N,21-dihydroxy-3,20-dioxo-, ethyl ester, 21-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23246-90-4 CAPLUS
CN 5.beta.-Pregnane-16.alpha.-carbamic acid, N,3.alpha.-dihydroxy-11,20-dioxo-, ethyl ester, 3-acetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23246-91-5 CAPLUS
CN Pregn-4-ene-16.alpha.-carbamic acid, N,21-dihydroxy-3,11,20-trioxo-, ethyl ester, 21-acetate (8CI) (CA INDEX NAME)

L12 ANSWER 68 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:105465 CAPLUS
DOCUMENT NUMBER: 68:105465
TITLE: .beta.-Amino-.alpha.,.beta.-diunsaturated keto steroids
INVENTOR(S): Nagata, Wataru; Yoshioka, Mitsuru
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

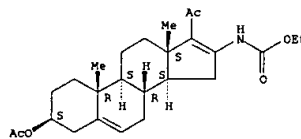
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42011579	B4	19670630	JP	19630927

AB To a soln. of 3.beta.-acetoxy-5,16-pregnadien-20-one (I) in 250 ml. tetrahydrofuran (THF) are added 133ml. ether soln. of NEH3 (0.75 millimoles/ml.) and a soln. of 12 g. Et2AlCl in 35 ml. THF with ice-cooling and the whole is stirred at room temp. for 3 hrs. to give 471 3.beta.-acetoxy-16-amino-6,16-amino-6,16-pregnadien-20-one (II), m. 250-3.degree. (CHCl2-Me2CO). II is hydrolyzed with methanolic K2CO3 soln. to give III m. 244-6.degree. (EtOH-CHCl3). Treatment of 1.2 g. II with 15 ml. Ac2O at 35-45.degree. for 6 hrs. in 40 ml. pyridine followed by letting stand at room temp. for more than 42 hrs. gives 1.4 g. IV, m. 197-9.degree.. EtO2CCl (0.4 ml.) is dropped into a soln. of 120 mg. II in 7 ml. pyridine and the whole let stand for 5 hrs. to give 844 V, m. 113-15.degree. (Et2O-petr. ether).

IT 18119-18-1P
Rl: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 18119-18-1 CAPLUS
CN Pregna-5,16-diene-16-carbamic acid, 3.beta.-hydroxy-20-oxo-, ethyl ester, acetate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1963:441936 CAPLUS
 DOCUMENT NUMBER: 59:41936
 ORIGINAL REFERENCE NO.: 59:7613f-h, 7614a-h
 TITLE: 19-Nortestosteroids
 PATENT ASSIGNEE(S): CIBA Ltd.
 SOURCE: 43 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 PATENT INFORMATION:

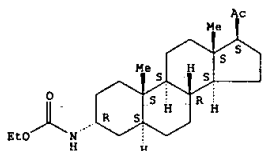
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 620226		19630114	BE	
DE 1224309			DE	
FR 1337807			FR	
GB 1007757			GB	
GB 1007758			GB	
US 3250792		1966	US	

PRIORITY APPLN. INFO.: CH 19610714
 AB 4,5-Androstadiene-19-ol-3,17-dione (I) (2.0 g.) in 50 cc. C₅H₅N treated at 0.degree. dropwise with 4.8 g. CrO₃ in 46 cc. H₂O and 90 cc. C₆H₅N, heated 0.5 hr. at 60.degree., and worked up yielded 1.55 g. 4,6-androstadiene-3,17,19-trione (II), m. 150-2.degree. (C₆H₆), [α]_D 143.1.degree. (c 0.8, CHCl₃). II (980 mg.) in 50 cc. Me₂CO treated 20 min. at 0.degree. with 5 cc. aq. CrO₃-H₂SO₄ (contg. 26.5% CrO₃ and 23 vol.-% H₂SO₄) and then with 50 g. NaOAc in 80 cc. H₂O, washed with C₆H₆, and extd. with satd. aq. NaHCO₃, and the ext. acidified and extd. with Et₂O and CH₂Cl₂ yielded 895 mg. 4,6-androstadiene-3,17-dione-19-ol-ic acid (III). I (2.5 g.) in 125 cc. Me₂CO treated dropwise at 0.degree. with 12.5 cc. aq. CrO₃-H₂SO₄, stirred 40 min. at 0-5.degree., treated with 125 g. NaOAc. H₂O in 200 cc. H₂O, and worked up in the usual manner gave 1.45 g. III. III (1.45 g.) in 20 cc. MeOH refluxed 0.5 hr. with 1.4 cc. concd. HCl, cooled, dild. with 60 cc. H₂O, concd., and extd. with Et₂O gave 1.02 g. 19-nor-4,6-androstadiene-3,17-dione, m. 181-2.degree. (CH₂Cl₂-Et₂O-petr. ether), [α]_D 58.3.degree. (c 0.76, CHCl₃). 4,6-Pregnadiene-19-ol-3,20-dione (IV) (1.2 g.) in 25 cc. C₅H₅N stirred 4 hrs. at 25.degree. with 2.0 g. CrO₃ in 10 cc. H₂O and 20 cc. C₅H₅N gave 970 mg. 4,6-pregnadiene-3,19,20-trione (V). IV (800 mg.) oxidized at 0.degree. with 5 cc. aq. CrO₃-H₂SO₄ yielded 615 mg. 19-CO₂H analog (VI) of IV which refluxed 0.5 hr. in 10 cc. MeOH with 300 mg. p-MeC₆H₄SO₃H gave 495 mg. 19-nor-4,6-pregnadiene-3,20-dione. 17.alpha.-AcO deriv. (VII) (50 mg.) of IV oxidized with 250 mg. CrO₃ in 5 cc. C₅H₅N gave 35 mg. 17.alpha.-AcO deriv. of V. VII (470 mg.) in 28 cc. Me₂CO treated at 0.degree. with 2.8 cc. aq. CrO₃-H₂SO₄ and after 1 hr. with 28 g. NaOAc in 45 cc. H₂O yielded 137 mg. unchanged VII and 280 mg. 17.alpha.-AcO deriv. (VIII) of VI, which heated gently (without fusing) eliminated CO₂ to give 17.alpha.-acetoxy-5(10)-6-norpregnadiene-3,20-dione (IX), decomp. when inserted in a bath at 140.degree.. VIII (230 mg.) in 4 cc. Me₃COH refluxed 20 min. with 0.15 cc. concd. HCl, cooled, dild. with C₆H₆, and worked up gave 169 mg. 4,6-isomer (X) of IX, m. 227-9.degree. (CH₂Cl₂-petr. ether). 4,6-Androstadiene-17.beta.,19-diol-3-one 17-(3-phenylpropionate) (1.00 g.) in 50 cc. Me₂CO oxidized with aq. CrO₃-H₂SO₄ yielded 860 mg. 19-CO₂H analog, which, refluxed 15 min. with 0.5 cc. concd. HCl and 10 cc. Me₃COH, gave 530 mg. 19-nor-4,6-pregnadiene-17.beta.-ol-3-one 17-phenylpropionate. 6.beta.,19-Oxido-4-pregnene-3,20-dione treated with Ac₂O and p-MeC₆H₄SO₃H and then partially sapond., and the resulting 17.alpha.-caproate (XI) of

L12 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
 IV (12.8 g.) oxidized with aq. CrO₃-H₂SO₄ yielded 10.2 g. 17.alpha.-AcO₂ deriv. (XII) of VI, m. 156-7.degree.. 17.alpha.-Acetoxy-6-chloro-4,6-pregnadiene-19-ol-3,20-dione (2.15 g.) yielded similarly 1.73 g. 17.alpha.-acetoxy-6-chloro-4,6-pregnadiene-3,20-dione-19-ol-ic acid (XIII). XII (10.0 g.) in 50 cc. AcOH refluxed 15 min. and worked up gave 9.25 g. crude product, which, chromatographed, yielded 8.15 g. 17.alpha.-AcO₂ analog of X, m. 124.degree. (Et₂O-petr. ether), [α]_D 250 -71.7.degree. (c 1.042). XIII (1.52 g.) refluxed with 10 cc. Ac₂O gave the 6-Cl deriv. of X, m. 159-61.degree.. VIII (1.50 g.) and 10 cc. C₅H₅N heated 40 min. at 75.degree. and evapd., the residue worked up with Et₂O, and the crude product (1.05 g.) chromatographed on silica gel yielded 460 mg. IX, m. 163-5.degree. (CH₂Cl₂-Et₂O-petr. ether), [α]_D 250 161.4.degree. (c 0.853), and 230 mg. X, m. 227-9.degree.. IX (500 mg.) heated briefly with 5 cc. 66% AcOH and chromatographed on Al₂O₃ also yielded X. 19-Hydroxytesosterone acetate successively dehydrogenated and oxidized, the resulting 17.beta.-acetoxy-4,6-androstadiene-3-on-19-ol-ic acid (520 mg.) in 15 cc. MePh refluxed 0.5 hr., cooled, dild. with 100 cc. Et₂O, and worked up, and the crude product chromatographed on silica gel yielded 115 mg. 17.beta.-acetoxy-19-nor-5(10),6-androstadiene-3-one, which, heated with AcOH and chromatographed, gave 17.beta.-acetoxy-19-nor-4,6-androstadiene-3-one. X (370 mg.) in 3 cc. dioxane treated 7 hrs. at -30.degree. and 12 hrs. at 5.degree. with 2.3 cc. 0.88N Cl in Et₂O₂H gave 412 mg. 4-Cl deriv. of X, m. 203-5.degree. (CH₂Cl₂-petr. ether). 17.beta.-Acetoxy-17.alpha.-methyl-19-nor-4,6-androstadiene-3-one (20.6 g.) in 2 l. CH₂Cl₂ treated at 5.degree. with 400 cc. 1.56 N n-HO₂CC₆H₄CO₂H in Et₂O, kept 21 hrs. at room temp., treated with cooling and stirring with 800 cc. 2N Na₂CO₃, washed, and worked up, and the crude product chromatographed on 10 g. Al₂O₃ yielded 9.4 g. 6.alpha.,7.alpha.-Oxido-17.beta.-acetoxy-17.alpha.-methyl-19-nor-4-androsten-3-one (XIV), [α]_D 250 26.degree.; the mother liquor chromatographed on 300 g. Al₂O₃ yielded an addnl. 260 mg. XIV. XIV (100 mg.) in 5 cc. N HCl in dioxane kept 75 hrs. at room temp., poured into dil. aq. NaHCO₃, and extd. with CH₂Cl₂, and the residue from the ext. chromatographed on 3 g. Al₂O₃ yielded 25 mg. 17.alpha.-acetoxy-17.beta.-methyl-6-chloro-19-nor-4,6-androstadiene-3-one (XV), m. 225-6.5.degree. (C₆H₆). XIV (9.25 g.) in 450 cc. N HCl in dioxane kept 0.5 hr. at room temp., poured into 3 l. 2.5% aq. NaHCO₃, and extd. with CH₂Cl₂, the residual 17.beta.-acetoxy-17.alpha.-methyl-6.beta.-chloro-19-nor-4-androsten-7.alpha.-ol-3-one dissolved in 100 cc. C₅H₅N, treated with stirring and cooling with 10 cc. Me₂SO, stirred 64 hrs. at -10.degree., stirred into dil. aq. NaHCO₃, and extd. after 5 min. with CH₂Cl₂ yielded crude 7.alpha.-methanesulfonate, which heated 1 hr. with stirring at 80.degree. under N with 600 cc. HCONMe₂ and 100 g. NaOAc, kept 60 hrs. at room temp., dild. with H₂O, and extd. with CH₂Cl₂ gave 7.18 g. XV (chromatographed on 500 g. Al₂O₃), [α]_D 250 -3.degree.. XV(50mg.) in 1 cc. dry tetrahydrofuran treated 0.5 hr. with 1 cc. 0.94M LiAlH₄ in tetrahydrofuran and worked up, the residue (38.5 mg.) kept 12 hrs. at 32.degree. with 15 cc. C₆H₆, 1.2 cc. Me₂CO, and 300 mg. (Me₃CO)₃Al, poured into aq. Seignette salt, and extd. with C₆H₆, and the residue from the ext. chromatographed on 1 g. Al₂O₃ gave 6 mg. 17.beta.-OH analog of XV, m. 164-72.degree.. 6.alpha.,7.alpha.-Oxido-17.alpha.-acetoxy-19-nor-4-pregnene-3,20-dione (250 mg.) treated 0.5 hr. with 15 cc. N HCl in dioxane, the product treated in 3 cc. C₅H₅N with 0.3 cc. Me₂SO, and the resulting methanesulfonate heated 75 min. at 85.degree. with stirring under N with 25 cc. HCONMe₂ and 4.5 g. NaOAc yielded 105 mg. 17.alpha.-acetoxy-6-chloro-4,6-pregnene-3,20-dione.
 IT 95817-79-1, 5.alpha.-Pregnane-3.alpha.-carbamic acid, 20-oxo-,

L12 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
 ethyl ester
 (pregn. of)
 RN 95817-79-1 CAPLUS
 CN 5.alpha.-Pregnane-3.alpha.-carbamic acid, 20-oxo-, ethyl ester (7CI) (CA INDEX NAME)

Absolute stereochemistry.



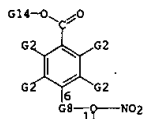
=> d ibib ab fqhit 1-27

L18 ANSWER 1 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 138:4731 MARPAT
 TITLE: Preparation of 21-[4'-(nitrooxyalkyl)benzoate] corticosteroid derivatives and their intermediates
 INVENTOR(S): McIntyre, Donald G.
 PATENT ASSIGNEE(S): Scynexis Chemistry and Automation, Inc., USA
 SOURCE: PCT Int. Appl., 30 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094758	A1	20021128	WO 2002-US16107	20020522
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
PRIORITY APPLN. INFO.:		US 2001-292792P 20010522 US 2002-152433 20020521		

OTHER SOURCE(S): CASREACT 138:4731
 AB The present invention provides methods of making 21-[4'-(nitrooxyalkyl)benzoate] corticosteroid derivs. by reaction of a 21-(hydroxyalkyl)corticosteroid with the aryl compds. I (R1 = OH, halo, acyloxy, OC(O)R7; m = 0-4, n = 0-5; R2-R6 = e.g. amino, halo, alkyl, alkoxy, aryl alkoxyl, OC(O)R8, OC(O)NR8R9; R7 = alkyl, aryl; R8, R9 = H, alkyl). The invention also provides intermediates useful in making such 21-[4'-(nitrooxyalkyl)benzoate] corticosteroid derivs. as well as methods for making such intermediates. Thus, 4-(hydroxymethyl)benzoic acid was nitrated with nitric acid in acetic anhydride to give 4-(nitrooxymethyl)benzoic acid, which was treated with prednisolone in acetone contg. 4-(dimethylamino)pyridine to give prednisolone 4-(nitrooxymethyl)benzoate.

MSTR 2

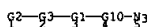


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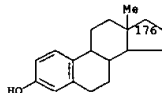
L18 ANSWER 2 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 137:363072 MARPAT
 TITLE: Novel aromatic azides for type I phototherapy
 INVENTOR(S): Rajagopalan, Raghavan; Cantrell, Gary; Achilefu, Samuel I.; Bugaj, Joseph E.; Dorshow, Richard B.
 PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 15 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169107	A1	20021114	US 2001-766347	20010119
<p>PRIORITY APPLN. INFO.:</p> <p>AB The present invention discloses novel arom. azide derivs. and their bioconjugates for phototherapy of tumors and other lesions. The org. azides of the present invention are designed to absorb low-energy UV, visible, or near-IR region of the electromagnetic spectrum. The phototherapeutic effect is caused by direct interaction of nitrene, the reactive intermediate produced upon photoexcitation of the arom. azide, with the tissue of interest. The compds. of the present invention are administered to a patient, allowed to accumulate at the site of the tumor or other lesion, and are exposed to light in order to perform a phototherapeutic procedure.</p>				

MSTR 1



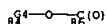
G2 = 176



G3 = 6-1 7-3

G(O)G5

G4 = (1-10) CH2
 G10 = 84-3 86-5



MPL: claim 1

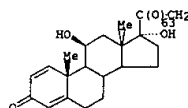
L18 ANSWER 1 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G7 = NH2
 G9 = (1-6) 23



G14 = 63



MPL: disclosure

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

L18 ANSWER 3 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 137:79113 MARPAT
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 234,008.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002091278	A1	20020711	US 2001-930316	20010815
WO 9944616	A1	19990910	WO 1998-US4489	19980306

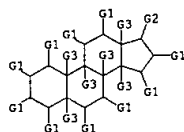
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 6350738 B1 20020226 US 1999-234008 19990119
 WO 1998-US4489 19980306
 US 1999-234008 19990119
 US 2000-225467P 20000815

PRIORITY APPLN. INFO.:
 US 2000-225467P 20000815

AB Novel steroid derivs. of formula I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxy, alkylamino, aryl, etc.], R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, aryl, etc.] are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, II was prepd. from Me cholate, allyl bromide and benzylmethylamine in several steps. The prepd. compds. were tested against Gram-neg. bacteria.

MSTR 1



G2 = alkylaminocarbonyl<(1-10)> (SO G5)
 G3 = 176

L18 ANSWER 4 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 136:391016 MARPAT
 TITLE: Angiostatic agents combined with other agents for lowering and controlling intraocular pressure
 INVENTOR(S): Clark, Abbot F.
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: PCT Int. Appl., 19 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040030	A1	20020523	WO 2000-US31557	20001116
WO 2002040030	C1	20021107		

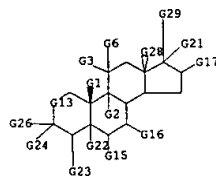
W: AU, BR, CA, CN, JP, MX, PL, ZA
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

AU 2001017709 A5 20020527 AU 2001-17709 20001116
 WO 2000-US31557 20001116

PRIORITY APPLN. INFO.:
 WO 2000-US31557 20001116

AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed.

MSTR 1A



G4 = alkyl<(1-6)> (SO (1-) X)
 G5 = 27

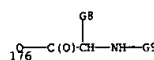


G13 = 46



G24 = 92

L18 ANSWER 3 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G9 = CO2Bu-t
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts
 NTE: substitution is restricted
 NTE: double bond and oxo formation in steroid ring system also claimed

L18 ANSWER 4 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G25 = NH
 G30 = C(O)
 MPL: claim 2
 NTE: and pharmaceutically acceptable salts
 NTE: additional double bond, oxo, epoxy and methylene formation also claimed
 NTE: substitution is restricted

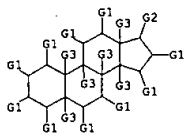
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 136:200350 MARPAT
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNER(S): Brigham Young University, USA
 SOURCE: PCT Int. Appl., 128 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014342	A1	20020221	WO 2001-US25532	20010815
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2001084934	A5	20020225	AU 2001-84934	20010815
PRIORITY APPLIN. INFO.: US 2000-225467P 20000815 WO 2001-US25532 20010815				

AB Novel steroid derivs., such as I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, alkylcarboxyalkyl, aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, aryl, aminoalkoxy, etc.], or a pharmaceutically acceptable salt thereof, are prepd. for use as antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 .mu.g/mL.

MBTR 1



G2 = 259

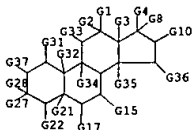
$\text{C}(O)-\text{NH}-\text{G16}-\text{G5}$

L18 ANSWER 6 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 135:97440 MARPAT
 TITLE: Preparation and use of a drug composition containing local anesthetics, anti-inflammatory agent and/or immunostimulant
 INVENTOR(S): Kasch, Helmut; Goldschmidt, Carsten
 PATENT ASSIGNER(S): ID Pharma G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045678	A2	20010628	WO 2000-EP13036	20001220
WO 2001045678	A3	20020411		
W: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				

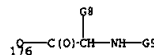
PRIORITY APPLIN. INFO.: DE 1999-19961834 19991221
 AB The invention relates to a compn. which comprises as its constituents (a) a local anesthetic and (b) an anti-inflammatory compd. and/or an immunostimulant compd. and/or a compd. which acts as a supporting material for the local anesthetic. The components can be linked via a chem. bond forming carbamates or thiocarbamates. The compns. are use for the treatment of autoimmune diseases, inflammations, neurol. diseases, asthma, age-related diseases etc. Thus PAR 1 was prepd. by reacting PAR 2 with procaine hydrochloride in methylene chloride for 2 h at room temp. The product was chromatographed on silica gel and identified by ESI-MS. Its was used to screen various microorganisms; PAR 1 inhibited the growth of Penicillium notatum, Glomerella cingulata and Kluyveromyces marxianus.

MBTR 1



G4 = alkylcarbonyl<(1-4)> (SR OH)
 G39 = 86

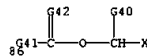
L18 ANSWER 5 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
 G3 = 176



G9 = CO2Bu-t
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts
 NTE: substitution is restricted
 NTE: optional unsaturation and oxo formation of steroid ring system also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G40 = alkyl<EC (1-4) C, DC (0) M3>
 G41 = NH
 G42 = O
 MPL: claim 6
 NTE: also incorporates claim 31

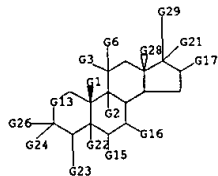
L18 ANSWER 7 OF 27 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 134:91141 MARPAT
 TITLE: Combination therapy for lowering and controlling
 intraocular pressure containing angiotatic steroids
 Clark, Abbot F.
 INVENTOR(S):
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: U.S., 7 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172054	B1	20010109	US 1995-491005	19950615
			US 1995-491005	19950615

PRIORITY APPLN. INFO.:
 AB Angiotatic agents and another IOP lowering compd. are combined in
 ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for
 treating glaucoma and ocular hypertension are also disclosed. A soln. was
 prepd. contg timolol maleate and 4,9(11)-pregnadiene-17.alpha.,21-diol-
 3,20-dione 21 acetate.

MSTR 1A



G4 = alkyl<(1-6)> (50 (1-) X)
 G5 = 27

G1-G4

G13 = 46



G24 = 92

L18 ANSWER 8 OF 27 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 133:335391 MARPAT
 TITLE: Bone targeting agents for osteoporosis
 Pierce, William M., Jr.; Waite, Leonard C.; Taylor, K.
 Grant; Sato, Fumiyasu; Takahashi, Yoshio
 Research Corporation Technologies, Inc., USA
 PATENT ASSIGNEE(S):
 SOURCE: PCT Int. Appl., 165 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066613	A1	20001109	WO 2000-US11655	20000501

W: AU, CA, CN, JP, MX
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE

PRIORITY APPLN. INFO.:
 US 1999-131892P 19990430
 US 1999-132132P 19990430

AB Comps., e.g. of formula I [R1 = H, alkyl, aryl, arylalkyl, PO3H; R2 = H,
 OH; R3 = H, alkyl; R4 = H, alkyl, aryl, arylalkyl; Y = CO, CONH, bonds; X =
 alkylene], are prepd. and are useful for the prophylaxis and treatment of
 degenerative bone disorders such as osteoporosis. Thus, II was prepd.
 from 17.beta.-estradiol, succinic anhydride and 2,6-dihydroxybenzoic acid,
 and exhibited excellent sepn. of bone and uterine effect, with bone ED50 =
 9 nmol/kg. and 24% uterine stimulation.

MSTR 1

G1-G12-G13-G17

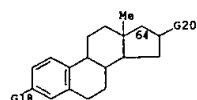
G1 = 7

G(O)-G2-G4-C(O)-G9

G2 = NH
 G13 = 42-2 45-4

G16-G14-G5(O)

G16 = (0-6) CH2
 G17 = 64



L18 ANSWER 7 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G25-C(O)-G5

G25 = NH
 G30 = C(O)
 MPL: claim 1
 NTE: and pharmaceutically acceptable salts
 NTE: additional double bond, oxo, epoxy and methylene formation also
 claimed
 NTE: substitution is restricted

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

MPL: claim 1
 NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

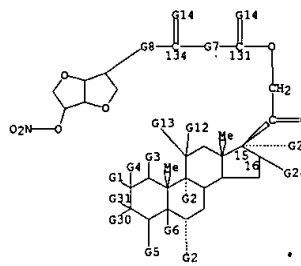
L18 ANSWER 9 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 133:187953 MARPAT
 TITLE: Nitrosated and nitrosylated steroids for the treatment of cardiovascular diseases and disorders
 INVENTOR(S): Garvey, David S.; Worcel, Manuel
 PATENT ASSIGNEE(S): Nitromed, Inc., USA
 SOURCE: PCT Int. Appl., 85 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000049993	A2	20000831	WO 2000-US4507	20000223
WO 2000049993	A3	20001130		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000037039	A5	20000914	AU 2000-37039	20000223
PRIORITY APPLN. INFO.:			US 1999-256171	19990224
			WO 2000-US4507	20000223

AB The present invention relates to nitrosated and/or nitrosylated steroids and to methods for the treatment of cardiovascular diseases and disorders, particularly the prophylactic and/or therapeutic treatment of restenosis, by administering nitrosated and/or nitrosylated steroids that are capable of releasing nitric oxide or indirectly delivering or transferring nitric oxide to targeted sites under physiol. conditions. The methods for the treatment of cardiovascular diseases and disorders may further comprise administering at least one compd. that donates, transfers, or releases nitric oxide and/or elevate endogenous nitric oxide or endothelium-derived relaxing factor in vivo and/or is a substrate for nitric oxide synthase. Dexamethasone and prednisolone 21-nitrates were prepd. and were superior relative to the parent steroid in inhibiting the proliferation of vascular smooth muscle cells.

MSTR 5

L18 ANSWER 9 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G7 = NH
 G14 = O
 G21 = 67

67(0)-G15

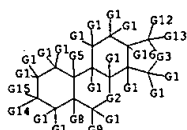
MPL: claim 1
 NTE: substitution is restricted

L18 ANSWER 10 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 133:34421 MARPAT
 TITLE: Use of 17-ketosteroid compounds and derivatives, metabolites, and precursors thereof in treatment of toxoplasmosis and cryptosporidiosis
 INVENTOR(S): Ahlen, Clarence Nathaniel; Frincke, James Martin; Prendergast, Patrick T.; Thadikonda, Krupakar Paul
 PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032176	A2	20000608	WO 1999-US28080	19991124
WO 2000032176	A3	20001207		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1998-110127P	19981127
			US 1999-124087P	19990311
			US 1999-126056P	19990323

AB 17-Keto steroids and related compds., e.g. 16.alpha.-bromoepiandrosterone (I), and their pharmaceutically acceptable salts are used to treat infections with Toxoplasma or Cryptosporidium and to ameliorate or reduce symptoms assocd. with such infections. Thus, a suspension was prepd. contg. 50 mg 1/ml in PEG-300 25, EtOH 12.5, benzyl benzoate 5, and propylene glycol 5%. I.v. administration of the steroids is preferred. The keto steroids may also be used to treat, or to ameliorate symptoms assocd. with, retroviral infections or malaria in humans.

MSTR 1A



G2 = 42



L18 ANSWER 10 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G3 = 45



G12 = alkoxy-carbonyl<(1-14)>
 G15 = 109

1096-C(0)-O-G18-G20

G18 = (0-3) CH2

G26 = NH

MPL: claim 1
 NTE: further derivatization also claimed

L18 ANSWER 11 OF 27 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032177	A2	20000608	WO 1999-US28082	19991124
WO 2000032177	A3	20010322		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 9915644 A 20010807 BR 1999-15644 19991124
EP 113287 A2 20010919 EP 1999-965050 19991124

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

US 1998-109924P	19981124
US 1999-124087P	19990311
US 1999-126056P	19990323
WO 1999-US28082	19991124

AB The invention provides the use of 17-ketosteroids, as well as deriva., metabolites and precursors of such compds., and their pharmaceutically acceptable salts, in the treatment of prevention of hepatitis C type virus and/or hepatitis G type virus in patients in need of such treatment. In addn., the invention provides methods to treat or prevent togavirus infections, including infections by 1 or more alphaviruses, flaviviruses, such as yellow fever virus, hepatitis C virus and hepatitis G virus, rubella viruses, or pestiviruses, such as bovine virus diarrhea virus. In addn., the invention provides combination therapies including administration of one or more compd. of the present invention, as defined herein, and administration of one or more compd. selected from plasma concn.-enhancing compds., macrophage stimulating factor, oxidn. agents, ribavirin and alpha-interferon, and/or oxygen ventilation. The compds. of the present invention may also be used to ameliorate or reduce 1 or more symptoms assocd. with a togavirus infection. Two lots of a non-aq. formulation was made at a 16a-bromopregnandione concn. of 50 mg/mL in 25% polyethylene glycol 300, 12.5% dehydrated EtOH, 5% benzyl benzoate, and 57.5% propylene glycol.

MSTR 1A

L18 ANSWER 12 OF 27 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5990099	A	19991123	US 1997-994114	19971219
US 4876250	A	19891024	US 1988-264918	19881031
US 5371078	A	19941206	US 1992-941485	19920908
US 5698545	A	19971216	US 1996-643387	19960506
WO 9903503	A1	19990128	WO 1998-US12711	19980618

W: AU, BR, CA, JP, MX, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9881515 A1 19990210 AU 1998-81515 19980618
AU 734195 B2 20010607
EP 1003553 A1 20000531 EP 1998-931367 19980618

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

BR 9811012 A 20001017 BR 1998-11012 19980618
JP 2001510170 T2 20010731 JP 2000-502798 19980618
CA 2315829 AA 19990701 CA 1998-2315829 19981207
WO 9932127 A1 19990701 WO 1998-US25913 19981207

W: AU, BR, CA, JP, MX
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9917142 A1 19990712 AU 1999-17142 19981207
AU 734436 B2 20010614
EP 1039912 A1 20001004 EP 1998-961956 19981207
EP 1039912 B1 20020807

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

BR 9813684 A 20001010 BR 1998-13684 19981207
JP 2001526233 T2 20011218 JP 2000-525118 19981207
AT 221781 E 20020815 AT 1998-961956 19981207
ES 2177112 T3 20021201 ES 1998-961956 19981207
MX 9911140 A 20000430 MX 1999-11140 19991202

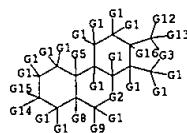
PRIORITY APPLN. INFO.:

US 1988-264918	19881031
US 1989-419226	19891010
US 1990-559123	19900727
US 1992-941485	19920908
US 1994-349342	19941202
US 1996-643387	19960506
US 1997-990424	19971215
US 1997-895184	19970716
US 1997-994114	19971219
WO 1998-US12711	19980618
WO 1998-US25913	19981207

AB Compns. of angiotatic agents for treating GLCIIA glaucoma and methods for their use are disclosed. Prepn. of selected steroid agents of the invention, e.g. 3.beta.-acetamido-5.beta.-pregnan-11.beta.-17.alpha.-21-

L18 ANSWER 11 OF 27 MARPAT COPYRIGHT 2003 ACS

(Continued)



G2 = 42



G3 = 45



G12 = alkoxy-carbonyl<(1-14)>

G15 = 109

G26-C(O)-G18-G20

G18 = (0-3) CH2

G26 = NH

MPL: claim 1

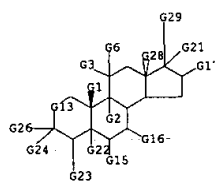
NTE: further derivatization also claimed

L18 ANSWER 12 OF 27 MARPAT COPYRIGHT 2003 ACS

(Continued)

triol-20-one 21-acetate, is described.

MSTR 1A



G4 = alkyl<(1-6)> (SO (1-) X)

G5 = 27

G13 = 46

G14 = 46

G24 = 92

G25-C(O)-G5

G25 = NH

G30 = C(O)

DER: and pharmaceutically acceptable salts

MPL: claim 1

NTE: additional double bond, oxo and methylene formation also claimed

NTE: substitution is restricted

REFERENCE COUNT:

28

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 131:54038 MARPAT
 TITLE: Steroidal angiostatic agents and compositions for controlling GLC1A glaucoma, compositions, and preparation thereof
 INVENTOR(S): Clark, Abbot F.
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

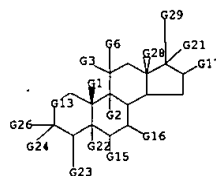
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932127	A1	19990701	WO 1998-US25913	19981207
W: AU, BR, CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5990099	A	19991123	US 1997-994114	19971219
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
CA 2315829	AA	19990701	CA 1998-2315829	19981207
AU 9917142	A1	19990712	AU 1999-17142	19981207
AU 734436	B2	20010614		
EP 1039912	A1	20001004	EP 1998-961956	19981207
EP 1039912	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813684	A	20001010	BR 1998-13684	19981207
JP 2001526233	T2	20011218	JP 2000-525118	19981207
AT 221781	E	20020815	AT 1998-961956	19981207
MX 9911140	A	20000430	MX 1999-11140	19991202

PRIORITY APPLN. INFO.:

AB Comps. of steroid angiostatic agents for treating GLC1A glaucoma and methods for their use are disclosed. Prepn. of selected steroid agents of the invention, e.g. 3.beta.-acetamido-21-acetoxo-5.beta.-pregnan-

L18 ANSWER 13 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
 11.beta.,17.alpha.-diol-20-one, is described.

MPTR 1A



G4 = alkyl<(1-6)> (SO (1-) X)
 G5 = 27

G13 = 46

G14 = 46

G24 = 92

G25-C(O)-G5

G25 = NH
 G30 = C(O)
 DER: and pharmaceutically acceptable salts
 MPL: claim 2
 NTE: additional double bond, cxo and methylene formation also claimed
 NTE: substitution is restricted

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 14 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 130:17237 MARPAT
 TITLE: Lipid soluble steroid prodrugs
 INVENTOR(S): Unger, Evan C.; Shen, Dekang
 PATENT ASSIGNEE(S): ImaRx Pharmaceutical Corp., USA
 SOURCE: PCT Int. Appl., 175 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

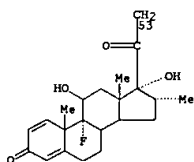
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850040	A1	19981112	WO 1998-US7492	19980415
W: AU, BR, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6090800	A	20000718	US 1997-851780	19970506
AU 9869719	A1	19981127	AU 1998-69719	19980415
PRIORITY APPLN. INFO.:			US 1997-851780	19970506
			WO 1998-US7492	19980415

AB The present invention is directed to novel lipid sol. steroid prodrugs, compns. comprising steroid prodrugs, and uses of the same. Thus, dexamethasone was allowed to esterify with 1,2-dipalmitoyl-sn-glycero-3-succinate to produce the ester which was mixed with DPPC, DPFA and DPPE-PEG. Drug-entrapped vesicles were obtained in which no dexamethasone was detected in washes or supernatants.

MPTR 1

G1-G2-G4

G1 = 53



G2 = 13-1 11-3

G4 = C(O)H

G4 = 74

L18 ANSWER 14 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G7-G7

G7 = alkyl (SO (1-) F)
 MPL: claim 2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

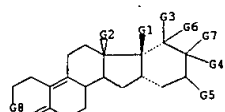
L18 ANSWER 15 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 129:41310 MARPAT
 TITLE: Cycloalkyl steroids, procedure for their production, pharmaceutical preparation containing them and their use in production of drugs
 INVENTOR(S): Kaach, Helmut; Schoellkopf, Klaus; Fritzemeier, Karl-Heinrich; Krattenmacher, Rolf; Muhn, Hans-Peter
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Ger. Offen., 14 pp.
 CODEN: GWXXRX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19649984	A1	19980528	DE 1996-19649984	19961122
WO 9823634	A1	19980604	WO 1997-EP6542	19971124

V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9855551 A1 19980622 AU 1998-55551 19971124
 DE 1996-19649984 19961122
 WO 1997-EP6542 19971124
 PRIORITY APPLN. INFO.:
 AB The title compds. (I) R17 = alkanoyl but not acetyl; R13 = Me, Et; R2', R3', R4' = H, Me; X = (H, H), (H, OH), S(CH₂)_nS, etc.; n = 2, 3, 4, 5], having gestagenic activity (no data), are prepd. Thus, 17.β-acetyl-16.α.,17.α.-cyclohex-3',4'-eno-13.β.-ethyl-3-methoxy-1,3,5(10)-gonatriene was hydrogenated over Pd/C, the resulting 17.β-acetyl-16.α.,17.α.-cyclohexano-13.β.-ethyl-3-methoxy-1,3,5(10)-gonatriene was alkylated with MeI in THF-hexane contg. BuLi, the resulting 17.β-propionyl-16.α.,17.α.-cyclohexano-13.β.-ethyl-3-methoxy-1,3,5(10)-gonatriene in THF was treated with Birch reagent prepd. from NH₃, Li, and tert-butanol, the resulting 17.β-(1-hydroxypropyl)-16.α.,17.α.-cyclohexano-13.β.-ethyl-3-methoxy-2,5(10)-gonadiene was treated with H₂SO₄ in acetone, the resulting 17.β-(1-hydroxypropyl)-16.α.,17.α.-cyclohexano-13.β.-ethylgon-5(10)-en-3-one was treated with pyridine hydrobromide perbromide in pyridine, and the resulting 17.β-(1-hydroxypropyl)-16.α.,17.α.-cyclohexano-13.β.-ethylgon-4,9-dien-3-one was oxidized with Jones reagent in acetone to give the title compd. 17.β-(1-hydroxypropyl)-16.α.,17.α.-cyclohexano-13.β.-ethylgon-4,9-dien-3-one.

MSTR 1

L18 ANSWER 15 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G1 = alkylcarbonyl<(1-4)>
 G8 = 51

G16 = 53

G17 = 53

G17 = 53

G17 = alkoxycarbonyl<(1-6)>
 MPL: claim 1

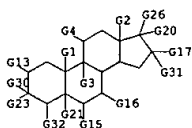
L18 ANSWER 16 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 128:39554 MARPAT
 TITLE: Use of steroid compounds to prevent non-cancerous tissue growth
 INVENTOR(S): Clark, Abbot F.; Goode, Stephen M.
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: PCT Int. Appl., 22 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741867	A1	19971113	WO 1997-US2809	19970221

V: AU, CA, JP, MX
 RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 AU 9719701 A1 19971126 AU 1997-19701 19970221
 PRIORITY APPLN. INFO.:
 US 1996-19060P 19960509
 WO 1997-US2809 19970221

AB Disclosed are pregnane analogs for use in preventing non-cancerous tissue growth and pharmaceutical compns. contg. them. For example, an ocular soln. contained 21-nor-5.β-pregnan-3.α.,17.α.,20-triol-3-phosphate 1, benzalkonium chlorides 0.01, HPFC 0.5, NaCl 0.8, Na phosphate 0.28, di-Na edetate 0.01 %, NaOH/HCl q.s. to pH 7.2, and purified water to 100 %.

MSTR 1



G5 = alkyl<(1-6)> (50 (1-) G29)
 G6 = 35

G23 = 87

G24-C(0)-G6

G24 = NH

G27 = C(0)

MPL: claim 1

NTE: substitution is restricted

NTE: additional steroid derivatives also claimed

L18 ANSWER 16 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

L18 ANSWER 19 OF 27 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 126:171849 MARPAT
 TITLE: Preparation of steroid-containing sialic acid amide derivatives enhancing a choline acetyltransferase activity in cholinergic neurons
 INVENTOR(S): Chaki, Haruyuki; Ando, Naoko; Jikihara, Tetsuo; Saito, Ken-ichi; Yugami, Tomoko
 PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Chaki, Haruyuki; Ando, Naoko; Jikihara, Tetsuo; Saito, Ken-ichi; Yugami, Tomoko
 SOURCE: PCT Int. Appl., 309 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

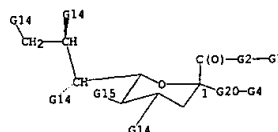
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700885	A1	19970109	WO 1996-JP1726	19960621
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2225382	AA	19970109	CA 1996-2225382	19960621
EP 837070	A1	19980422	EP 1996-918876	19960621
EP 837070	B1	20011031		
R: DE, ES, FR, GB, IT				
ES 2169801	T3	20020716	ES 1996-918876	19960621
US 6288041	B1	20010911	US 1997-981240	19971219
PRIORITY APPLN. INFO.:			JP 1995-157888	19950623
			JP 1995-157889	19950623
			WO 1996-JP1726	19960621

AB Sialic acid derivs. represented by general formula [I]; R1 = residue of cholestane or other steroidal compd.; R2 = H or Me; R3 = C1-6 alkyl, Q, R10(CH2)m, R11R12N(CH2)n; wherein R6, R7 = H, halo, C1-4 alkyl, HO, alkoxy, PhO, phenyl-C1-3 alkoxy, NO2, NH2, C1-4 alkylamino, di(C2-8 alkyl)amino, CO2H, etc.; 1 = 0-6; R10 = H, C1-4 alkyl, (un)substituted Ph or phenyl-C1-3 alkyl; m = 2-6; R11 = H, C1-4 alkyl; R12 = H, C1-4 alkyl, C2-7 acyl, C1-4 alkylsulfonyl, (un)substituted PhSO2, alkoxy, carbonyl, PhO2C, phenyl-C1-3 alkoxy, carbonyl, n = 2-6; R4 = H or acyl; R5 = HO, C2-7 cycloxy, C2-7 acylamino, R16O(CH2)p, (un)substituted C7-11 aryl or phenyl-C1-3 alkoxy, carbonyl; wherein R16 = H, C1-6 alkyl, Ph, phenyl-C1-3 alkyl; p = 0-4; X = O, S] are prepd. These derivs. have the effect of enhancing a choline acetyltransferase activity in cholinergic neurons and are useful for preventing and treating (1) dementia, (2) memory disorder, (3) peripheral nerve disorder, (3) Alzheimer's disease, (4) cerebral vascular dementia accompanied by stroke, brain hemorrhage, and brain infarction, (5) memory disorder, lowered power of attention, speech disorder, lowered desire (volition), emotion disorder, delusion, and behavioral abnormality accompanied by brain trauma, after-effects of encephalitis, cerebral palsy, Huntington's disease, Pick's disease, Down's disease, and Parkinson's disease. Thus, N-acetamido-4,7,8,9-tetra-O-acetyl-3,5-dideoxy-2-O-methyl- α -D-glycero-D-galacto-2-nomulopyranosonic acid was treated with iso-Bu chlorocarbonate in the presence of N-methylmorpholine in THF and condensed with 3- α -amino-24-hydroxy-5- β -choleane hydrochloride (prepn. given) followed by treatment with NaOMe in MeOH to give α -1 (R1 = α -1-Q1; where R = CH3; R2 = R4 = H, R3 = OMe). The β -1 epimer

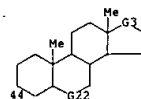
L18 ANSWER 19 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

of the latter compd. α -1 (R1 = β -1-Q1; where R = OH; R2 = R4 = H, R3 = OMe) and α -1 (NR1R2 = L-Ser-NH- α -Q1; wherein R = CHMe2; R4 = H, R3 = OMe) in vitro increased choline acetyltransferase activity in septal area neuron to 83 and 102%, resp., at 3 μ M, 136 and 166%, resp., at 10 μ M, and 92 and 18%, resp., at 30 μ M.

MSTR 1



G1 = 44



G3 = 82

G2 = 21

G9 = 36



G12 = alkoxy, carbonyl (1-4)

G21 = CO2H

G22 = C(O)

DER: or salts, hydrates

MPL: claim 1

NTE: carbon with the node number 1 is not stereochemically specified

L18 ANSWER 20 OF 27 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 125:14476 MARPAT
 TITLE: Preparation of diol bis-(benzoates or heterocyclylcarboxylates) as antiinflammatory agents and platelet aggregation inhibitors
 INVENTOR(S): Del Soldato, Piero; Sannicolo, Francesco; Benincori, Tiziana
 PATENT ASSIGNEE(S): Laboratori Alchemia S.R.L., Italy
 SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

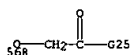
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615809	A2	19960530	WO 1995-EP4556	19951120
WO 9615809	A3	19961017		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641741	A1	19960617	AU 1996-41741	19951120
EP 793507	A2	19970910	EP 1995-940211	19951120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
EP 1038534	A2	20000927	EP 2000-105715	19951120
EP 1038534	A3	20010404		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 6369260	B1	20020409	US 1997-836756	19970516
PRIORITY APPLN. INFO.:			IT 1994-MI2362	19941122
			EP 1995-940211	19951120
			WO 1995-EP4556	19951120

AB The title compds. M-A-X-B-M [I; M = 2-AcOCH₂CO; 3-(PhCO)C₆H₄CH(Me)CO; etc.; A, B = O, S, NH, CO, etc.; X = alkylidene, phenylene, piperazino, etc.], useful as antiinflammatory, antiarthritic, antiedemogenic, antihypertensive agents and platelet aggregation inhibitors, were prepd. Treatment of flurbiprofen [3,4-F(Ph)C₆H₃CH(Me)CO₂H] with NaOMe followed by reaction with Br(CH₂)₄Br in DMF afforded 1 [M = 3,4-F(Ph)C₆H₃CH(Me)CO; A = B = O; X = (CH₂)₄] which showed the antiedemogenic activity of 0.8 vs. 1 for flurbiprofen.

MSTR 1A

G1—G2—G4—G3—G1

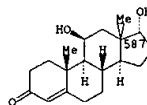
G1 = 568



G3 = C(O)

L18 ANSWER 20 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G4 = NH
 G25 = 587



MPL: claim 1

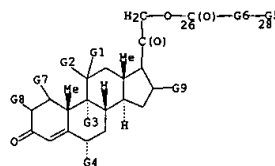
L18 ANSWER 21 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 124:317603 MARPAT
 TITLE: 17-Deoxycorticosteroid 21-carboxylates as topical
 antiinflammatory agents
 INVENTOR(S): Stache, Ulrich; Alpermann, Hans-Georg; Bohn, Manfred
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany
 SOURCE: Ger. Offen., 22 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4433374	A1	19960321	DE 1994-4433374	19940920
TW 424094	B	20010301	TW 1995-84109384	19950908
EP 708111	A1	19960424	EP 1995-114511	19950915
EP 708111	B1	20000105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 188480	E	20000115	AT 1995-114511	19950915
ES 2140596	T3	20000301	ES 1995-114511	19950915
FI 9504394	A	19960321	FI 1995-4394	19950918
AU 9531728	A1	19960404	AU 1995-31728	19950918
AU 695710	B2	19980820		
CN 1124250	A	19960612	CN 1995-116294	19950918
CN 1056151	B	20000906		
US 5824670	A	19981020	US 1995-529668	19950918
CZ 288297	B6	20010516	CZ 1995-2425	19950918
CA 2158610	AA	19960321	CA 1995-2158610	19950919
NO 9503695	A	19960321	NO 1995-3695	19950919
ZA 9507877	A	19960403	ZA 1995-7877	19950919
JP 08099992	A2	19960416	JP 1995-239398	19950919
HU 72969	A2	19960628	HU 1995-2735	19950919
RU 2161624	C2	20010110	RU 1995-116371	19950919
			DE 1994-4433374	19940920

PRIORITY APPLN. INFO.:
 AB Title compds. I [R = OH, Cl, O; Y = H, F, Cl; RY = bond; R1 = aryl, heteroaryl; R2 = H, Me; X = alkylene; Z = H, F, Me] were prepd. by esterification. Thus, desoximetasone 21-cinnamate (II) was obtained by treating desoximetasone with cinnamoyl chloride in presence of pyridine. At 0.3 mg/mL II, applied to the skin, gave 83% inhibition of oxazolone-induced inflammation.

MSTR 1

L18 ANSWER 21 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G14 = 43

G17 = G17

G17 = CO2Bu-t

MPL: claim 1

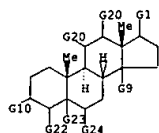
NTE: the total number of carbon atoms in G10 and G12 is 2-4

L18 ANSWER 22 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 123:83833 MARPAT
 TITLE: Novel urethane-containing aminosteroid compounds
 INVENTOR(S): Yu, Chia-Nien; Genain, Gilles Yves; Boujo, Rachel
 PATENT ASSIGNEE(S): Procter and Gamble Co., USA
 SOURCE: PCT Int. Appl., 179 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508559	A1	19950330	WO 1994-US10780	19940923
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5922703	A	19990713	US 1993-126293	19930924
CA 2172495	AA	19950330	CA 1994-2172495	19940923
AU 9478779	A1	19950410	AU 1994-78779	19940923
AU 690926	B2	19980507		
EP 720617	A1	19960710	EP 1994-929872	19940923
EP 720617	B1	19981230		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1135221	A	19961106	CN 1994-194213	19940923
HU 74169	A2	19961128	HU 1996-736	19940923
BR 9407654	A	19970128	BR 1994-7654	19940923
JP 09502984	T2	19970325	JP 1995-509954	19940923
AT 175205	E	19990115	AT 1994-929872	19940923
ES 2126155	T3	19990316	ES 1994-929872	19940923
NO 9601168	A	19960523	NO 1996-1168	19960322
			US 1993-126293	19930924
			WO 1994-US10780	19940923

AB Urethane-contg. aminosteroid compds. I [R1 = (un)substituted CO2H, CH2OH, acyl, CH2NH2, lactone; R2 = (un)substituted NH2, OH; R3 = urethane-contg. moiety; R4, R14 = (un)substituted OH; H: the dotted bonds are single or double bonds) or salts or esters thereof were prepd. for use in treating congestive heart failure. Thus, (3.beta.,5.beta.,14.beta.,17.beta.)-14-amino-3-hydroxyandrostane-17-carboxylic acid was treated with 3-piperidinol to give the 3-(3-hydroxypiperidinyl)oxy deriv. This compd. was used at a dose of 0.25 mg/day in treatment of congestive heart failure. Pharmaceutical formulations are also described.

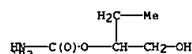
MSTR 1



L18 ANSWER 22 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G1 = CO2H

G10 = 193



DER: and pharmaceutically acceptable salts or esters

MPL: claim 1

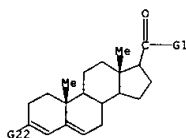
L18 ANSWER 23 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 121:205797 MARPAT
 TITLE: Preparation and formulation of 17-acylandrosta-3,5-diene-3-carboxylates as steroid 5.alpha.-reductase inhibitors
 INVENTOR(S): Holt, Dennis Alan; Levy, Mack Alan
 PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411386	A1	19940526	WO 1993-US11241	19931118
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, 'LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, EF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TO				
ZA 9308538	A	19940913	ZA 1993-8538	19931116
ZA 9308540	A	19940913	ZA 1993-8540	19931116
CA 2149427	AA	19940526	CA 1993-2149427	19931118
AU 9456717	A1	19940608	AU 1994-56717	19931118
CN 1101914	A	19950426	CN 1993-114775	19931118
CN 1101916	A	19950426	CN 1993-121434	19931118
EP 669932	A1	19950906	EP 1994-902307	19931118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08503474	T2	19960416	JP 1993-512507	19931118
US 5641765	A	19970624	US 1995-436240	19950517
US 5641877	A	19970624	US 1995-453865	19950530
			GB 1992-24213	19921118
			GB 1993-16954	19930814
			WO 1993-US11241	19931118
			US 1995-436240	19950517

PRIORITY APPLN. INFO.:

AB Title compds. [I; A = (std.) hydrocarbylene; R = substituted alkyl, (un)substituted cycloalkyl, heterocyclyl, (hetero)aryl] were prepd. Thus, androst-4-en-3-one-17.beta.-carboxylic acid was converted in 4 steps to 17.beta.-(phenethylcarbonyl)androsta-3,5-diene-3-carboxylic acid. I had Ki of 2-85 and 0.2-7nM against isoenzyme 1 and 2 of steroid 5.alpha.-reductase, resp.

MPTR 1



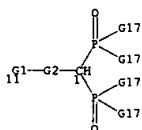
L18 ANSWER 24 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 120:31024 MARPAT
 TITLE: Preparation of steroid-methylenebis(phosphonate)conjugates as bone resorption inhibitors
 INVENTOR(S): Ueno, Hiroaki; Kadowaki, Syuichi; Kamizono, Akihito; Morioka, Masahiko; Mori, Akihisa
 PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
 SOURCE: Eur. Pat. Appl., 55 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 555845	A2	19930818	EP 1993-102143	19930211
EP 555845	A3	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 05286993	A2	19931102	JP 1993-21477	19930209
JP 2746041	B2	19980428		
CA 2089194	AA	19930815	CA 1993-2089194	19930210
US 5391776	A	19950221	US 1993-15800	19930210
			JP 1992-28497	19920214

PRIORITY APPLN. INFO.:

AB R3OACH[P(O)(OR)2]2 [A = CO[NH(CHR1)YpCO]mNH, CO21x2qONH, (CH2)k2[CH2]1, CO(CH2)n; R = H, alkyl, aryl, etc.; R3 = steroid residue; Y, Z = O or NH; Z1 = (substituted) vinylene; Z2 = (cyclo)alkylene, phenylene; l, m, k = 0-5; n = 0-10; p, q, x = 0 or 1; yr = 1-3] were prepd. as bone resorption inhibitors. Thus, 17.beta.-hydroxy-3-methoxymethoxy-1,3,5-estratriene was condensed with N,N'-carbonyldiimidazole and the product condensed with H2NCH2CO2Me to give, after sapon., R3O2CNHCH2CO2H (R3 = estratrienyl group Q; R4 = CH2OMe) which was condensed with H2NCH[P(O)(OEt)2]2 to give, after deprotection, R3O2C(NH)9CH2CONHCH[P(O)(OH)2]2 (R3 = Q, R4 = H) (l; q = 1). Similarly prepd. 1 (q = 0) showed significant bone resorption inhibitory action (data given) in ovariectomized rats at 40 .mu.g/kg s.c./day for 28 days.

MPTR 1

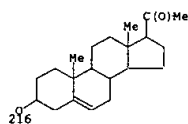


G1 - 216

L18 ANSWER 23 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)

G5 = acyloxy / NH2 / OH
 G13 = Ak<BD (ALL) SE> (SO (1-) G5)
 DER: and pharmaceutically acceptable salts, hydrates, solvates, and esters
 DER: or groups that can be chemically modified
 MPL: claim 1
 NTE: also incorporates claims 28 and 32

L18 ANSWER 24 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



G2 = 13-11 15-1

15(O)G3-NH

G3 = (0-5) 16-13 19-15

16-G4-G6-15(O)

G4 = (1-3) CH2 (SO)

G6 = O

MPL: claim 1

L18 ANSWER 25 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 119:160646 MARPAT
 TITLE: Preparation and formulation of angiotensin steroids
 INVENTOR(S): Clark, Abbot F.; Conrow, Raymond E.
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310141	A2	19930527	WO 1992-US10133	19921123
WO 9310141	A3	19930902		
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5371078	A	19941206	US 1992-941485	19920908
AU 932235	A1	19930615	AU 1993-32235	19921123
AU 678961	B2	19970619		
EP 614463	A1	19940914	EP 1993-900609	19921123
EP 614463	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
US 5679666	A	19971021	US 1994-342524	19941121
US 5770592	A	19980623	US 1997-895184	19970716
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
MX 9911140	A	20000430	MX 1999-11140	19991202
US 6297228	B1	20011002	US 1999-445237	19991202

PRIORITY APPLN. INFO.:
 US 1991-796169 19911122
 US 1992-892448 19920602
 US 1992-941485 19920908
 US 1988-264918 19881031
 US 1989-419226 19891010
 US 1990-559123 19900727
 WO 1992-US10133 19921123
 US 1994-342524 19941121
 US 1997-895184 19970716
 WO 1998-US12711 19980618

AB Title compds. [I and II; R1 = H, .beta.-Me, .beta.-Et, R2 = H, F, Cl; R3 = H, alkoxy, alkanoyloxy, halo, O2CNH2, etc.; R2R3 = bond, O; R5 = H, OH, halo, Me, Ph, vinyl, alkyl, R6 = H, Me; R9 = H, OH, Me, F, 2-(alkoxy)ethyl, 2-(alkanoyloxy)ethyl, etc.; R10 = H, C.tplbond.CH, vinyl, halo, OH, Me, etc.; R12 = H; R1R12 = bond; R13 = H, OH, alkoxy, NH2, etc.; R14 = H, R1R14 = bond; R25 = OH, alkoxy, alkanoyloxy, CO2H, CH2OH, etc.; Z = CHR4, etc.; R4 = H, Me, Cl, F] were prepd. Thus, tetrahydrocortisol-F was converted in 3 steps to 5.beta.-pregnan-11.beta.,17.alpha.,21-triol-2-

L18 ANSWER 26 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 113:115677 MARPAT
 TITLE: Preparation of androstane derivatives as drugs
 INVENTOR(S): Scholz, Stefan; Neef, Gunter; Ottow, Eckhard; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360369	A1	19900328	EP 1989-250040	19890920
EP 360369	B1	19950503		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3632303	A1	19900412	DE 1988-3832303	19880920
IL 91672	A1	19941229	IL 1989-91672	19890918
WO 9003385	A1	19900405	WO 1989-EP1090	19890920
W: AU, DK, FI, HU, JP, NO, US				
AU 8943049	A1	19900418	AU 1989-43049	19890920
AU 640616	B2	19930902		
ZA 8907191	A	19901031	ZA 1989-7191	19890920
DD 284682	A5	19901121	DD 1989-332836	19890920
HU 56851	A2	19911028	HU 1989-5541	19890920
HU 208151	B	19930830		
JP 04501712	T2	19920326	JP 1989-509963	19890920
JP 2760870	B2	19980604		
AT 122052	E	19950515	AT 1989-250040	19890920
ES 2074073	T3	19950901	ES 1989-250040	19890920
NO 9101102	A	19910319	NO 1991-1102	19910319
DK 9100504	A	19910320	DK 1991-504	19910320
US 524886	A	19930914	US 1991-663819	19910320
NO 9104772	A	19910319	NO 1991-4772	19911204

PRIORITY APPLN. INFO.:
 DE 1988-3832303 19880920
 WO 1989-EP1090 19890920
 NO 1991-1102 19910319

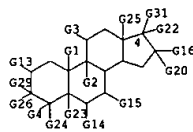
OTHER SOURCE(S): CASREACT 113:115677

AB The title compds. [I; Z = O, hydroxyimino; IM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyran-2-ylalkyl, etc.], useful as antigluco-corticoids, neoplasia inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(tetrahydropyran-2-yl)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstane-17-one II (R3R4 = O) (prepn. given) to give II (R3 = O, R4 = OH) treated with 4N HCl to give I (R1 = OMe, R2 = Me, R3 = (CH2)3OH, BD = CH2, IM = bond, Z = O, A = H) (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

MYR 13

L18 ANSWER 25 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
 one. 4,9(11)-Pregnen-17.alpha.,21-diol-3,20-dione gave complete inhibition of lipopolysaccharide-induced corneal neovascularization in rabbit eye at 50 .mu.g in a pellet implant.

MYR 1



G18 = 65

G19 = 65

G19 = alkyl<(1-6)> (SO (1-) X)

G26 = 95

G27-C(O)-G18

G27 = NH

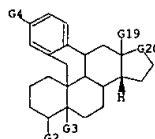
G32 = C(O)

MPL: claim 1

NTE: substitution is restricted

NTE: additional steroid derivatives allowed

L18 ANSWER 26 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)



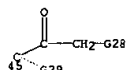
G6 = Ak<(-10)> (SO (1-) G8)

G7 = 90

G19 = OH

G8 = alkylcarbonyloxy<(1-8)> / OH

G20 = 45



DER: and acid addition salts

MPL: claim 1

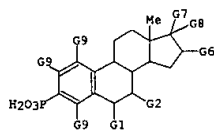
L18 ANSWER 27 OF 27 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 112:179604 MARPAT
 TITLE: Preparation of estratrienylphosphonates as steroid
 5.alpha.-reductase inhibitors
 INVENTOR(S): Holt, Dennis A.; Levy, Mark A.; Metcalf, Brian W.
 PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
 SOURCE: U.S., 14 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4882319	A	19891121	US 1988-290056	19881223
CA 2004946	AA	19900623	CA 1989-2004946	19891208
ZA 8909672	A	19901128	ZA 1989-9672	19891218
EP 375345	A1	19900627	EP 1989-313258	19891219
EP 375345	B1	19941130		
ES 2065400	T3	19950216	ES 1989-313258	19891219
AU 6946995	A1	19900628	AU 1989-46995	19891220
AU 631587	B2	19921203		
JP 02212499	A2	19900823	JP 1989-330926	19891220
DK 8906546	A	19900624	DK 1989-6546	19891221
			US 1988-290056	19881223

PRIORITY APPLN. INFO.: CASREACT 112:179604

OTHER SOURCE(S):
 AB The title compds. [I; R may be a mono- or a divalent radical, e.g., (substituted) carbamoyl, OH; X1, X2, X3 = H, Cl, F, Br, iodo, CF3, alkyl, OH, alkoxy, cyano, NO2, NR12, CHO, CO2R1; R1 = H, alkyl], useful as steroid 5.alpha.-reductase inhibitors (no data), are prepd. Estratriene deriv. II was prepd. in many steps from estrone Me ester via trifluoromethylsulfonylation, carbamoylation, hydrogenation, hydroxylation, trifluoromethylsulfonylation, and reaction with (MeO)2POH. A tablet, an injection, and a gel capsule were formulated contg. I.

MSTR 1A



G8 = 54

G11-C(O)-G21-O-G16

L18 ANSWER 27 OF 27 MARPAT COPYRIGHT 2003 ACS (Continued)
 G16 = 62

G(O)-G18

G18 = NH2
 G21 = alkylene<(1-12)>
 DER: or pharmaceutically acceptable salts
 MPL: claim 1

=> d his

(FILE 'HOME' ENTERED AT 13:18:23 ON 21 FEB 2003)

FILE 'REGISTRY' ENTERED AT 13:18:28 ON 21 FEB 2003

L1 1 S THIOURETHANE/CN
 ACTIVATE

L2 STR
L3 (7784)SEA FILE=REGISTRY SSS FUL L2
L4 STR
L5 (504)SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L6 411 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND 1/NC

L7 STRUCTURE UPLOADED
L8 12 S L7 SUB=L6 FULL
L9 STRUCTURE UPLOADED
L10 10 S L9
L11 159 S L9 FULL

FILE 'CAPLUS' ENTERED AT 13:26:37 ON 21 FEB 2003

L12 69 S L11
L13 56 S L12 NOT PY>=1999

FILE 'USPATFULL' ENTERED AT 13:32:21 ON 21 FEB 2003

L14 17 S L11
L15 0 S L14 NOT L12

FILE 'MARPAT' ENTERED AT 13:32:54 ON 21 FEB 2003

L16 41 S L11 FULL
L17 38 S L16/COM
L18 27 S L17 NOT L12

09/762,871

Page 1

=> d. ibib ab hitstr 1-3

09/762 871

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:909867 CAPLUS
 DOCUMENT NUMBER: 136:364762
 TITLE: Selective enhancement of gene transfer by steroid-mediated gene delivery
 AUTHOR(S): Rebuffat, Alexandre; Bernasconi, Alessio; Ceppi, Maurizio; Wehrli, Hans; Verca, Stefano; Brenz, Ibrahim; Merdoli, Frey, Brigitte M.; Frey, Felix J.; Rusconi, Sandro
 CORPORATE SOURCE: Division of Nephrology, Inselspital, Bern, Switzerland
 SOURCE: Nature Biotechnology (2001), 19(12), 1155-1161
 CODEN: NABIF9; ISSN: 1087-0156
 PUBLISHER: Nature America Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The incorporation of transgenes into the host cells' nuclei is problematic using conventional nonviral gene delivery technologies. Here we describe a strategy called steroid-mediated gene delivery (SMGD), which uses steroid receptors as shuttles to facilitate the uptake of transfected DNA into the nucleus. We use glucocorticoid receptors (GRs) as a model system with which to test the principle of SMGD. To this end, we synthesized and tested several bifunctional steroid derivatives, finally focusing on a compound, named DR9NP, consisting of a dexamethasone backbone linked to a psoralen moiety using a nine-atom chem. spacer. DR9NP binds to the GR in either its free or DNA-crosslinked form, inducing the translocation of the GR to the nucleus. The expression of transfected DR9NP-decorated reporter plasmids is enhanced in dividing cells: expression of steroid-decorated reporter plasmids depends on the presence of the GR, is independent of the transactivation potential of the GR, and correlates with enhanced nuclear accumulation of the transgene in GR-pos. cells. The SMGD effect is also observed in cells naturally expressing GRs and is significantly increased in nondividing cell cultures. We propose that getting of transgenes in nonviral somatic gene transfer.

IT 423119-97-5
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (Selective enhancement of gene transfer by steroid-mediated gene delivery)

RN 423119-97-5 CAPLUS
 CN Carbanic acid, [[2,5,9-trimethyl-17-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]-, 6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregn-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:144896 CAPLUS
 DOCUMENT NUMBER: 132:194550
 TITLE: Preparation of conjugates of DNA interacting groups with steroid hormones for use as nucleic acid transfection agents
 INVENTOR(S): Frey, Felix; Rusconi, Sandro; Frey, Brigitte; Wehrli, Hans-Ueli
 PATENT ASSIGNEE(S): Switz.
 SOURCE: PCT Int. Appl., 62 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

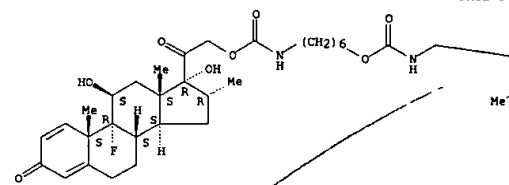
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011019	A1	20000302	WO 1998-181306	19980821
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888183	A1	20000314	AU 1998-88183	19980821
CA 2338342	AA	20000302	CA 1998-2338342	19980819
WO 2000011018	A1	20000302	WO 1999-CH384	19990819
V: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951463	A1	20000314	AU 1999-51463	19990819
EP 1105408	A1	20010613	EP 1999-936232	19990819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523422	T2	20020730	JP 2000-566290	19990819
PRIORITY APPLN. INFO.: WO 1998-181306 A 19980821 WO 1999-CH384 V 19990819				

AB Linked steroid hormone and DNA-interacting mol. RXR1 (R - steroid moiety; R1 - DNA-interacting moiety; X = 2 - 30 atom linking group), which target nucleic acids to the cell nucleus, were prepd. and formulated for use in gene therapy by introducing nucleic acids into the nucleus of cells. Thus, it was prepd. starting from cortisol, .beta.-alanine Me ester hydrochloride, and ethidium bromide. The prepd. compds. were tested using a nuclear transfer induction assay, as well as tested for soly. and stability in the presence of diaspase and proteinase K.

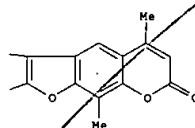
IT 259815-79-7F 259815-80-0P 259815-83-3P
 259815-86-6P 259815-89-9P 259815-94-6P
 259815-97-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of conjugates of DNA interacting groups with steroid hormones)

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B

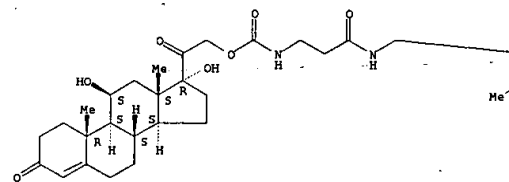


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

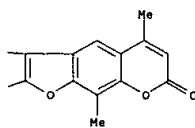
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)
 for use as nucleic acid transfection agents)
 RN 259815-79-7 CAPLUS
 CN Pregn-4-ene-3,20-dione, 11,17-dihydroxy-21-[[[3-oxo-3-[[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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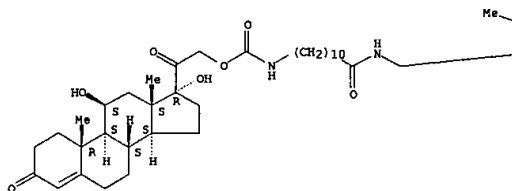


RN 259815-80-0 CAPLUS
 CN Pregn-4-ene-3,20-dione, 11,17-dihydroxy-21-[[[3-oxo-11-[[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

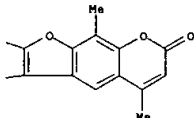
Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B



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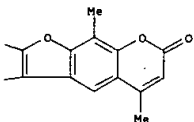
RN      259815-83-3  CAPIUS
CN      Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[3-oxo-3-[[[2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]propyl]amino]carbonyl]oxy]-, [11.beta.,16.alpha.]- (9CI)
        (CA INDEX NAME)

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Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

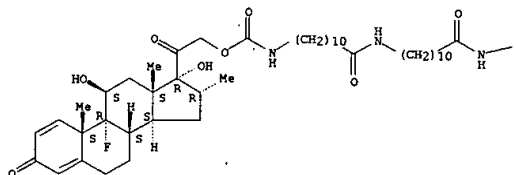
PAGE 1-B



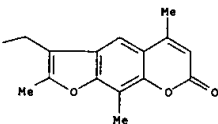
RN	259815-89-9	CAPLUS
CN	<p>Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[11-oxo-11-[[11-oxo-11-[[(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]undecyl]amino]carbonyloxy]-, (11.beta.,16.alpha.)-(9CI) (CA INDEX NAME)</p>	

Absolute stereochemistry.

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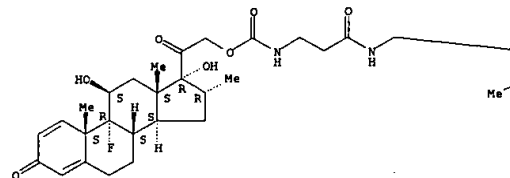


RN	259815-94-6	CAPLUS
CN	Pregna-1,4-diene-3,20-dione, 21-[[1,9-dioxo-11-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)-5,8-dioxo-2,10-diazaundec-1-yl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)	

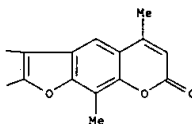
Absolute stereochemistry.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

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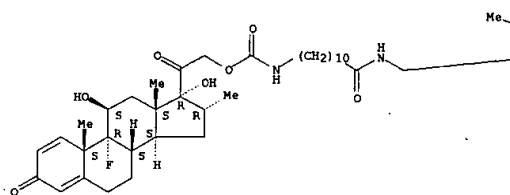
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RN      259815-86-6  CAPLUS
CN      Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-[[[11-oxo-11-[[2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]amino]undecyl]amino]carbonyloxy]-, (11.beta.,16.alpha.)- (9CI)
        [CA INDEX NAME]

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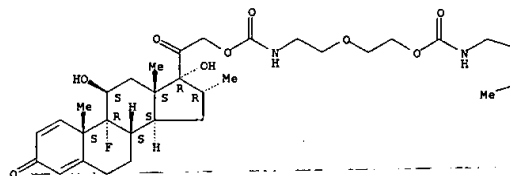
Absolute stereochemistry.

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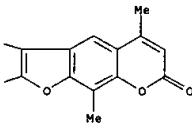


L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

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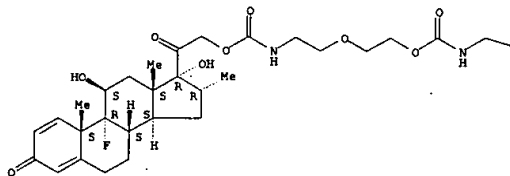
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RN      259815-97-9  CAPLUS
CN      Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-
        [[1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-
        yl)-5,8,13,16-tetraoxa-2,10,18-triazanonadec-1-yl]oxy],
        [-,beta.,16.alpha.)-(9CI) (CA INDEX NAME)

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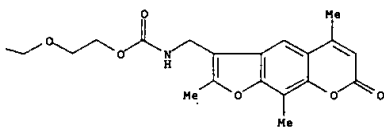
Absolute stereochemistry.

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L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:365048 CAPLUS
 DOCUMENT NUMBER: 131:170527
 TITLE: Cholesteryl esters of furocoumarin and coumarin carboxylic acids
 AUTHOR(S): Traven, Valery F.; Tolmachev, Alexander Yu.; Podhaluzina, Natalja Ya.; Kanevskii, Dmitrii S.
 CORPORATE SOURCE: Department of Organic Chemistry, D.Mendeleev University of Chemical Technology of Russia, Moscow, 125047, Russia
 SOURCE: Heterocyclic Communications (1999), 5(2), 183-187
 CODEN: HCOMEK, ISSN: 0793-0283
 PUBLISHER: Freund Publishing House Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Cholesteryl esters of angelicin and psoralen carboxylic acids have been prepd. by condensation of o-acetyl(hydroxy)coumarins with cholesteryl chloroacetate in acetonitrile in presence of potassium carbonate. Attempts to prep. these esters starting from furocoumarin carboxylic acids were unsuccessful. Cholesteryl ester of 2-(4-methyl-7-coumarinyl)oxybutanoic acid has been prepd. via alkylation of the acid by cholesteryl tosylate. The prepd. cholesteryl esters form thin films suitable for the Langmuir technol.

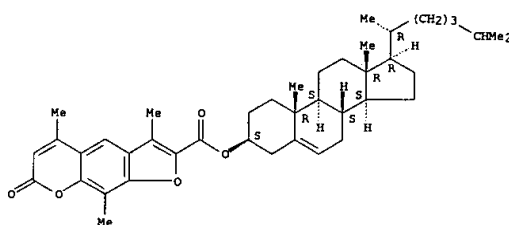
IT 239082-83-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of cholesteryl esters of furocoumarin and coumarin carboxylic acids)

RN 239082-83-8 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, 3,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-2-carboxylate-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L23 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:756726 CAPLUS
 DOCUMENT NUMBER: 133:310056
 TITLE: Preparation of steroid derivatives as antiinflammatory agents
 INVENTOR(S): Ogata, Kazumi; Nakao, Hidetoshi; Ito, Kazuhiko; Iemura, Masahito
 PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 17 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063229	A1	20001026	WO 2000-JP2531	20000418
V: CA, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPL. INFO.: JP 1999-110429 A 19990419

OTHER SOURCE(S): MARPAT 133:310056

AB Steroid derivs. represented by general formula $R_1COCH(R_2)CH_2CO_2H$ [R1 is a corticosteroid bonded through an ester linkage formed from the alc. hydroxyl group at position 21; and R2 is glutathione, cysteine or penicillamine bonded through a sulfide linkage] are prepd. The antiinflammatory activity of a compd. of this invention was demonstrated. Formulations are given.

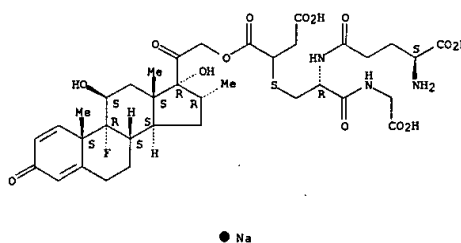
IT 301684-44-6P
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of steroid derivs. as antiinflammatory agents)

RN 301684-44-6 CAPLUS

CN Glycine, L, gamma.-glutamyl-S-[1-(carboxymethyl)-2-[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]-2-oxoethyl]-L-cysteinyl-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L23 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:169435 CAPLUS
 DOCUMENT NUMBER: 118:169435
 TITLE: 21-Substituted steroids
 INVENTOR(S): Hori, Kimihiko; Suzuki, Yasuo; Morioka, Tomonori; Moriaki, Shigeru; Hase, Tadashi; Tsuchiya, Shuichi
 PATENT ASSIGNEE(S): Kao Corp., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273893	A2	19920930	JP 1991-33119	19910227
JP 1991-33119 19910227				

PRIORITY APPL. INFO.: MARPAT 118:169435

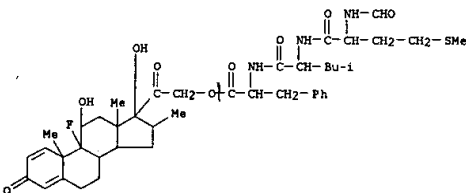
AB Title compds. I (R1 = OH; R2 = H, lower alkyl; R1 and R2 may form a lower alkyldenedioxy group; X, Y = H, halo; Z = O, S; the wavy line indicates .alpha.- or .beta.-configuration and the broken line between 1 and 2 positions the possible presence of a double bond), useful for anti-inflammatory agents, are prepd. Thus, treating 179 mg betamethasone with 200 mg N-formyl-L-methionyl-L-leucyl-L-phenylalanine in EtOAc in the presence of 1-hydroxybenzotriazole, dicyclohexylcarbodiimide, and Et3N at 0.degree. and then at room temp. gave 107 mg I (R1 = OH, R2 = Y = H, X = F, Z = O).

IT 146433-86-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, for anti-inflammatory agents)

RN 146433-86-5 CAPLUS

CN L-Phenylalanine, N-[N-(N-formyl-L-methionyl)-L-leucyl]-, (11.beta.,16.beta.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)



L23 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:209354 CAPLUS
 DOCUMENT NUMBER: 102:209354
 TITLE: Saccharide receptor-mediated drug delivery
 AUTHOR(S): Ponpipom, M. M.; Bugianesi, R. L.; Robbins, J. C.; Doebber, T. W.; Shen, T. Y.
 CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
 SOURCE: NATO ASI Series, Series A: Life Sciences (1984), 82(Recept.-Mediated Targeting Drugs), 53-71
 CODEN: NALSDD; ISSN: 0258-1213
 DOCUMENT TYPE: Journal
 LANGUAGE: English

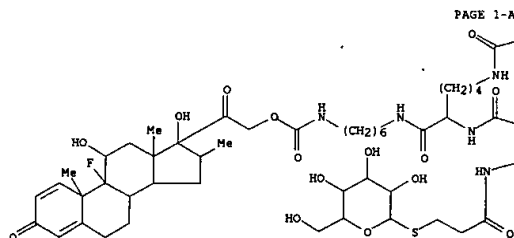
AB A small mol. wt. synthetic glycopeptide, Man3lys2 [79390-81-1], was a good substrate for the macrophage D-mannose-specific glycoprotein uptake system. This and related ligands may be useful in the selective delivery to macrophages of antigens, adjuvants, antiinflammatory drugs, antiparasitic agents, and other pharmaceuticals. Analogous ligands may also be useful for delivery of such agents to other target cells that may contain distinctive uptake systems. Using the small synthetic glycopeptide, Man3lys2, chem. coupled to human placental .beta.-glucocerebrosidase, the increased delivery of the derivatized enzyme to macrophages both in-vivo and in-vitro was demonstrated.

IT 79360-28-4

RL: PROC (Process)
 (for drug delivery, macrophage uptake of)

RN 79360-28-4 CAPLUS

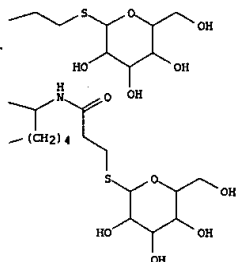
CN L-Lysinamide, N2,N6-bis[3-[(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N-[6-[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxycarbonyl]amino]hexyl]-N6-[3-[(.alpha.-D-mannopyranosylthio)-1-oxopropyl]- (9CI) (CA INDEX NAME)



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L23 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:179919 CAPLUS
 DOCUMENT NUMBER: 98:179919
 TITLE: Cell-specific glycopeptide ligands
 INVENTOR(S): Ponpipom, Mitrea M.; Bugianesi, Robert L.; Robbins, James C.; Shen, Tsung Ying
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: Eur. Pat. Appl., 58 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 63373	A1	19821027	EP 1982-103247	19820419
EP 63373	B1	19860312		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4386026	A	19830531	US 1981-255416	19810420
DK 8201732	A	19821021	DK 1982-1732	19820419
ES 511516	A1	19830501	ES 1982-511516	19820419
AT 18562	E	19860315	AT 1982-103247	19820419
JP 57181095	A2	19821108	JP 1982-64870	19820420
PRIORITY APPLN. INFO.: US 1981-255416 19810420 EP 1982-103247 19820419				

AB Cell-sp. ligands comprising conjugates of saccharides and amino acids or peptides were prepd. These compds. are useful as tissue sp. substances, which can be coupled with bioactive materials in order to deliver these bioactive materials to a selective site. Thus, D-mannopyranose I was condensed with H-Lys-Lys-OH to give the protected glycopeptide, which was deacetylated to give glycopeptide II. II was treated with antiinflammatory dexamethasone 21-methanesulfonate to give the 21-ester of dexamethasone with II.

IT 79360-28-4P 85465-48-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

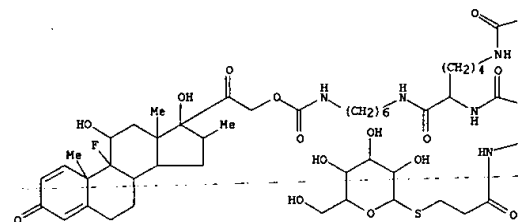
(prepn. of)

RN 79360-28-4 CAPLUS

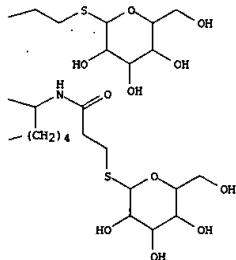
CN L-Lysine, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N-6-[[[[(11.beta.-16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl]-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]- (9CI) (CA INDEX NAME)

L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

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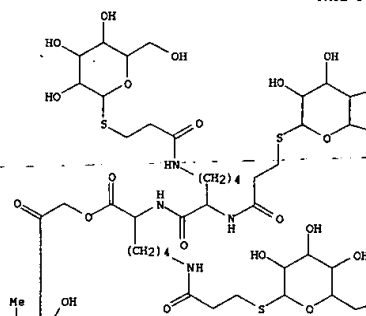
PAGE 1-B



RN 85465-48-1 CAPLUS
 CN L-Lysine, N2,N6-bis[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-L-lysyl-N6-[3-(.alpha.-D-mannopyranosylthio)-1-oxopropyl]-, (11.beta.-16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

L23 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

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OH

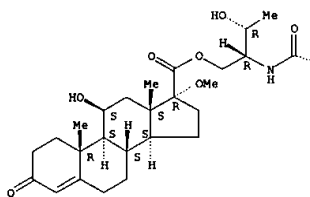
OH

OH

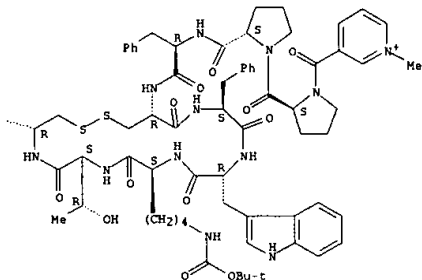
L12 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

PAGE 1-A



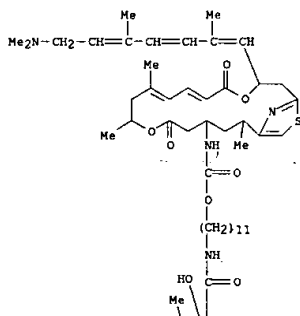
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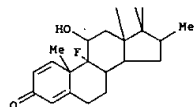
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

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REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:724657 CAPLUS

DOCUMENT NUMBER: 130:81340

TITLE: Total Synthesis and Immunosuppressive Activity of (-)-Pateamine A and Related Compounds: Implementation of a .beta.-Lactam-Based Macrocyclization

AUTHOR(S): Romo, Daniel; Rzaa, Robert M.; Shea, Helene A.; Park, Kaapjoo; Langenhan, Joseph M.; Sun, Luo; Akhiezer, Alexander; Liu, Jun O.

CORPORATE SOURCE: Department of Chemistry, Texas AM University, College Station, TX, 77842-3012, USA

SOURCE: Journal of the American Chemical Society (1998), 120(47), 12237-12254

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:81340

AB The asym. synthesis of the potent immunosuppressive agent (-)-pateamine A (1) isolated from the marine sponge *Mycale* sp. is described. A key strategy employed in the synthesis was a .beta.-lactam-based macrocyclization to form the 19-membered dilactone macrolide. The synthesis confirms the relative and abs. stereochem. as 3R,5S,10S,24S and sets the stage for studies into the mechanism of action of pateamine A (1). Other studies and findings made in the course of the synthesis and described herein include the following: (1) a Stille coupling can be competitive with .pi.-allyl formation, (2) Smi2 effects a mild N-O cleavage of N-benzyloxy-.beta.-lactams, (3) the synthesis of a pateamine A-dexamethasone hybrid mol. for use in a yeast three-hybrid assay was accomplished, and (4) IC50 values were detd. for synthetic and natural pateamine A (1) and related compds. in the interleukin 2 reporter gene assay.

IT 218782-89-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(asym. total synthesis and immunosuppressive activity of (-)-pateamine A and related compds.)

RN 218782-89-9 CAPLUS

CN Carbamic acid, [(3S,6Z,8E,11S,15R,17S)-3-[(1E,3E,5E)-7-(dimethylamino)-2,5-dimethyl-1,3,5-heptatrienyl]-9,11,17-trimethyl-5,13-dioxo-4,12-dioxo-20-thia-21-azabicyclo[16.2.1]heneicosan-1(21),6,8,18-tetraen-15-yl)-, 11-[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrost-1,4-dien-17-yl]carbonyl]amino]undecyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 13 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:509125 CAPLUS

DOCUMENT NUMBER: 129:149185

TITLE: Preparation of glycosides and thioglycosides as drug carriers for nephrotropic drugs

INVENTOR(S): Suzuki, Kokichi; Ito, Teruomi; Ando, Takashi; Toma, Kazumori; Susaki, Hiroshi; Okuno, Satoshi; Watanabe, Hiroshi

PATENT ASSIGNEE(S): Drug Delivery System Institute, Ltd., Japan; Meiji Seika Kaisha, Ltd.; Asahi Kasei Kogyo K. K.

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831392	A1	19980723	WO 1997-JP3642	19971009
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 953357	A1	19991103	EP 1997-944099	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1997-19714 19970117
WO 1997-JP3642 19971009

OTHER SOURCE(S): MARPAT 129:149185

AB Nephrotropic drugs and drug carriers delivering drugs carried thereon specifically to the kidney with the use of partial structures specifically recognized in the kidney. Since partial structures represented by the general formula of glycosyl such as glucosyl, mannosyl or 2-deoxyglucosyl deriv. [I: T = O, S, NH; one of R1 and R2 = H and the other = OH or F; one of R3 and R4 = H and the other = OH; R5 = OH, F; R6 = H, CH2OH; U = O, S, NH; V = (un)substituted C6-18 aryl, hydrocarbyl, linear or branched C1-18 aliph. hydrocarbyl] are nephrotropic, objective drugs can be obtained by introducing mols. with these structures into drugs. Compds. having such a partial structure together with another partial structure enabling the carriage of drugs are usable as carriers capable of delivering the drugs carried thereon specifically to the kidney. Thus, 9-(1-thio-.beta.-glucopyranosyl)nonanoic acid was stirred with DCC in DMF for 30 min and then condensed with doxorubicin at room temp. overnight to give N-[9-(1-thio-.beta.-glucopyranosyl)nonanoyl]doxorubicin (II). II was injected into rats to show kidney clearance of II 1.31+-0.04 mL/min/g and kidney concn. of II 5.8+-0.3% of dose/g vs. 0.54+-0.10 mL/min/g and 1.9+-0.2% of dose/g, resp., for the doxorubicin.

IT 157610-50-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of glycosides and thioglycosides as drug carriers for nephrotropic drugs)

RN 157610-50-9 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d ibib ab hitstr 1-2

L34 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:548402 CAPLUS
 DOCUMENT NUMBER: 113:148402
 TITLE: Interligand metal transfer as reporter mechanism for biospecific reaction, its use in immunoassays for drugs and hormones, and preparation of donor chelating agents
 INVENTOR(S): Hale, Ron L.; Wieder, Irwin
 PATENT ASSIGNEE(S): Baxter International, Inc., USA
 SOURCE: U.S., 23 pp.
 CODEN: USXGAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

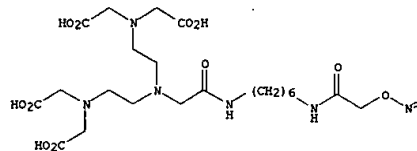
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4925804	A	19900515	US 1986-875449	19860617

PRIORITY APPLN. INFO.: US 1986-875449 19860617
 AB Methods using a new reporter mechanism for biospecific reactions are disclosed. This mechanism involves interligand metal ion transfer in which a metal ion is directly transferred from one chelate complex to another following the occurrence of the biospecific reaction. The second chelate complex is sep. from, and detectably different than, the first chelate complex. In preferred embodiments of this invention the detectable difference is a difference in fluorescence, such as an increase or decrease which occurs as a result of the formation of the second chelate. In further preferred embodiments the difference in fluorescence is detected using fluorescent background rejection methods. Thus, a fluorometric immunoassay for total thyroxine was performed using 8-anilino-1-naphthalenesulfonic acid, 1 (as donating chelate), and 4-(2,4,6-trimethoxyphenyl)pyridine-2,6-dicarboxylic acid (as 2nd, or receiving, ligand). A std. curve for 1.0-20.0 .mu.g thyroxine/dl is shown. I was prepd. from thyroxine Me ester.HCl and isocyanate II. Immunoassays, and prepn. of appropriate chelating agents, for cortisol and theophylline detn. are also described.
 IT 129499-23-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as chelating agent, for triiodothyronine detn. by fluorescence immunoassay with interligand metal transfer)
 RN 129499-23-6 CAPLUS
 CN 3,6,9,16-Tetraazaoctadecanoic acid, 6-[2-[[bis(carboxymethyl)amino]ethyl]-3-(carboxymethyl)-8,17-dioxo-18-[[[(11.beta.)-11,17,21-trihydroxy-20-oxopregn-4-en-3-ylidene]amino]oxy]- (9CI) (CA INDEX NAME)

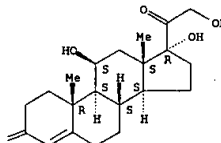
Absolute stereochemistry.
 Double bond geometry unknown.

L34 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



L34 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

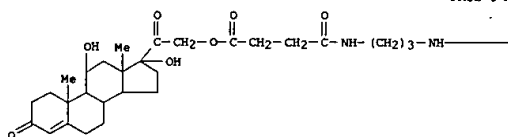
ACCESSION NUMBER: 1977:464840 CAPLUS
 DOCUMENT NUMBER: 87:64840
 TITLE: The chemistry of human transcortin. Improved affinity matrixes for the purification of transcortin
 AUTHOR(S): Chan, Daniel W.; Sharma, Minoti; Slaunwhite, W. R., Jr.
 CORPORATE SOURCE: Sch. Med., State Univ. New York, Buffalo, NY, USA
 SOURCE: Archives of Biochemistry and Biophysics (1977), 182(1), 197-202
 CODEN: ABBIA4; ISSN: 0003-9861
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A study was made of spacer arms for the purifn. of transcortin by affinity chromatog. Among the 5 cortisol-agaroses, cortisol-21-succinyl-1,6-hexanediamidoagarose achieved the highest extn. efficiency of transcortin from plasma. The optimal-length of the spacer arm for extn. is .apprx.12-13 .ANG.. Cortisol-succinyl-agaroses having hydrophobic spacer arms ext. transcortin better than those having hydrophilic arms of approx. equal length. Affinity supports are usually synthesized sequentially; cortisol-agaroses thus prepd. were found to complicate the purifn. of transcortin. The problems of nonspecificity and instability assocd. with these agaroses were eliminated by using reverse addn. A complete ligand-spacer arm, synthesized in a single step by displacing the tosyl group from cortisol-21-tosylate with 1,6-hexanediamine, was coupled with CNBr-activated agarose. Although the 21-deoxy-21-(omega.-amidoheptyl) aminocortisol-agarose ranked 2nd in extn. efficiency, its superior stability and low nonspecific adsorption of other proteins make it the prime choice for affinity chromatog. of transcortin.

IT 63704-84-7 63704-85-8
 RL: ANST (Analytical study)
 (transcortin sepn. by affinity chromatog. on, spacer arm comparison for)
 RN 63704-84-7 CAPLUS
 CN Agarose, 19-[[[(11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl]oxy]-16,19-dioxo-2,6,11,15-tetraazanonadecanamide (9CI) (CA INDEX NAME)

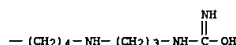
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 CMF C36 H59 N5 O8

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L34 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



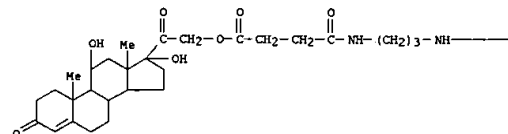
CH 2
 CRN 9012-36-6
 CMF Unspecified
 CCI PMS, MAN

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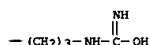
RN 63704-85-8 CAPLUS
 CN Agarose, [3-[[[3-[[[4-[[[(11.beta.)-11,17-dihydroxy-3,20-dioxopregn-4-en-21-yl]oxy]-1,4-dioxobutyl]amino]propyl]amino]propyl]carbamidate (9CI) (CA INDEX NAME)

CH 1
 CRN 173328-37-5
 CMF C32 H50 N4 O8

PAGE 1-A



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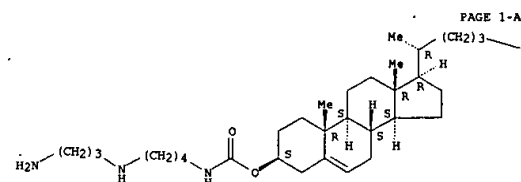
CH 2
 CRN 9012-36-6
 CMF Unspecified
 CCI PMS, MAN

STRUCTURE DIAGRAM IS NOT AVAILABLE

=> d ibib ab hitstr 1-37

L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:787245 CAPLUS
 DOCUMENT NUMBER: 128:124204
 TITLE: Transfection of myoblasts in primary culture with isomeric cationic cholesterol derivatives
 AUTHOR(S): Bischoff, Rainer; Cordier, Yves; Perraud, Frederic; Thioudellet, Christine; Braun, Serge; Pavirani, Andrea
 CORPORATE SOURCE: Transgene S.A., Strasbourg, 67082, Fr.
 SOURCE: Analytical Biochemistry (1997), 254(1), 69-81
 CODEN: ANBCA2; ISSN: 0003-2697
 PUBLISHER: Academic Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Transfection of satellite cells from dog muscle (myoblasts) in primary culture has been optimized with respect to the position of the cholesterol moiety along the polyamine chain of spermidine or spermine. Spermidine or spermine were derivatized with cholesterylchloroformate giving rise to three isomers in the case of spermidine and two isomers for spermine that were sepd. by reversed-phase high-performance liq. chromatog. (rp-HPLC). The position of the cholesterol moiety was assigned by ¹³C-NMR and coelution with synthetic isomers of defined structure. The isomeric cationic lipids were evaluated for their transfection activity in myoblasts from dog muscle and a human lung epithelial cell line (A549) using plasmid DNA expressing the luciferase reporter gene. The results showed that the position of the cholesterol moiety is of crit. importance for efficient transfection of myoblasts in primary culture with isomers having a derivatized secondary amine being significantly more effective than those with a derivatized primary amine. On the contrary, differences in the A549 cell line were less pronounced and did not follow the same pattern. The results show that slight structural differences between cationic lipids lead to significantly different transfection efficiencies for myoblasts in primary culture. This may also represent an advantage in view of cell or organ targeting.
 IT 165673-45-0P 165673-46-1P 173738-32-4P
 179075-25-3P 179075-30-0P
 RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (transfection of myoblasts in primary culture with isomeric cationic cholesterol derivs.)
 RN 165673-45-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

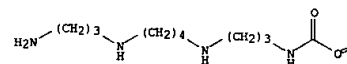
L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 165673-46-1 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

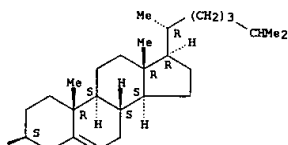
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

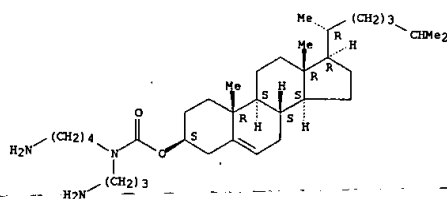
PAGE 1-B



RN 173738-32-4 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

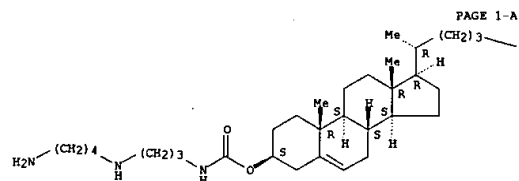
Absolute stereochemistry.

L39 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



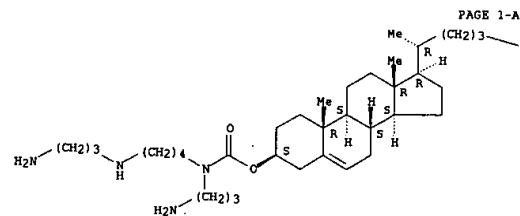
RN 179075-30-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-25-3 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)[3-aminopropyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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139  ANSWER 2 OF 37  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:      1997:708457  CAPLUS
DOCUMENT NUMBER:       127:327912
TITLE:                 Evidence for highly cooperative binding between
                        molecular umbrella-spermine conjugates and DNA
                        Janout, Vaclav; Lanier, Marions; Deng, Gang; Regen,
                        Steven L.
AUTHOR(S):
CORPORATE SOURCE:      Department of Chemistry and Zettlemoyer Center for
                        Surface Studies, Lehigh University, Bethlehem, PA,
                        18015, USA
SOURCE:                 Bioconjugate Chemistry (1997), 8(6), 891-895
                        CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER:              American Chemical Society
DOCUMENT TYPE:          Journal
LANGUAGE:               English
AB  Double- and tetraalloy mol. umbrella-spermine conjugates (I and II) have
    been synthesized, and their binding to calf thymus DNA (CT-DNA),
    poly[d(AT)], and poly[d(GC)] compared with that of a single-valled analog
    (III). At moderate salt concns. (8 mM NaCl), I and II show significantly
    greater affinity toward each DNA, relative to III; at high salt concns.
    (150 mM NaCl), strong binding of I and II (but not III) was maintained
    toward poly[d(GC)]. Examm. of the influence of I-III on the melting
    behavior of poly[d(AT)] has provided strong evidence that the binding of I
    and II reflects highly cooperative interactions among DNA-bound conjugates
    and that the DNA duplex serves as a nucleation site for umbrella
    aggregation. The implications of these findings for the rational design
    of novel drug conjugates that operate at the nuclear level, and also novel
    transfection agents, are briefly discussed.
IT 174068-99-6
    RL: BPR (Biological process); BSU (Biological study, unclassified); PRP
    (Properties); BIOL (Biological study); PROC (Process)
    (highly cooperative binding between mol. umbrella-spermine conjugates
    and DNA)
RN 174068-99-6 CAPLUS
CN Cholan-24-amide, N-[3-{[4-{[3-(aminopropyl)amino]butyl}amino]propyl}-3,7,12-
    trisubstituted, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

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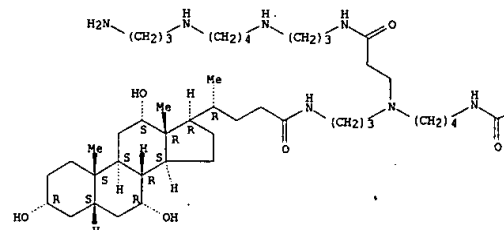
L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
PAGE 1-8

$$-(\text{CH}_2)_3-\text{NH}_2$$

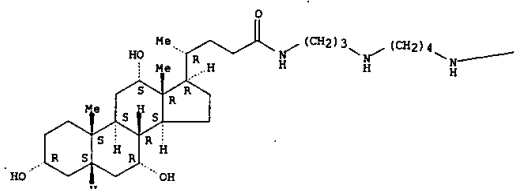
IT	197844-86-3 197844-87-4
	RL: BPR (Biological process); BSU (Biological study, unclassified); FRP (Properties); BIOL (Biological study); PROC (Process) (mol. umbrella-spermine conjugate; highly cooperative binding between mol. umbrella-spermine conjugates and DNA)
RN	197844-86-3 CAPLUS
CN	Cholan-24-amide, N-[20-amino-7-oxo-4-{4-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-4-oxocholan-24-yl]amino}butyl]-4,8,12,17-tetraoxo-2-yl]-1,2-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-19-SPICIL-CL-4-3-YES NAME1

Absolute stereochemistry.

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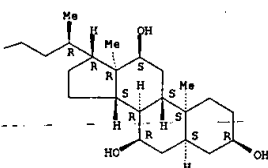


PAGE 1-A



L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



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RN      1978044-87-4 CAPLUS
CN      Cholan-24-amide, N,N'-[[[3-[[[4-[[3-(aminopropyl)amino]butyl]amino]propyl]
        amino]-3-oxopropyl]amino]bis[(1-oxo-2,1-ethanediyl)[4-
        [[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]
        amino]butyl]amino]-3,1-propanediyl]bis[3,7,12-trihydroxy-,
        (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(3'.alpha.,5'.beta.,7'.alpha.,12'.a
        pha.)-(9CI) (CA INDEX NAME)

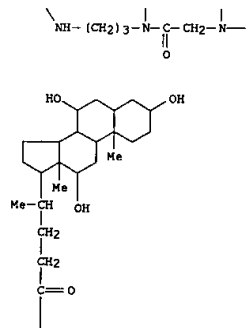
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L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 AC5 (Continued)

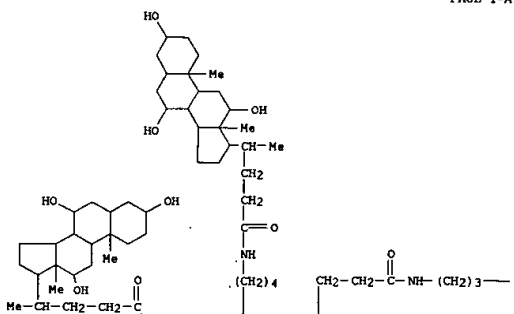
PAGE 1-B

$$-\text{NH}-(\text{CH}_2)_4-\text{NH}-(\text{CH}_2)_3-\text{NH}_2$$

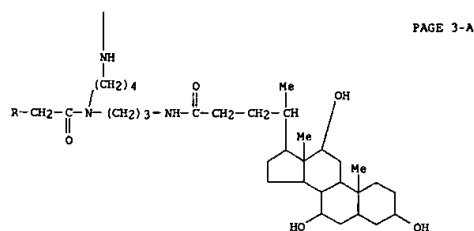
PAGE 2-A



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L39 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

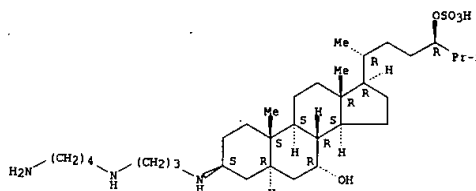


L39 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:525829 CAPLUS
 DOCUMENT NUMBER: 127:195486
 TITLE: Use of squalamine and its analogs in ophthalmic compositions
 INVENTOR(S): Chen, Hwang Hsing; Park, Joonsup
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA; Chen, Hwang Hsing; Park, Joonsup
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726888	A1	19970731	WO 1996-US1228	19960126
W: AU, CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647726	A1	19970820	AU 1996-47726	19960126
PRIORITY APPL. INFO.			WO 1996-US1228	19960126
OTHER SOURCE(S):			MARPAT 127:195486	
AB			Ophthalmic compns. contg. squalamine or its analogs antimicrobial agents have good antifungal activity. Squalamine is particularly useful as a disinfectant in contact lens care products and as preservatives in other types of ophthalmic compns., such as artificial tears or topical pharmaceutical preps. Thus, a wetting soaking soln. contained squalamine 0.002, Methocel K4M 0.5, boric acid 0.35, sodium borate 0.11, mannitol 2.00, disodium edetate 0.10, HCl/NaOH and water qs to 100%.	
IT			148717-90-2, Squalamine 148717-90-2B, Squalamine, analogs	
RL:			THU (Therapeutic use); BIOL (Biological study); USES (Uses) (squalamine and analogs for ophthalmic compns.)	
RN			148717-90-2 CAPLUS	
CN			Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)-(9CI) (CA INDEX NAME)	

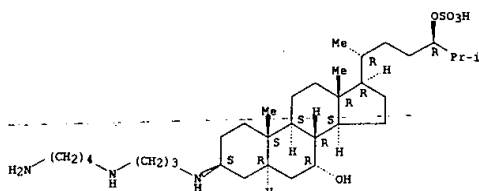
Absolute stereochemistry.



L39 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)-(9CI) (CA INDEX NAME)

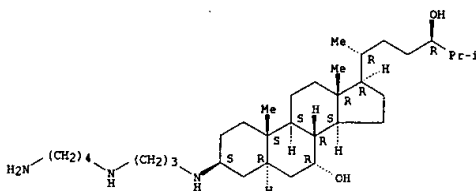
Absolute stereochemistry.



L39 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:516642 CAPLUS
 DOCUMENT NUMBER: 127:220855
 TITLE: Total synthesis of squalamine desulfate. Conjugate addition to Ru(II) complexes of styrenes (ruthenium(II))
 AUTHOR(S): Enache, Livia Alexandrina
 CORPORATE SOURCE: Univ. of Illinois, Chicago, IL, USA
 SOURCE: (1997) 163 pp. Avail.: UMI, Order No. DA9728515
 From: Diss. Abstr. Int., B 1997, 58(3), 1288
 DOCUMENT TYPE: Dissertation
 LANGUAGE: English
 AB Unavailable
 IT 167076-07-5P, Squalamine desulfate
 RL: SPN (Synthetic preparation); PREP (Preparation)...
 (total-synthesis of squalamine desulfate and conjugate addn. to Ru(II) complexes of styrenes)
 RN 167076-07-5 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:438873 CAPLUS
 DOCUMENT NUMBER: 127173699
 TITLE: Antimicrobial activities of squalamine mimics
 AUTHOR(S): Kikuchi, Ken; Bernard, Edward M.; Sadownik, Andrzej;
 Regen, Steven L.; Armstrong, Donald
 CORPORATE SOURCE: Department of Medicine, Memorial Sloan-Kettering
 Cancer Center, New York, NY, 10021, USA
 SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(7),
 1433-1438
 CODEN: AMACQ; ISSN: 0066-4804
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The antimicrobial properties of compds. with structural features that were designed to mimic those of squalamine, an antibiotic isolated from the stomach of the dogfish shark, were investigated. The mimics, like squalamine, are sterol-polyamine conjugates. Unlike squalamine, the mimics were simple to prep., at high yield, from readily available starting materials. Several squalamine mimics showed activity against gram-neg. rods, gram-pos. cocci including methicillin-resistant *Staphylococcus aureus*, vancomycin-resistant *Enterococcus faecium*, and fungi. Some had little or no hemolytic activity. The hydrophobicity of the sterol backbone and the length and the cationic charge of the side chains appeared to be crit. determinants of activity. One of the squalamine mimics, SM-7, was bactericidal against *Escherichia coli*, *Pseudomonas aeruginosa*, and *S. aureus*; its activity was decreased by divalent or monovalent cations and by bovine serum albumin. Subinhibitory concns. of SM-7 markedly enhanced the antimicrobial activity of rifampin against gram-neg. rods. These results suggest that the compds. may disrupt an outer membrane of gram-neg. rods. Squalamine mimics are a new class of broad-spectrum antimicrobial agents. The antagonism of their activity by serum and albumin and their hemolytic properties may limit their use as systemic agents. The squalamine mimics, because of their potencies, broad spectra of antimicrobial activity, and potential for systemic toxicity, appear to be good candidates for development as topical antimicrobial agents.

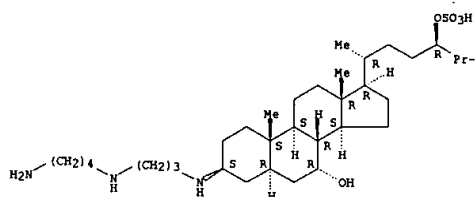
IT 148717-90-22P, Squalamine, analogs 165336-10-7P
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 185307-23-7P 185307-24-8P 185307-26-0P
 193901-92-7P 193901-93-8P 193901-95-0P
 193901-96-1P 193901-97-2P 193901-98-3P
 193901-99-4P 193902-00-0P 193902-01-1P
 193902-02-2P 193902-03-3P 193902-04-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (antimicrobial activities of squalamine mimics)

RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[[4-[(3-aminobutyl)amino]propyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

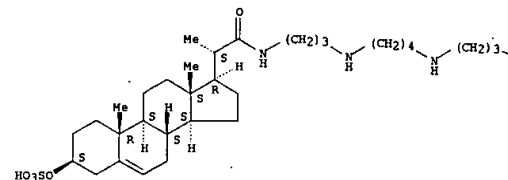
L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 165336-10-7 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminobutyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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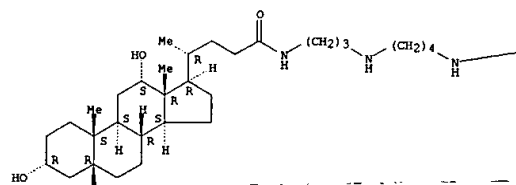
NH2

RN 174068-84-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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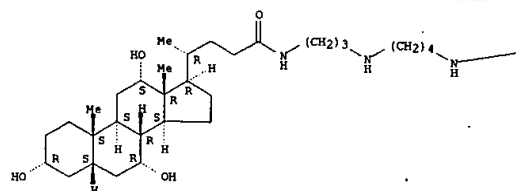
PAGE 1-B

(CH2)3-NH2

RN 174068-99-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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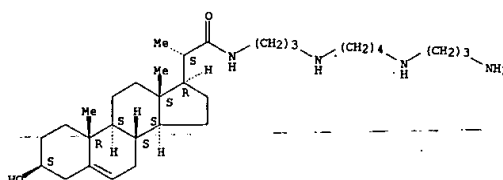
(CH2)3-NH2

RN 185307-17-9 CAPLUS

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

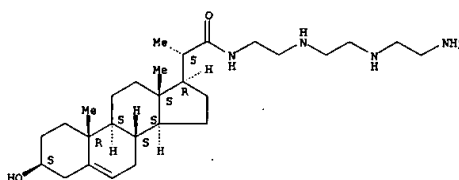
CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185307-23-7 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

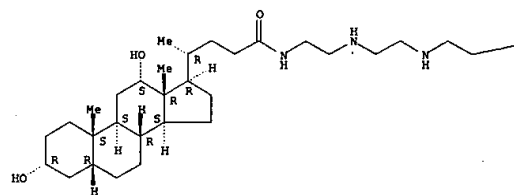


RN 185307-24-8 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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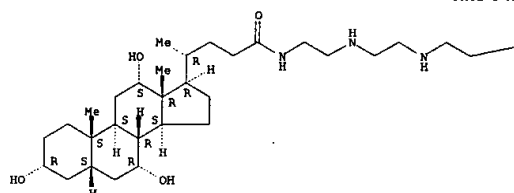
PAGE 1-B

—NH₂

RN 185307-26-0 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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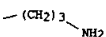
PAGE 1-B

—NH₂

RN 193901-92-7 CAPLUS

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

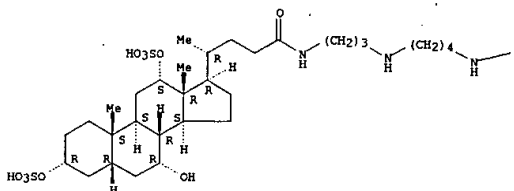
PAGE 1-B



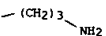
RN 193901-95-0 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-hydroxy-3,12-bis(sulfoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 193901-96-1 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (5.beta.)- (9CI) (CA INDEX NAME)

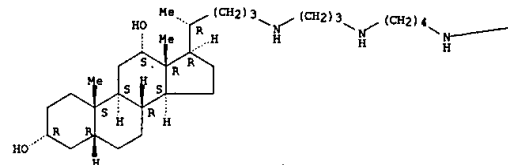
Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

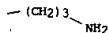
CN Cholan-3,12-diol, 24-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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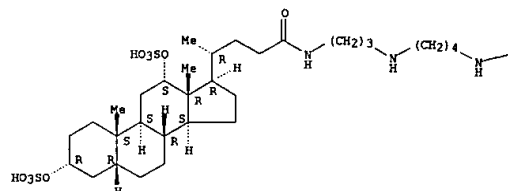
PAGE 1-B



RN 193901-93-8 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-bis(sulfoxy)-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

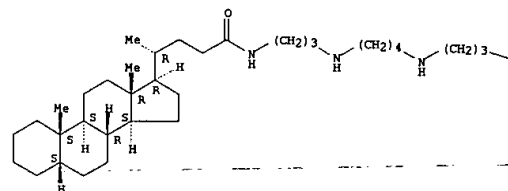
Absolute stereochemistry.

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L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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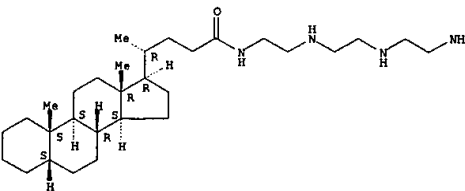


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—NH₂

RN 193901-97-2 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-, (5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

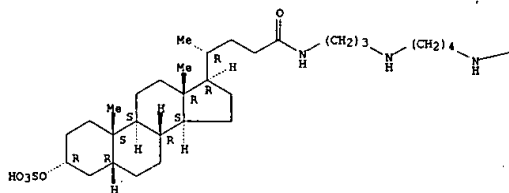


RN 193901-98-3 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfoxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

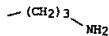
Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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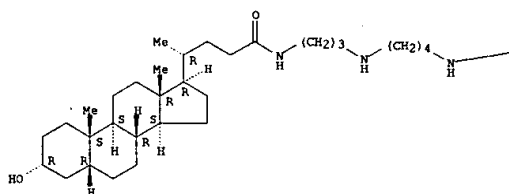
PAGE 1-B



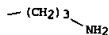
RN 193901-99-4 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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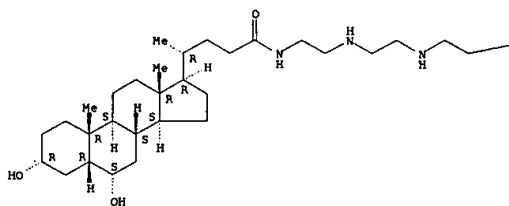
PAGE 1-B



RN 193902-02-2 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,6-dihydroxy-, (3.alpha.,5.beta.,6.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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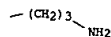
PAGE 1-B



RN 193902-03-3 CAPLUS

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

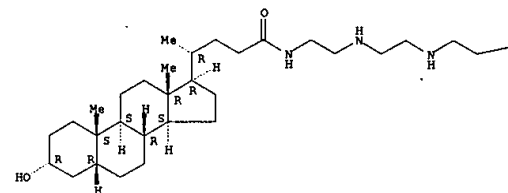
PAGE 1-B



RN 193902-00-0 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 193902-01-1 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,6-dihydroxy-, (3.alpha.,5.beta.,6.alpha.)- (9CI) (CA INDEX NAME)

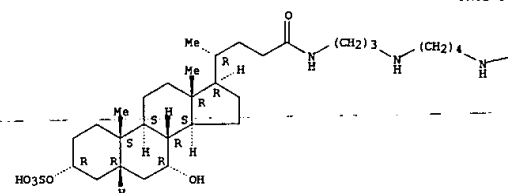
Absolute stereochemistry.

L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

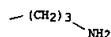
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-hydroxy-3-(sulfoxy)-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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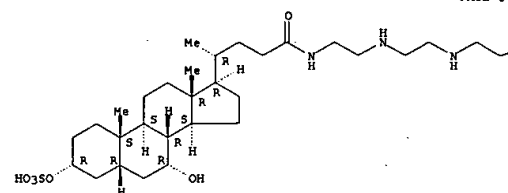
PAGE 1-B



RN 193902-04-4 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-7-hydroxy-3-(sulfoxy)-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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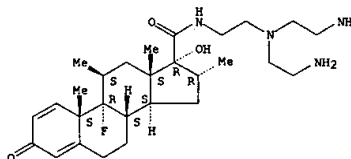
L39 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:375282 CAPLUS
 DOCUMENT NUMBER: 127:95531
 TITLE: Preparation of glycolipid amphipathic, micellar delivery systems for DNA and RNA biologically active polyions
 INVENTOR(S): Wolff, Jon A.; Budker, Vladimir; Gurevich, Vladimir
 PATENT ASSIGNEE(S): Wolff, Jon A., USA; Budker, Vladimir; Gurevich, Vladimir
 SOURCE: U.S., 17 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5635487	A	19970603	US 1994-368150	19941229
PRIORITY APPLN. INFO.: US 1994-368150 19941229				
AB The present invention provides a compn. comprising a population of micelles wherein each micelle comprises at least one amphipathic compd. layer that surrounds a non-aq. core that contains a polyion. Also provided are a method of prep. such a compn. and the uses of such compns. for delivering biol. active polyions to cells. Thus lipid I was prepd. as drug delivery system and can be used to express a gene product in cell.				
IT 191990-42-8P				
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of glycolipid amphipathic micellar delivery systems for DNA and RNA biol. active polyions)				
RN 191990-42-8 CAPLUS				
CN Androsta-1,4-diene-17-carboxamide, N-[2-[bis(2-aminoethyl)amino]ethyl]-9-fluoro-17-hydroxy-11,16-dimethyl-3-oxo-, (11.beta.,16.alpha.,17.alpha.)-(9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L39 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS

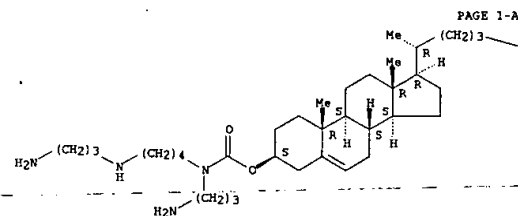
ACCESSION NUMBER: 1997:285140 CAPLUS
 DOCUMENT NUMBER: 127:9024
 TITLE: A concentrated and stable aerosol formulation of cationic lipid:DNA complexes giving high-level gene expression in mouse lung
 AUTHOR(S): Eastman, Simon J.; Lukason, Michael J.; Tousignant, Jennifer D.; Murray, Heather; Lane, Mathieu D.; St. George, Judith A.; Akita, Geoffrey Y.; Cherry, Maribeth; Cheng, Seng H.; Scheule, Ronald K.
 CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701-9322, USA
 SOURCE: Human Gene Therapy (1997), 8(6), 765-773
 CODEN: HGTHE3; ISSN: 1043-0342
 PUBLISHER: Liebert
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Advances in gene therapy vectors and techniques hold promise for treatment of many inherited and acquired diseases. For lung indications, esp. those involving the epithelium, delivery of the gene therapy vehicle ideally will involve the use of an aerosol. Aerosol delivery of transgenes using cationic lipids is currently limited by the ability to generate highly concd. formulations of lipid:DNA complexes that are stable and retain their activity following aerosolization. We have examd. many of the variables inherent in aerosolizing cationic lipid gene delivery vehicles and have devised a new formulation that incorporates small amts. of a polyethylene glycol-contg. lipid. This formulation has allowed the prepn. of concd. dispersions of cationic lipid:plasmid DNA (pDNA) complexes (>20 µM pDNA) at approx. 10-fold higher concns. than previously reported. Most of the pDNA in these formulations was bound to the lipid component and thereby protected from nebulizer-induced shearing; the pDNA also maintained full biol. activity both in vitro and in vivo. This new formulation thus represents a significant improvement over current methods to prep. concd., active cationic lipid gene delivery vectors, and provides a new tool with which to test gene transfer to the lung.

IT 179075-30-0
 RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (concd. and stable aerosol formulation of cationic lipid:DNA complexes giving high-level gene expression in mouse lung)
 RN 179075-30-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



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L39 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:285041 CAPLUS

DOCUMENT NUMBER: 12713412

TITLE: Basis of pulmonary toxicity associated with cationic lipid-mediated gene transfer to the mammalian lung
 Scheule, Ronald K.; St. George, Judith A.; Bagley, Rebecca G.; Marshall, John; Kaplan, Johanne M.; Akita, Geoffrey Y.; Wang, Kathryn X.; Lee, Edward R.; Harris, David J.; Jiang, Canven; Yew, Nelson S.; Smith, Alan E.; Cheng, Seng H.

CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701-9322, USA
 SOURCE: Human Gene Therapy (1997), 8(6), 689-707
 CODEN: HGTHE3; ISSN: 1043-0342

PUBLISHER: Liebert
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Studies have indicated that although abundant levels of transgene expression could be achieved in the lungs of mice instilled with cationic lipid:pDNA complexes, the efficiency of gene transfer is low. As a consequence, a relatively large amt. of the complex will need to be administered to the human lungs to achieve therapeutic efficacy for indications such as cystic fibrosis. Because all cationic lipids exhibit some level of cytotoxicity in vitro, the authors assessed the safety profile of one such cationic lipid, GL-67, following administration into the lungs of BALB/c mice. Dose-dependent pulmonary inflammation was obsd. that was characterized by infiltrates of neutrophils, and, to a lesser extent, macrophages and lymphocytes. The lesions in the lung were multifocal in nature and were manifested primarily at the junction of the terminal bronchioles and alveolar ducts. The degree of inflammation abated with time and there were no apparent permanent fibrotic lesions, even in animals that were treated at the highest doses. Anal. of the individual components of the complex revealed that the pulmonary inflammation was primarily cationic lipid-mediated with a minor contribution from the neutral co-lipid DOPE. Assocd. with the lesions in the lungs were elevated levels of the pro-inflammatory cytokines interleukin-6 (IL-6), tumor necrosis factor-.alpha. (TNF-.alpha.), and interferon-.gamma. (IFN-.gamma.), that peaked at days 1-2 post-instillation but resolved to normal limits by day 14. Total cell count, primarily of neutrophils, were also significantly elevated in the bronchoalveolar lavage fluids of GL-67:pDNA-treated mice between days 1 and 3 but returned to normal limits by day 14. No specific immune responses were detected against the cationic lipid or plasmid DNA in mice that had been either instilled or immunized with the individual components or complex, nor was there any evidence of complement activation. These studies indicate that a significant improvement in the potency of cationic lipid:pDNA formulations is desirable to minimize the toxicity assocd. with cationic lipids.

IT 165673-46-1

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
 (basis of pulmonary toxicity assocd. with cationic lipid-mediated gene transfer to mammalian lung when used with plasmid DNA and DOPE in relation to cytokines and neutrophils)

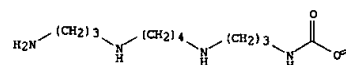
RN 165673-46-1 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

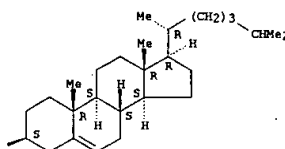
Absolute stereochemistry.

L39 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L39 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:269701 CAPLUS

DOCUMENT NUMBER: 126:340122

TITLE: Transmembrane ion transport mediated by amphiphilic polyamine dendrimers
 Sakai, Naomi; Matile, Stefan
 CORPORATE SOURCE: Department of Chemistry, Georgetown University, Washington, DC, 20057-1227, USA

SOURCE: Tetrahedron Letters (1997), 38(15), 2613-2616
 CODEN: TETLEA; ISSN: 0040-4039

PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 126:340122

AB A series of amphiphilic polyamine dendrimers was efficiently prep'd. from cholestamine to probe the hypothesis that an increasing no. of ammonium cations attached to a hydrophobic anchoring group should increasingly facilitate transmembrane ion transport. Results from transport expts. using large unilamellar vesicles are consistent with this new concept.

IT 189879-66-1

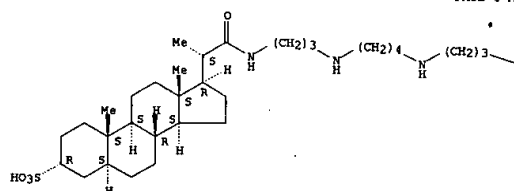
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (transmembrane ion transport mediated by amphiphilic polyamine dendrimers)

RN 189879-66-1 CAPLUS

CN Pregnane-3-sulfonic acid, 21-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-20-methyl-21-oxo-, (3.alpha.,5.alpha.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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NH2

IT 189879-70-7P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or

L39 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

reagent)
 (transmembrane ion transport mediated by amphiphilic polyamine dendrimers)

RN 189879-70-7 CAPLUS

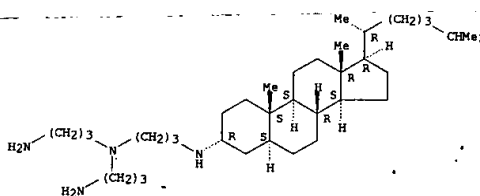
CN 1,3-Propanediamine, N,N-bis(3-aminopropyl)-N'-[(3.alpha.,5.alpha.)-cholestan-3-yl]-, tetrakis(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 189879-69-4

CMF C36 H70 N4

Absolute stereochemistry.



CH 2

CRN 76-05-1

CMF C2 H F3 O2



IT 189879-77-4P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (transmembrane ion transport mediated by amphiphilic polyamine dendrimers)

RN 189879-77-4 CAPLUS

CN 1,3-Propanediamine, N,N-bis(3-aminopropyl)-N'-[3-[[bis(3-aminopropyl)amino]propyl]-N'-[[3-[[3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, octakis(trifluoroacetate) (9CI) (CA INDEX NAME)

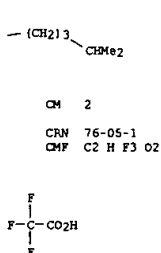
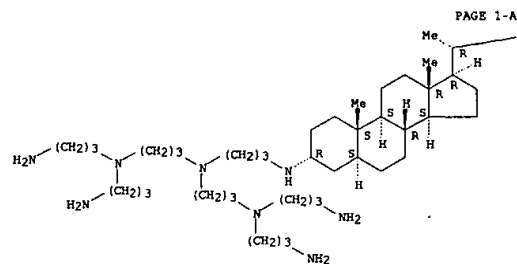
CH 1

CRN 189879-76-3

CMF C48 H98 N8

Absolute stereochemistry.

L39 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:260109 CAPLUS

DOCUMENT NUMBER: 126:272386

TITLE: Amphipathic nucleic acid transporter

INVENTOR(S): Chaudhary, Nilabhi Jayaraman, Krishna; Bodepudi, Veeraiiah; Hogan, Michael E.

PATENT ASSIGNEE(S): Aronex Pharmaceuticals, Inc., USA

SOURCE: U.S., 7 pp., Cont. of U. S. Ser. No. 303,554, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614503	A	19970325	US 1995-467114	19950606
PRIORITY APPLN. INFO.:			US 1993-152544	19931112
			US 1994-303554	19940908

AB A nucleic acid transporter to deliver nucleic acids into cells comprises a cationic compd. having a cationic head group for binding the nucleic acid and a lipid tail for assocn. with the membrane. The cationic compd. usually is a polyamine (preferably spermidine or spermine) or a short basic peptide. The lipid tail is usually a plant steroid, animal steroid, isoprenoid compd., aliph. lipid, pore-forming protein, pore-forming peptide, or fusogenic peptide. The cationic head and lipid tail are linked through a carbamate linkage. The nucleic acid can be a triplex-forming oligonucleotide, antisense oligonucleotide, aptamer, ribozyme, plasmid, or DNA for gene therapy. Thus, cholesteryl chloroformate reacted with spermidine to form a cationic lipid which was coadministered with ³⁵S-labeled oligonucleotide-propylamine to Vero cells. Internalization of the oligonucleotide-cationic lipid complex occurred within 20-40 min, and a portion of the internalized oligonucleotide entered the nucleus.

IT 165673-46-1 173738-32-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amphipathic nucleic acid transporter)

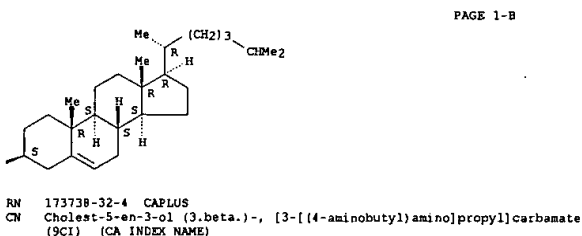
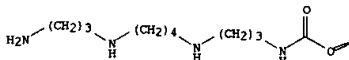
RN 165673-46-1 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

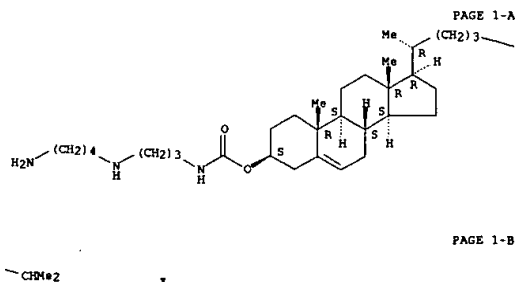
Absolute stereochemistry.

L39 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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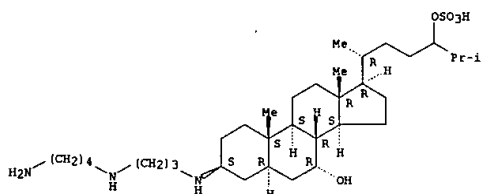
Absolute stereochemistry.



L39 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:669771 CAPLUS
 DOCUMENT NUMBER: 126:47415
 TITLE: The synthesis of 24-xi-squalamine and 3-epi-24-xi-squalamine, and, biomimetic ion channels (squalus acanthias)
 AUTHOR(S): Pechulis, Anthony David
 CORPORATE SOURCE: Rensselaer Polytechnic Institute, Troy, NY, USA
 SOURCE: (1996) 276 pp. Avail.: Univ. Microfilms Int., Order No. DA9635693
 DOCUMENT TYPE: From: Diss. Abstr. Int., B 1996, 57(6), 3744
 LANGUAGE: Dissertation
 English
 AB Unavailable
 IT 194051-39-6P 184051-40-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of 24-xi-squalamine and 3-epi-24-xi-squalamine)
 RN 184051-39-6 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184051-40-9 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

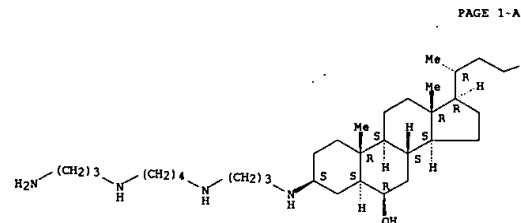
Absolute stereochemistry.

L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:650265 CAPLUS
 DOCUMENT NUMBER: 126:8363
 TITLE: The synthesis and characterization of analogs of the antimicrobial compound squalamine: 6.beta.-hydroxy-3-aminosterols synthesized from hydoxycholeic acid
 AUTHOR(S): Jones, Stephen R.; Kinney, William A.; Zhang, Xuehai; Jones, Lisa M.; Selinsky, Barry S.
 CORPORATE SOURCE: Dep. Chem., Villanova Univ., Plymouth Meeting, PA, USA
 SOURCE: Steroids (1996), 61(10), 565-571
 CODEN: STEDAM; ISSN: 0039-128X
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 126:8363

AB- Analogs of the aminosterol antimicrobial agent squalamine have been synthesized beginning from hydoxycholeic acid. After carboxylic acid esterification and oxidn. of both alc. functions to ketones, the A/B ring junction was converted from cis to trans by acid-catalyzed isomerization. Different polyamines were added to the 3-keto group by reductive amination, yielding both the 3.alpha. and 3.beta. addn. products. The synthetic products exhibited potent, broad-spectrum antimicrobial activity similar to that of the parent compd. Changing the identity of the polyamine or the stereochem. of addn. has little effect upon antimicrobial activity but appears to change the selectivity of the agents. The analogs are synthesized with high yield from inexpensive starting materials and are promising alternatives to squalamine as potential antibiotics.

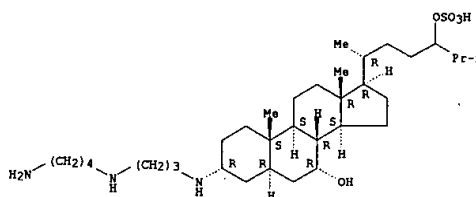
IT 183867-20-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (6.beta.-hydroxy-3-aminosterols with antimicrobial activity synthesized from hydoxycholeic acid)
 RN 183867-20-1 CAPLUS
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L39 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

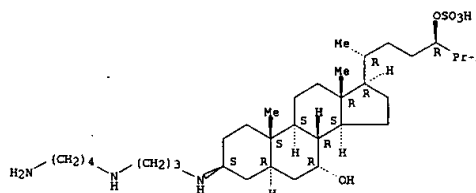
PAGE 1-B



IT 148717-90-2DP, Squalamine, analogs 183867-19-8P
 183867-22-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (6.beta.-hydroxy-3-aminosterols with antimicrobial activity synthesized from hydoxycholeic acid)

RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



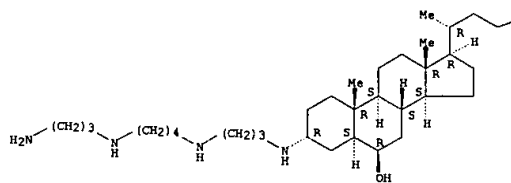
RN 183867-19-8 CAPLUS
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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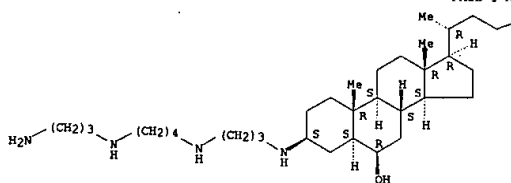
PAGE 1-B



RN 183867-22-3. CAPLUS
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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CO₂H

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS

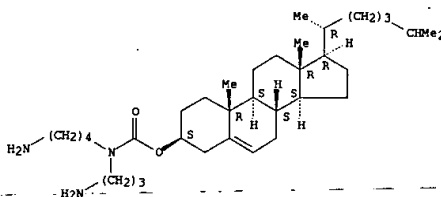
L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

ACCESSION NUMBER: 1996:619728 CAPLUS
 DOCUMENT NUMBER: 125:284643
 TITLE: Detailed analysis of structures and formulations of cationic lipids for efficient gene transfer to the lung
 AUTHOR(S): Lee, Edward R.; Marshall, John; Siegel, Craig S.; Jiang, Canwen; Yew, Nelson S.; Nichols, Margaret R.; Nietupski, Jennifer B.; Ziegler, Robin J.; Lane, Mathieu B.; et al.
 CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701-9322, USA
 SOURCE: Human Gene Therapy (1996), 7(14), 1701-1717
 CODEN: HGTHE3; ISSN: 1043-0342
 PUBLISHER: Liebert
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB. Cationic lipid-mediated gene-transfer of cystic fibrosis transmembrane conductance regulator (CFTR) cDNA represents a promising approach for treatment of cystic fibrosis (CF). Here, we report on the structures of several novel cationic lipids that are effective for gene delivery to the lungs of mice. An amphiphile consisting of a cholesterol anchor linked to a spermine headgroup in a "T-shape" configuration was shown to be particularly efficacious. An optimized formulation of amphiphile and plasmid vector encoding chloramphenicol acetyltransferase (CAT) was capable of generating up to 1 .mu.g of CAT enzyme/lung following intranasal instillation into BALB/c mice. This represents a 1,000-fold increase in expression above that obtained in animals instilled with naked pDNA alone and is greater than 100-fold more active than cationic lipids used previously for CFTR gene expression. When directly compared with adenovirus-based vectors contg. similar transcription units, the no. of mols. of gene product expressed using lipid-mediated transfer was equiv. to vector administration at multiplicities of infection ranging from 1 to 20. The level of transgene expression in the lungs of BALB/c mice peaked between days 1 and 4 post-instillation, followed by a rapid decline to approx. 20% of the maximal value by day 7. Undiminished levels of transgene expression in the lung could be obtained following repeated intranasal administration of amphiphile:DOPE:pCF1-CAT in nude mice. Transfection of cells with formulations of amphiphile:DOPE:pCF1-CAT generated cAMP-stimulated CFTR chloride channel and fluid transport activities, two well-characterized defects assocd. with CF cells. Taken together, the data demonstrate that cationic lipid-mediated gene delivery and expression of CFTR in CF lungs is a viable and promising approach for treatment of the disease.

IT 179075-25-3#
 RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (anal. of structures and formulations of cationic lipids for efficient gene transfer to the lung)
 RN 179075-25-3 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl) (3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

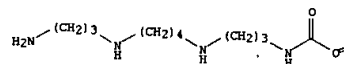
Absolute stereochemistry.



IT 165673-46-1 173738-32-4 179075-01-5
 179075-36-0 179075-36-6 179075-43-5
 179075-90-4 182933-85-3
 RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (anal. of structures and formulations of cationic lipids for efficient gene transfer to the lung)
 RN 165673-46-1 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

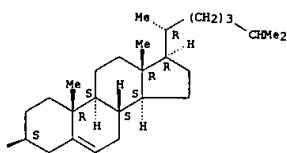
Absolute stereochemistry.

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L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

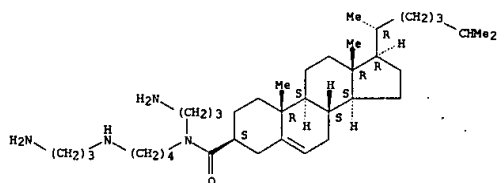
PAGE 1-B



RN 173738-32-4 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

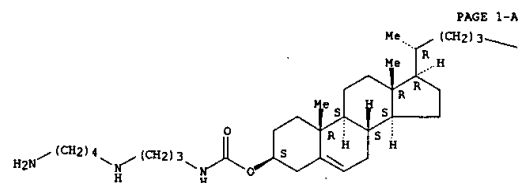
Absolute stereochemistry.

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 179075-30-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl) [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

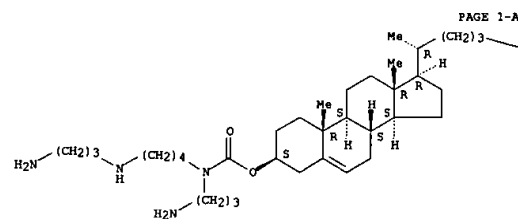


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CHMe2

RN 179075-01-5 CAPLUS
 CN Cholest-5-en-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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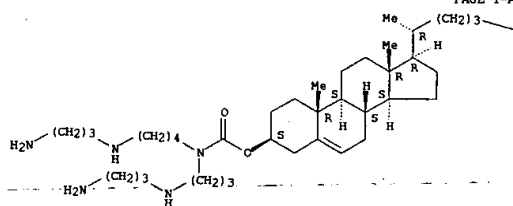
CHMe2

RN 179075-36-6 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, {4-[(3-aminopropyl)amino]butyl}[3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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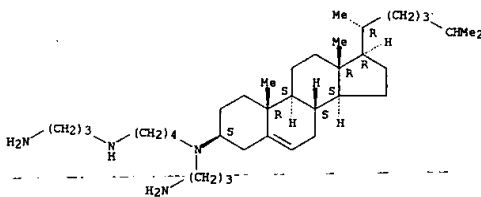
PAGE 1-B

CHMe2

RN 179075-43-5 CAPLUS
 CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

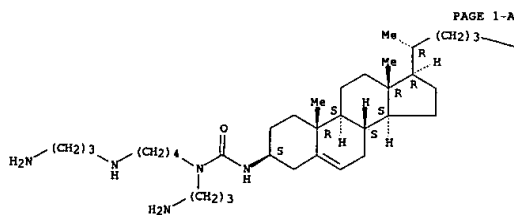
L39 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 182933-85-3 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

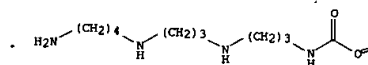
PAGE 1-A



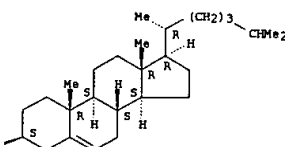
PAGE 1-B

CHMe2

RN 179075-50-4 CAPLUS
 CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)



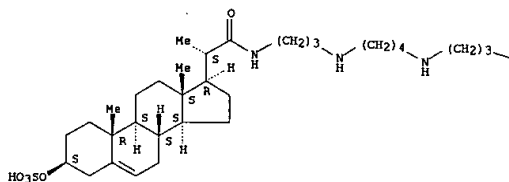
PAGE 1-B



L39 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:619188 CAPLUS
 DOCUMENT NUMBER: 126:14351
 TITLE: A Synthetic Ionophore That Recognizes Negatively Charged Phospholipid Membranes
 AUTHOR(S): Deng, Gang; Dewa, Takeshi; Regen, Steven L.
 CORPORATE SOURCE: Department of Chemistry, Lehigh University, Bethlehem, PA, 18015, USA
 SOURCE: Journal of the American Chemical Society (1996), 118(37), 8975-8976
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A synthetic mimic of the naturally occurring sterol, squalamine, has been found to possess unusual ionophoric properties. It promotes the transport of ions across neg. charged bilayers (egg phosphatidylglycerol, egg PG) over ones that are elec. neutral (egg phosphatidylcholine, egg PC). Anal. of the kinetics of discharge of a pH gradient across egg PG vesicle membranes has provided compelling evidence for the existence of two discrete forms of active ionophore. In particular, a plate of the obsd. pseudo first-order rate const. as a function of ionophore concn. generated two discrete linear regions with a discontinuity occurring at ca. 0.5 mol%. It is proposed that monomers of the ionophore (favoring the inner and outer surface of the bilayer) are solely responsible for promoting the pH discharge in the low concn. regime; 0.5 mol% of the ionophore, a crit. micelle concn. is reached on the membrane surface, which leads to a cooperative insertion of an aggregate-active form. An ion channel model has also been used to account for both the membrane as well as the ion selectivity of the ionophore. Its potential as a paradigm for the design of new classes of antibacterial agents is briefly discussed.
 IT 165336-10-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (synthetic ionophore that recognizes neg. charged phospholipid membranes)
 RN 165336-10-7 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfooxy)-, (3.beta.,20S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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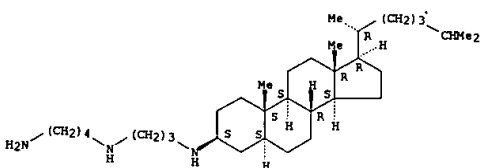


L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:365707 CAPLUS
 DOCUMENT NUMBER: 125:41725
 TITLE: Combinations of magainins and squalamines for prevention of sexually transmitted disease
 INVENTOR(S): Jacob, Leonard; Zasloff, Michael; Williams, Taffy; Bedi, Gurrinder
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9608270	A2	19960321	WO 1995-US11675	19950913
WO 9608270	A3	19960517		

P1: AU, CA, JP
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 AU 9535125 A1 19960329 AU 1995-35125 19950913
 PRIORITY APPLN. INFO.: US 1994-305475 19940913
 WO 1995-US11675 19950913
 AB Transmission of sexually transmitted disease in humans is inhibited by administering magainins or squalamine, or combinations of magainins and squalamine. Magainins and squalamines provide a safe, effective female-controlled barrier to the transmission of sexually transmitted disease. Magainin peptidomimetics may also be used. A series of magainins and derivs. and mimetics were tested for their in vitro effectiveness against a no. of sexually transmitted pathogens.
 IT 160348-64-1 160348-65-2 160348-66-3
 160348-67-4 167076-07-5 177745-14-1
 177745-15-2 177745-16-3 177745-17-4
 177745-18-5 177745-19-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antimicrobial squalamine analog; combinations of magainins and squalamines for prevention of sexually transmitted disease)
 RN 160348-64-1 CAPLUS
 CN 1,4-Butanediimine, N-[3-[[[3-(beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-3-(sulfooxy)-, (3CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-65-2 CAPLUS

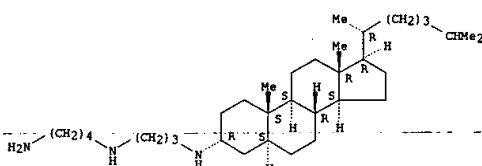
L39 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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NH2

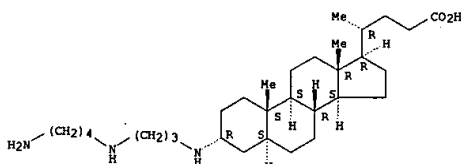
L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN 1,4-Butanediimine, N-[3-[[[3-(alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-3-(sulfooxy)-, (3CI) (CA INDEX NAME)

Absolute stereochemistry.



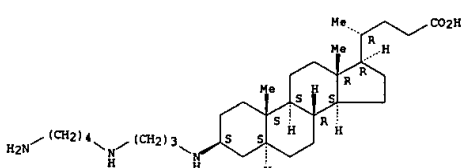
RN 160348-66-3 CAPLUS
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-67-4 CAPLUS
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)-(9CI) (CA INDEX NAME)

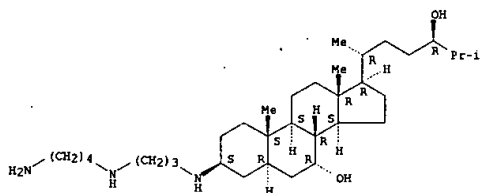
Absolute stereochemistry.



RN 167076-07-5 CAPLUS

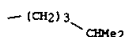
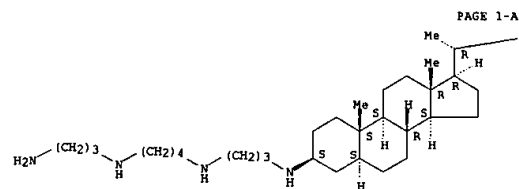
L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-14-1 CAPLUS
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

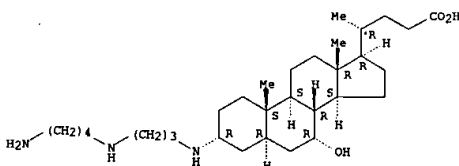
Absolute stereochemistry.



RN 177745-15-2 CAPLUS
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

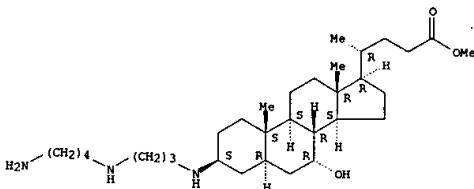
Absolute stereochemistry.

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



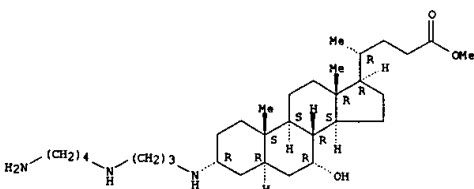
RN 177745-18-5 CAPLUS
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



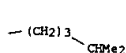
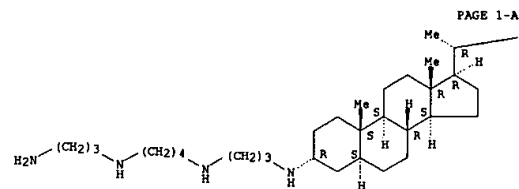
RN 177745-19-6 CAPLUS
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



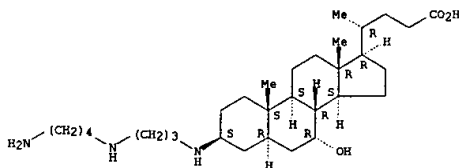
IT 148717-90-2D, Squalamine, derivs.

L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 177745-16-3 CAPLUS
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

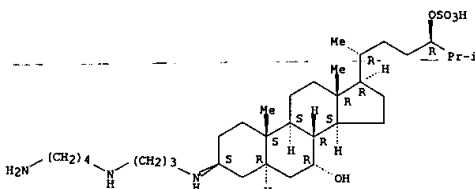


RN 177745-17-4 CAPLUS
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

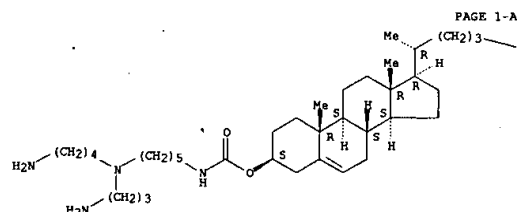
L39 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Combinations of magainins and squalamines for prevention of sexually transmitted diseases)
 RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



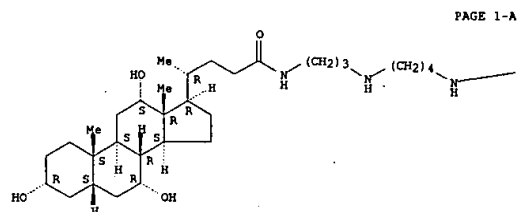
L39 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:234329 CAPLUS
 DOCUMENT NUMBER: 124:280488
 TITLE: Efficient gene transfer into mammalian cells with cholesteryl-spermidine
 AUTHOR(S): Moradpour, Darius; Schauer, Julia I.; Zurawski, Vincent R., Jr.; Wands, Jack R.; Boutin, Raymond H.
 CORPORATE SOURCE: Mol. Hepatol. Lab., Harvard Med. Sch., Charlestown, MA, USA
 SOURCE: Biochemical and Biophysical Research Communications (1996), 221(1), 82-8
 CODEN: BBRC99; ISSN: 0006-291X
 PUBLISHER: Academic
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The naturally occurring polyamine spermidine was covalently conjugated with cholesterol, resulting in a novel cationic compd. that mediates efficient gene transfer into mammalian cells. Using reporter plasmids coding for firefly luciferase and β -galactosidase, a simple procedure was developed allowing highly reproducible and efficient transient and stable transfection of HuH-7 cells. Transfection efficiency could be further increased when a fusogenic peptide derived from the influenza virus hemagglutinin HA2 aminoterminal sequence was included in the cholesteryl-spermidine-DNA complex. Cholesteryl-spermidine (Transfectall) represents a novel cationic compd. for efficient transfection of cultured cells in vitro and has the potential to be used for gene transfer in vivo.
 IT 175922-61-9
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
 (efficient gene transfer into mammalian cells with cholesteryl-spermidine)
 RN 175922-61-9 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [5-[(4-aminobutyl)-(3-aminopropyl)amino]pentyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1996:123444 CAPLUS
 DOCUMENT NUMBER: 124:241891
 TITLE: Cationic facial amphiphiles: a promising class of transfection agents
 AUTHOR(S): Walker, Suzanne; Sofia, Michael J.; Kakarla, Ramesh; Kogan, Natan A.; Wierichs, Leigh; Longley, Clifford B.; Bruker, Karen; Axelrod, Helena R.; Midha, Sunita; et al.
 CORPORATE SOURCE: Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1996), 93(4), 1585-90
 CODEN: PNAS96; ISSN: 0027-8424
 PUBLISHER: National Academy of Sciences
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A promising class of compds. for DNA transfection have been designed by conjugating various polyamines to bile-acid-based amphiphiles. Formulations contg. these compds. were tested for their ability to facilitate the uptake of a β -galactosidase reporter plasmid into COS-7 cells. Dioleoyl phosphatidylethanolamine (DOPE) formulations of some of the compds. were several times better than Lipofectin at promoting DNA uptake. The most active compds. contained the most hydrophilic bile acid components. The activity is clearly not related to affinity for DNA: the hydrophobic bile acid conjugates were found to form stable complexes with DNA at lower charge ratios than the hydrophilic conjugates. We suggest that the high activity of the best compds. is related to their facial amphiphilicity, which may confer an ability to destabilize membranes. The success of these unusual cationic transfection agents may inspire the design of even more effective gene delivery agents.
 IT 174068-99-6P 174068-02-4P 174068-18-2P
 174068-20-6P 174068-24-6P 175089-94-8P
 175089-95-9P 175089-96-0P 175089-97-1P
 175089-98-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRP (Preparation); USES (Uses)
 (cationic facial amphiphiles as a class of transfection agents)
 RN 174068-99-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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CHMe2

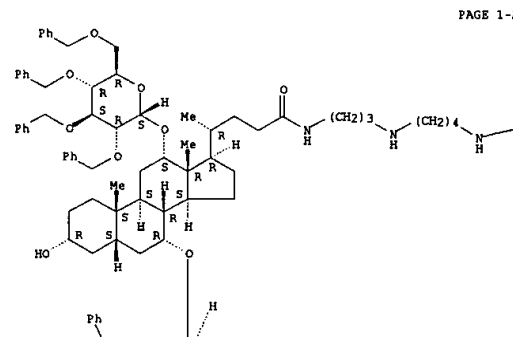
L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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(CH2)3-NH2

RN 174068-02-4 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, 7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

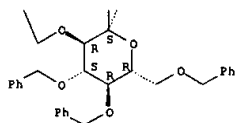


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(CH2)3-NH2

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

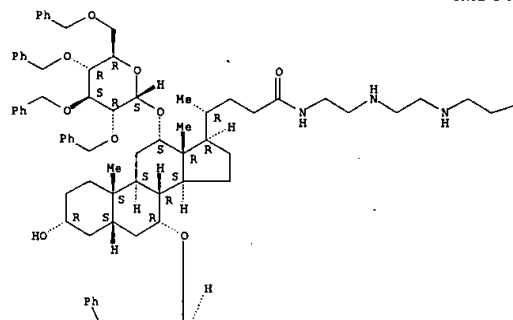
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RN 174069-18-2 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[(2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

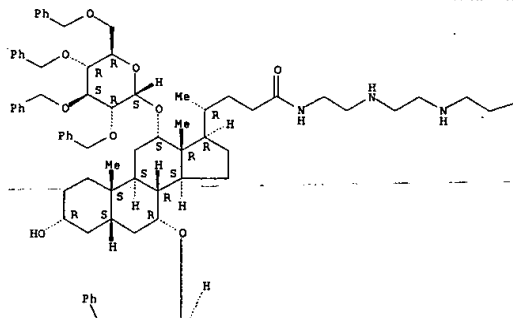
Absolute stereochemistry.

PAGE 1-A

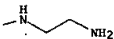


L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

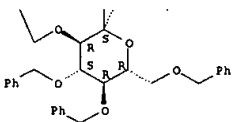
PAGE 1-A



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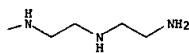


RN 174180-24-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

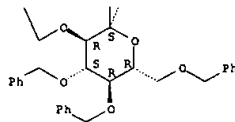
Absolute stereochemistry.

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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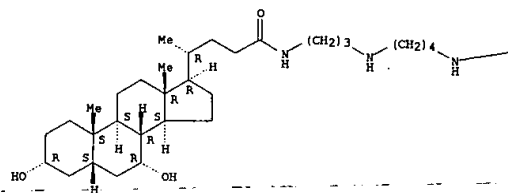


RN 174069-20-6 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[(2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

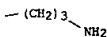
Absolute stereochemistry.

L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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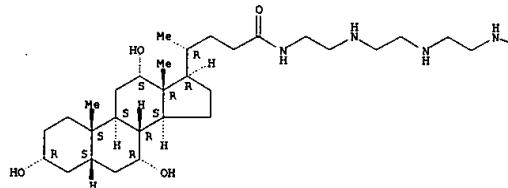
PAGE 1-B



RN 175089-94-8 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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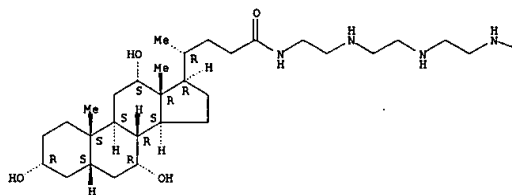


RN 175089-95-9 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-

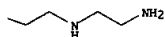
L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
 trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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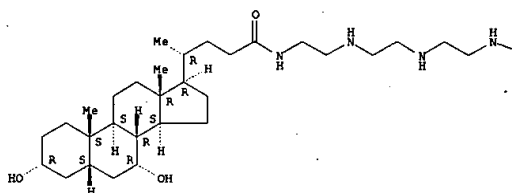
PAGE 1-B



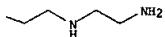
RN 175089-96-0 CAPLUS
 CN Cholan-24-amide, N-[2-([2-([2-([2-aminoethyl]amino)ethyl]amino)ethyl]amino)ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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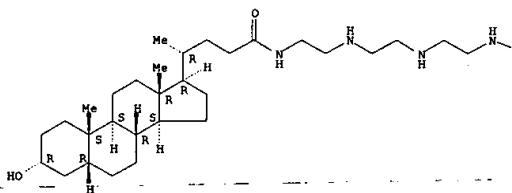


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L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L39 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

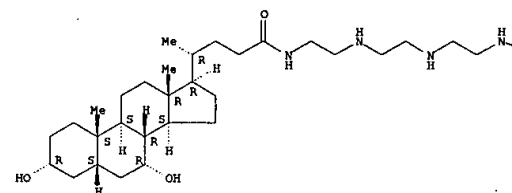
PAGE 1-B



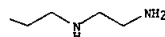
RN 175089-97-1 CAPLUS
 CN Cholan-24-amide, N-[2-([2-([2-([2-aminoethyl]amino)ethyl]amino)ethyl]amino)ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 175089-98-2 CAPLUS
 CN Cholan-24-amide, N-[2-([2-([2-([2-aminoethyl]amino)ethyl]amino)ethyl]amino)ethyl]-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS

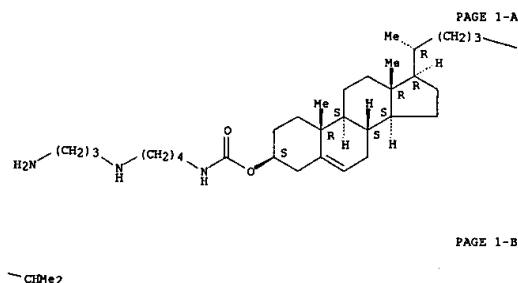
ACCESSION NUMBER: 1996:29138 CAPLUS
 DOCUMENT NUMBER: 124:155802
 TITLE: Novel polyaminolipids enhance the cellular uptake of oligonucleotides
 AUTHOR(S): Guy-Caffey, Judith K.; Bodepudi, Veeraiiah; Bishop, Jeffrey S.; Jayaraman, Krishnas; Chaudhary, Nilabh
 CORPORATE SOURCE: Aronex Pharmaceuticals, Inc., The Woodlands, TX, 77381, USA
 SOURCE: Journal of Biological Chemistry (1995), 270(52), 31391-6
 CODEN: JBCHA3; ISSN: 0021-9258
 PUBLISHER: American Society for Biochemistry and Molecular Biology
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Two new polyaminolipids have been synthesized for the purpose of improving cellular uptake of oligonucleotides. The amphipathic compounds are conjugates of spermidine or spermine linked through a carbamate bond to cholesterol. The polyaminolipids are relatively nontoxic to mammalian cells. In tissue culture assays, using fluorescent-tagged or radiolabeled triple helix-forming oligonucleotides, spermine-cholesterol and spermidine-cholesterol significantly enhance cellular uptake of the oligomers in the presence of serum. Spermine-cholesterol is comparable with DOTMA/DOPE (a 1:1 (wt./wt.) formulation of the cationic lipid N-[1-(2,3-dioleoyloxy)-propyl]-N,N,N-trimethylammonium chloride (DOTMA) and the neutral lipid dioleoylphosphatidylethanolamine (DOPE)) in increasing cellular uptake of oligonucleotides, while spermidine-cholesterol is more efficient. The internalized oligonucleotides are routed to the nucleus as early as 20 min after treatment, suggesting that the polyaminolipids increase the permeability of cellular membranes to oligonucleotides. At later times, much of the incoming oligonucleotides are sequestered within punctate cytoplasmic granules, presumably compartments of endosomal origin. Co-administration with polyaminolipids markedly improves the cellular stability of the oligonucleotides; more than 80% of the material can be recovered intact up to 24 h after addn. to cells. In the absence of the polyaminolipids, nearly all of the material is degraded within 6 h. These data suggest that the new polyaminolipids may be useful for the delivery of nucleic acid-based therapeutics into cells.

IT 165673-45-0 165673-46-1 173738-32-4
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (polyaminolipids for enhancement of cellular uptake of oligonucleotides)
 RN 165673-45-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

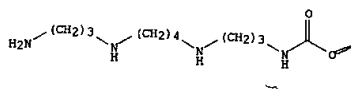
L39 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



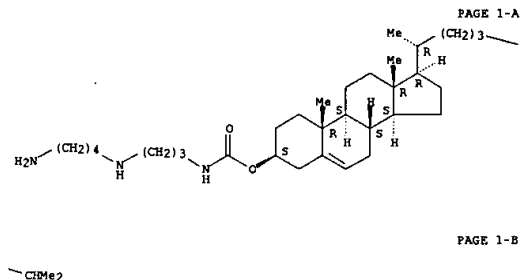
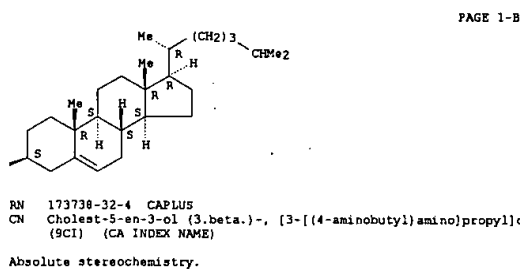
RN 165673-46-1 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L39 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

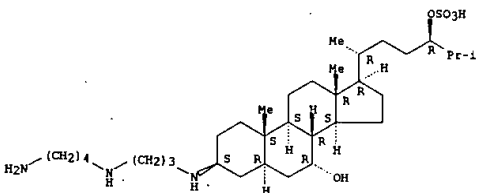


L39 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:914477 CAPLUS
 DOCUMENT NUMBER: 124:9086
 TITLE: Part 1. the design and synthesis of potential mechanism based inactivators of ergosterol biosynthesis. Part 2. the synthesis of squalamine and 3-episqualamine. Part 3. the synthesis of a dual-action inhibitor of cholesterol biosynthesis
 AUTHOR(S): Bellevue, Frank H., III
 CORPORATE SOURCE: Rensselaer Polytechnic Institute, Troy, NY, USA
 SOURCE: (1994) 213 pp. Avail.: Univ. Microfilms Int., Order No. DA9526368
 DOCUMENT TYPE: From: Diss. Abstr. Int., B 1995, 56(4), 2018
 LANGUAGE: Dissertation
 English

AB Unavailable
 IT 148717-90-2P, Squalamine-171252-30-5P, 3-Episqualamine
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of squalamine and 3-episqualamine)
 RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

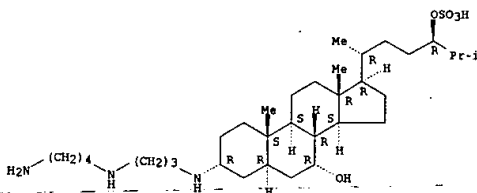
Absolute stereochemistry.



RN 171252-30-5 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

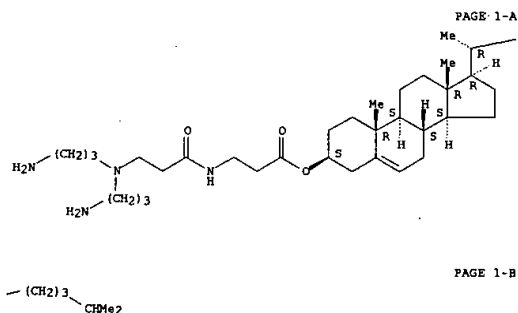
Absolute stereochemistry.

L39 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

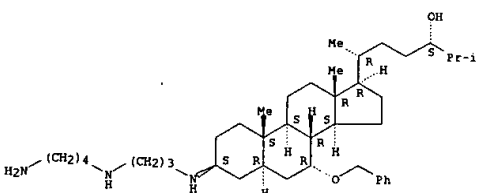


L39 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1995:1843495 CAPLUS
 DOCUMENT NUMBER: 124:37597
 TITLE: Synthesis of multivalent cationic cholesteryl lipids for use as gene delivery vehicles
 AUTHOR(S): Wang, Jinkang; Szoka, Francis C. Jr.
 CORPORATE SOURCE: School Pharmacy, University California, San Francisco, CA, 94143-0446, USA
 SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1995), 22nd, 414-15
 CODEN: PCRMET; ISSN: 1022-0178
 PUBLISHER: Controlled Release Society, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The synthesis and transfection efficiency of 2 new cationic derive. are reported. Liposomes made from the cationic lipids and dioleoylphosphatidylethanolamine showed good transfection efficiency for use as gene delivery vehicles.
 IT 171977-78-99
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of multivalent cationic cholesteryl lipids as gene delivery vehicles)
 RN 171977-78-9 CAPLUS
 CN .beta.-Alanine, N-[N,N-bis(3-aminopropyl)-.beta.-alanyl]-, (3.beta.)-cholest-5-en-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

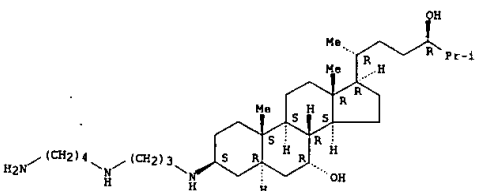


L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



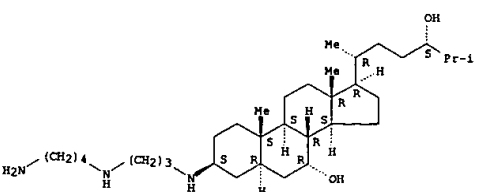
RN 167076-07-5 CAPLUS
 CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



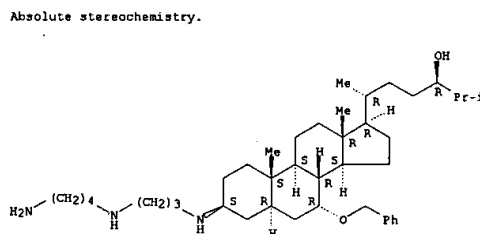
RN 167076-08-6 CAPLUS
 CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1995:714146 CAPLUS
 DOCUMENT NUMBER: 123:169963
 TITLE: Synthesis of 24.xi.-Squalamine, an Anti-Infective Steroidal Polyamine
 AUTHOR(S): Pechulis, Anthony D.; Bellevue, Frank H., III; Cioffi, Christopher L.; Trapp, Sean G.; Fojtik, John P.; McKitty, Anthony A.; Kinney, William A.; Frye, Leah L.
 CORPORATE SOURCE: Department of Chemistry, Rensselaer Polytechnic Institute, Troy, NY, 12180, USA
 SOURCE: Journal of Organic Chemistry (1995), 60(16), 5121-6
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The total synthesis of 24.xi.-squalamine was accomplished in 17 steps from 3.beta.-hydroxy-5-cholelenic acid. The stereospecific introduction of the 7.alpha.-hydroxyl group was achieved by allylic oxidn. followed by hydrogenation of the .DELTA.5 olefin and redn. of the 7-keto group with K-selectride. The polyamine side chain was introduced via reductive amination of an appropriately functionalized 3-keto steroid with a suitably protected spermidine utilizing sodium cyanoborohydride as the reducing agent. The required 24-sulfate was introduced by selective sulfation of the 7.alpha.,24.xi.-diol with sulfur trioxide-pyridine complex.
 IT 166896-07-3P 166896-95-3P 167076-07-5P
 167076-08-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of 24.xi.-squalamine, an antiinfective steroidal polyamine)
 RN 166896-87-3 CAPLUS
 CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



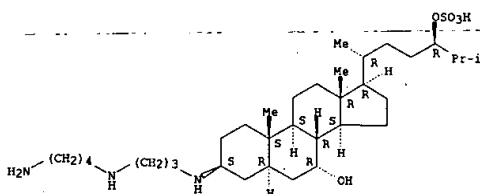
RN 166896-95-3 CAPLUS
 CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

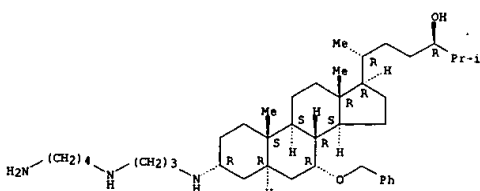
IT 148717-90-2P 166896-93-1P 166896-94-2P
 167076-10-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of 24.xi.-squalamine, an antiinfective steroidal polyamine)
 RN 148717-90-2 CAPLUS
 CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 166896-93-1 CAPLUS
 CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

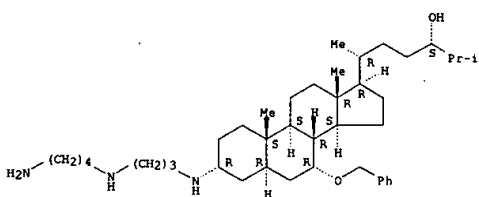
Absolute stereochemistry.



RN 166896-94-2 CAPLUS
 CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

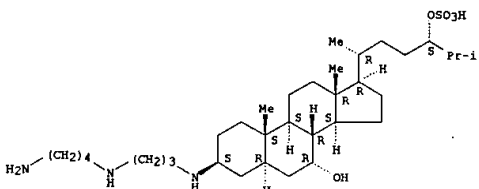
Absolute stereochemistry.

L39 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

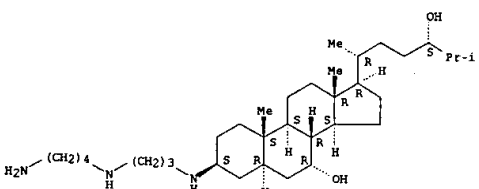


RN 167076-10-0 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



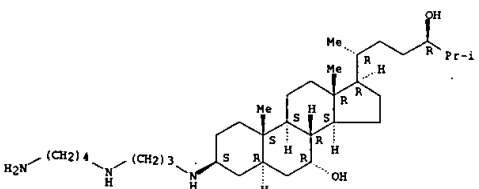
L39 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● 3 HCl

RN 169127-71-3 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



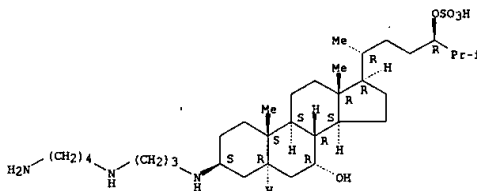
● 3 HCl

L39 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:711921 CAPLUS
 DOCUMENT NUMBER: 124:202720
 TITLE: Stereoselective synthesis of squalamine dessulfate
 AUTHOR(S): Moriarty, Robert M.; Enache, Livia A.; Kinney, William A.; Allen, Craig S.; Canary, James W.; Tuladhar, Sudersan M.; Guo, Liang
 CORPORATE SOURCE: Dep. Chem., Univ. Illinois at Chicago, Chicago, IL, 60607-7061, USA
 SOURCE: Tetrahedron Letters (1995), 36(29), 5139-42
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 124:202720

AB Squalamine dessulfate (24R) (I) and the unnatural product squalamine dessulfate (24S) were synthesized from stigmasterol. The key step in establishing the C24 stereochem. is attachment of the side-chain at C22 using either (2R)- or (2S)-1,2-epoxy-3-methylbutane to yield the cholesteryl precursors of the epimeric squalamine dessulfates.
 IT 160022-48-0P 169127-67-7P 169127-71-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (stereoselective synthesis of squalamine dessulfate)
 RN 160022-48-0 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

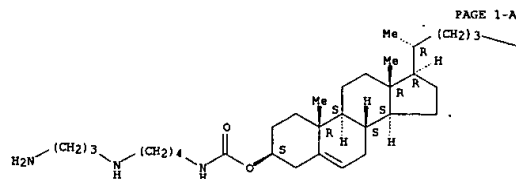
RN 169127-67-7 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:631120 CAPLUS
 DOCUMENT NUMBER: 123:136097
 TITLE: Approaches to enhance the binding affinity and nuclease stability of triplex forming oligonucleotides
 AUTHOR(S): Jayaraman, K.; Durland, R. H.; Rao, T. S.; Revankar, G. R.; Bodepudi, V.; Chaudhary, N.; Guy-Caffey, J.
 CORPORATE SOURCE: Triplex Pharmaceutical Corp., The Woodlands, TX, 77380, USA
 SOURCE: Nucleosides & Nucleotides (1995), 14(3-5), 951-5
 CODEN: NUNUD5; ISSN: 0732-8311
 PUBLISHER: Dekker
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The ability of simple azole nucleosides to promote antiparallel triplex formation at non-homopurine duplex targets was explored. It was also shown that spermidine-cholesterol conjugation is effective in enhancing cellular uptake and stability of oligonucleotides.
 IT 165673-45-0 165673-46-1
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (approaches to enhance binding affinity and nuclease stability of triplex forming oligonucleotides)
 RN 165673-45-0 CAPLUS
 CN Cholest-5-en-3-ol, (3.beta.), [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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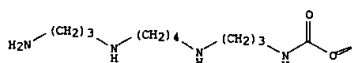
CHM2

RN 165673-46-1 CAPLUS
 CN Cholest-5-en-3-ol, (3.beta.), [4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

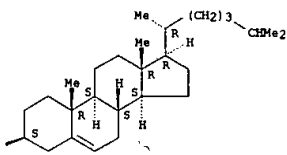
Absolute stereochemistry.

L39 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B



L39 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

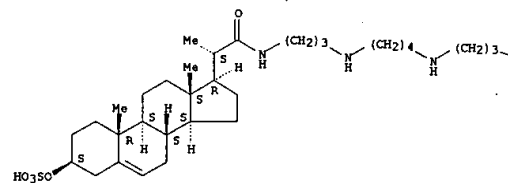
NH2

L39 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:592050 CAPLUS
 DOCUMENT NUMBER: 123:83819
 TITLE: Rapid Construction of a Squalamine Mimic
 AUTHOR(S): Sadownik, Andrzej; Deng, Gang; Janout, Vaclav; Regen, Steven L.; Bernard, Edward M.; Kikuchi, Ken; Armstrong, Donald
 CORPORATE SOURCE: Department of Chemistry, Lehigh University, Bethlehem, PA, 18015, USA
 SOURCE: Journal of the American Chemical Society (1995), 117(22), 6138-9
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Activation of the carboxylic acid group of 23,24-bisnor-5-choleonic acid-3.beta.-ol by conversion to its N-hydroxysuccinimide, followed by sulfation and condensation with spermine produced the amide I [R = (CH2)3NH(CH2)4NH(CH2)3NH2] in 17% overall yield. The finding that this compd. mimics the structure and bactericidal and fungicidal activity of squalamine demonstrates that the placement of a pendant spermidine and sulfate group on the A and D rings of a closely related sterol can be reversed with retention of antimicrobial activity, and that much more accessible mimics are possible. Analog I [R = (CH2CH2O)5CH2CH2NH2] had practically no bactericidal and fungicidal activity, but does form Na channels in unilamellar vesicles.
 IT 165336-10-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and microbicidal activity of a squalamine isomer)
 RN 165336-10-7 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfoxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS

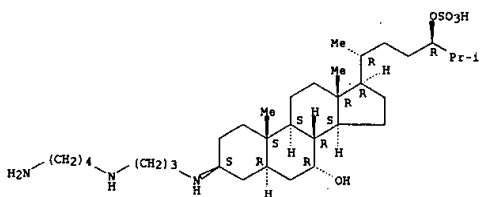
ACCESSION NUMBER: 1995:257822 CAPLUS
 DOCUMENT NUMBER: 122:56298
 TITLE: Chemical synthesis of squalamine
 INVENTOR(S): Moriarty, Robert M.; Guo, Liang; Tuladhar, Sudersan M.
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9419366	A1	19940901	WO 1994-US1822	19940224
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9463928	A1	19940914	AU 1994-63928	19940224
PRIORITY APPLM. INFO.: US 1993-23347 19930226				
WO 1994-US1822 19940224				

OTHER SOURCE(S): CASREACT 122:56298
 AB Methods for the chem. prepn. of the sterol antibiotic squalamine (I) are disclosed. Preferably, the prepn. involves: (1) modifying the 3-position of a 3-oxo-7.alpha.-hydroxy-24.zeta.-(ether-protected hydroxy)-5.alpha.-cholestane with a spermidino moiety to form a 3.beta.-spermidino-7.alpha.-hydroxy-24.zeta.-(ether-protected hydroxy)-5.alpha.-cholestane; (2) deprotecting the 24-position to the free hydroxyl; and (3) sulfating the 24-position hydroxy. For example, the key intermediate II underwent a sequence of: (a) oximation with PhCH2ONH2.HCl (97%); (b) redn. with LiAlH4 to the 3.beta.-amine (100%); (c) N-alkylation with 1(CH2)3N(Tos)(CH2)3CN (Tos = p-MeC6H4SO2) (100%); (d) detosylation with Na/NH3; (e) redn. of cyano to amino with LiAlH4 (93%); (f) benzoyloxycarbonylation of all 3 amino groups (99%); (g) 7-O-acetylation (86%); (h) hydrogenolytic deprotection of the amino groups and desilylation (90%); and (i) 24-O-sulfation, 7-O-deacetylation, and acidification, to give I as its tri-HCl salt. In addn. to the exemplified 12-step prepn. of precursor II from 3.beta.-hydroxy-5-choleonic acid, addnl. possible preps. from chenodeoxycholic acid, fucosterol, dehydroepiandrosterone, and pregnenolone acetate are described and claimed.
 IT 148717-90-2P, Squalamine 160022-48-0P, Squalamine trihydrochloride
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (chem. synthesis of squalamine)
 RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

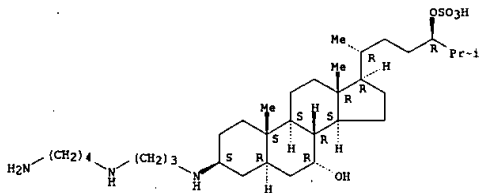
Absolute stereochemistry.

L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 160022-48-0 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), trihydrochloride, (3.beta.,5.alpha.,7.alpha.,24R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 159791-04-5P 159791-07-8P 159791-09-0P
 160022-47-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; chem. synthesis of squalamine)
 RN 159791-04-5 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-24-[[[1,1-dimethylethyl]dimethylsilyl]oxy]-, (3.beta.,5.alpha.,7.alpha.)-(9CI) (CA INDEX NAME)

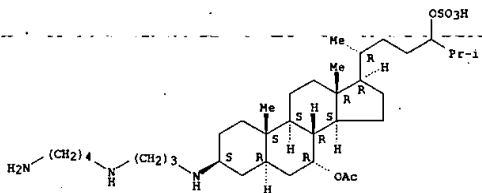
Absolute stereochemistry.

L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-acetate 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)-, compd. with pyridine (1:1), trihydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 159791-08-9
 CMF C36 H67 N3 O6 S

Absolute stereochemistry.



CM 2

CRN 110-86-1
 CMF C5 H5 N

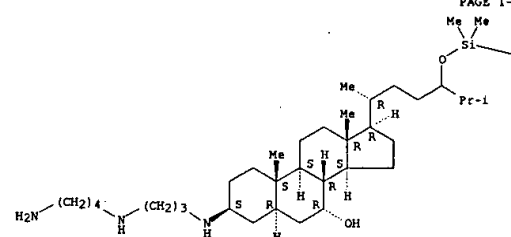


RN 160022-47-9 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), monosodium salt, (3.beta.,5.alpha.,7.alpha.,24R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

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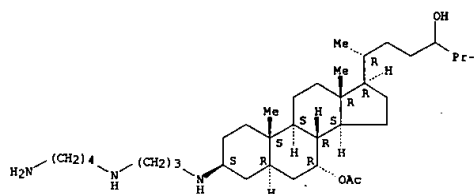


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Bu-t

RN 159791-07-8 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-acetate, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)-(9CI) (CA INDEX NAME)

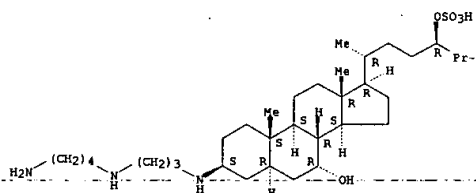
Absolute stereochemistry.



● 3 HCl

RN 159791-09-0 CAPLUS

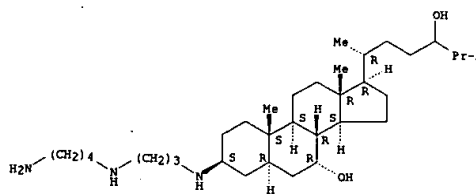
L39 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

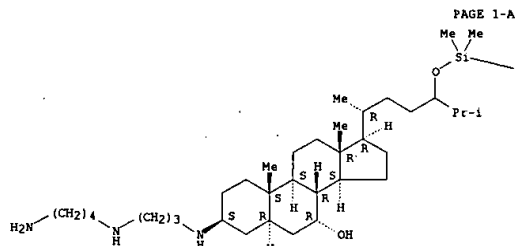
IT 159791-14-7DP, 3.beta.-Spermidino-7.alpha.,24-dihydroxy-5.alpha.-cholestane, 24-ether-protected derivs.
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; chem. synthesis of squalamine)
 RN 159791-14-7 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1995:204023 CAPLUS
 DOCUMENT NUMBER: 122:187866
 TITLE: Synthesis of squalamine. A steroidal antibiotic from the shark
 AUTHOR(S): Moriarty, Robert M.; Tuladhar, Sudersan M.; Guo, Liang; Wehrli, Suzanne
 CORPORATE SOURCE: Steroids, Ltd., Chicago, IL, 60612, USA
 SOURCE: Tetrahedron Letters (1994), 35(44), 8103-6
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The title compd. (I) was synthesized from 3.beta.-acetoxyl-5-cholenoic acid in 17 steps.
 IT 159791-04-5P 160348-70-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (total synthesis of squalamine)
 RN 159791-04-5 CAPLUS
 CN Cholestane-7-ol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-24-[[[1,1-dimethylethyl]dimethylsilyl]oxy]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



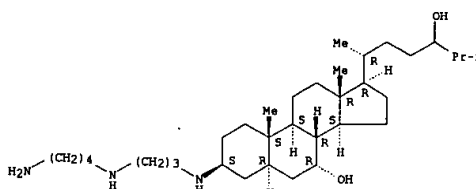
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— Bu-t

RN 160348-70-9 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

L39 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

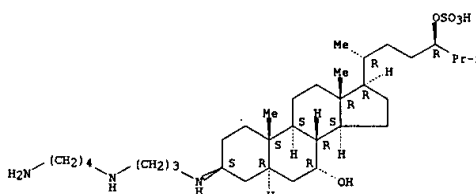
Absolute stereochemistry.



● 3 HCl

IT 140717-90-2P, Squalamine
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of squalamine)
 RN 140717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:253366 CAPLUS
 DOCUMENT NUMBER: 120:253366
 TITLE: Compositions and methods for enhanced drug delivery
 INVENTOR(S): Hale, Ron L.; Lu, Amy; Solas, Dennis; Selick, Harold E.; Oldenburg, Kevin R.; Zaffaroni, Alejandro C.
 PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.
 SOURCE: PCT Int. Appl., 155 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9325197	A1	19931223	WO 1993-US5631	19930611
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LX, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9345345	A1	19940104	AU 1993-45345	19930611
EP 647133	A1	19950412	EP 1993-915319	19930611
R: CH, DE, FR, GB, IT, LI, NL				
US 5607691	A	19970304	US 1995-449188	19950524
PRIORITY APPLN. INFO.:			US 1992-898219	19920612
			US 1993-9463	19930127
			WO 1993-US5631	19930611
			US 1993-77296	19930614
			US 1993-164293	19931209

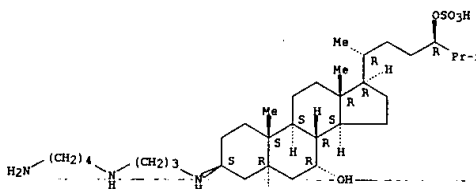
AB The present invention relates to methods of delivering pharmaceutical agents across membranes, including the skin layer or mucosal membranes of a patient. A pharmaceutical agent is covalently bonded to a chem. modifier, via a physiol. cleavable bond, such that the membrane transport and delivery of the agent is enhanced. Progesterone 3-(2-O-[10-O-(O-acetylcarnitinyldodecanoyl)glycolic acid] enol ester was prepd. from progesterone by prepn. of the enol acetate, reaction with 10-hydroxydecanoic acid, and reaction of the hydroxyl diester with 3-O-acetyl-L-carnitine acid chloride (prepn. given). In vitro serum half-lives of some pharmaceutical agent-chem. modifier complexes are given.

IT 140717-90-2D, Squalamine, drug conjugates
 RL: BIOL (Biological study)
 (through physiol. cleavable bond, drug enhanced transport across membranes in relation to)

RN 140717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

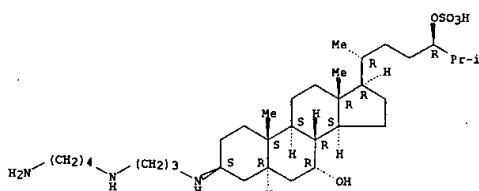


L39 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1993:650240 CAPLUS
 DOCUMENT NUMBER: 119:250240
 TITLE: Structure of the novel steroidal antibiotic squalamine determined by two-dimensional NMR spectroscopy
 AUTHOR(S): Wehrli, Suzanne L.; Moore, Karen S.; Roder, Heinrich; Durell, Stewart; Zasloff, Michael
 CORPORATE SOURCE: Div. Biochem. Dev. Mol. Dis., Child. Hosp. Philadelphia, Philadelphia, PA, USA
 SOURCE: Steroids (1993), 58(8), 370-8
 CODEN: STEDAM; ISSN: 0039-128X
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Squalamine is a novel aminosterol recently isolated from the dogfish shark, *Squalus acanthias*. This water-sol. steroid exhibits potent antibacterial activity against both gram-neg. and gram-pos. bacteria. In addn., squalamine is fungicidal and induces osmotic lysis of protozoa. The authors report here the structural detn. of squalamine, 3.beta.-N-1-[N(3-[4-aminobutyl]-1,3-diaminopropane)-7.alpha.,24.zeta.-dihydroxy-5.alpha.-cholestane 24-sulfate, which was deduced from the anal. of fast atom bombardment spectra and a series of 2-dimensional NMR spectra. Squalamine is a cationic steroid characterized by a condensation of an anionic bile salt intermediate with the polyamine, spermidine. This mol. is a potential host-defense agent in the shark, and provides insight into a new class of vertebrate antimicrobial mols.

IT 140717-90-2, Squalamine
 RL: FRP (Properties)
 (mol. structure of)
 RN 140717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



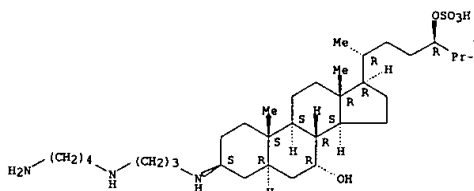
L39 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

L39 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1993:445050 CAPLUS
 DOCUMENT NUMBER: 119:45050
 TITLE: Squalamine: An aminosterol antibiotic from the shark
 AUTHOR(S): Moore, Karen S.; Wehrli, Suzanne; Roder, Heinrich; Rogers, Mark; Forrest, John N., Jr.; McGrimmon, Donald; Zasloff, Michael
 CORPORATE SOURCE: Div. Hum. Genet. Mol. Biol., Child. Hosp. Philadelphia, Philadelphia, PA, 19104, USA
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1993), 90(4), 1354-8
 CODEN: PNASAG; ISSN: 0027-8424
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB In recent years, a variety of low mol. wt. antibiotics have been isolated from diverse animal species. These agents, which include peptides, lipids, and alkaloids, exhibit antibiotic activity against environmental microbes and are thought to play a role in innate immunity. The authors report here the discovery of a broad-spectrum steroidal antibiotic isolated from tissues of the dogfish shark *Squalus acanthias*. This water-sol. antibiotic, which the authors have named squalamine, exhibits potent bactericidal activity against both Gram-neg. and Gram-pos. bacteria. In addn., squalamine is fungicidal and induces osmotic lysis of protozoa. The chem. structure of the antibiotic 3.beta.-N-1[N(3-[4-aminobutyl]-1,3-diaminopropane)-7.alpha.,24.zeta.-dihydroxy-5.alpha.-cholestane 24-sulfate has been detd. by fast atom bombardment mass spectroscopy and NMR. Squalamine is a cationic steroid characterized by a condensation of an anionic bile salt intermediate with spermidine. The discovery of squalamine in the shark implicates a steroid as a potential host-defense agent in vertebrates and provides insights into the chem. design of a family of broad-spectrum antibiotics.

IT 140717-90-2, Squalamine
 RL: BIOL (Biological study)
 (isolation from shark and as antibiotic)
 RN 140717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1992:490587 CAPLUS
 DOCUMENT NUMBER: 117:90587
 TITLE: Ursodeoxycholyldiethylenetriaminetriacetic acid alkyl esters and their manufacture
 INVENTOR(S): Takahashi, Makoto; Kakehi, Norihiko; Takagi, Jun; Sakakura, Hiroo
 PATENT ASSIGNEE(S): Takahashi, Makoto, Japan; Tokyo Tanabe Seiyaku K. K.
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JKKKAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

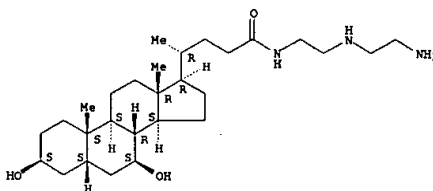
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04059790	A2	19920226	JP 1990-168363	19900628
JP 06035470	B4	19940511		

PRIORITY APPM. INFO.: JP 1990-168363 19900628
 OTHER SOURCE(S): MARPAT 117:90587

AB Title esters I (R1 = C1-5 alkyl; R2 = H, R1), useful as oral drugs for dissoln. of Ca-contg. gallstone, are manuf. by esterifying N'-ursodeoxycholyldiethylenetriamine-N,N,N'-triacetic acid (II) with R1OH or R3CH2 (R3 = H, C1-4 alkyl) or esterifying tri-X salt of II with R1X (X = Cl, Br, I) or treating N-ursodeoxycholyldiethylenetriamine with XCH2CO2R1. Thus, refluxing a mixt. of II, MeOH, and concd. H2SO4 for 20 h gave 66% (R1 = R2 = Me).

IT 142271-84-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (condensation of, with Me bromoacetate)
 RN 142271-84-9 CAPLUS
 CN Cholan-24-amide, N-[2-[(2-aminoethyl)amino]ethyl]-3,7-dihydroxy-, (3.beta.,5.beta.,7.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

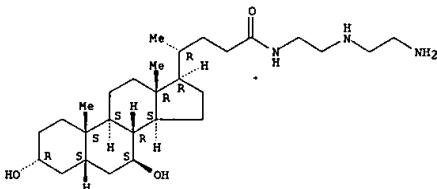


L39 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:583664 CAPLUS
 DOCUMENT NUMBER: 115:183664
 TITLE: Preparation of ursodeoxycholate derivative as gallstone-dissolving agent
 INVENTOR(S): Takahashi, Makoto; Maeda, Yoriobu; Kakehi, Norihiko
 PATENT ASSIGNEE(S): Tokyo Tanabe Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03099095	A2	19910424	JP 1989-235799	19890913
JP 06035469	B4	19940511		

PRIORITY APPLN. INFO.: JP 1989-235799 19890913
 OTHER SOURCE(S): MARPAT 115:183664
 AB The title compd. I (R = CH₂CO₂H) (II) is prep'd. by, e.g., reaction of triamine I (R = H) (III) with KCH₂CO₂H (X = Cl, Br, Iodo). Excess H₂NCH₂CH₂NHCH₂CH₂NH₂ was added dropwise to 5.9 g Et ursodeoxycholate carbonate in dioxane with stirring at 5-10.degree. to give 3.6 g III, which was treated with BrCH₂CO₂H in H₂O with stirring at 50.degree. and pH 7.2, the mixt. was adjusted to pH 7.5-8.5 with 8% Na₂CO₃, cooled, and acidified to pH 2.5 to give 48.1% II, which dissolved 107.5 mg/dl CaCO₃ at pH 7.4, vs. 11.1 mg/dl with glycochenodeoxycholic acid.
 IT 136665-34-47
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in prepn. of gallstone-dissolving agent)
 RN 136665-34-4 CAPLUS
 CN Cholan-24-amide, N-[2-[(2-aminoethyl)amino]ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

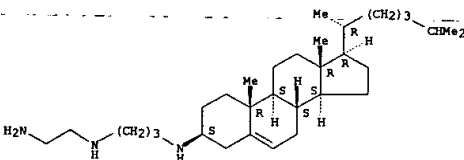


L39 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)
 PAGE 1-B

CHMe₂

RN 118573-50-5 CAPLUS
 CN 1,3-Propanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

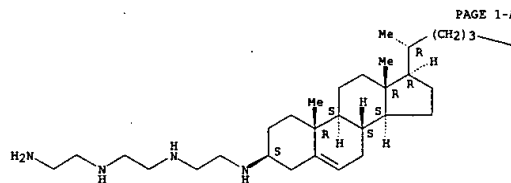


L39 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1991:108972 CAPLUS
 DOCUMENT NUMBER: 114:108972
 TITLE: Lamellar vesicles formed by cholesterol derivatives
 INVENTOR(S): Li, Ming P.; Baldeschwieler, John D.
 PATENT ASSIGNEE(S): California Institute of Technology, USA
 SOURCE: U.S., 12 pp. Cont. of U.S. Ser. No. 720,957, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4971803	A	19901120	US 1988-259453	19881017
			US 1985-720957	19850408

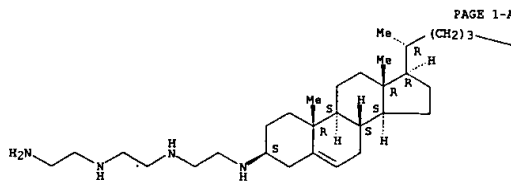
PRIORITY APPLN. INFO.: MARPAT 114:108972
 OTHER SOURCE(S):
 AB Closed, unilamellar vesicles are spontaneously formed by adding a cholesterol compd. substituted with a hydroxyl-terminated polyethylene oxide, contg. 1 to 4 ethylene oxide groups, to a polar liq. Multilamellar vesicles are formed by sonicating a cholesterol compd. contg. polyethylene oxide or polyamine side-chains. The vesicles can be utilized to disperse polar, nonpolar or amphiphilic compds. 3,6,9-Trioxaocan-1-olcholesteryl-3-epsilon.-ol (I) was prep'd. by refluxing under N a soln. of cholesterol p-toluenesulfonate in dry dioxane, with an excess of triethylene glycol. Methotrexate (2.5 mg/kg i.p.), encapsulated in unsaturated I liposomes, increased to 29.1 days the av. survival time of hepatoma ascites-bearing mice. No increase in av. survival time was shown by free methotrexate (3 mg/kg i.p.). Unlike phospholipid liposomes, the I liposomes form large multilamellar arrays, when sonicated.
 IT 96860-17-2 118573-50-5
 RL: BIOL (Biological study)
 (liposomes, for drug encapsulation)
 RN 96860-17-2 CAPLUS
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1989:54032 CAPLUS
 DOCUMENT NUMBER: 110:54032
 TITLE: Alteration of immunolysis reaction on liposome membrane by various cholesterol analogs
 AUTHOR(S): Glasasigij, Usar Sato, Yukio; Suzuki, Yasuo
 CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(10), 4192-9
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Complement-mediated immunolysis was employed to examine the effect of incorporation of various cholesterol analogs, having a terminal hydroxyl group or terminal primary amine group at the 3-position of the cholesterol nucleus, into the bilayer membrane of haptenated reverse-phase evapn. vesicles. An enhancement of immunolysis was obsd. when triethoxycholesterol (I) was incorporated, while no measurable change was detected when the chain length of the substituted groups in hydroxy cholesterol was shorter than that of I. For amino cholesterol analogs, a remarkable decrease in immunolysis was seen. The results may arise from changes of membrane properties such as bilayer fluidity, lateral hapten mobility and complement fixation.
 IT 96860-17-2 118573-50-5
 RL: ANST (Analytical study)
 (haptenated liposomes modification by, complement immunolysis response to, structure in relation to)
 RN 96860-17-2 CAPLUS
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



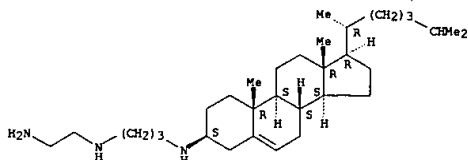
PAGE 1-B

CHMe₂

RN 118573-50-5 CAPLUS
 CN 1,3-Propanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:75714 CAPLUS
 DOCUMENT NUMBER: 108:75714
 TITLE: Steroids and their cyclic hydrocarbon analogs with amino-containing sidechains, useful as antidiabetic agents and inhibitors of phospholipase A2
 INVENTOR(S): Johnson, Roy A.; Bundy, Gordon L.; Youngdale, Gilbert A.; Morton, Douglas R.
 PATENT ASSIGNEE(S): Upjohn Co., USA
 SOURCE: PCT Int. Appl., 177 pp.
 CODEN: PIXAD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

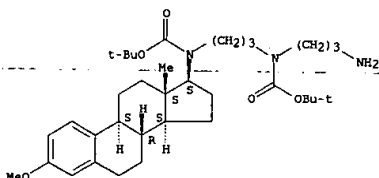
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8702367	A2	19870423	WO 1986-US2116	19861007
WO 8702367	A3	19880630		
V: JP, US, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 243449	A1	19871104	EP 1986-906569	19861007
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63501217	T2	19880512	JP 1986-505710	19861007
US 4917826	A	19900417	US 1987-117851	19870616
US 5196542	A	19930323	US 1991-657721	19910220
US 5145874	A	19920908	US 1991-663037	19910225
US 5187299	A	19930216	US 1991-793486	19911113
US 5274089	A	19931228	US 1992-972693	19921106
US 5334712	A	19940802	US 1992-976751	19921116
US 5373095	A	19941213	US 1993-126153	19930923
US 5621123	A	19970415	US 1994-247169	19940520
PRIORITY APPLN. INFO.:				
			US 1985-788995	19851018
			US 1986-843120	19860324
			WO 1986-US2116	19861007
			US 1987-117851	19870616
			US 1989-394396	19890815
			US 1991-657721	19910220
			US 1991-657729	19910220
			US 1991-793486	19911113
			US 1992-972693	19921106
			US 1992-976751	19921116

OTHER SOURCE(S): CASREACT 108:75714
 AB A wide variety of steroids and nonsteroidal analogs bearing amino-contg. sidechains were prep'd. for use as antidiabetic agents and in the treatment or prevention of phospholipase A2-mediated conditions. Reductive amination of estrone Me ether with Me2N(CH2)3NH2 and HCO2H at 160-170.degree. gave N-[3-(dimethylamino)propyl]-N'-formyl-3-methoxyestra-1,3,5(10)-trien-17.beta.-amine, which was reduced by LiAlH4 in dioxane to the N-Me deriv. This underwent Birch redn., followed by 3 recrystns. in Et2O-MeCN, to give estradienamine deriv. I. In the perfused guinea pig lung, I completely inhibited phospholipase A2 at 4 .times. 10-7 M.
 IT 112663-41-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in synthesis of phospholipase A2-inhibiting

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

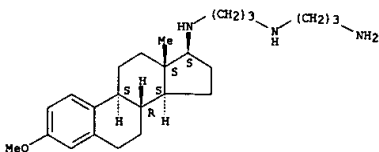
amino steroids and analogs
 RN 112663-41-9 CAPLUS
 CN Carbamic acid, (3-aminopropyl) [3-[[[1,1-dimethylethoxy]carbonyl] [(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-0P 112647-81-1P 112647-82-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
 RN 112647-74-2 CAPLUS
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



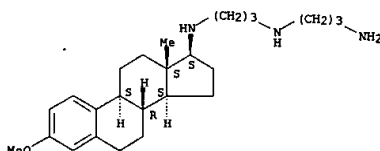
RN 112647-76-4 CAPLUS
 CN Butanedioic acid, comp'd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CH 1

CRM 112647-74-2
 CMF C25 H41 N3 O

Absolute stereochemistry.

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



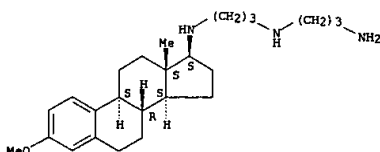
CH 2

CRM 110-15-6
 CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

RN 112647-77-5 CAPLUS
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

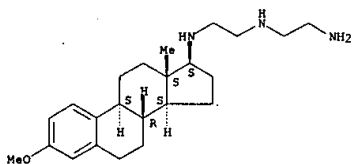


● 3 HCl

RN 112647-80-0 CAPLUS
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

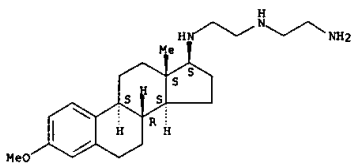
Absolute stereochemistry.

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 112647-81-1 CAPLUS
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

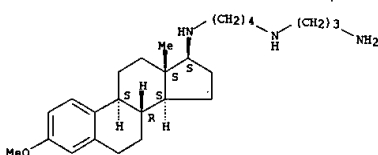
Absolute stereochemistry.



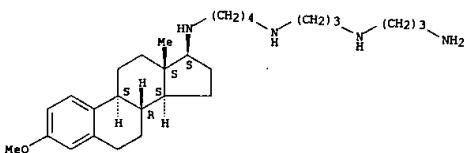
● 3 HCl

RN 112647-83-3 CAPLUS
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

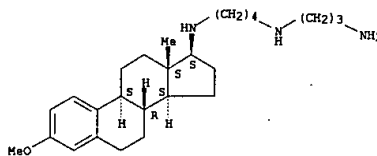


● 4 HCl

L39 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 112647-84-4 CAPLUS
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

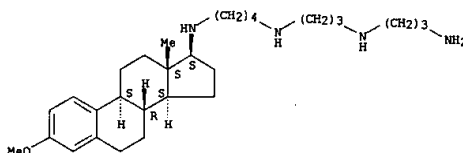
Absolute stereochemistry.



● 3 HCl

RN 112647-85-5 CAPLUS
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 CAPLUS
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:553396 CAPLUS
DOCUMENT NUMBER: 105:153396
TITLE: Estracyc compounds bound to anticancer agents
INVENTOR(S): Yoshida, Masaru; Asano, Masaharu; Kaetsu, Isao; Yamanaka, Ei-ju; Nakai, Katsuyuki; Yuasa, Hisako; Shida, Keizo
PATENT ASSIGNEE(S): Japan Atomic Energy Research Institute, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JQOXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

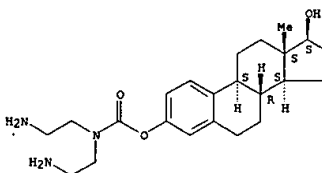
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61007292	A2	19860113	JP 1984-127117	19840620
US 4584136	A	19860422	US 1985-707219	19850301
PRIORITY APPL. INFO:			JP 1984-127117	19840620

AB Compds. of Estracyc (I), with one or both of the Cl atoms of I replaced by NH₂, are treated with anticancer agents contg. at least one such functional groups as CO₂H, Cl, NH₂, and/or OH to form the functionalized derivs. The complex derivs. were useful in treating prostate gland cancer. Thus, heating 0.5 g I with NH₄OH in phosphate buffer soln. (pH 7.2) at 50.degree. gave the aminated I deriv., which was treated with a soln. of 50 mg doxorubicin-HCl (II) in the buffer soln. (pH 7.2) contg. 0.1% glutaraldehyde at 40.degree. to give a complex of I with II, which at 70 .mu.g/kg effected a 40% shrinkage of prostate glands in Wistar rats in vitro.

IT 104448-80-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with anticancer agent)

RN 104448-80-8 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-[[bis(2-aminoethyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:411328 CAPLUS

DOCUMENT NUMBER: 103:11328

TITLE:

Modification of vesicle surfaces with amphiphilic sterols. Effect on permeability and in vivo tissue distribution

AUTHOR(S):

Patel, K. R.; Li, M. P.; Schuh, J. R.; Baldeschwieler, J. D.

CORPORATE SOURCE:

Div. Chem. Chem. Eng., California Inst. Technol., Pasadena, CA, 91125, USA

SOURCE:

Biochimica et Biophysica Acta (1985), 814(2), 256-64

CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The permeability of vesicles prepd. with various synthetic cholesterol derivs. [I where R = O(CH₂)₂OH, NH(CH₂)₂NH₂, etc.], is described. Cholesterol derivs. with side-chains ending in hydroxyl groups reduced the permeability of unilamellar vesicles. However, addn. of cholesterol derivs. with terminal amino groups make the vesicles more permeable. Vesicles prepd. with a short-chain amincholesterol deriv. were less permeable in phosphate-buffered saline, but not in bovine serum, whereas long-chain amincholesterol-contg. vesicles were very permeable in both media. Studies in vivo indicate a rapid clearance rate for i.v. administered amincholesterol-contg. vesicles with a concomitant increase in liver uptake. However, no difference was found in either the clearance or tissue distribution of control vesicles and the less permeable hydroxylcholesterol-contg. vesicles.

IT 96860-16-1 96860-17-2

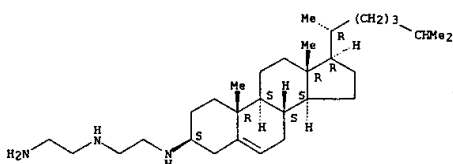
RL: BIOL (Biological study)

(liposomes contg., metab. and permeability of)

RN 96860-16-1 CAPLUS

CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 96860-17-2 CAPLUS

CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:536168 CAPLUS

DOCUMENT NUMBER: 99:136168

TITLE:

The retention and distribution in the rabbit knee of a radionuclide complexed with a lipophilic chelator in liposomes

AUTHOR(S):

Bard, David R.; Knight, C. G.; Page-Thomas, D. P.

CORPORATE SOURCE:

Strangeways Res. Lab., Cambridge, CB1 4RN, UK

SOURCE:

Clinical and Experimental Rheumatology (1983), 1(2), 113-17

CODEN: CERHDP; ISSN: 0392-856X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The lipophilic chelator, 3-cholesteryl 6-[N1-iminobis(ethylenitrilo)-tetraacetic acid]hexyl ether (I), which can complex with a variety of .beta.-emitting radionuclides was synthesized and incorporated into the lipid phase of liposomes. The retention in the synovial cavities of rabbit knees of liposomes contg. I complexed with the .gamma.-emitting tracer ⁵¹Cr, was measured over a period of 21 days and compared with colloidal and water-sol. preps. The distribution of the radionuclide between the tissues of the joint was also examd. Results show retention of ⁵¹Cr delivered in chelator liposomes to be >99% after 24h. At this time, >93% of the radioactivity had become assocd. with the synovium. Thus, chelator liposomes appear to offer considerable promise as vehicles for radioisotopes in radiosynovectomy.

IT 87259-23-4

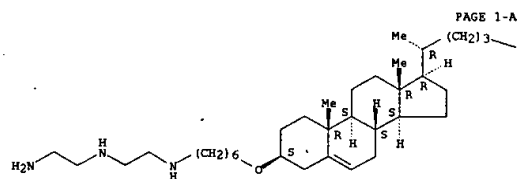
RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with diethylene triamine and cholesteryl iodoheptyl ether)

RN 87259-25-4 CAPLUS

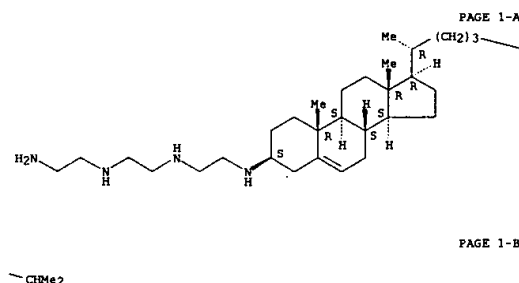
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[6-[(3.beta.)-cholest-5-en-3-yl]oxy]hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

L39 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS (Continued)



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L41 ANSWER 1 OF 40 USPATFULL
 ACCESSION NUMBER: 1998:157363 USPATFULL
 TITLE: Peripherally active anti-hyperalgesic opiates
 INVENTOR(S): Yaksh, Tony L., San Diego, CA, United States
 PATENT ASSIGNEE(S): Regents of the University of California, Oakland, CA, United States (U.S. corporation)

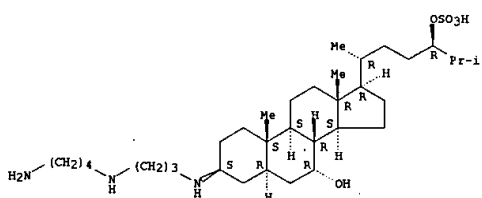
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5849761		19981215
APPLICATION INFO.:	US 1995-528510		19950912 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Spivack, Phyllis G.		
LEGAL REPRESENTATIVE:	Seidman, Stephanie L. Heller Ehrman White & McAuliffe		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3472		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods using compositions for the treatment of peripheral hyperalgesia are provided. The compositions contain an anti-hyperalgesia effective amount of one or more compounds that directly or indirectly interact with peripheral opiate receptors, but that do not, upon topical or local administration, elicit central nervous system side effects. The anti-diarrheal compound 4-(p-chlorophenyl)-4-hydroxy-N,N-dimethyl-.alpha.,.alpha.-diphenyl-1-piperidinebutyramide hydrochloride is preferred for use in the compositions of the claimed methods.

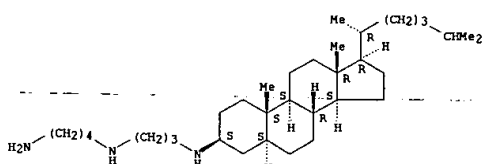
IT 148717-90-2, Squalamine
 (peripherally active anti-hyperalgesic opiates)
 RN 148717-90-2 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



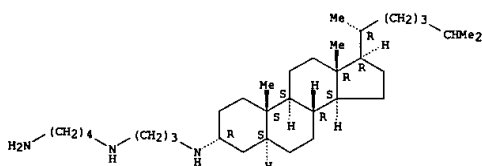
L41 ANSWER 2 OF 40 USPATFULL (Continued)
 RN 160348-64-4 USPATFULL
 CN 1,4-Butanediolamine, N-[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



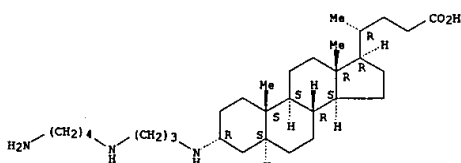
RN 160348-65-2 USPATFULL
 CN 1,4-Butanediolamine, N-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-66-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 2 OF 40 USPATFULL
 ACCESSION NUMBER: 1998:154470 USPATFULL
 TITLE: Certain aminosterol compounds and pharmaceutical compositions including these compounds
 INVENTOR(S): Zarloff, Michael, Merion Station, PA, United States
 Shinnar, Ann, Teaneck, NJ, United States
 Kinney, William, Churchville, PA, United States
 Jones, Steven, West Chester, PA, United States
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5847172		19981208
APPLICATION INFO.:	US 1995-487443		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Prior, Kimberly J.		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.		
NUMBER OF CLAIMS:	10		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3533		

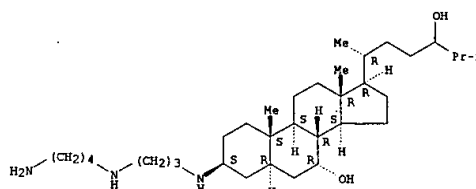
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also enclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

IT 159791-14-7P 160348-64-1P 160348-65-2P
 160348-66-3P 160348-67-4P 160348-70-9P
 160348-90-3P 160348-91-4P
 (prepn. of polyaminosteroids as bactericides and antifungals)

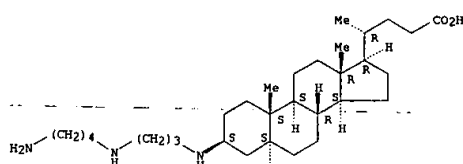
RN 159791-14-7 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



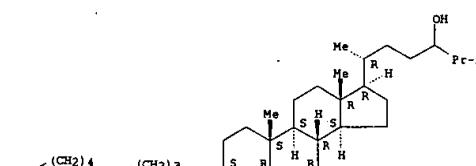
L41 ANSWER 2 OF 40 USPATFULL (Continued)
 RN 160348-67-4 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-70-9 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

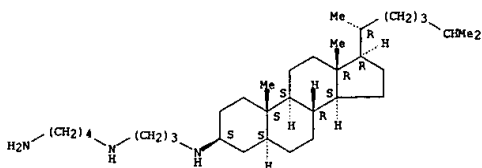


● 3 HCl

RN 160348-90-3 USPATFULL
 CN 1,4-Butanediolamine, N-[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 2 OF 40 USPATFULL (Continued)

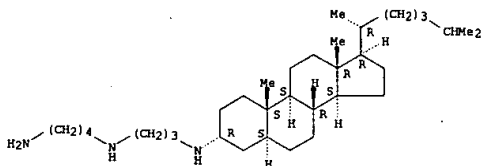


● 3 HCl

RN 160348-91-4 USPATFULL

CN 1,4-Butanediamine, N-[3-[[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 160348-77-6P 160348-78-7P

(prepn. of polyaminosteroids as bactericides and antifungals)

RN 160348-77-6 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 3 OF 40 USPATFULL

ACCESSION NUMBER: 1998:147645 USPATFULL

TITLE: Aminosterol compounds useful as inhibitors of the sodium/proton exchanger (NHE)

INVENTOR(S): Zaslloff, Michael, Merion Station, PA, United States
Shinnar, Ann, Teaneck, NJ, United States
Rao, Meena, Horsham, PA, United States
Kinney, William, Churchville, PA, United States

PATENT ASSIGNEE(S): Magalnia Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5840936		19981124
APPLICATION INFO.:	US 1995-475572		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Gelst, Gary		
ASSISTANT EXAMINER:	Frazier, Barbara S.		
LEGAL REPRESENTATIVE:	Finneghan, Henderson, Farabow, Garrett & Dunner, L.L.P.		
NUMBER OF CLAIMS:	10		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3497		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

IT 159791-14-7P 160348-64-1P 160348-65-2P

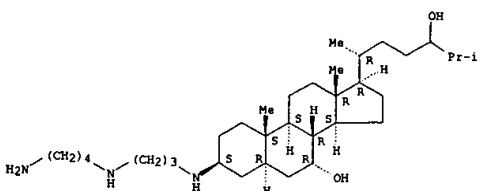
160348-66-3P 160348-67-4P 160348-70-9P

(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL

CN Cholestan-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

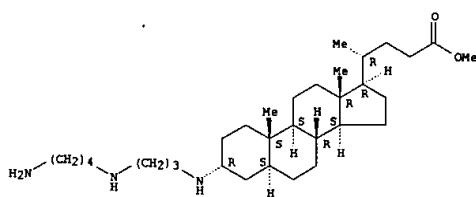
Absolute stereochemistry.



RN 160348-64-1 USPATFULL

CN 1,4-Butanediamine, N-[3-[[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, (9CI) (CA INDEX NAME)

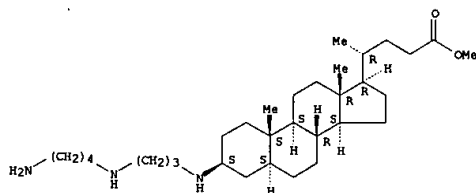
L41 ANSWER 2 OF 40 USPATFULL (Continued)



RN 160348-78-7 USPATFULL

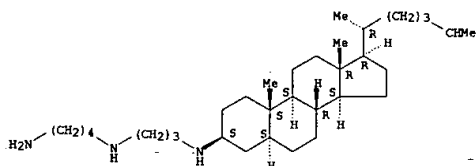
CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 3 OF 40 USPATFULL (Continued)

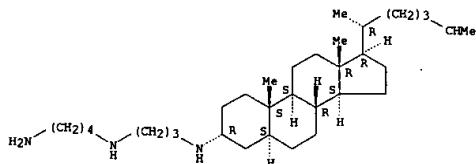
Absolute stereochemistry.



RN 160348-65-2 USPATFULL

CN 1,4-Butanediamine, N-[3-[[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, (9CI) (CA INDEX NAME)

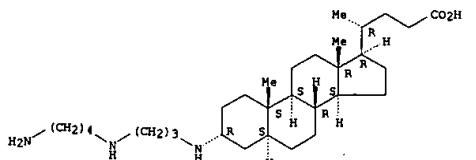
Absolute stereochemistry.



RN 160348-66-3 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

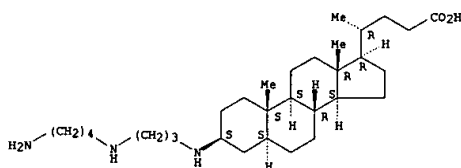


RN 160348-67-4 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

L41 ANSWER 3 OF 40 USPATFULL (Continued)

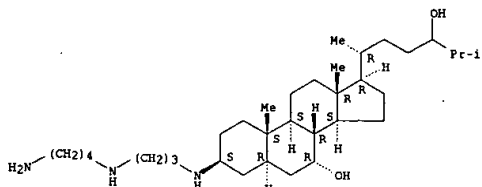
Absolute stereochemistry.



RN 160348-70-9 USPATFULL

CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



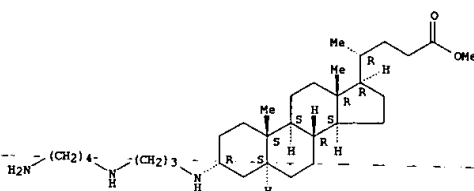
● 3 HCl

RN 160348-90-3 USPATFULL

CN 1,4-Butanediamine, N-[3-[[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

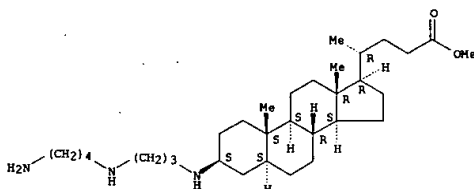
L41 ANSWER 3 OF 40 USPATFULL (Continued)



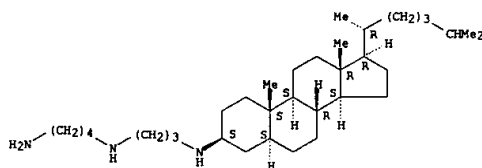
RN 160348-78-7 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 3 OF 40 USPATFULL (Continued)

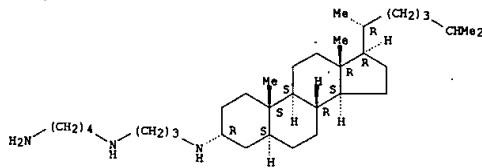


● 3 HCl

RN 160348-91-4 USPATFULL

CN 1,4-Butanediamine, N-[3-[[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 160348-77-6P 160348-78-7P

(prepn. of polyaminosteroids as bactericides and antifungals)

RN 160348-77-6 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL

ACCESSION NUMBER: 1998:147455 USPATFULL
 TITLE: Aminosterol compounds and a method of treating infection using the aminosterol compounds
 INVENTOR(S): Zasloff, Michael, Merion Station, PA, United States
 Shinnar, Ann, Teaneck, NJ, United States
 Kinney, William, Churchville, PA, United States
 Rao, Meena, Horsham, PA, United States
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5840740		19981124
APPLICATION INFO:	US_1995-483059		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Dees, Jose G.		
ASSISTANT EXAMINER:	Badio, Barbara		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3513		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are aminosterol compounds 1360 and 1361: ##STR1## which can be obtained in isolated or purified form from the liver of the dogfish shark.

IT 186139-09-3P

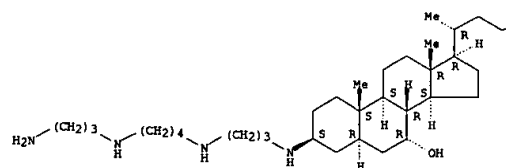
(isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of aminosterols)

RN 186139-09-3 USPATFULL

CN Cholestane-7,24-diol, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L41 ANSWER 4 OF 40 USPATFULL (Continued)

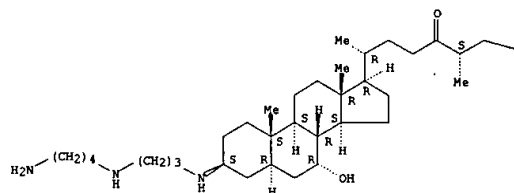
PAGE 1-B



IT 186139-06-0P 186139-08-2P 186139-11-7P
(isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of
aminosterols)
RN 186139-06-0 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-26-
(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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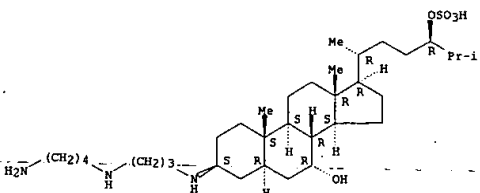
PAGE 1-B



RN 186139-08-2 USPATFULL
CN Cholest-25-en-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-,
(3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

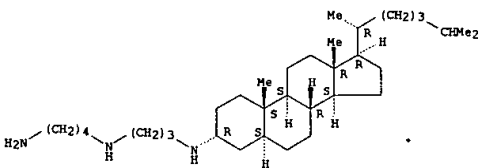
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



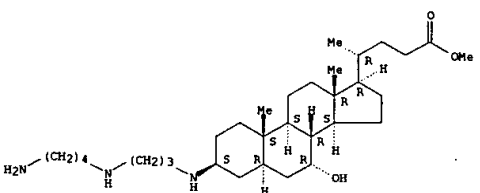
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



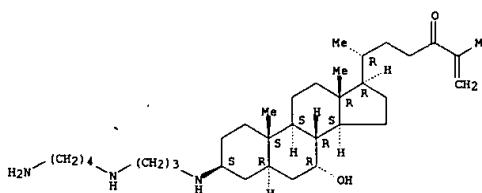
RN 177745-18-5 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-,
methyl ester, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



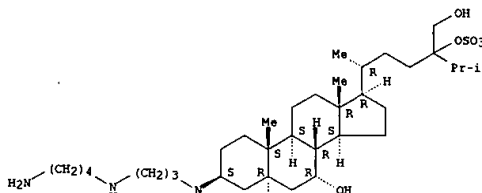
IT 171252-30-5P, 3-Episqualamine 177745-17-4P

L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-11-7 USPATFULL
CN Ergostane-7,24,28-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24.xi.)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



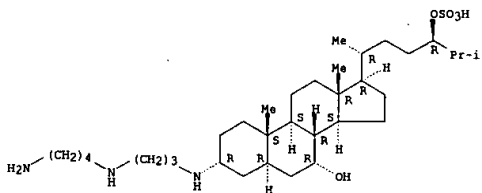
IT 148717-90-2P, Squalamine 160348-65-2P
177745-18-5P
(isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of
aminosterols)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

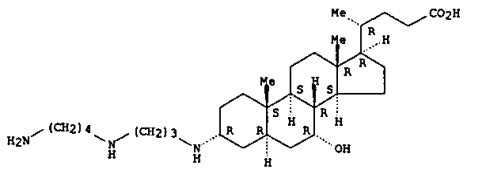
183867-19-8P 183867-20-1P 183867-22-3P
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186139-30-0P 186139-32-2P 186139-38-8P
186139-40-2P 186139-47-9P 186139-48-0P
186139-52-6P 186139-53-7P 186139-55-9P
186139-58-2P 186139-59-3P 186139-61-7P
186139-77-5P
(isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of
aminosterols)
RN 171252-30-5 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 177745-17-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-,
(3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

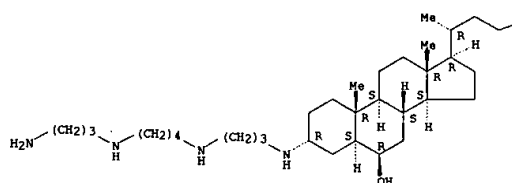


RN 183867-19-8 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-,
methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

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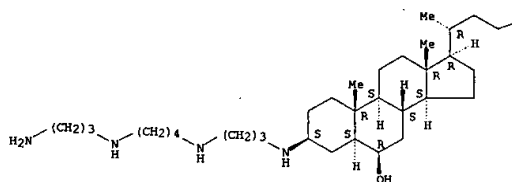
PAGE 1-B



RN 183867-20-1 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

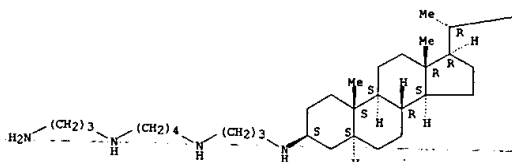
Absolute stereochemistry.

PAGE 1-A



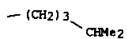
L41 ANSWER 4 OF 40 USPATFULL (Continued)

PAGE 1-A



● 4 HCl

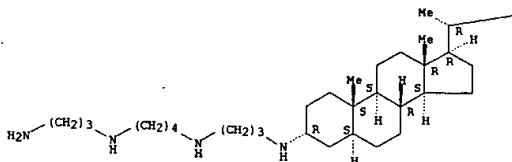
PAGE 1-B



RN 186139-15-1 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[[3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

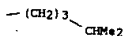
Absolute stereochemistry.

PAGE 1-A



● 4 HCl

PAGE 1-B



RN 186139-18-4 USPATFULL

L41 ANSWER 4 OF 40 USPATFULL (Continued)

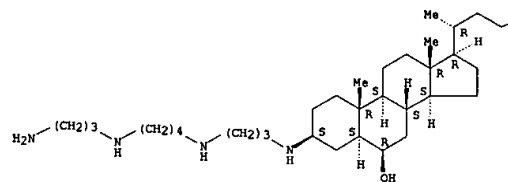
PAGE 1-B



RN 183867-22-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 186139-13-9 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[[3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

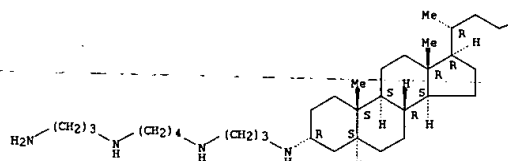
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



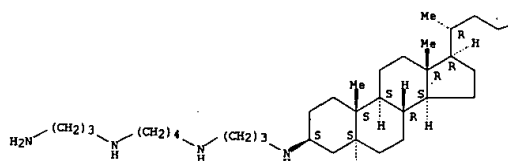
PAGE 1-B



RN 186139-20-8 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



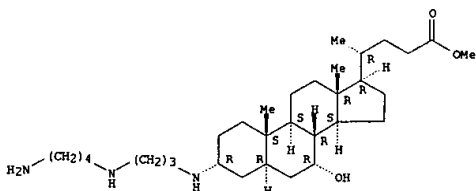
PAGE 1-B



L41 ANSWER 4 OF 40 USPATFULL (Continued)

RN 186139-26-4 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

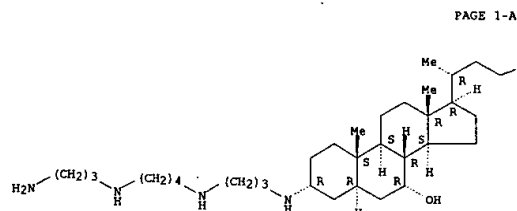
Absolute stereochemistry.



● 3 HCl

RN 186139-28-6 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 4 HCl

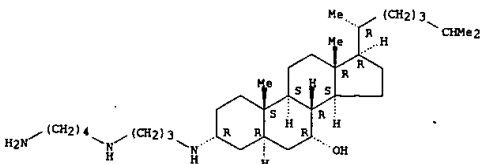
PAGE 1-A

L41 ANSWER 4 OF 40 USPATFULL (Continued)

CO₂H

RN 186139-38-8 USPATFULL
 CN Cholestan-7-ol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

RN 186139-40-2 USPATFULL
 CN Cholestan-7-ol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

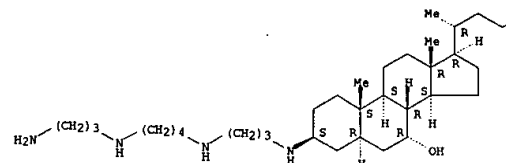
PAGE 1-B



RN 186139-30-0 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



● 4 HCl

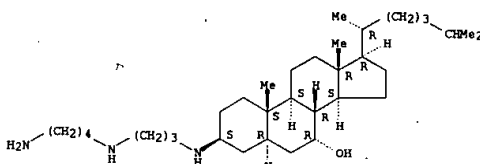
PAGE 1-B



RN 186139-32-2 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

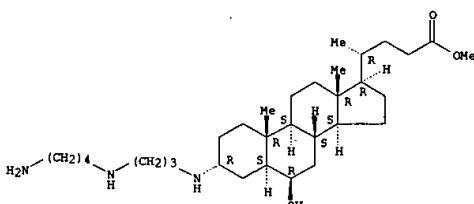
L41 ANSWER 4 OF 40 USPATFULL (Continued)



● 3 HCl

RN 186139-47-9 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

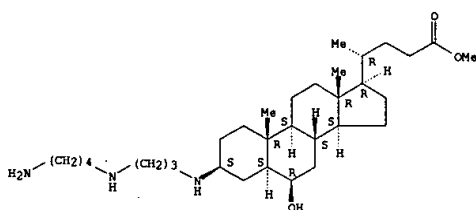
Absolute stereochemistry.



RN 186139-48-0 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

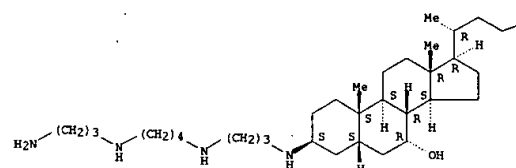
L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-52-6 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



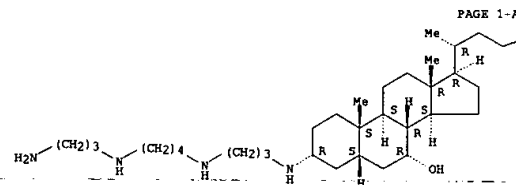
PAGE 1-B



RN 186139-53-7 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



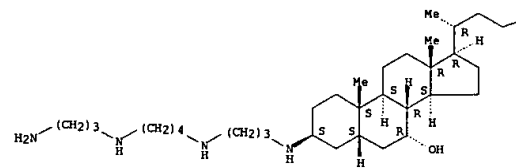
PAGE 1-B

-CO2H

RN 186139-59-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



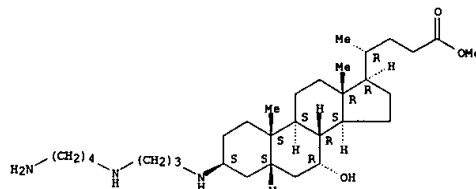
PAGE 1-B

-CO2H

RN 186139-61-7 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

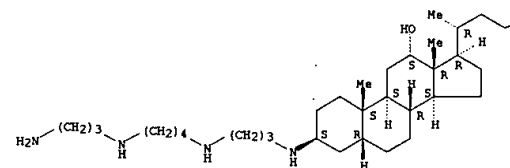
L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-55-9 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, methyl ester, (3.beta.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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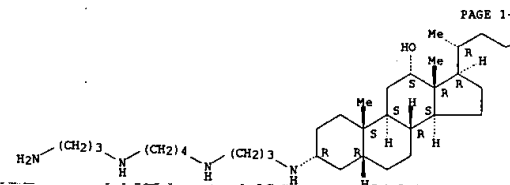
PAGE 1-B



RN 186139-58-2 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



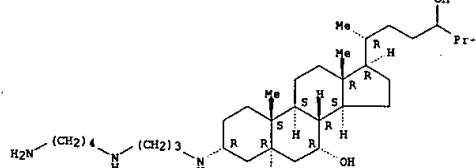
PAGE 1-B

-CO2H

RN 186139-77-5 USPATFULL
 CN Cholestane-7,24-diol, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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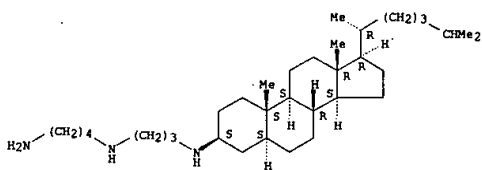
IT 160348-64-1 160348-66-3 160348-67-4

186139-81-1
 (isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of aminosterols)

RN 160348-64-1 USPATFULL
 CN 1,4-Butanediamine, N-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

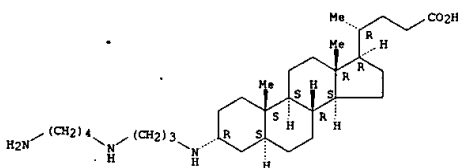
L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 160348-66-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

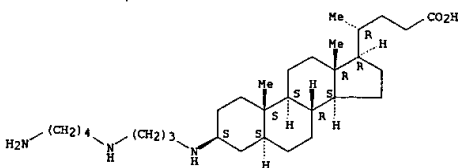
Absolute stereochemistry.



RN 160348-67-4 USPATFULL

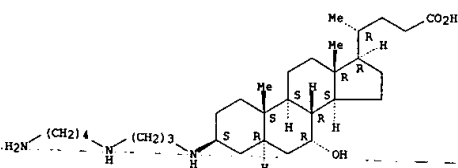
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186139-01-1 USPATFULL

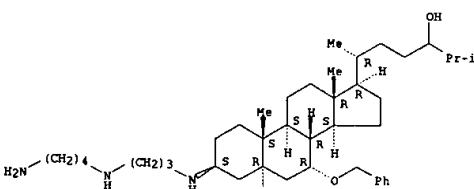
L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-68-4 USPATFULL

CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

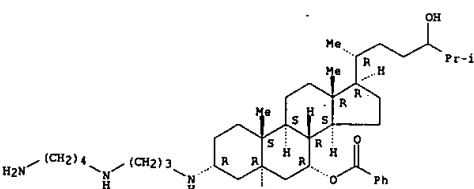
Absolute stereochemistry.



RN 186139-75-3 USPATFULL

CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-benzoate, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

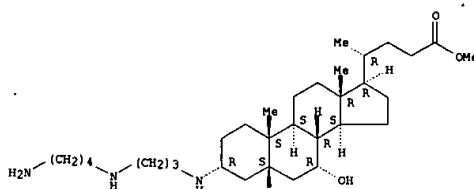


RN 186139-76-4 USPATFULL

L41 ANSWER 4 OF 40 USPATFULL (Continued)

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



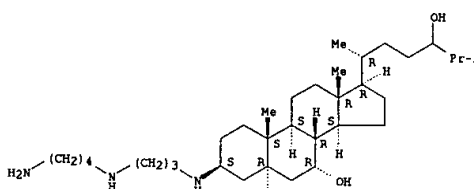
IT 159791-14-7P 177745-16-3P 186139-68-4P

186139-75-3P 186139-76-4P 186139-78-6P
(isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of aminosterols)

RN 159791-14-7 USPATFULL

CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-16-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177745-16-3 USPATFULL

CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177745-16-3 USPATFULL

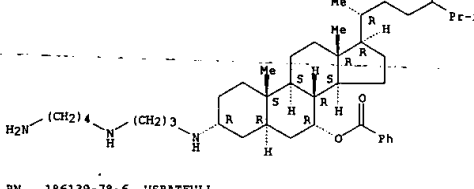
CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177745-16-3 USPATFULL

CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

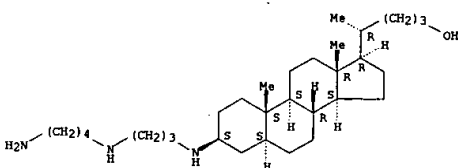
Absolute stereochemistry.



RN 186139-78-6 USPATFULL

CN Cholan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 186139-69-5P

(isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of aminosterols)

RN 186139-69-5 USPATFULL

CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

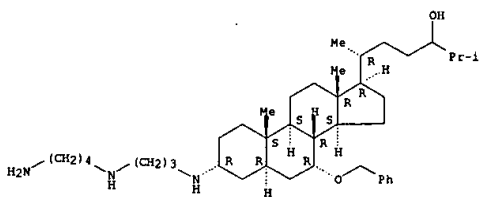
Absolute stereochemistry.

RN 186139-69-5 USPATFULL

CN Cholestan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

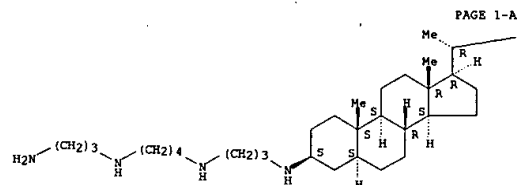
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)

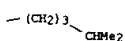


IT 177745-14-1P 186139-46-8P 186139-74-2P
 186139-80-0P 186139-82-2P 186139-83-3P
 186139-84-4P 186139-85-5P
 (isolation, prepn., and Na⁺-H⁺ exchanger-inhibiting activity of
 aminosterols)
 RN 177745-14-1 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[[(3.beta.,5.alpha.)-cholestan-
 3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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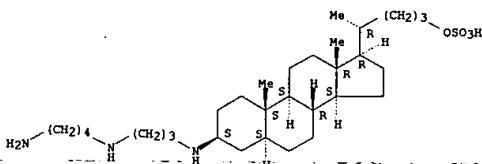


PAGE 1-B

RN 186139-46-8 USPATFULL
 CN Cholestan-24-carboxylic acid, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

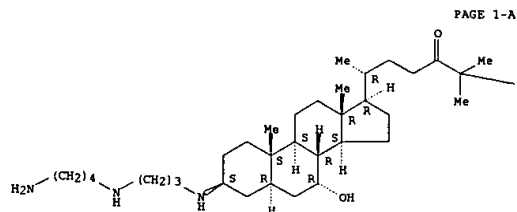
L41 ANSWER 4 OF 40 USPATFULL (Continued)



● K

RN 186139-82-2 USPATFULL
 CN Cholestan-24-one, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-25-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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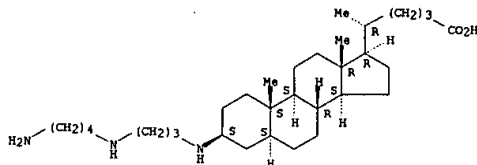
PAGE 1-B



RN 186139-83-3 USPATFULL
 CN Cholestan-7,24,25-triol, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-, 25-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

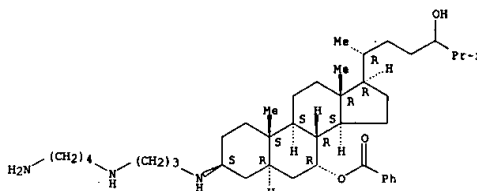
Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



RN 186139-74-2 USPATFULL
 CN Cholestan-7,24-diol, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

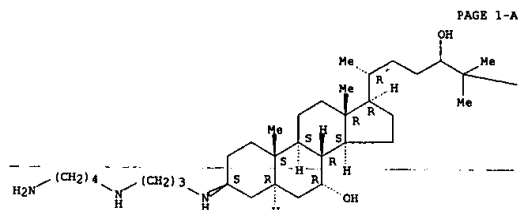
Absolute stereochemistry.



RN 186139-80-0 USPATFULL
 CN Cholan-24-ol, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-, hydrogen sulfate (ester), monopotassium salt, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 40 USPATFULL (Continued)



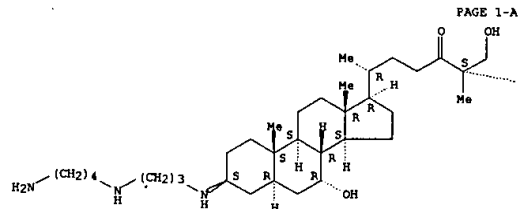
PAGE 1-A

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RN 186139-84-4 USPATFULL
 CN Cholestan-24-one, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-7,26-dihydroxy-25-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



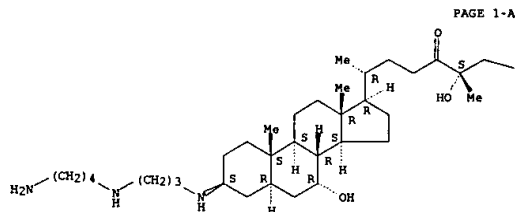
PAGE 1-A

PAGE 1-B



RN 186139-85-5 USPATFULL

L41 ANSWER 4 OF 40 USPATFULL (Continued)
 CN Cholest-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7,25-dihydroxy-
 26-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



OSO₃H

L41 ANSWER 5 OF 40 USPATFULL
 1998:147425 USPATFULL
 ACCESSION NUMBER:
 TITLE: Cationic amphiphiles containing ester or ether-linked lipophilic groups for intracellular delivery of therapeutic molecules
 INVENTOR(S): Lee, Edward R., Quincy, MA, United States
 Harris, David J., Lexington, MA, United States
 Siegel, Craig S., Woburn, MA, United States
 Lane, Mathieu B., Cambridge, MA, United States
 Hubbard, Shirley C., Belmont, MA, United States
 Cheng, Seng H., Wellesley, MA, United States
 Eastman, Simon J., Marlboro, MA, United States
 Marshall, John, Milford, MA, United States
 Scheule, Ronald K., Hopkinton, MA, United States
 PATENT ASSIGNEE(S): Genzyme Corporation, Framingham, MA, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5840710		19981124
US 1995-546087		19951020 (S)
PATENT INFORMATION: Continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994, now patented, Pat. No. US 5650096		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Campbell, Bruce R.		
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.		
NUMBER OF CLAIMS: 36		
EXEMPLARY CLAIM: 1		
NUMBER OF DRAWINGS: 26 Drawing Figure(s); 22 Drawing Page(s)		
LINE COUNT: 2972		

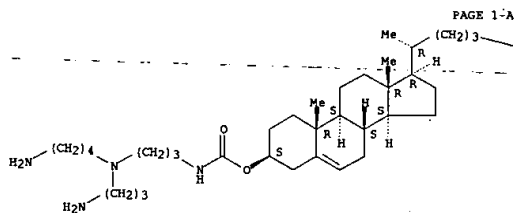
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile.

Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT 179075-37-7P
 (prepn. of cationic amphiphiles contg. ester or ether-linked lipophilic

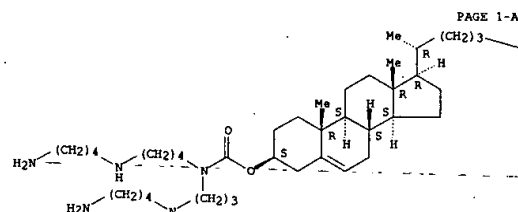
L41 ANSWER 5 OF 40 USPATFULL (Continued)
 groups for intracellular delivery of therapeutic mols.)
 RN 179075-37-7 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl) (3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



CHMe2

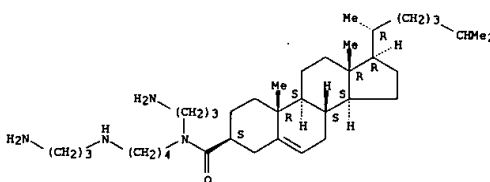
IT 179074-99-8P 179075-01-5P 179075-04-8P
 179075-23-3P 179075-29-7P 179075-30-0P
 179075-31-1P 179075-32-2P 179075-33-3P
 179075-34-4P 179075-36-6P 179075-40-2P
 179075-41-3P 179075-45-7P 179075-48-0P
 216103-76-3P 216103-77-4P 216103-78-5P
 216103-79-6P 216103-80-9P 216103-81-0P
 216103-82-1P
 (prepn. of cationic amphiphiles contg. ester or ether-linked lipophilic groups for intracellular delivery of therapeutic mols.)
 RN 179074-99-8 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [4-[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



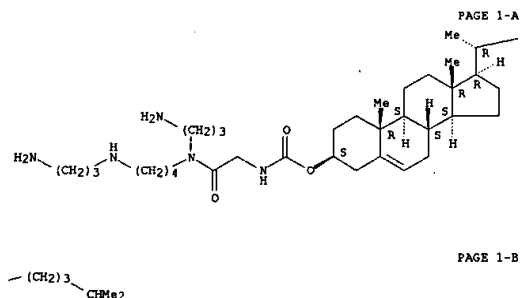
CHMe2

RN 179075-01-5 USPATFULL
 CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



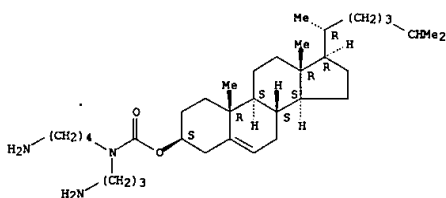
RN 179075-04-8 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

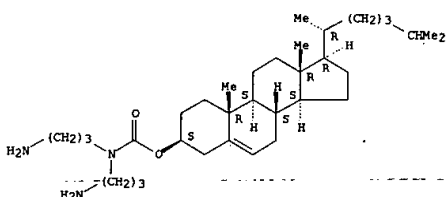
Absolute stereochemistry.



RN 179075-29-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

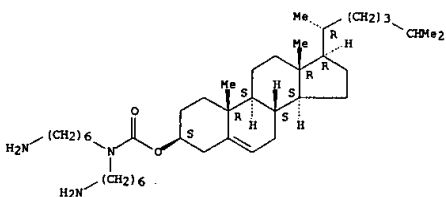
Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



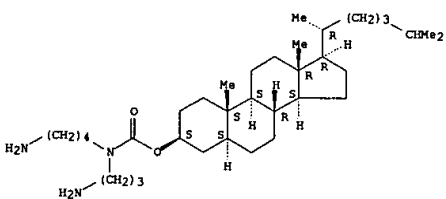
RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

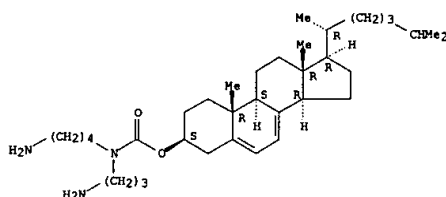


RN 179075-33-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate, (3.beta.)-, (5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

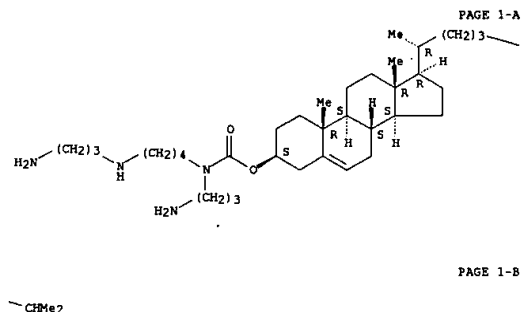


L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 179075-30-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



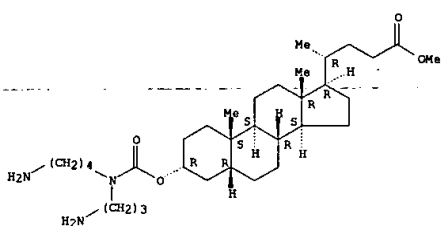
RN 179075-31-1 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)

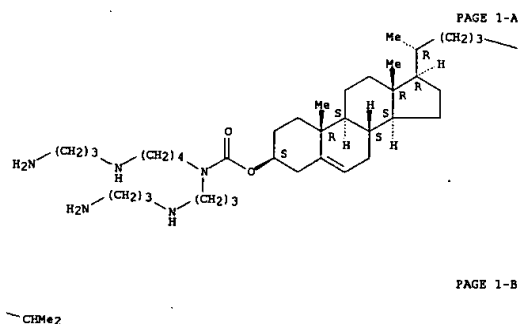
RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



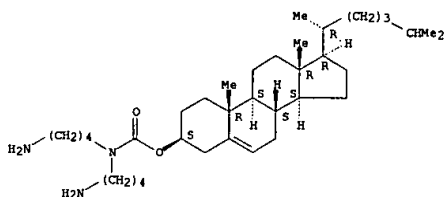
RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



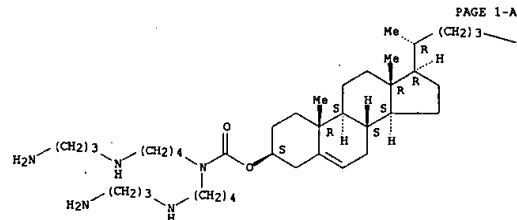
RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

L41 ANSWER 5 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-yl (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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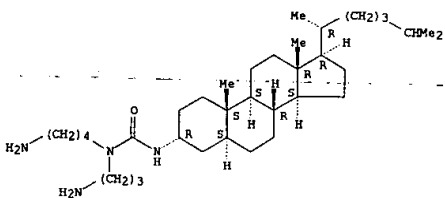
PAGE 1-B

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

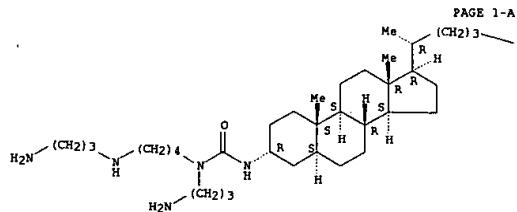
L41 ANSWER 5 OF 40 USPATFULL (Continued)
RN 216103-76-3 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-(3.alpha.,5.alpha.)-cholestan-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 216103-77-4 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-(3.alpha.,5.alpha.)-cholestan-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



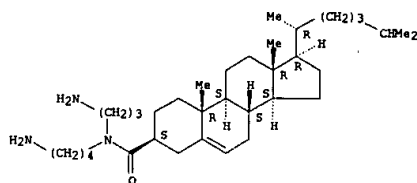
PAGE 1-A

PAGE 1-B

RN 216103-78-5 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-(3.alpha.)-cholest-5-en-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

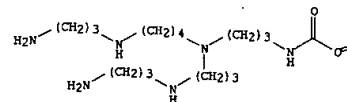
L41 ANSWER 5 OF 40 USPATFULL (Continued)



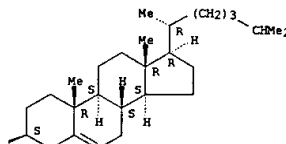
RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-yl (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

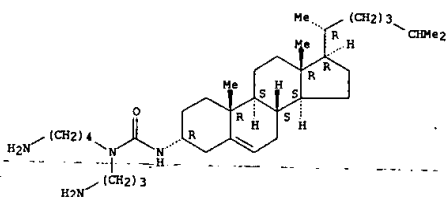
PAGE 1-A



PAGE 1-B

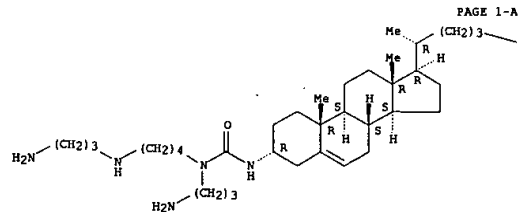


L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 216103-79-6 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-(3.alpha.)-cholest-5-en-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



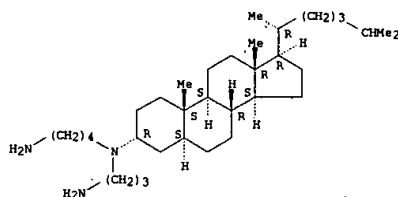
PAGE 1-A

PAGE 1-B

RN 216103-80-9 USPATFULL
CN 1,4-Butanediimine, N-(3-aminopropyl)-N-(3.alpha.,5.alpha.)-cholestan-3-yl- (9CI) (CA INDEX NAME)

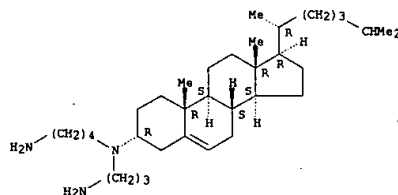
Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



RN 216103-81-0 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N-(3.alpha.)-cholest-5-en-3-yl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 216103-82-1 USPATFULL
 CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-(3.alpha.)-cholest-5-en-3-yl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 6 OF 40 USPATFULL

1998:143936 USPATFULL
 TITLE: Complexes comprising a nucleic acid bound to a cationic polyamine having an endosome disruption agent
 INVENTOR(S): Boutin, Raymond H., Thornton, PA, United States
 PATENT ASSIGNEE(S): American Home Products Corporation, Madison, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5837533		19981117
APPLICATION INFO.:	US 1994-314060		19940928 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Crouch, Deborah		
LEGAL REPRESENTATIVE:	Howson and Howson		
NUMBER OF CLAIMS:	49		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3984		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

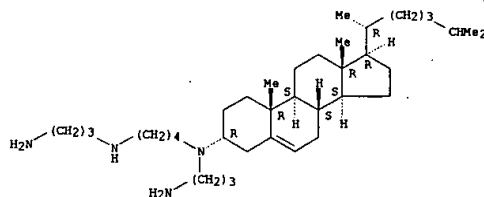
AB A multifunctional molecular complex for the transfer of a nucleic acid composition to a target cell is provided which comprises in any functional combination: A) said nucleic acid composition; and B) a transfer moiety comprising 1) one or more cationic polyamine components bound to said nucleic acid composition, each comprising from three to twelve nitrogen atoms; 2) one or more endosome membrane disruption promoting components attached to at least one nitrogen atom of at least one of said polyamine components, through an alkyl, carboxamide, carbamate, thiocarbamate, or carbamoyl bridging group, comprising a) at least one lipophilic long chain alkyl group, b) a fusogenic peptide comprising spike glycoproteins of enveloped animal viruses, or c) cholic acid or cholesteryl or derivatives; and optionally 3) one or more receptor specific binding components which are ligands for natural receptors of said target cell, attached through an alkyl, carboxamide, carbamate, thiocarbamate, or carbamoyl bridging group to either 1) a further nitrogen atom of at least one of said polyamine components to which said one or more endosome membrane disruption promoting components is attached, or 1i) a nitrogen atom of at least one further polyamine component which does not have attached thereto any endosome membrane disruption promoting component. Also provided are the transfer moiety alone, or in combination with the nucleic acid composition as a self-assembling combination, and the use of these compositions in methods for transferring nucleic acid compositions to cells or to cells of individuals, for immunizing individuals against a pathogen or disease, and for treating an individual with a disease.

IT 175922-61-9P 178212-69-6P 178212-83-4P
 (multifunctional mol. complexes for gene transfer to cells)

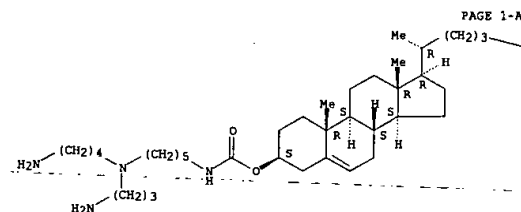
RN 175922-61-9 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [5-[(4-aminobutyl)(3-aminopropyl)amino]pentyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 5 OF 40 USPATFULL (Continued)



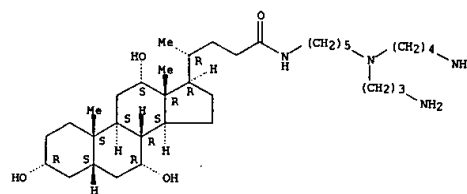
L41 ANSWER 6 OF 40 USPATFULL (Continued)



PAGE 1-B

RN 178212-69-6 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [5-[(4-aminobutyl)(3-aminopropyl)amino]pentyl]-3,7,12-trihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



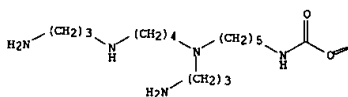
●3 HCl

RN 178212-83-4 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [5-[(3-aminopropyl)(4-[(3-aminopropyl)amino]butyl)amino]pentyl]carbamate, tetrahydrochloride (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

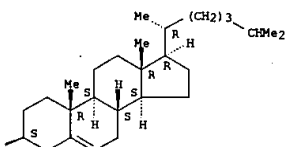
L41 ANSWER 6 OF 40 USPATFULL (Continued)

PAGE 1-A



● 4 HCl

PAGE 1-B



L41 ANSWER 7 OF 40 USPATFULL

ACCESSION NUMBER: 1998:138886 USPATFULL
 TITLE: Methods for the manufacture and use of antimicrobial sterol conjugates
 INVENTOR(S): Regen, Steven L., Allentown, PA, United States
 PATENT ASSIGNEE(S): Lehigh University, Bethlehem, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5834453		19981110
APPLICATION INFO.:	US 1997-877618		19970617 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1996-711161, filed on 9 Sep 1996, now abandoned which is a continuation-in-part of Ser. No. US 1995-452846, filed on 30 May 1995, now patented, Pat. No. US 5583239		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Robinson, Allen J.		
ASSISTANT EXAMINER:	Badio, Barbara		
LEGAL REPRESENTATIVE:	Yahwak & Associates		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
LINE COUNT:	711		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of forming a pharmaceutical composition of antimicrobial sterol conjugates having the following formulae: ##STR1## wherein R.sub.1, R.sub.2, R.sub.3, R.sub.4 and Y are as defined in the specification. Also disclosed is a method of inducing an antimicrobial effect by administering these pharmaceutical compositions.

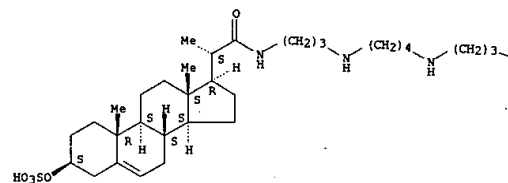
IT 165336-10-7P 174068-84-9P 174068-99-6P
 185307-17-9P 185307-23-7P 185307-24-8P
 185307-25-9P 185307-26-0P 185307-28-2P
 (prepn. of sterol polyamine conjugates with antimicrobial activity)

RN 165336-10-7 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L41 ANSWER 7 OF 40 USPATFULL (Continued)

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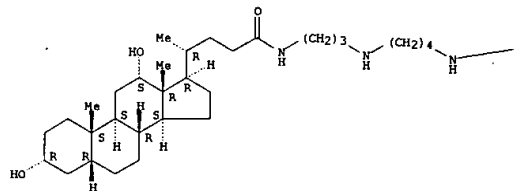
NH2

RN 174068-84-9 USPATFULL

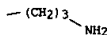
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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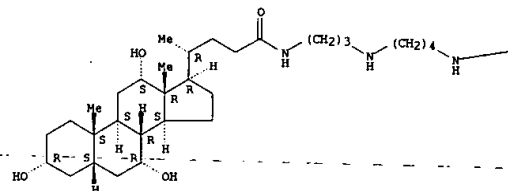
RN 174068-99-6 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

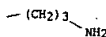
Absolute stereochemistry.

L41 ANSWER 7 OF 40 USPATFULL (Continued)

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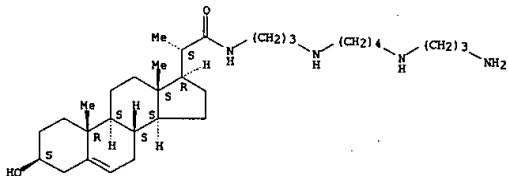
PAGE 1-B



RN 185307-17-9 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

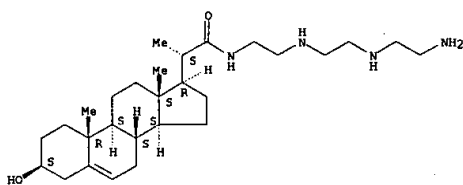


RN 185307-23-7 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

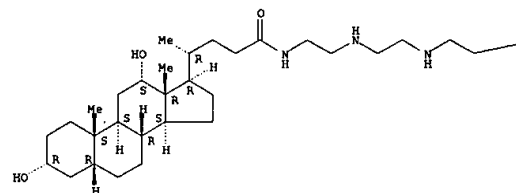
L41 ANSWER 7 OF 40 USPATFULL (Continued)



RN 185307-24-8 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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—NH₂

RN 185307-25-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-tris(sulfoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

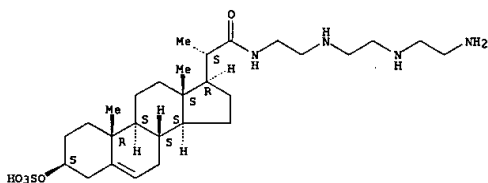
L41 ANSWER 7 OF 40 USPATFULL (Continued)

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—NH₂

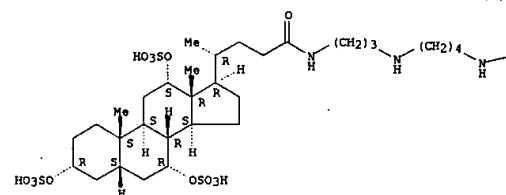
RN 185307-28-2 USPATFULL
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-1-3-(sulfoxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 7 OF 40 USPATFULL (Continued)

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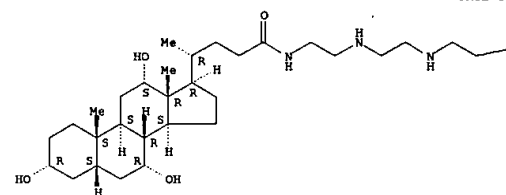
PAGE 1-B

—(CH₂)₃—NH₂

RN 185307-26-0 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L41 ANSWER 8 OF 40 USPATFULL

1998:115721 USPATFULL
ACCESSION NUMBER:
TITLE: Dry powder formulations of polynucleotide complexes
INVENTOR(S): Szoka, Jr., Francis C., San Francisco, CA, United States
Rolland, Alain, The Woodlands, TX, United States
Wang, Jinkang, San Francisco, CA, United States
PATENT ASSIGNEE(S): Regents of the University of California, Oakland, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5811406		19980922
APPLICATION INFO.:	US 4822544		19950609 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. 482110, filed on 7 Jun 1995 And Ser. No. 485430, filed on 7 Jun 1995		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Katter, James		
ASSISTANT EXAMINER:	Yuce, Irem		
LEGAL REPRESENTATIVE:	Crosby, Heafey, Roach & May		
NUMBER OF CLAIMS:	19		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	32 Drawing Figure(s); 23 Drawing Page(s)		
LINE COUNT:	763		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Polynucleotide complexes are stabilized by adding a cryoprotectant compound and lyophilizing the resulting formulation. The lyophilized formulations are milled or sieved into a dry powder formulation which may be used to deliver the polynucleotide complex. Delivery of the polynucleotide to a desired cell tissue is accomplished by contacting the tissue with the powder to rehydrate it. In a preferred embodiment, a dry powder formulation is used to transfer genetic information to the cells of the respiratory tract.

IT 186743-48-6D, polynucleotide complexes
(dry powder formulations of polynucleotide complexes for inhalation delivery to the respiratory tract)

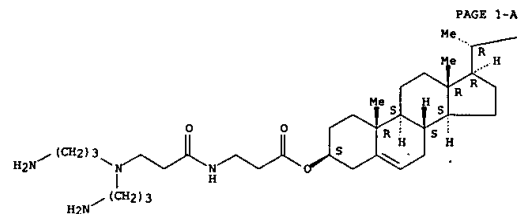
RN 186743-48-6 USPATFULL
CN .beta.-Alanine, N-[N,N-bis(3-aminopropyl)-.beta.-alanyl]-, (3.beta.)-cholest-5-en-3-yl ester, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

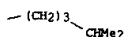
CRN 171977-78-9
CHF C39 H70 N4 O3
CDES 4:3B.CHOLEST

Absolute stereochemistry.

L41 ANSWER 8 OF 40 USPATFULL (Continued)



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CM 2

CRN 76-05-1

CMF C2 H F3 O2



L41 ANSWER 10 OF 40 USPATFULL

ACCESSION NUMBER: 1998:98909 USPATFULL
 TITLE: Method of inhibiting proliferation of cells by administering an aminosterol compound
 INVENTOR(S): Zasloff, Michael, Merion Station, PA, United States
 Shinnar, Ann, Teaneck, NJ, United States
 Kinney, William, Churchville, PA, United States
 Anderson, Mark, Norristown, PA, United States
 Williams, Jon, Robbinsville, NJ, United States
 McLane, Michael, Lonsdale, PA, United States
 Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795085	19980818
APPLICATION INFO.:	US 1995-483057	19950607 (8)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Rollins, John W.	
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)	
LINE COUNT:	3513	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

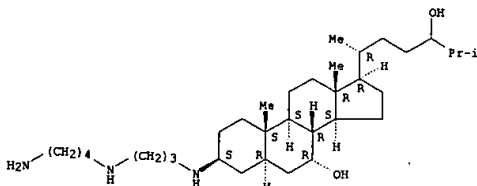
AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

IT 159791-14-7P 160348-64-1P 160348-65-2P
 160348-66-3P 160348-67-4P 160348-70-9P
 160348-90-3P 160348-91-4P
 (prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL

CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-64-1 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-

L41 ANSWER 9 OF 40 USPATFULL

ACCESSION NUMBER: 1998:115397 USPATFULL
 TITLE: Spray formulations of antihyperalgesic opiates and method of treating topical hyperalgesic conditions therewith
 INVENTOR(S): Maycock, Alan L., Malvern, PA, United States
 Chang, An-Chih, Phoenixville, PA, United States
 Farrar, John J., Chester Springs, PA, United States
 Balogh, Imre, Perkasia, PA, United States
 PATENT ASSIGNEE(S): Adolor Corporation, Malvern, PA, United States (U.S. corporation)

NUMBER	KIND	DATE
PATENT INFORMATION:	US 5811078	19980922
APPLICATION INFO.:	US 8185594	19970314 (8)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Page, Thurman K.	
ASSISTANT EXAMINER:	Shelborne, Kathryn E.	
LEGAL REPRESENTATIVE:	Balogh, Imre	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	696	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

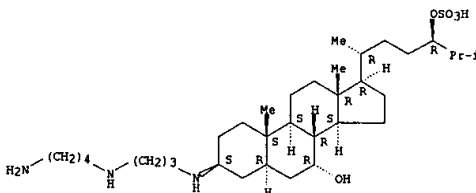
AB Spray formulations of anti-hyperalgesic opiates having a peripheral selectivity of 251 to 1,280 in a solvent mixture of up to 15% w/w alcohol selected from the group consisting of ethyl propyl and isopropyl alcohol and water greater than or equal to 85% w/w water.

IT 148717-90-2, Squalamine
 (topical sprays contg. anti-hyperalgesic opiates and active ingredients to promote wound healing)

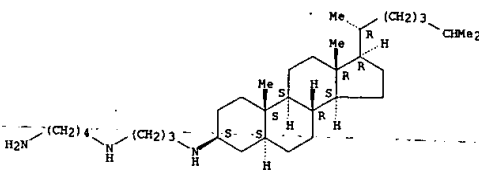
RN 148717-90-2 USPATFULL

CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 10 OF 40 USPATFULL (Continued)
(9CI) (CA INDEX NAME)

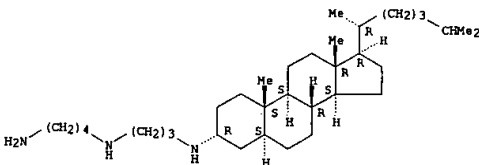
Absolute stereochemistry.



RN 160348-65-2 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

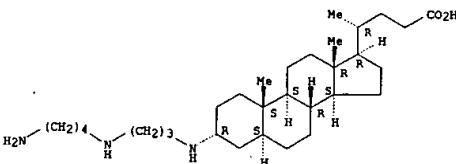
Absolute stereochemistry.



RN 160348-66-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

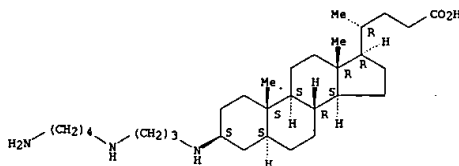


RN 160348-67-4 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,

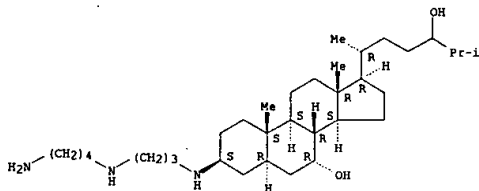
L41 ANSWER 10 OF 40 USPATFULL (Continued)
(3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-70-9 USPATFULL
CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

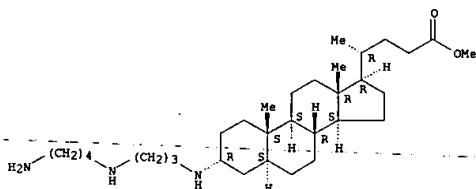


● 3 HCl

RN 160348-90-3 USPATFULL
CN 1,4-Butanediamine, N-[3-[[[3-(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

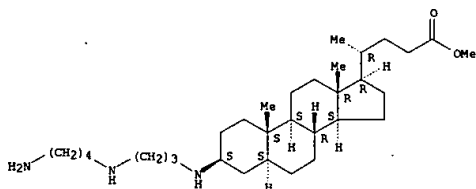
Absolute stereochemistry.

L41 ANSWER 10 OF 40 USPATFULL (Continued)

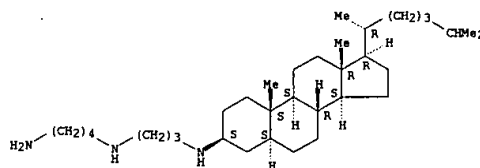


RN 160348-78-7 USPATFULL
CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



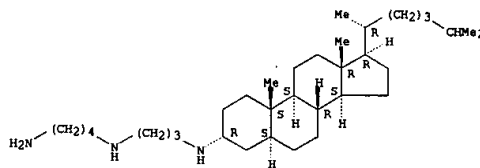
L41 ANSWER 10 OF 40 USPATFULL (Continued)



● 3 HCl

RN 160348-91-4 USPATFULL
CN 1,4-Butanediamine, N-[3-[[[3-(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 160348-77-6P 160348-78-7P
(prepn. of polyaminosteroids as bactericides and antifungals)
RN 160348-77-6 USPATFULL
CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 11 OF 40 USPATFULL
ACCESSION NUMBER: 1998:98894 USPATFULL
TITLE: Compositions and methods for cell transformation
INVENTOR(S): Kahne, Suzanne Walker, Princeton, NJ, United States
PATENT ASSIGNEE(S): Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795870		19980818
APPLICATION INFO.:	US 1994-336675		19941107 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-264488, filed on 23 Jun 1994, now patented, Pat. No. US 5627270 which is a continuation-in-part of Ser. No. US 1994-230685, filed on 20 Apr 1994 which is a continuation-in-part of Ser. No. US 1992-989667, filed on 14 Dec 1992, now patented, Pat. No. US 5571795 which is a continuation-in-part of Ser. No. US 1991-806985, filed on 13 Dec 1991, now patented, Pat. No. US 5338837		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Kight, John		
ASSISTANT EXAMINER:	Lee, Howard C.		
LEGAL REPRESENTATIVE:	Lowe, Price, LeBlanc & Becker		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	11 Drawing Figure(s); 11 Drawing Page(s)		
LINE COUNT:	1762		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

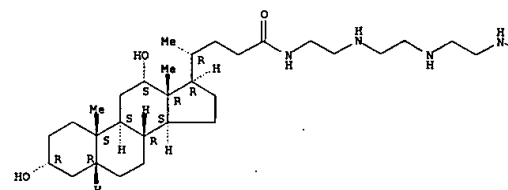
AB The present invention relates to methods and compositions for the transformation of cells. In particular, compositions and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid molecules. Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-containing side chain.

IT 174069-05-7 174180-24-6 206439-79-4
(liposome preps. using: bile acid derivs. for use in liposome-mediated transformation)

RN 174069-05-7 USPATFULL
CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

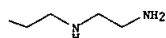
Absolute stereochemistry.

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L41 ANSWER 11 OF 40 USPATFULL (Continued)

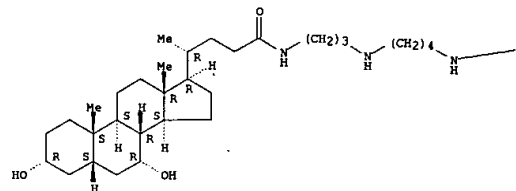
PAGE 1-B



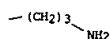
RN 174180-24-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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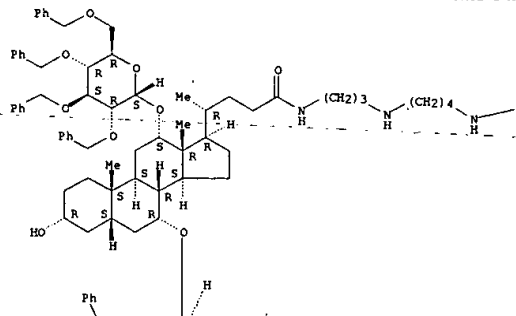


RN 206439-79-4 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-aminoethyl]amino]ethyl]amino]ethyl]amino]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

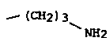
Absolute stereochemistry.

L41 ANSWER 11 OF 40 USPATFULL (Continued)

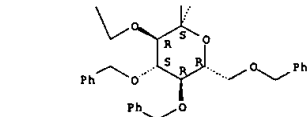
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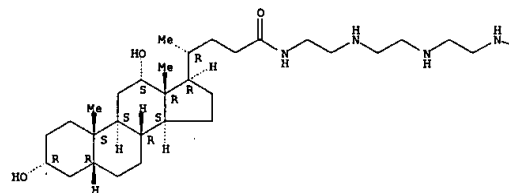
PAGE 2-A



RN 206439-86-3 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L41 ANSWER 11 OF 40 USPATFULL (Continued)

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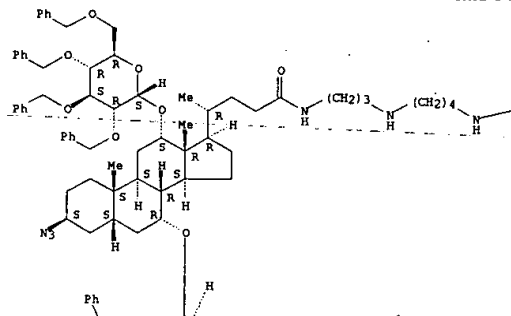
IT 174069-02-4P 206439-86-3P 206439-87-4P
 (prepn. and reactions of, in prepn. glycosylated bile acid derivs.; bile acid derivs. for use in liposome-mediated transformation)
 RN 174069-02-4 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

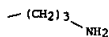
L41 ANSWER 11 OF 40 USPATFULL (Continued)

Absolute stereochemistry.

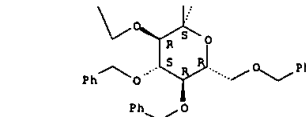
PAGE 1-A



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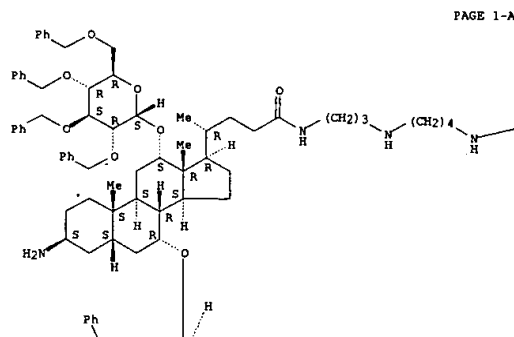
PAGE 2-A



RN 206439-87-4 USPATFULL
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-

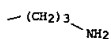
L41 ANSWER 11 OF 40 USPATFULL (Continued)
glucopyranosyl]oxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



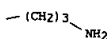
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L41 ANSWER 11 OF 40 USPATFULL (Continued)

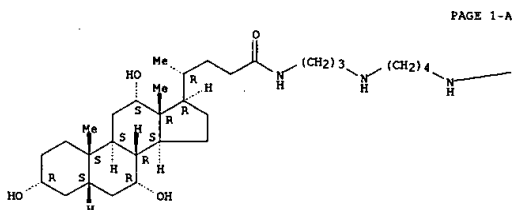
PAGE 1-B



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RN 174068-99-6 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

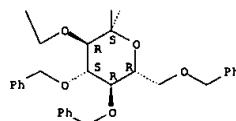
Absolute stereochemistry.



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L41 ANSWER 11 OF 40 USPATFULL (Continued)

PAGE 2-A



IT 174068-96-1P 174068-99-6P 206439-78-3P
206553-50-6P 210174-02-0P

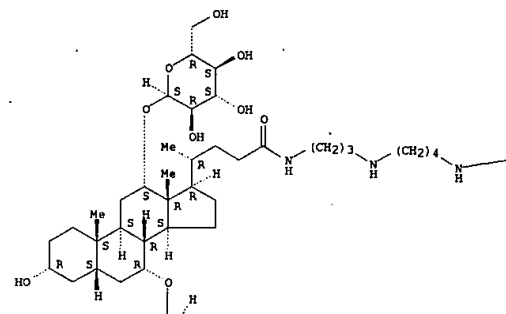
(prepn. of, liposome preps. using; bile acid derivs. for use in liposome-mediated transformation)

RN 174068-86-1 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(1.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

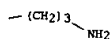
Absolute stereochemistry.

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L41 ANSWER 11 OF 40 USPATFULL (Continued)

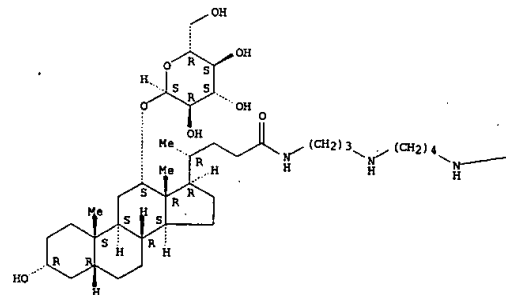
PAGE 1-B



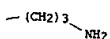
RN 206439-78-3 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(1.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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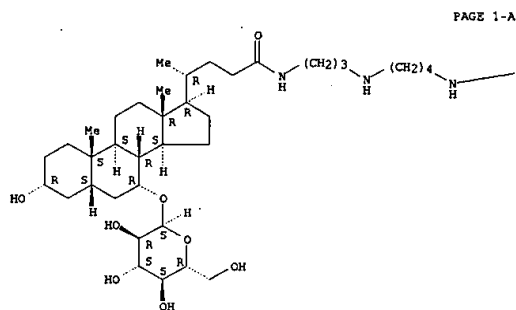


PAGE 1-B

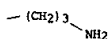


L41 ANSWER 11 OF 40 USPATFULL (Continued)
 RN 206553-50-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-
 (.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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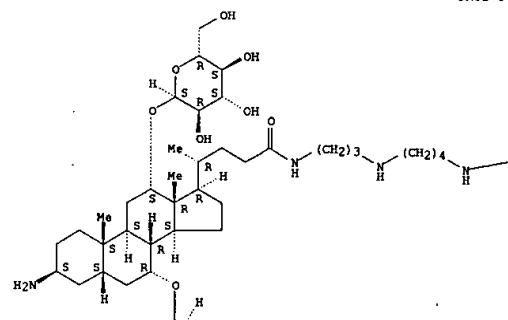


RN 210174-02-0 USPATFULL
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-
 1-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

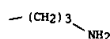
Absolute stereochemistry.

L41 ANSWER 11 OF 40 USPATFULL (Continued)

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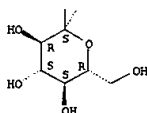


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L41 ANSWER 11 OF 40 USPATFULL (Continued)

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● 4 HCl

L41 ANSWER 12 OF 40 USPATFULL
 ACCESSION NUMBER: 1998:95412 USPATFULL
 TITLE: Method of inhibiting the sodium/proton exchanger NHE3
 and method of inhibiting growth by administering
 squalamine
 INVENTOR(S): Zasloff, Michael, Merion Station, PA, United States
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals, Inc., Plymouth Meeting, PA,
 United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5792635		19980811
APPLICATION INFO.:	US 1995-474799		19950607 (S)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Gitomer, Ralph		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3485		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

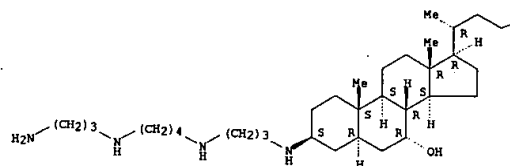
IT 186139-09-3P
 (use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

RN 186139-09-3 USPATFULL

CN Cholestane-7,24-diol, 3-[[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L41 ANSWER 12 OF 40 USPATFULL (Continued)

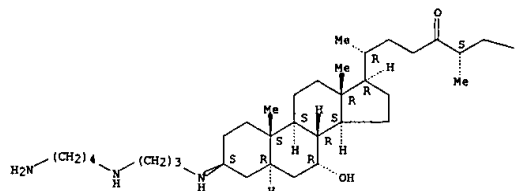
PAGE 1-B



IT 186139-06-0P 186139-08-2P 186139-11-7P
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 186139-06-0 USPATFULL
CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-26-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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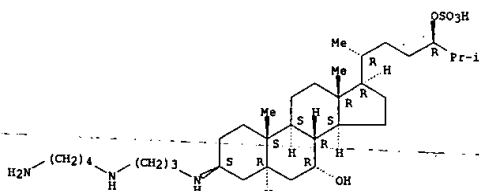
PAGE 1-B



RN 186139-08-2 USPATFULL
CN Cholest-25-en-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

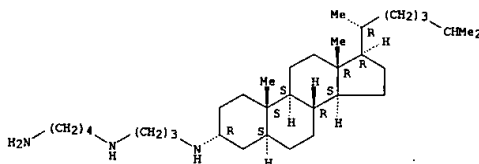
Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



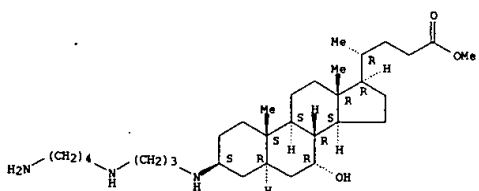
RN 160348-65-2 USPATFULL
CN 1,4-Butanediamine, N-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



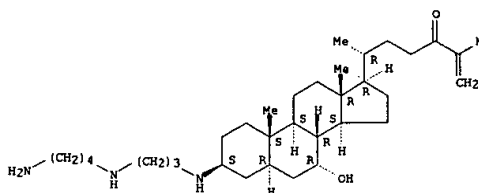
RN 177745-18-5 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



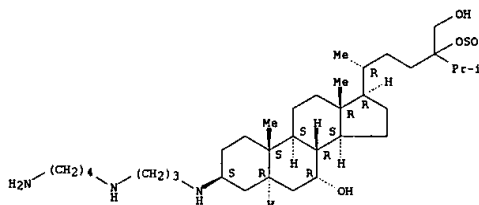
IT 171252-30-5P 177745-17-4P 183867-19-8P

L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-11-7 USPATFULL
CN Ergostane-7,24,28-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 148717-90-2P 160348-65-2P 177745-18-5P
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)
RN 148717-90-2 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

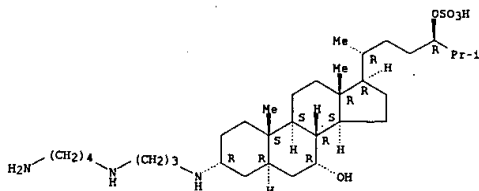
Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

183867-20-1P 183867-22-3P 186139-13-9P
186139-15-1P 186139-18-4P 186139-20-8P
186139-26-4P 186139-28-6P 186139-30-0P
186139-32-2P 186139-38-8P 186139-40-2P
186139-47-9P 186139-48-0P 186139-52-6P
186139-53-7P 186139-55-9P 186139-58-2P
186139-59-3P 186139-61-7P 186139-77-5P
(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

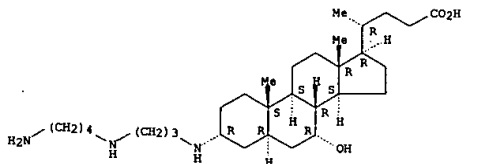
RN 171252-30-5 USPATFULL
CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-17-4 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

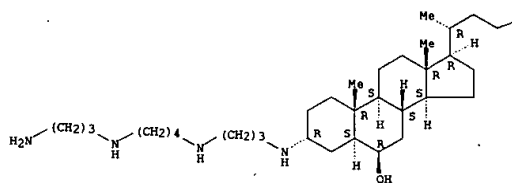


RN 183867-19-8 USPATFULL
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

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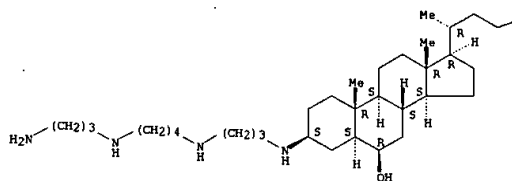
PAGE 1-B



RN 183867-20-1 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

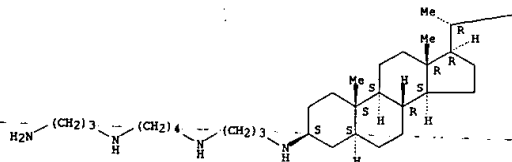
Absolute stereochemistry.

PAGE 1-A



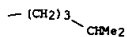
L41 ANSWER 12 OF 40 USPATFULL (Continued)

PAGE 1-A



● 4 HCl

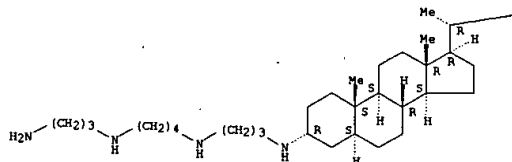
PAGE 1-B



RN 186139-15-1 USPATFULL
 CN 1,4-Butanediimine, N-(3-aminopropyl)-N'-[3-[[[3.alpha.,5.alpha.]-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

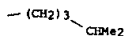
Absolute stereochemistry.

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● 4 HCl

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RN 186139-18-4 USPATFULL

L41 ANSWER 12 OF 40 USPATFULL (Continued)

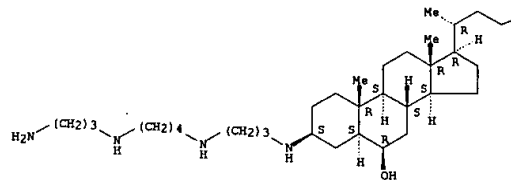
PAGE 1-B



RN 183867-22-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, (3.beta.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 186139-13-9 USPATFULL
 CN 1,4-Butanediimine, N-(3-aminopropyl)-N'-[3-[[[3.beta.,5.alpha.]-cholestan-3-yl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

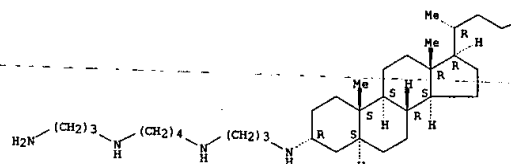
Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

RN 186139-13-9 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



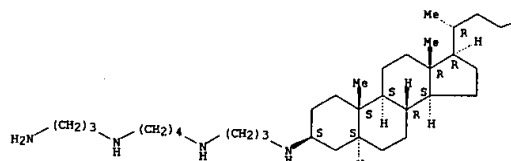
PAGE 1-B



RN 186139-20-8 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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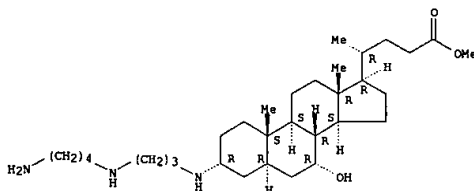


L41 ANSWER 12 OF 40 USPATFULL (Continued)

RN 186139-26-4 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

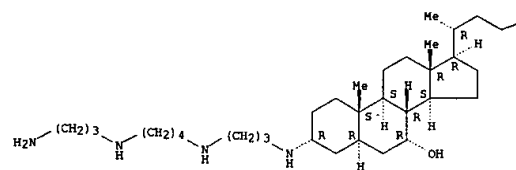


●3 HCl

RN 186139-28-6 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

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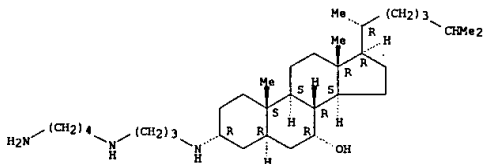
L41 ANSWER 12 OF 40 USPATFULL (Continued)

CO₂H

RN 186139-38-8 USPATFULL

CN Cholestan-7-ol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

RN 186139-40-2 USPATFULL

CN Cholestan-7-ol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

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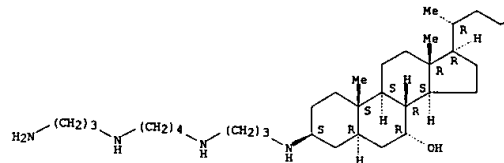


RN 186139-30-0 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, tetrahydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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●4 HCl

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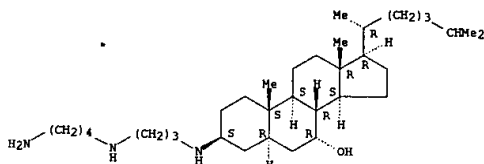


RN 186139-32-2 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

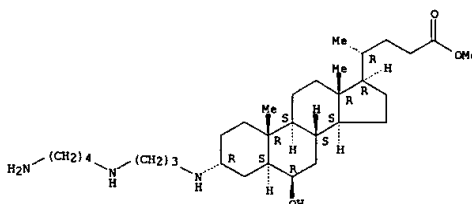


●3 HCl

RN 186139-47-9 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.alpha.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

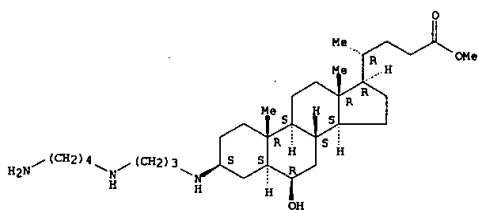


RN 186139-48-0 USPATFULL

CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-6-hydroxy-, methyl ester, (3.beta.,5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

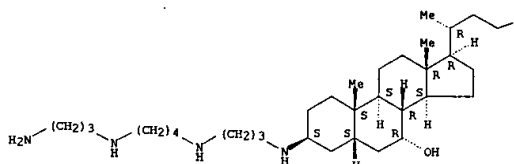
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-52-6 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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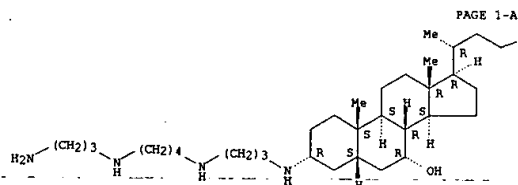
PAGE 1-B



RN 186139-53-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.beta.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



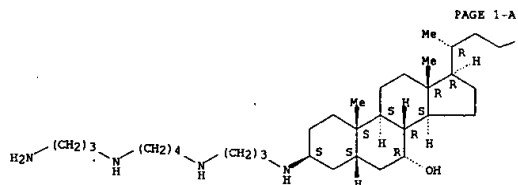
PAGE 1-B

CO₂H

RN 186139-59-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



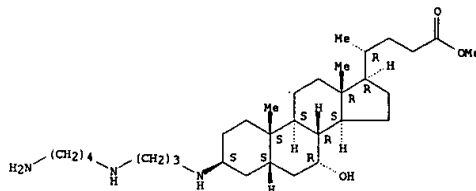
PAGE 1-B

CO₂H

RN 186139-61-7 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

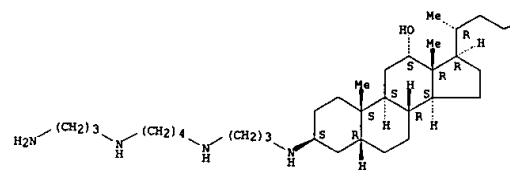
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-55-9 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-12-hydroxy-, methyl ester, (3.beta.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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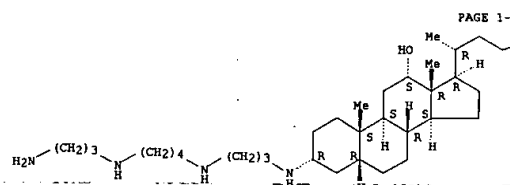
PAGE 1-B



RN 186139-58-2 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-7-hydroxy-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

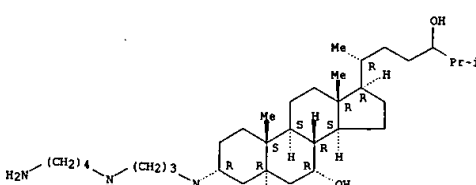


PAGE 1-B

CO₂H

RN 186139-77-5 USPATFULL
 CN Cholestane-7,24-diol, 3-[[[3-[[[4-[(3-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



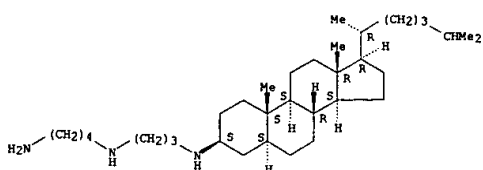
IT 160348-64-1 160348-66-3 160348-67-4
 186139-81-1

(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

RN 160348-64-1 USPATFULL
 CN 1,4-Butanediamine, N-[3-[[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

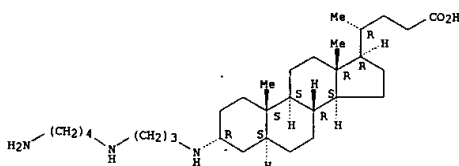
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 160348-66-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

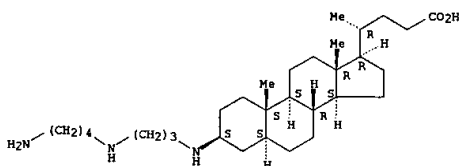
Absolute stereochemistry.



RN 160348-67-4 USPATFULL

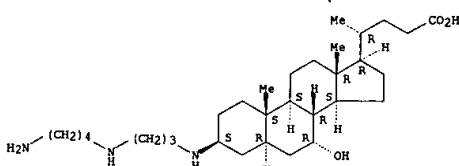
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186139-81-1 USPATFULL

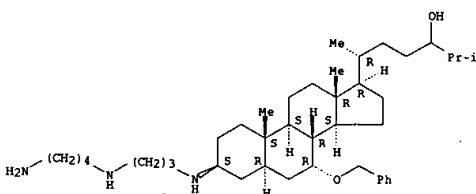
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-68-4 USPATFULL

CN Cholestan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

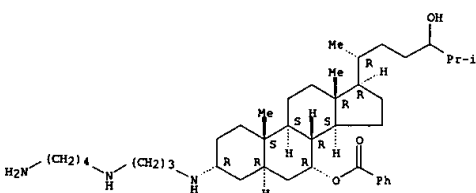
Absolute stereochemistry.



RN 186139-75-3 USPATFULL

CN Cholestan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-benzoate-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

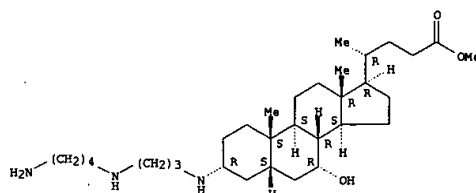


RN 186139-76-4 USPATFULL

L41 ANSWER 12 OF 40 USPATFULL (Continued)

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, methyl ester, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 159791-14-7P 177745-16-3P 186139-68-4P

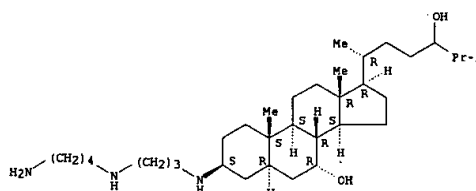
186139-75-3P 186139-76-4P 186139-78-6P

(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

RN 159791-14-7 USPATFULL

CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177745-16-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177745-16-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177745-16-3 USPATFULL

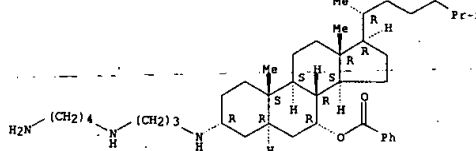
CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177745-16-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

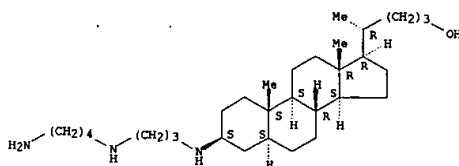
Absolute stereochemistry.



RN 186139-78-6 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 186139-69-5P

(use of squalamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

RN 186139-69-5 USPATFULL

CN Cholestan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

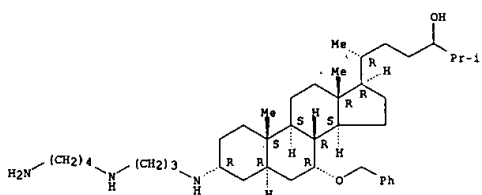
Absolute stereochemistry.

RN 186139-69-5 USPATFULL

CN Cholestan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-(phenylmethoxy)-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



IT 177745-14-1P 186139-46-8P 186139-74-2P

186139-80-0P 186139-82-2P 186139-83-3P

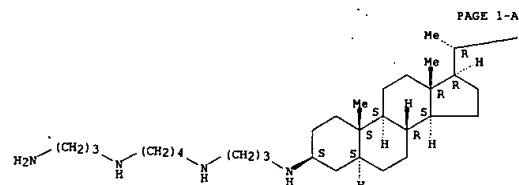
186139-84-4P 186139-85-5P

(Use of squelamine for the manuf. of a medicament for inhibiting the sodium-proton exchanger)

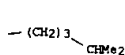
RN 177745-14-1 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[3-[[3-(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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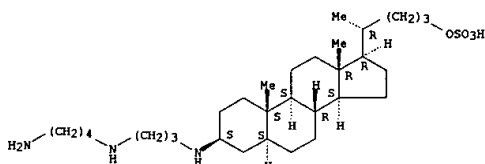
PAGE 1-B

RN 186139-46-8 USPATFULL

CN Cholan-24-carboxylic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)

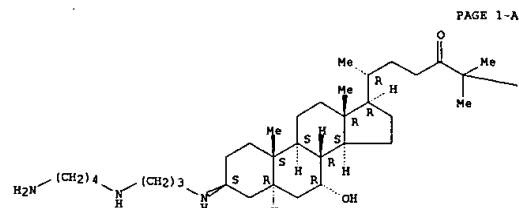


● K

RN 186139-82-2 USPATFULL

CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-25-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 1-B

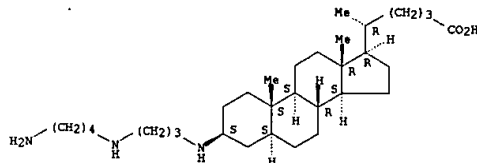


RN 186139-83-3 USPATFULL

CN Cholestane-7,24,25-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 25-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

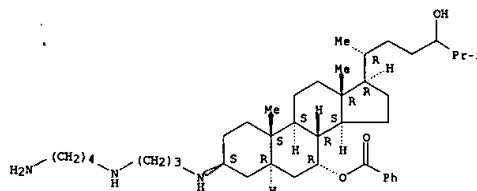
L41 ANSWER 12 OF 40 USPATFULL (Continued)



RN 186139-74-2 USPATFULL

CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 7-benzoate, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

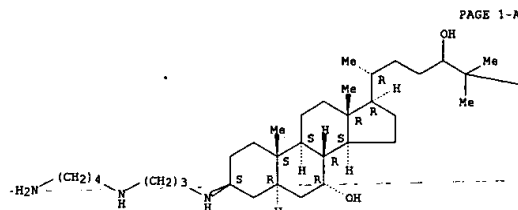


RN 186139-80-0 USPATFULL

CN Cholan-24-ol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, hydrogen sulfate (ester), monopotassium salt, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 40 USPATFULL (Continued)



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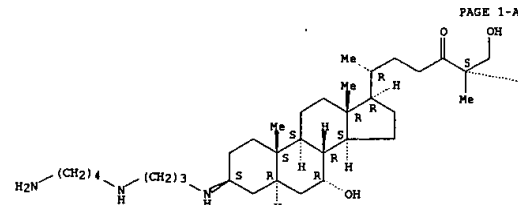
PAGE 1-B



RN 186139-84-4 USPATFULL

CN Cholestan-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7,26-dihydroxy-25-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



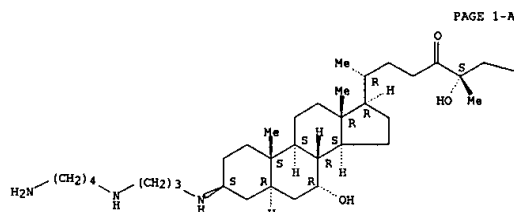
PAGE 1-A

PAGE 1-B



RN 186139-85-5 USPATFULL

L41 ANSWER 12 OF 40 USPATFULL (Continued)
 CN Cholestan-24-one, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-7,25-dihydroxy-26-(sulfoalkyl)-, (3.beta.,5.alpha.,7.alpha.,25S)-(9CI) (CA INDEX NAME)
 Absolute stereochemistry.



PAGE 1-B

-OS03H

L41 ANSWER 13 OF 40 USPATFULL
 1998:85940 USPATFULL
 ACCESSION NUMBER:
 TITLE: Cationic amphiphiles containing spermine or spermidine cationic group for intracellular delivery of therapeutic molecules
 INVENTOR(S): Lee, Edward R., Quincy, MA, United States
 Harris, David J., Lexington, MA, United States
 Siegel, Craig S., Woburn, MA, United States
 Cheng, Seng H., Wellesley, MA, United States
 Eastman, Simon J., Marlboro, MA, United States
 Marshall, John, Wilford, MA, United States
 Scheule, Ronald K., Hopkinton, MA, United States
 PATENT ASSIGNEE(S): Genzyme Corporation, Framingham, MA, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5783565		19980721
US 1996-595375		19960201 (8)
APPLICATION INFO.: Continuation of Ser. No. US 1995-546087, filed on 20 Oct 1995 which is a continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995, now patented, Pat. No. US 5747471 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994, now patented, Pat. No. US 5650096		

NUMBER	DATE
US 1995-4344F	19950926 (60)
US 1995-4399P	19950927 (60)
DOCUMENT TYPE: Utility	
FILE SEGMENT: Granted	
PRIMARY EXAMINER: Chambers, Jasemine C.	
ASSISTANT EXAMINER: Razzaque, Abdur	
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.	
NUMBER OF CLAIMS: 1	
EXEMPLARY CLAIM:	
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 22 Drawing Page(s)	
LINE COUNT: 2759	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile.

Novel and highly effective plasmid constructs are also disclosed.

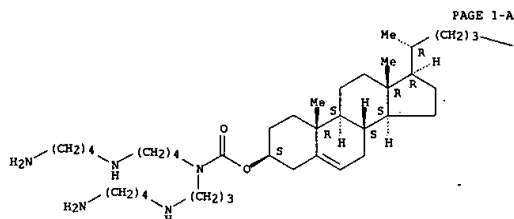
L41 ANSWER 13 OF 40 USPATFULL (Continued)
 including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT 179074-99-8 179075-00-4 179075-01-5
 179075-02-6 179075-03-7 179075-04-8
 179075-09-3 179075-25-3 179075-28-6
 179075-29-7 179075-30-0 179075-31-1
 179075-32-2 179075-33-3 179075-34-4
 179075-36-6 179075-37-7 179075-38-8
 179075-39-9 179075-40-2 179075-41-3
 179075-42-4 179075-43-5 179075-45-7
 179075-48-0 179075-50-4

(transfection-enhancing agent; cationic amphiphiles and plasmids for intracellular delivery of therapeutic mols.)

RN 179074-99-8 USPATFULL
 CN Cholest-5-ene-3-ol (3.beta.)-, [4-[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



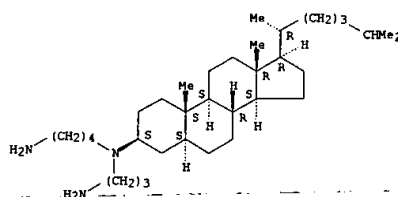
PAGE 1-B

-CHMe2

RN 179075-00-4 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

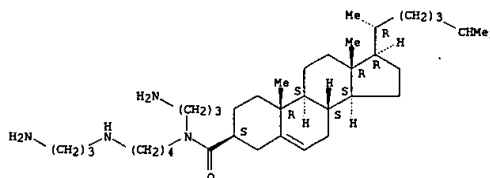
Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)



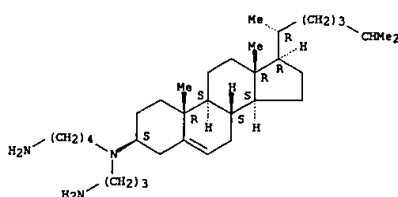
RN 179075-01-5 USPATFULL
 CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[(3.beta.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



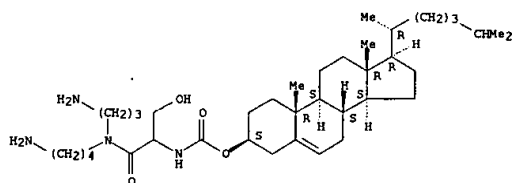
RN 179075-02-6 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



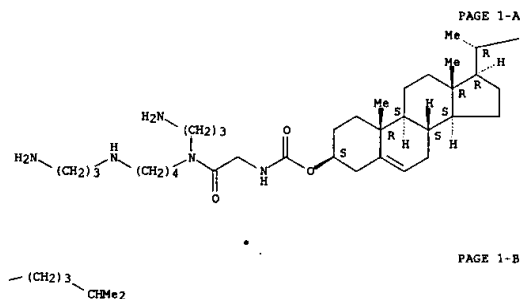
L41 ANSWER 13 OF 40 USPATFULL (Continued)
 RN 179075-03-7 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [2-[(4-aminobutyl)(3-aminopropyl)amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



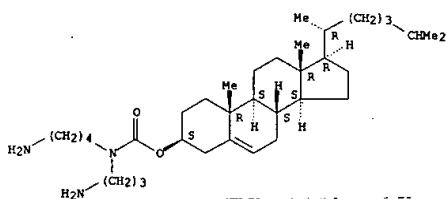
RN 179075-04-8 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)(4-[(3-aminopropyl)amino]butyl)amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-09-3 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]-1-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)

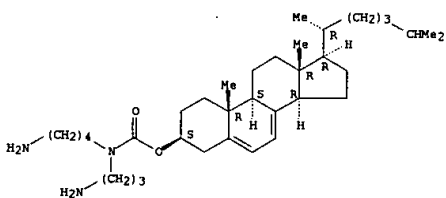
L41 ANSWER 13 OF 40 USPATFULL (Continued)



● 2 HCl

RN 179075-29-7 USPATFULL
 CN Cholest-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

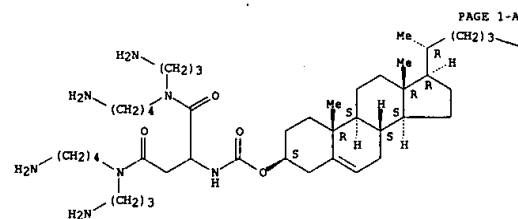
Absolute stereochemistry.



RN 179075-30-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

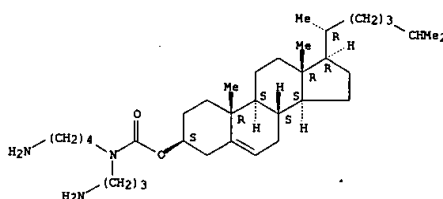
L41 ANSWER 13 OF 40 USPATFULL (Continued)
 Absolute stereochemistry.



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RN 179075-25-3 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

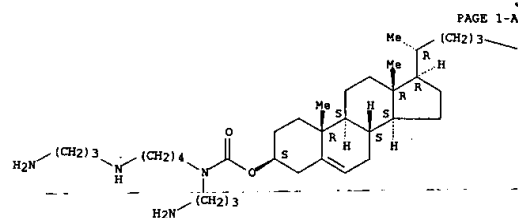
Absolute stereochemistry.



RN 179075-28-6 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

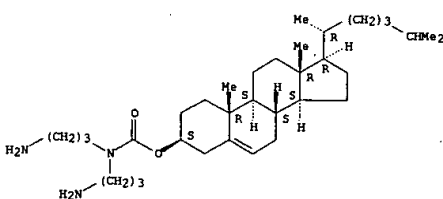
L41 ANSWER 13 OF 40 USPATFULL (Continued)



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RN 179075-31-1 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

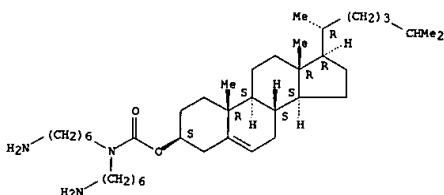
Absolute stereochemistry.



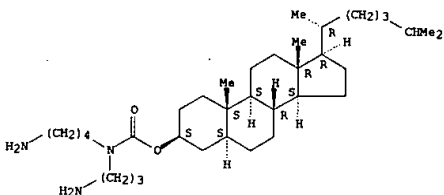
RN 179075-32-2 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

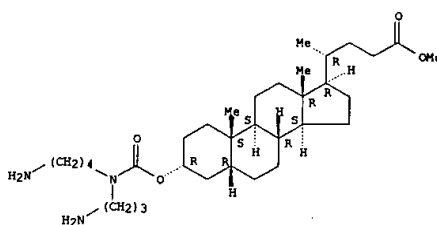


RN 179075-33-3 USPATFULL
 CN Cholestan-3-ol, (4-aminobutyl) (3-aminopropyl) carbamate,
 (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

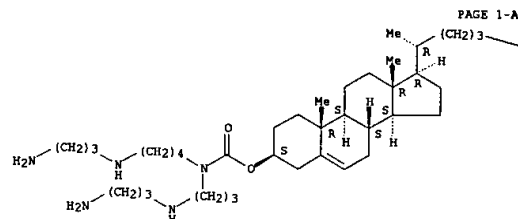


RN 179075-34-4 USPATFULL
 CN Cholan-24-oic acid, 3-[[[(4-aminobutyl) (3-aminopropyl) amino]carbonyl]oxy]-,
 methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)



RN 179075-36-6 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

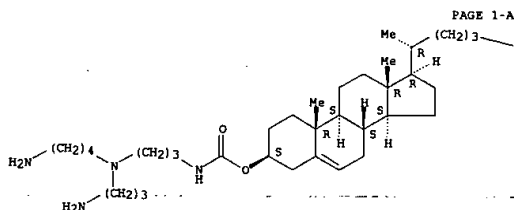


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CHMe2

RN 179075-37-7 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl) (3-aminopropyl) amino]propyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

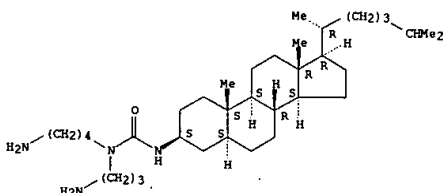
L41 ANSWER 13 OF 40 USPATFULL (Continued)



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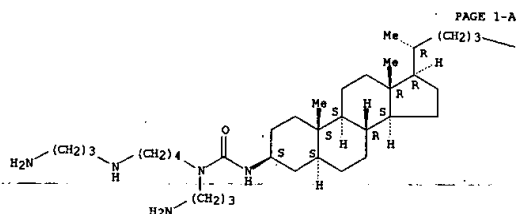
CHMe2

RN 179075-38-8 USPATFULL
 CN Urea, N-(3-aminopropyl)-N'-[(3-aminopropyl)-N'-(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 179075-39-9 USPATFULL
 CN Urea, N-(3-aminopropyl)-N'-[4-[(3-aminopropyl)amino]butyl]-N'-[(3-aminopropyl)-N'-(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

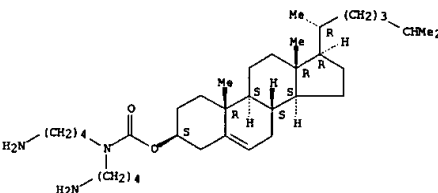
L41 ANSWER 13 OF 40 USPATFULL (Continued)



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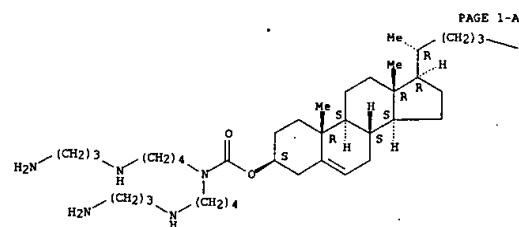
CHMe2

RN 179075-40-2 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 179075-41-3 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

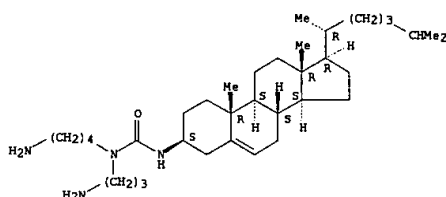


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CHMe2

RN 179075-42-4 USPATFULL
 CN Urea, N-(4-aminobutyl)-N'-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

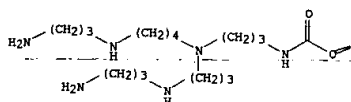


RN 179075-43-5 USPATFULL
 CN Urea, N-(3-aminopropyl)-N'-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

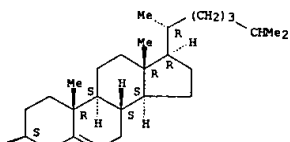
Absolute stereochemistry.

L41 ANSWER 13 OF 40 USPATFULL (Continued)

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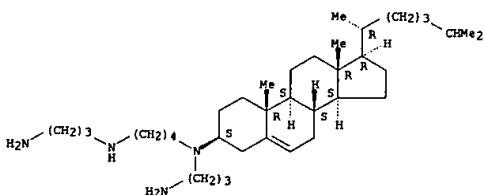


PAGE 1-B

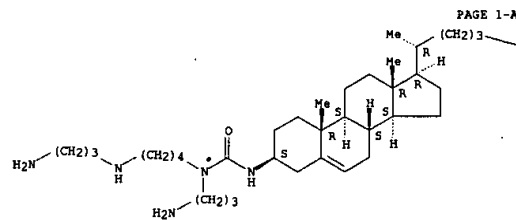


RN 179075-50-4 USPATFULL
 CN 1,4-Butanediimine, N,N'-bis(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 13 OF 40 USPATFULL (Continued)

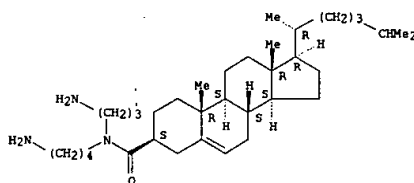


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CHMe2

RN 179075-45-7 USPATFULL
 CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-48-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL

ACCESSION NUMBER: 1998:82732 USPATFULL
 TITLE: Compositions and methods for cell transformation
 INVENTOR(S): Kahn, Suzanne Walker, Princeton, NJ, United States
 PATENT ASSIGNEE(S): Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5780444		19980714
US 1995-425118		19950420 (8)
RELATED APPL. INFO.:		
Continuation-in-part of Ser. No. US 1994-336675, filed on 7 Nov 1994 which is a continuation-in-part of Ser. No. US 1994-264488, filed on 23 Jun 1994, now patented, Pat. No. US 5627270 which is a continuation-in-part of Ser. No. US 1994-230685, filed on 20 Apr 1994 which is a continuation-in-part of Ser. No. US 1992-989667, filed on 14 Dec 1992, now patented, Pat. No. US 5571795 which is a continuation-in-part of Ser. No. US 1991-806985, filed on 13 Dec 1991, now patented, Pat. No. US 5338837		
DOCUMENT TYPE:		Utility
FILE SEGMENT:		Granted
PRIMARY EXAMINER:		Kight, John
ASSISTANT EXAMINER:		Lee, Howard C.
LEGAL REPRESENTATIVE:		Lowe, Price, LeBlanc & Becker
NUMBER OF CLAIMS:		66
EXEMPLARY CLAIM:		1
NUMBER OF DRAWINGS:		21 Drawing Figure(s); 21 Drawing Page(s)
LINE COUNT:		2267

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods and compositions for the transformation of cells. In particular, compositions and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid molecules. Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-containing side chain.

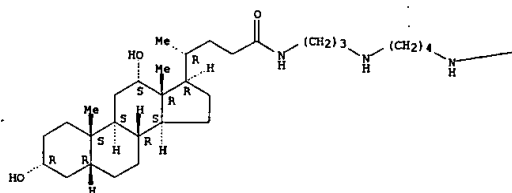
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 193901-99-4P 206439-78-3P 206439-79-4P
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 210174-16-6P 210174-17-7P 210174-18-8P
 210174-21-3P
 (prepn. of steroid glycosides for study of compns. and methods for cell transformation)

RN 174068-84-9 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

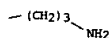
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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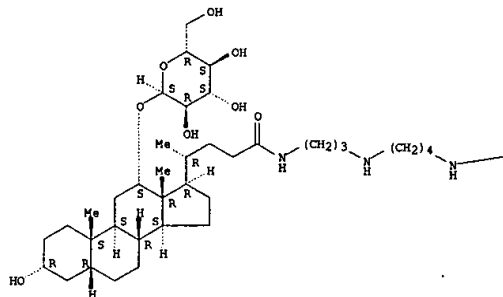
RN 174068-92-9 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-
(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride,
(3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

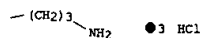
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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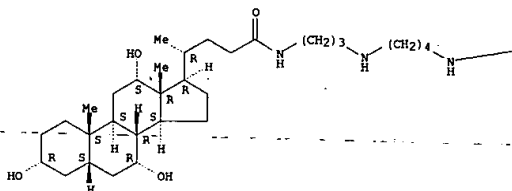
RN 174068-99-6 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-
trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX
NAME)

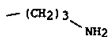
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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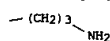
RN 174069-03-5 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-
bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

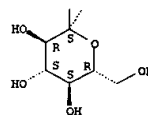
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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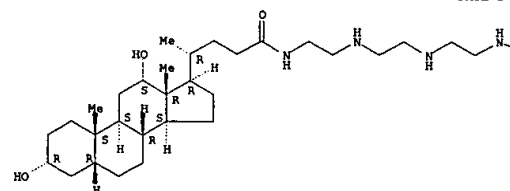
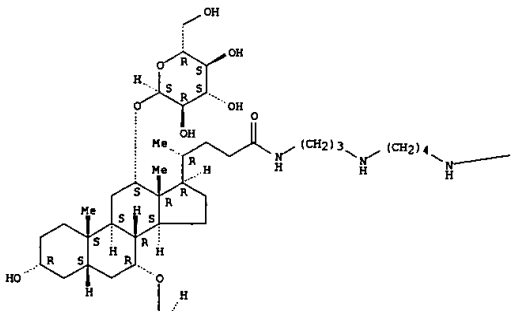
● 3 HCl

RN 174069-05-7 USPATFULL

CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-
dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

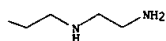
Absolute stereochemistry.

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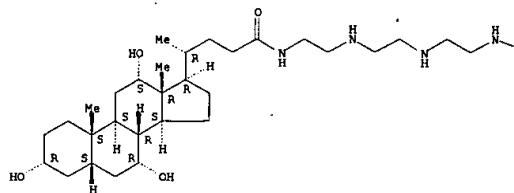
L41 ANSWER 14 OF 40 USPATFULL (Continued)

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RN 174069-15-9 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-((2-aminoethyl)amino)ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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● 5 HCl

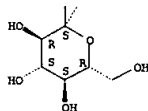
PAGE 1-B



RN 174069-19-3 USPATFULL
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

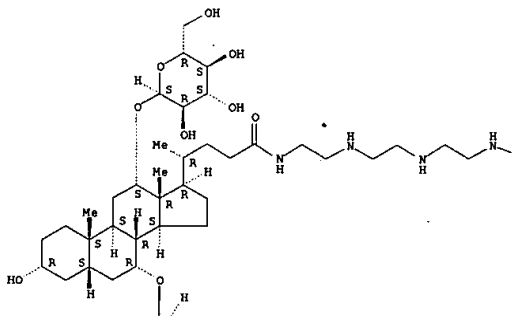
PAGE 2-A



● 4 HCl

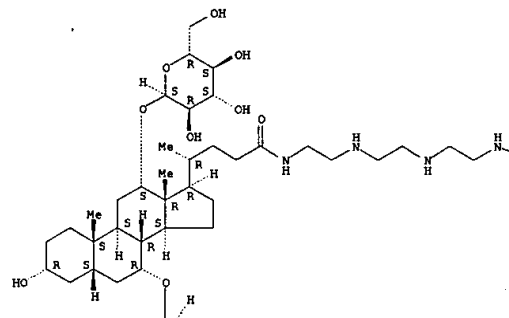
RN 174069-21-7 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-((2-aminoethyl)amino)ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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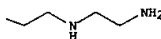


L41 ANSWER 14 OF 40 USPATFULL (Continued)

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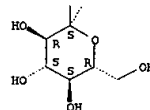


L41 ANSWER 14 OF 40 USPATFULL (Continued)

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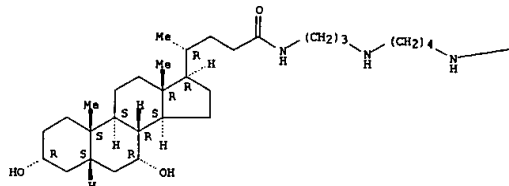
PAGE 2-A



● 3 HCl

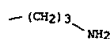
RN 174180-24-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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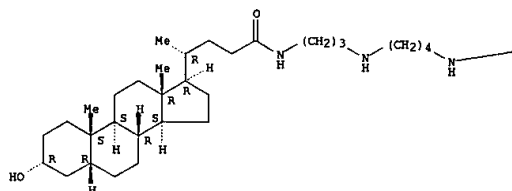
L41 ANSWER 14 OF 40 USPATFULL (Continued)

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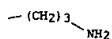


RN 193901-99-4 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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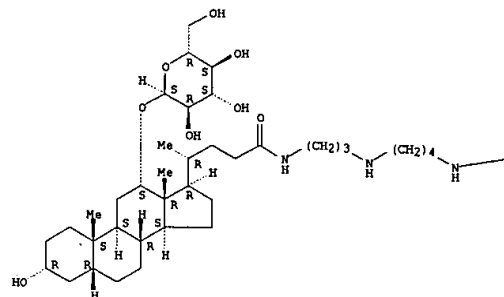
PAGE 1-B



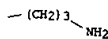
RN 206439-78-3 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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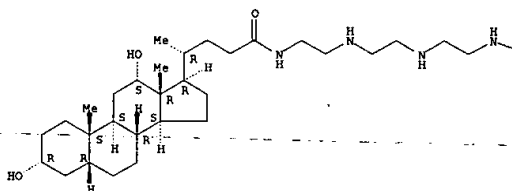
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RN 206439-79-4 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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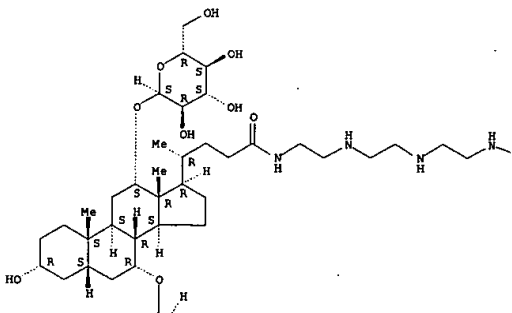


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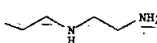
RN 206439-80-7 USPATFULL
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

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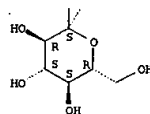


L41 ANSWER 14 OF 40 USPATFULL (Continued)

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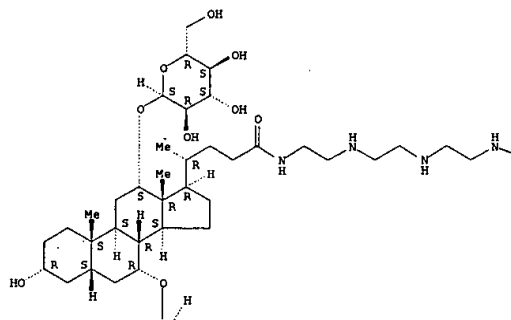
PAGE 2-A



RN 206439-81-8 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

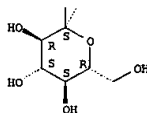
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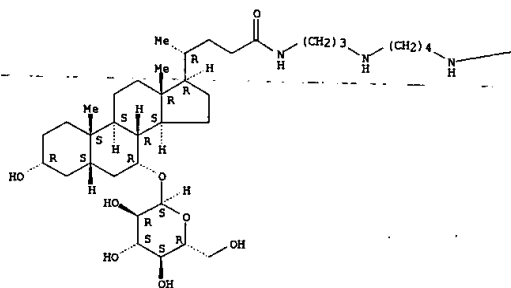
PAGE 2-A



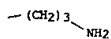
L41 ANSWER 14 OF 40 USPATFULL (Continued)
 (.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 210174-02-0 USPATFULL
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

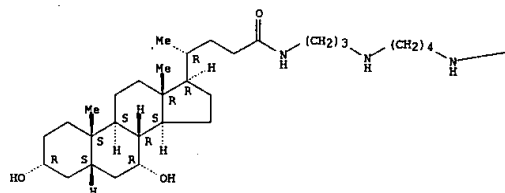
RN 206439-89-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1

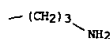
CRN 174180-24-6
 CHF C34 H64 N4 O3
 CDES 4:3A,5B,7A.CHOL

Absolute stereochemistry.

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CH 2

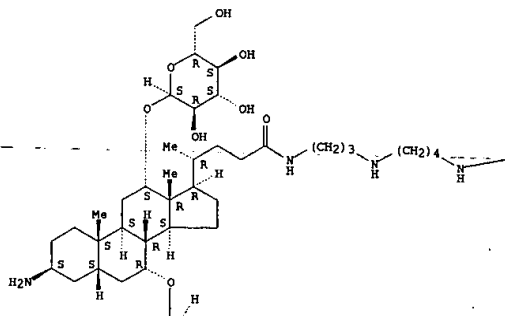
CRN 76-05-1
 CHF C2 H F3 O2



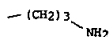
RN 206553-50-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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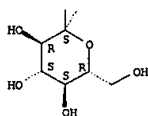


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L41 ANSWER 14 OF 40 USPATFULL (Continued)

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● 4 HCl

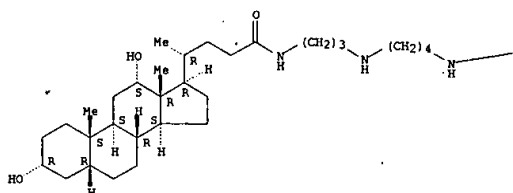
RN 210174-03-1 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CH 1

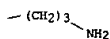
CRN 174068-84-9
 CMF C34 H64 N4 O3
 CDES 4:3A,5B,12A.CHOL

Absolute stereochemistry.

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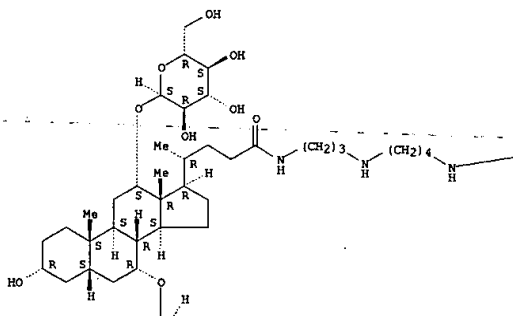


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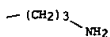


L41 ANSWER 14 OF 40 USPATFULL (Continued)

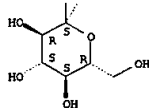
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CH 2

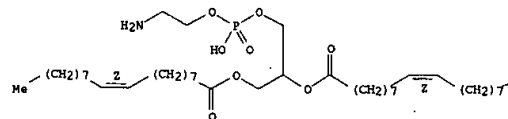
L41 ANSWER 14 OF 40 USPATFULL (Continued)

CH 2

CRN 2462-63-7
 CMF C41 H78 N O8 P
 CDES *

Double bond geometry as shown.

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Me

RN 210174-04-2 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 174068-86-1
 CMF C46 H84 N4 O14
 CDES 4:3A,5B,7A,12A.CHOL.7(A-D-GLUCO),12(A-D-GLUCO)

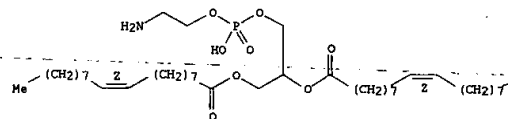
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

CRN 2462-63-7
 CMF C41 H78 N O8 P
 CDES *

Double bond geometry as shown.

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Me

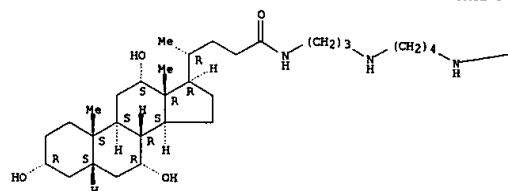
RN 210174-10-0 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 174068-99-6
 CMF C34 H64 N4 O4
 CDES 4:3A,5B,7A,12A.CHOL

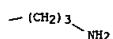
Absolute stereochemistry.

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L41 ANSWER 14 OF 40 USPATFULL (Continued)

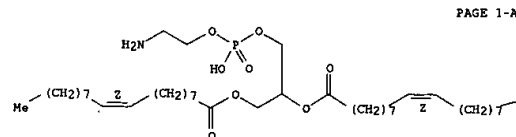
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CM 2

CRN 2462-63-7
 CMF C41 H78 N 08 P
 CDES *

Double bond geometry as shown.



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Me

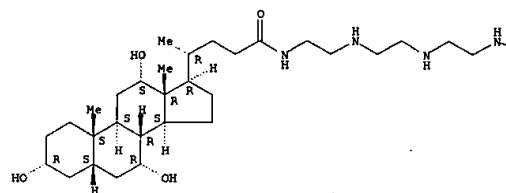
RN 210174-12-2 USPATFULL

CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, heptahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

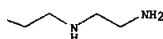
L41 ANSWER 14 OF 40 USPATFULL (Continued)

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● 7 HCl

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RN 210174-13-3 USPATFULL

CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)-, pentaacetate (salt) (9CI) (CA INDEX NAME)

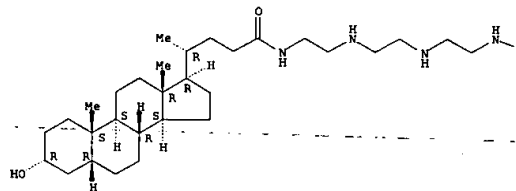
CM 1

CRN 175089-98-2
 CMF C34 H66 N6 O2
 CDES 4:3A,5B,CHOL

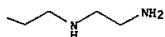
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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CM 2

CRN 64-19-7
 CMF C2 H4 O2



RN 210174-14-4 USPATFULL

CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, triacetate (salt) dihydrochloride (9CI) (CA INDEX NAME)

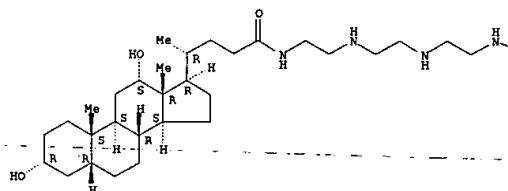
CM 1

CRN 174069-05-7
 CMF C34 H66 N6 O3
 CDES 4:3A,5B,12A,CHOL

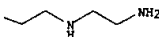
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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CM 2

CRN 64-19-7
 CMF C2 H4 O2



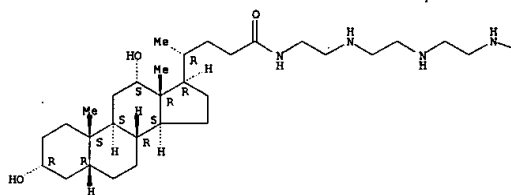
RN 210174-15-5 USPATFULL

CN Cholan-24-amide, N-[2-[[[2-[[[2-aminoethyl]amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, dihydrochloride, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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●2 HCl

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RN 210174-16-6 USPATFULL
CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino
ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, tetraacetate
[salt] monohydrochloride (9CI) (CA INDEX NAME)

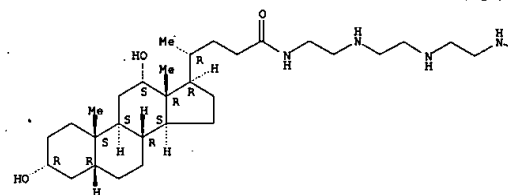
CM 1

CRN 206439-79-4
CMF C32 H61 N5 O3

Absolute stereochemistry.

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CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 210174-17-7 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-
dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, tris(trifluoroacetate) (salt)
(9CI) (CA INDEX NAME)

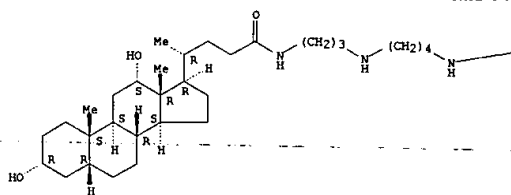
CM 1

CRN 174068-84-9
CMF C34 H64 N4 O3
CDES 4:3A,5B,12A.CHOL

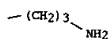
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 210174-18-8 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-
dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, bis(trifluoroacetate) (salt)
(9CI) (CA INDEX NAME)

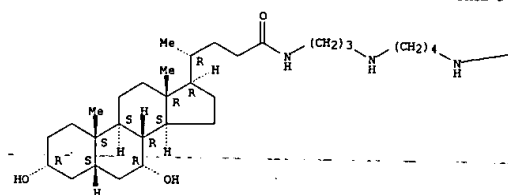
CM 1

CRN 174180-24-6
CMF C34 H64 N4 O3
CDES 4:3A,5B,7A.CHOL

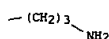
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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CM 2

CRN 76-05-1
CMF C2 H F3 O2

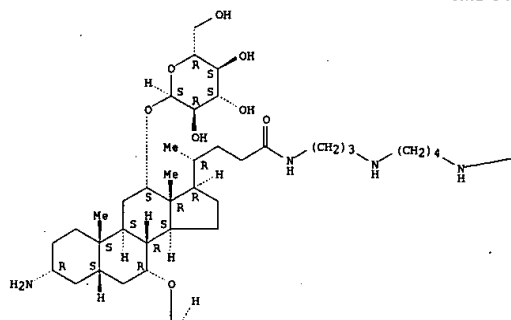


RN 210174-21-3 USPATFULL
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]
1-7,12-bis(.alpha.-D-glucopyranosyloxy)-, (3.alpha.,5.beta.,7.alpha.,12.
alpha.)- (9CI) (CA INDEX NAME)

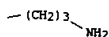
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

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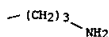


PAGE 1-B

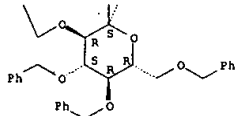


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B



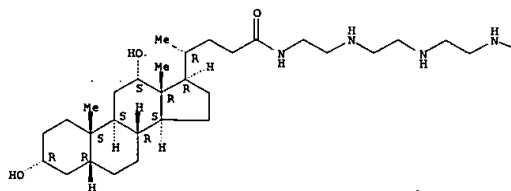
PAGE 2-A



RN 174069-04-6 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

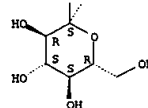
PAGE 1-A



●3 HCl

L41 ANSWER 14 OF 40 USPATFULL (Continued)

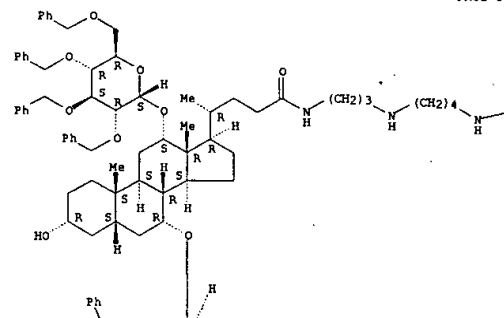
PAGE 2-A



IT 174069-02-4P 174069-04-6P 174069-13-7P
 174069-14-8P 174069-18-2P 174069-20-6P
 206439-86-3P 206439-87-4P
 (prepn. of steroid glycosides for study of compns. and methods for cell transformation)
 RN 174069-02-4 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[[3-aminopropyl]amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)]-alpha-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L41 ANSWER 14 OF 40 USPATFULL (Continued)

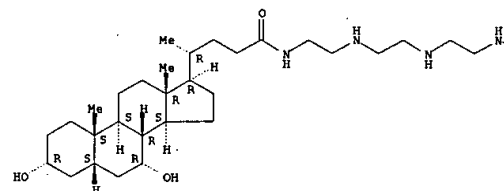
PAGE 1-B



RN 174069-13-7 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●4 HCl

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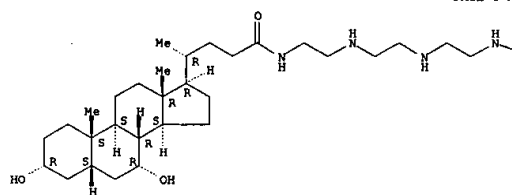


RN 174069-14-8 USPATFULL
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

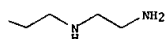
L41 ANSWER 14 OF 40 USPATFULL (Continued)

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● 3 HCl

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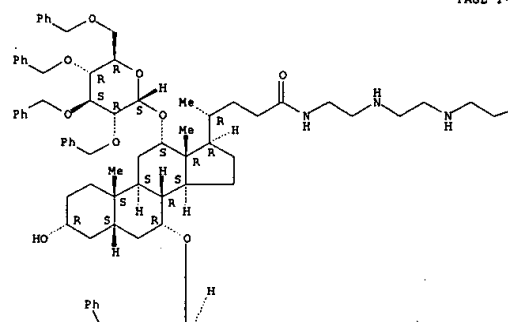
RN 174069-18-2 USPATFULL

CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[(2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

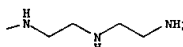
Absolute stereochemistry.

L41 ANSWER 14 OF 40 USPATFULL (Continued)

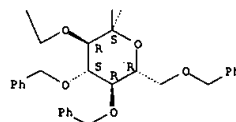
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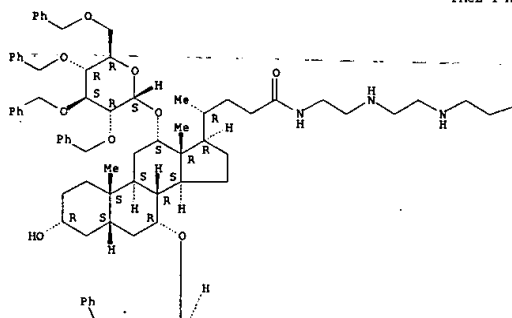
RN 174069-20-6 USPATFULL

L41 ANSWER 14 OF 40 USPATFULL (Continued)

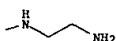
CN Cholan-24-amide, N-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

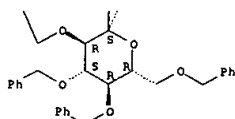
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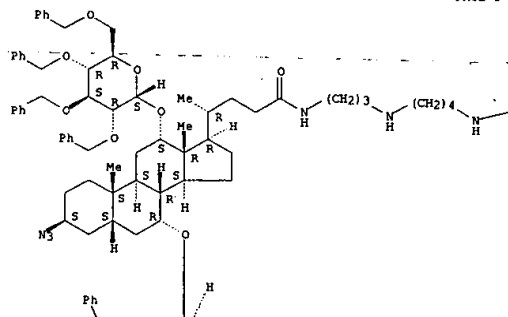
L41 ANSWER 14 OF 40 USPATFULL (Continued)

RN 206439-86-3 USPATFULL

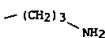
CN Cholan-24-amide, N-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

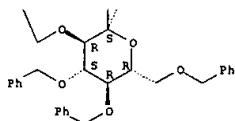


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L41 ANSWER 14 OF 40 USPATFULL (Continued)

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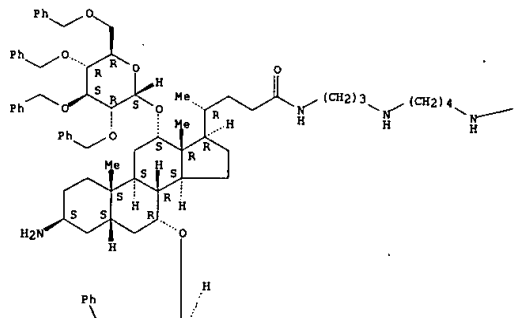


RN 206439-87-4 USPATFULL

CN Cholan-24-amide, 3-amino-N-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

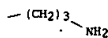
Absolute stereochemistry.

PAGE 1-A

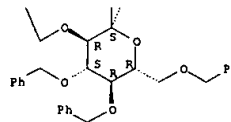


L41 ANSWER 14 OF 40 USPATFULL (Continued)

PAGE 1-B



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L41 ANSWER 15 OF 40 USPATFULL

ACCESSION NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

199869019 USPATFULL
Cationic amphiphiles containing amino acid or derivatized amino acid groups for intracellular delivery of therapeutic molecules
Harris, David J., Lexington, MA, United States
Lee, Edward R., Quincy, MA, United States
Siegel, Craig S., Woburn, MA, United States
Rowe, Eric A., Malden, MA, United States
Hubbard, Shirley C., Belmont, MA, United States
Genzyme Corporation, Cambridge, MA, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5767099		19980616
US 1995-546086		19951020 (8)
Continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995, now patented, Pat. No. US 5747471 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994, now patented, Pat. No. US 5650096		

NUMBER	DATE
US 1995-4344P	19950926 (60)
US 1995-4399P	19950927 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Stone, Jacqueline M.
ASSISTANT EXAMINER: Twomey, Patrick
LEGAL REPRESENTATIVE: Donahue, E. Victor
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT: 2894

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT 179074-99-8 179075-00-4 179075-01-5
179075-02-6 179075-29-7 179075-32-2
179075-33-3 179075-34-4 179075-38-8
179075-39-9 179075-42-4 179075-43-5
179075-50-4 209112-46-9 209112-47-0

L41 ANSWER 15 OF 40 USPATFULL (Continued)

209112-48-1 209112-50-5

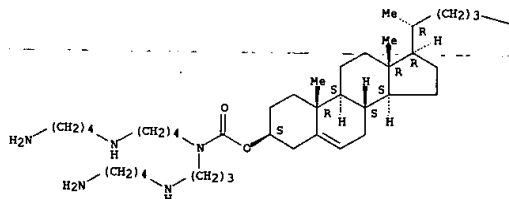
(amphiphilic steroid carbamates for cell transfection and gene therapy)

RN 179074-99-8 USPATFULL

CN Cholest-5-en-3-ol (3.beta.), [4-[(4-aminobutyl)amino]butyl][3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



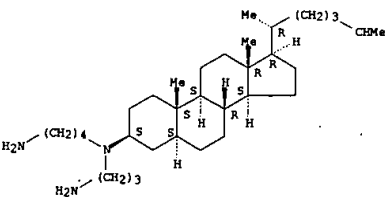
PAGE 1-B



RN 179075-00-4 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

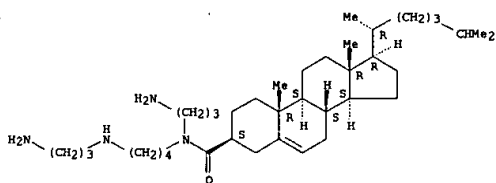


RN 179075-01-5 USPATFULL

CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[(4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- (9CI) (CA INDEX NAME)

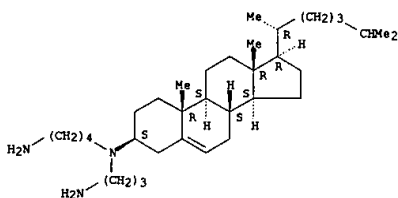
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-02-6 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

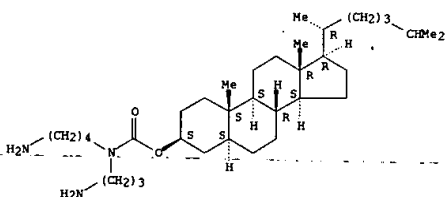
Absolute stereochemistry.



RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

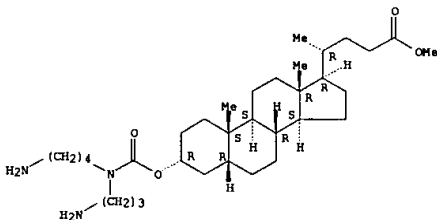
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

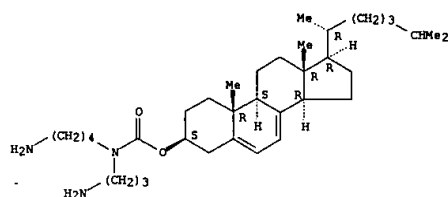
Absolute stereochemistry.



RN 179075-38-8 USPATFULL
CN Urea, N-(4-aminobutyl)-N'-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

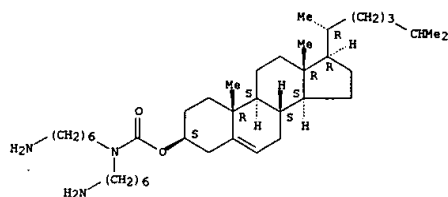
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX NAME)

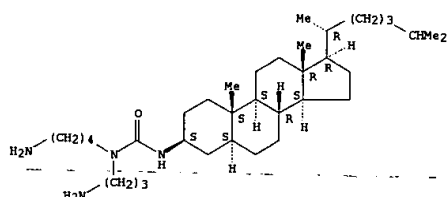
Absolute stereochemistry.



RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

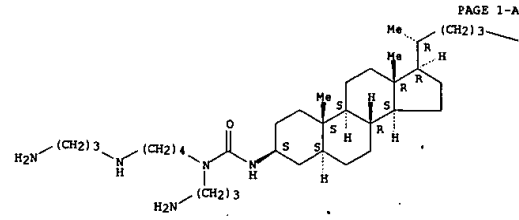
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-39-9 USPATFULL
CN Urea, N-(3-aminopropyl)-N'-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



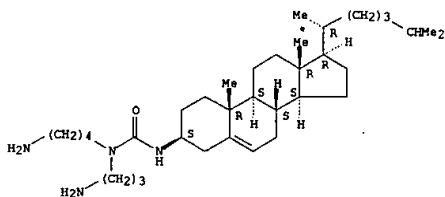
RN 179075-42-4 USPATFULL
CN Urea, N-(4-aminobutyl)-N'-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

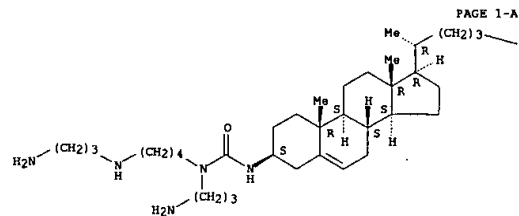
PAGE 1-A

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L41 ANSWER 15 OF 40 USPATFULL (Continued)



RN 179075-43-5 USPATFULL
 CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



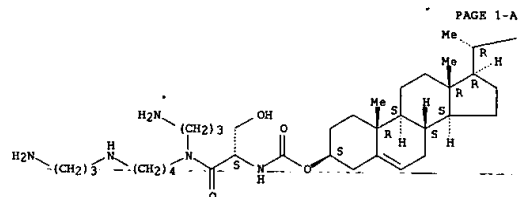
PAGE 1-A

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CHMe2

RN 179075-50-4 USPATFULL
 CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

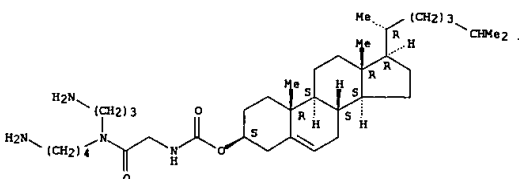
L41 ANSWER 15 OF 40 USPATFULL (Continued)



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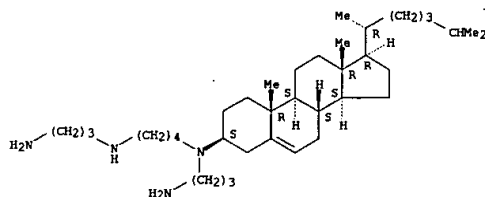
(CH2)3-CHMe2

RN 209112-48-1 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [2-[(4-aminobutyl)(3-aminopropyl)amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

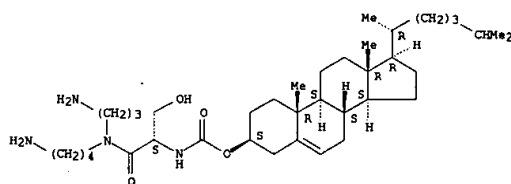


RN 209112-50-5 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [(1S)-3-[(4-aminobutyl)(3-aminopropyl)amino]-1-[[[(4-aminobutyl)(3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)

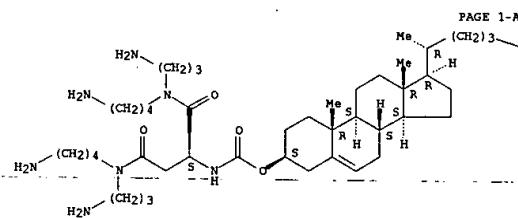


RN 209112-46-9 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [(1S)-2-[(4-aminobutyl)(3-aminopropyl)amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 209112-47-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [(1S)-2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-1-(hydroxymethyl)-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

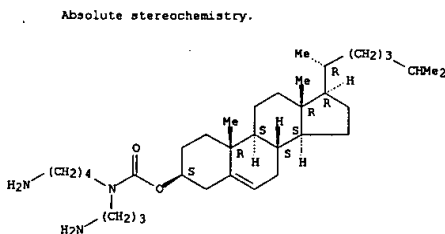
L41 ANSWER 15 OF 40 USPATFULL (Continued)



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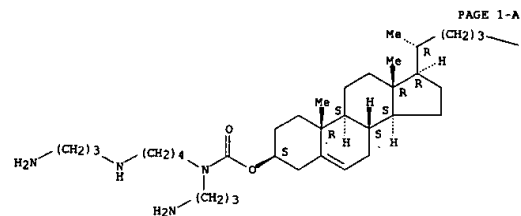
CHMe2

IT 179075-25-3P 179075-30-0P 179075-37-7P
 179075-40-2P
 (amphiphilic steroid carbamates for cell transfection and gene therapy)
 RN 179075-25-3 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 179075-30-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)



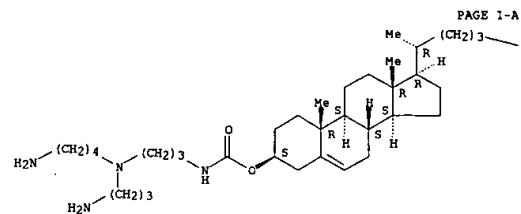
PAGE 1-B

CHMe2

RN 179075-37-7 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



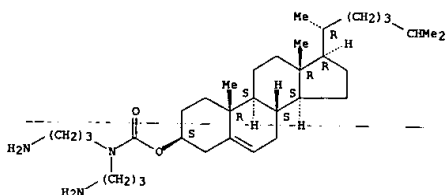
PAGE 1-B

CHMe2

RN 179075-40-2 USPATFULL

L41 ANSWER 15 OF 40 USPATFULL (Continued)

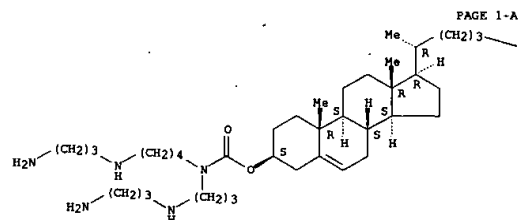
Absolute stereochemistry.



RN 179075-36-6 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CHMe2

RN 179075-41-3 USPATFULL

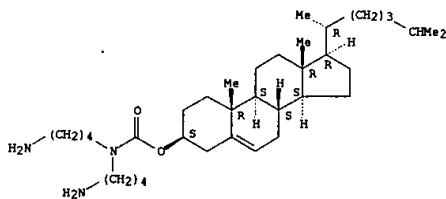
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)

CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 179075-04-8P 179075-31-1P 179075-36-6P

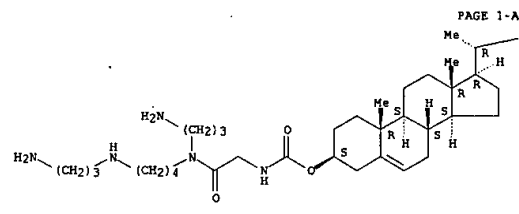
179075-41-3P 179075-45-7P 179075-48-0P

[amphiphilic steroid carbamates for cell transfection and gene therapy]

RN 179075-04-8 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



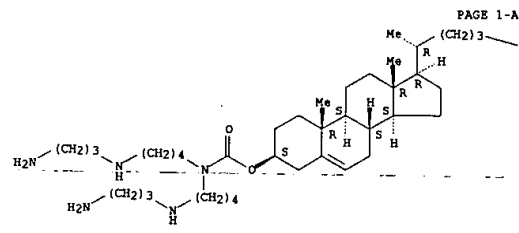
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(CH2)3 CHMe2

RN 179075-31-1 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

L41 ANSWER 15 OF 40 USPATFULL (Continued)



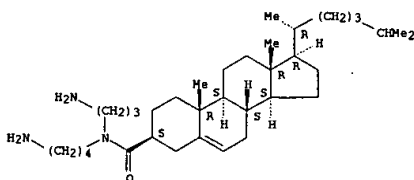
PAGE 1-B

CHMe2

RN 179075-45-7 USPATFULL

CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



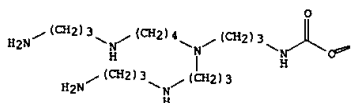
RN 179075-48-0 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-[(3-aminopropyl)amino]butyl)[3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

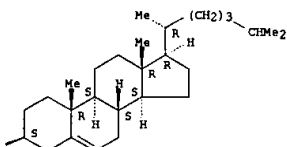
Absolute stereochemistry.

L41 ANSWER 15 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L41 ANSWER 16 OF 40 USPATFULL

ACCESSION NUMBER: 1998:65213 USPATFULL

TITLE: Method of treating a viral infection by administering a steroid compound

INVENTOR(S): Zaslloff, Michael, Merion Station, PA, United States
PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5763430		19980609
APPLICATION INFO.:	US 1995-479457		19950607 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Prior, Kimberly J.		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 20 Drawing Page(s)		
LINE COUNT:	3495		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a viral infection includes administering an effective amount of a compound having the following structure: $\text{H}_2\text{N}-(\text{CH}_2)_4-\text{N}-(\text{CH}_2)_3-\text{N}-(\text{CH}_2)_3-\text{CHMe}_2$ or a pharmaceutically acceptable salt thereof. This compound treats the viral infection by suppressing the growth of a viral target cell. As one specific example, this compound may be used to treat HIV infection.

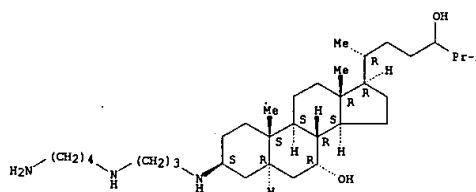
IT 159791-14-7P 160348-64-1P 160348-65-2P
160348-66-3P 160348-67-4P 160348-70-9P
160348-90-3P 160348-91-4P

(prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL

CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



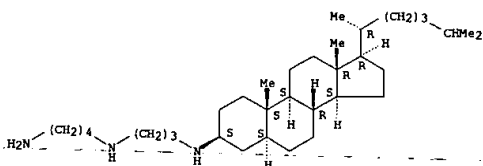
RN 160348-64-1 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 16 OF 40 USPATFULL (Continued)

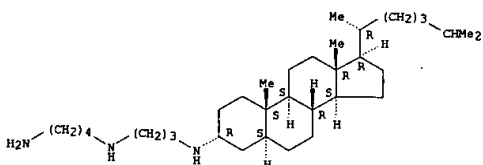
L41 ANSWER 16 OF 40 USPATFULL (Continued)



RN 160348-65-2 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

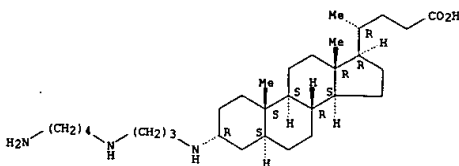
Absolute stereochemistry.



RN 160348-66-3 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

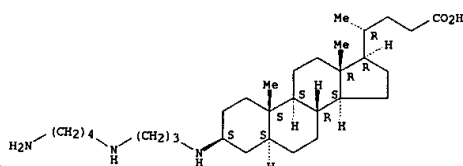
Absolute stereochemistry.



RN 160348-67-4 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

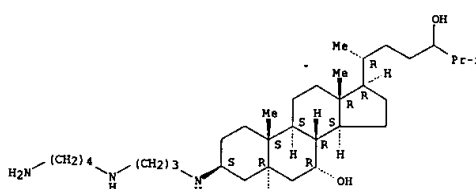
Absolute stereochemistry.



RN 160348-70-9 USPATFULL

CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



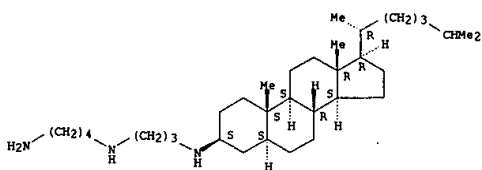
● 3 HCl

RN 160348-90-3 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

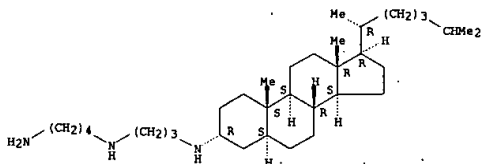
L41 ANSWER 16 OF 40 USPATFULL (Continued)



● 3 HCl

RN 160348-91-4 USPATFULL
 CN 1,4-Butanediamine, N-[3-[[[3.alpha.,5.alpha.]-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

IT 160348-77-6# 160348-78-7#
 (prepn. of polyaminosteroids as bactericides and antifungals)
 RN 160348-77-6 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL

ACCESSION NUMBER: 1998:48389 USPATFULL
 TITLE: Cationic amphiphiles containing steroid lipophilic groups for intracellular delivery of therapeutic molecules
 INVENTOR(S): Siegel, Craig S., Woburn, MA, United States
 Harris, David J., Lexington, MA, United States
 Lee, Edward R., Quincy, MA, United States
 Hubbard, Shirley C., Belmont, MA, United States
 Cheng, Seng H., Wellesley, MA, United States
 Eastman, Simon J., Marlboro, MA, United States
 Marshall, John, Milford, MA, United States
 Scheule, Ronald K., Hopkinton, MA, United States
 Lane, Mathieu B., Cambridge, MA, United States
 PATENT ASSIGNEE(S): Rowe, Eric A., Malden, MA, United States
 Genzyme Corporation, Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747471		19980505
APPLICATION INFO.:	US 1995-540867		19951011 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-4344P	19950926 (60)
	US 1995-4399P	19950927 (60)

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Stone, Jacqueline M.
 ASSISTANT EXAMINER: Twomey, Patrick
 LEGAL REPRESENTATIVE: Donahue, E. Victor

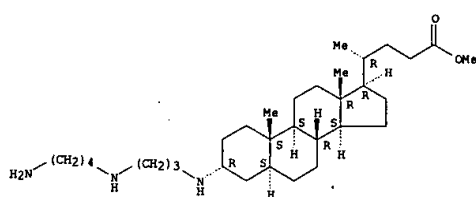
NUMBER OF CLAIMS: 20
 EXEMPLARY CLAIMS: 1
 NUMBER OF DRAWINGS: 25 Drawing Figure(s); 22 Drawing Page(s)
 LINE COUNT: 2790

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from ether or ester-linked alkyl groups, and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation.

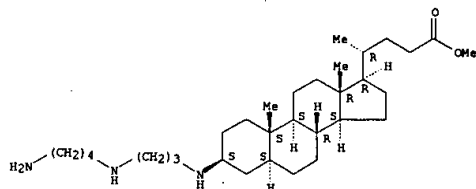
IT 179075-25-3# 179075-30-0# 179075-31-1#
 179075-32-2# 179075-36-6# 179075-37-7#
 179075-40-2#
 (cationic amphiphiles contg. steroid lipophilic groups for

L41 ANSWER 16 OF 40 USPATFULL (Continued)



RN 160348-78-7 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

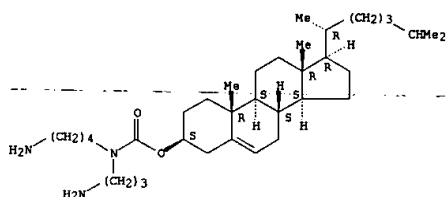
Absolute stereochemistry.



L41 ANSWER 17 OF 40 USPATFULL (Continued)

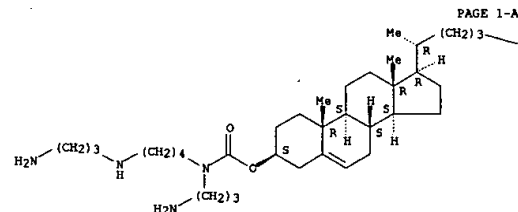
intracellular delivery of therapeutic mols.)
 RN 179075-25-3 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-30-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

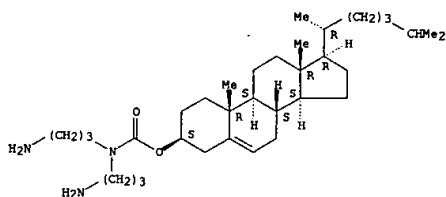


PAGE 1-A

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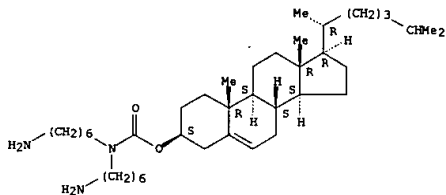
RN 179075-31-1 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-32-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminoheptyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



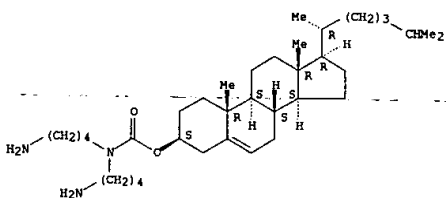
RN 179075-36-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)

RN 179075-40-2 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 179075-00-4 179075-01-5 179075-02-6

179075-04-8 179075-09-3 179075-29-7

179075-33-3 179075-34-4 179075-38-8

179075-39-9 179075-41-3 179075-42-4

179075-43-5 179075-45-7 179075-48-0

179075-50-4

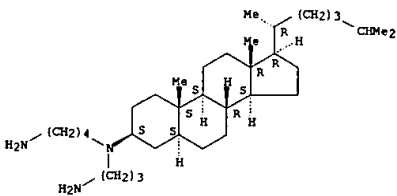
(cationic amphiphiles contg. steroid lipophilic groups for

intracellular delivery of therapeutic mols.)

RN 179075-00-4 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

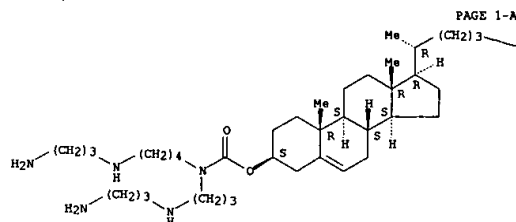
Absolute stereochemistry.



RN 179075-01-5 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]- (9CI) (CA INDEX NAME)

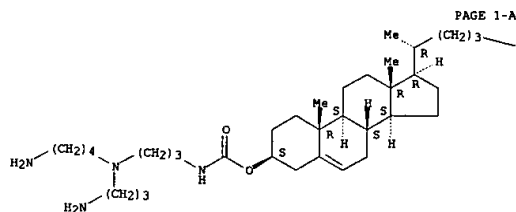
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



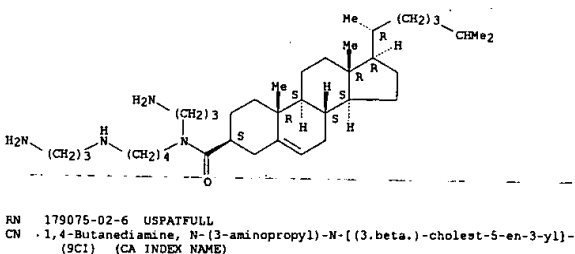
RN 179075-37-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CHMe2

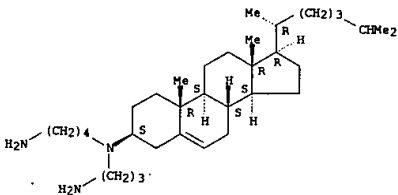
L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-02-6 USPATFULL

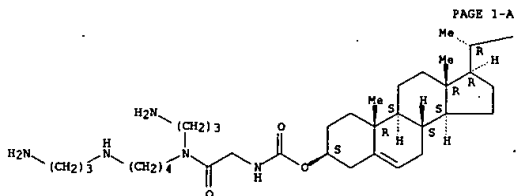
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



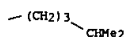
RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



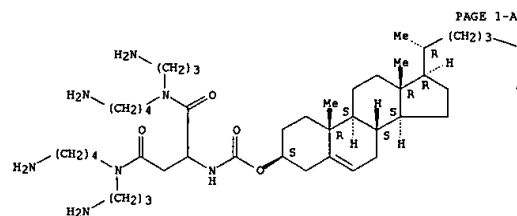
L41 ANSWER 17 OF 40 USPATFULL (Continued)

PAGE 1-B



RN 179075-09-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[[4-aminobutyl](3-aminopropyl)amino]-1-[[[4-aminobutyl](3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

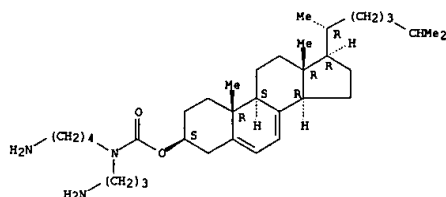
PAGE 1-B



RN 179075-29-7 USPATFULL
CN Cholesta-5,7-dien-1-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

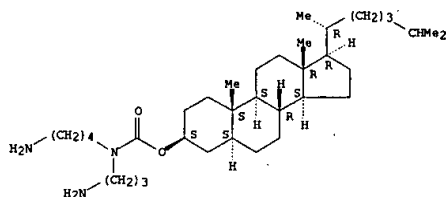
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-33-3 USPATFULL
CN Cholestan-3-ol, (4-aminobutyl)(3-aminopropyl)carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

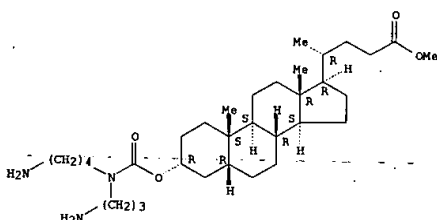
Absolute stereochemistry.



RN 179075-34-4 USPATFULL
CN Cholan-24-oic acid, 3-[[[4-aminobutyl](3-aminopropyl)amino]carbonyl]oxy-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

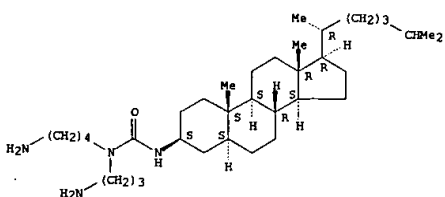
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)



RN 179075-38-8 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

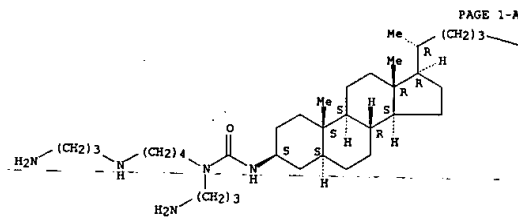
Absolute stereochemistry.



RN 179075-39-9 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)

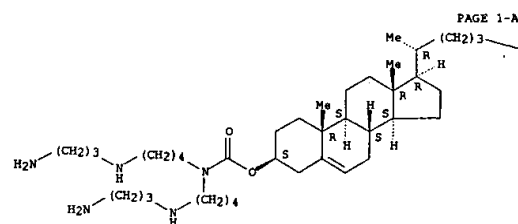


PAGE 1-B



RN 179075-41-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

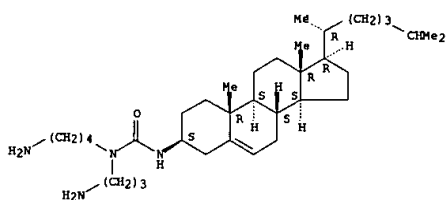


PAGE 1-B

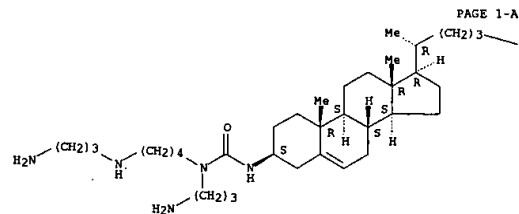


RN 179075-42-4 USPATFULL
CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

L41 ANSWER 17 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



RN 179075-43-5 USPATFULL
CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

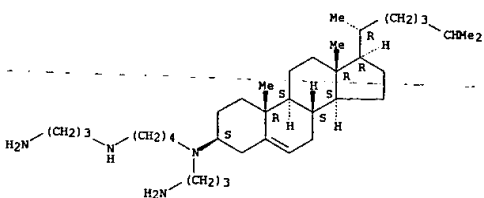


PAGE 1-A

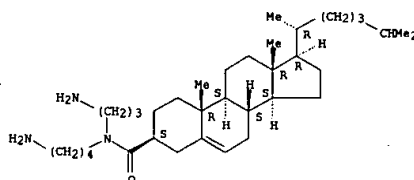
PAGE 1-B

RN 179075-45-7 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L41 ANSWER 17 OF 40 USPATFULL (Continued)
RN 179075-50-4 USPATFULL
CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

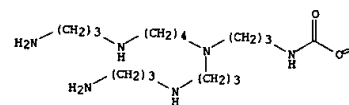


L41 ANSWER 17 OF 40 USPATFULL (Continued)

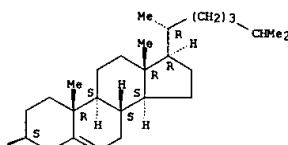


RN 179075-48-0 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)
Absolute stereochemistry.

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L41 ANSWER 18 OF 40 USPATFULL
1998:45188 USPATFULL
ACCESSION NUMBER:
TITLE: Polyamine conjugates for treatment of infection
INVENTOR(S): Mintz, Clifford S., 6 Pebble Rd., East Windsor, NJ, United States 08570
Kogan, Natan A., 38-B Cedar Lake, Highland Park, NJ, United States 08904
Kakarla, Ramesh, 1115 Taylor Ave., East Brunswick, NJ, United States 08816
Axelrod, Helena R., 15 Piedmont Dr., Cranbury, NJ, United States 08512
Sofia, Michael J., 3 Holly La., Lawrenceville, NJ, United States 08658

NUMBER	KIND	DATE
US 5744453		19980428
US 1996-583809		19960105 (8)
UTILITY		
FILE SEGMENT:		Granted
PRIMARY EXAMINER:		Ivy, C. Warren
ASSISTANT EXAMINER:		Mach, D. Margaret M.
LEGAL REPRESENTATIVE:		Lowe, Price, LeBlanc & Becker
NUMBER OF CLAIMS:		27
EXEMPLARY CLAIM:		25
NUMBER OF DRAWINGS:		13 Drawing Figure(s); 10 Drawing Page(s)
LINE COUNT:		1819

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods of preventing or treating an infection or disease caused by an infectious agent. The present invention also relates to the augmentation of the efficacy of existing anti-infective agents by the co-administration of the compounds described herein.

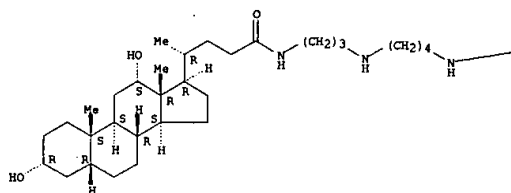
IT 174068-84-5P 174068-86-1P 174068-92-9P
174068-98-5P 174068-99-6P 174069-03-5P
174069-04-6P 174069-05-7P 174069-13-7P
174069-15-9P 174069-16-0P 174069-18-3P
174069-21-7P 174180-24-6P 175089-94-8P
175089-95-9P 175089-96-0P 175089-98-2P
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206439-81-8P 206439-82-9P 206439-88-5P
206439-89-6P 206553-50-6P

(prepn. of steroidal polyamine conjugates for treatment of infection)
RN 174068-84-9 USPATFULL
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

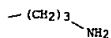
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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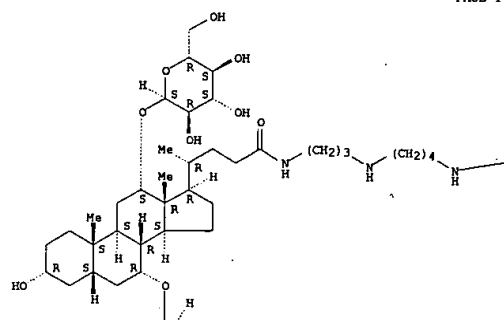
RN 174068-86-1 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis[(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

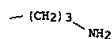
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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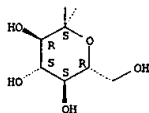


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L41 ANSWER 18 OF 40 USPATFULL (Continued)

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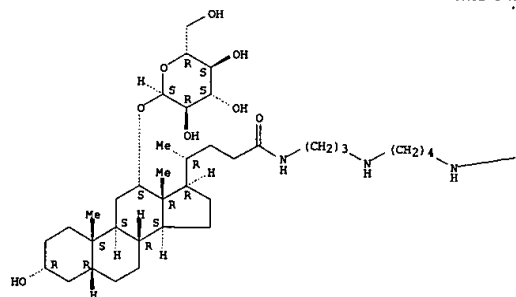


RN 174068-92-9 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-bis[(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

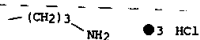
Absolute stereochemistry.

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L41 ANSWER 18 OF 40 USPATFULL (Continued)

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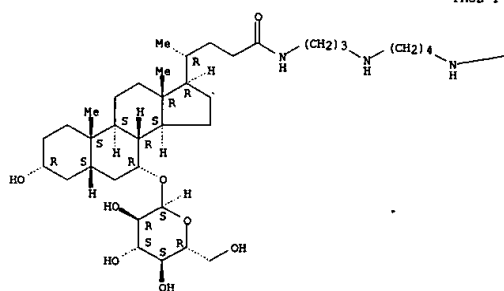


RN 174068-98-5 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-bis[(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

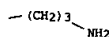
Absolute stereochemistry.

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L41 ANSWER 18 OF 40 USPATFULL (Continued)

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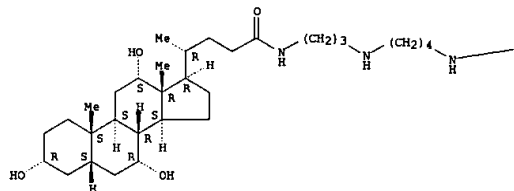
● 3 HCl

RN 174068-99-6 USPATFULL

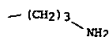
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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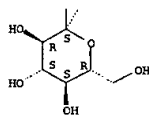
RN 174069-03-5 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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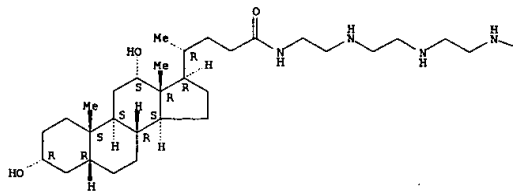
● 3 HCl

RN 174069-04-6 USPATFULL

CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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● 3 HCl

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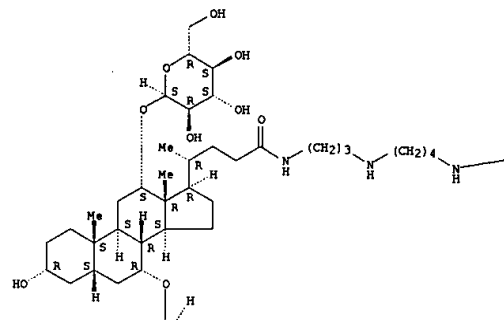
RN 174069-05-7 USPATFULL

CN Cholan-24-amide, N-[14-amino-3,6,9,12-tetraazatetradec-1-yl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

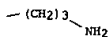
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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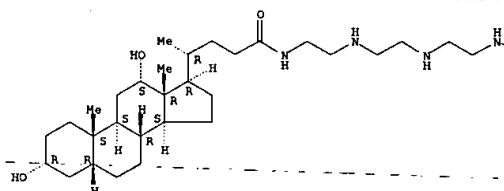


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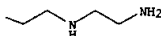


L41 ANSWER 18 OF 40 USPATFULL (Continued)

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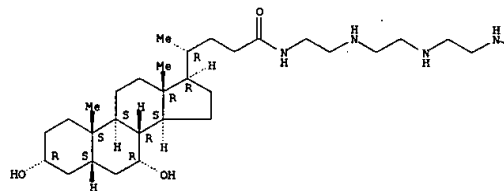


RN 174069-13-7 USPATFULL

CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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● 4 HCl

L41 ANSWER 18 OF 40 USPATFULL (Continued)

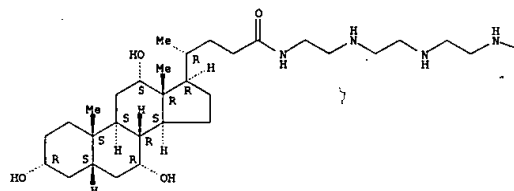
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RN 174069-15-9 USPATFULL
 CN Cholan-24-amide, N-[2-[[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino
]ethyl]-3,7,12-trihydroxy-, pentahydrochloride,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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● 5 HCl

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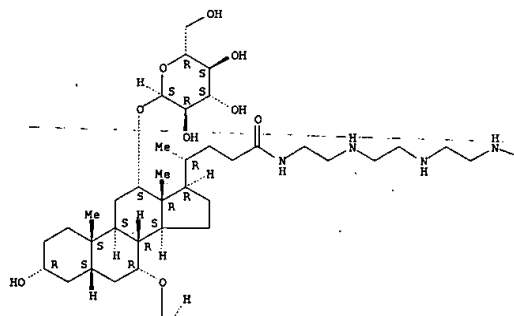


RN 174069-16-0 USPATFULL
 CN Cholan-24-amide, N-[14-amino-3,6,9,12-tetraazatetradec-1-yl]-3,7,12-
 trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-
 (9CI) (CA INDEX NAME)

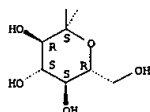
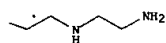
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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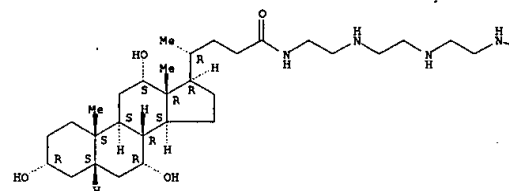


● 4 HCl

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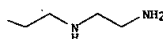
L41 ANSWER 18 OF 40 USPATFULL (Continued)

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● 5 HCl

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RN 174069-19-3 USPATFULL
 CN Cholan-24-amide, N-[14-amino-3,6,9,12-tetraazatetradec-1-yl]-7,12-
 bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

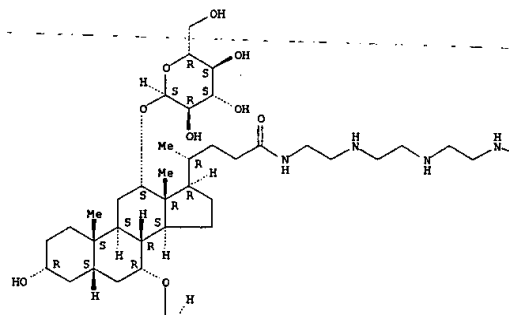
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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RN 174069-21-7 USPATFULL
 CN Cholan-24-amide, N-[2-[[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino
]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-,
 trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

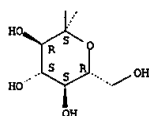


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L41 ANSWER 18 OF 40 USPATFULL (Continued)

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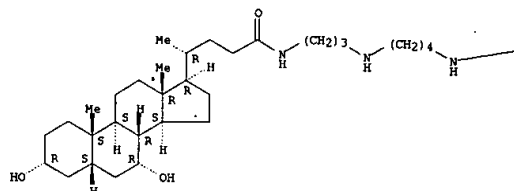


● 3 HCl

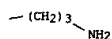
RN 174180-24-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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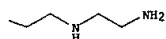


RN 175089-94-8 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

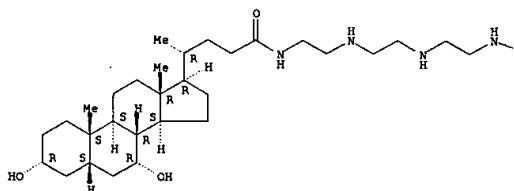
PAGE 1-B



RN 175089-96-0 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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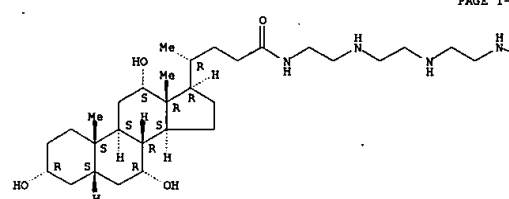


RN 175089-98-2 USPATFULL
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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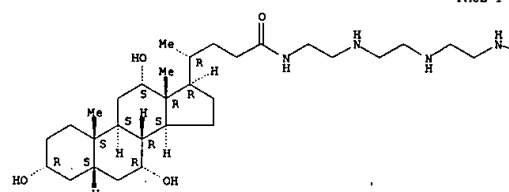
PAGE 1-B



RN 175089-95-9 USPATFULL
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

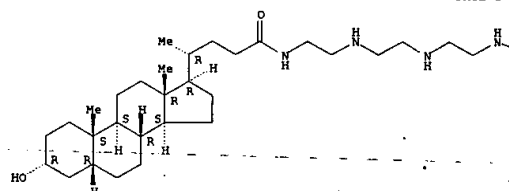
Absolute stereochemistry.

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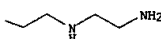


L41 ANSWER 18 OF 40 USPATFULL (Continued)

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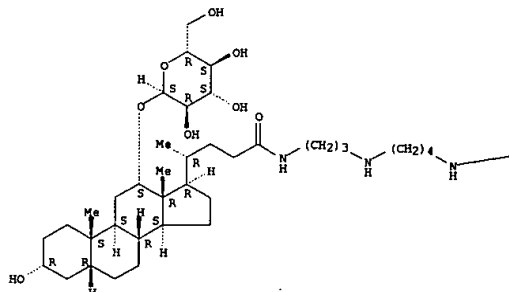
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RN 206439-78-3 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-(alpha-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

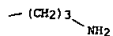
Absolute stereochemistry.

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L41 ANSWER 18 OF 40 USPATFULL (Continued)

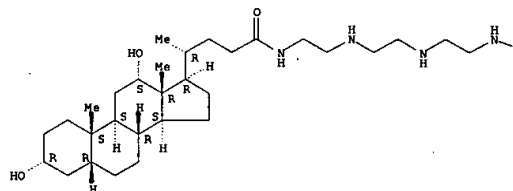
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RN 206439-79-4 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino
]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.

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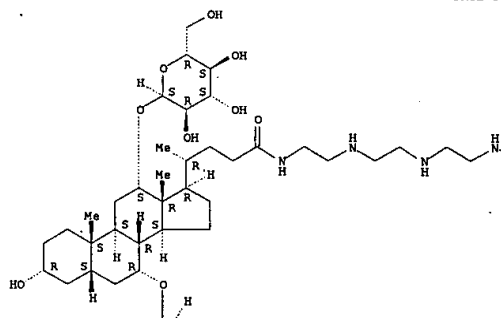


RN 206439-80-7 USPATFULL
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-
 bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

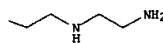
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

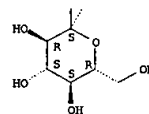
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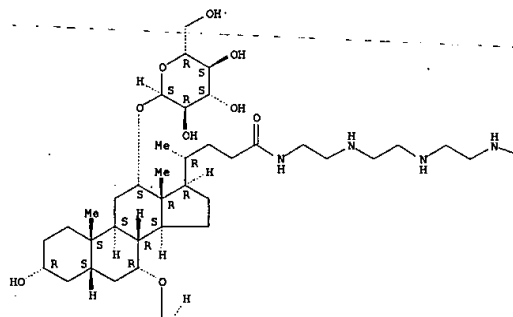


L41 ANSWER 18 OF 40 USPATFULL (Continued)

RN 206439-81-8 USPATFULL
 CN Cholan-24-amide, N-[2-[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino
]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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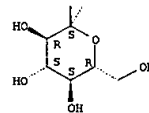


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L41 ANSWER 18 OF 40 USPATFULL (Continued)

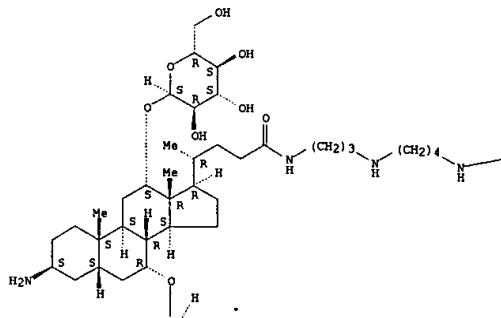
PAGE 2-A



RN 206439-82-9 USPATFULL
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl
]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, hydrochloride,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

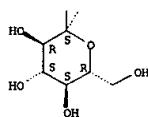
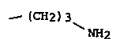
Absolute stereochemistry.

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L41 ANSWER 18 OF 40 USPATFULL (Continued)

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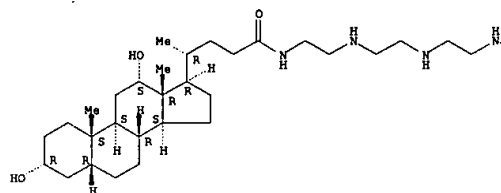
●x HCl

RN 206439-88-5 USPATFULL
 CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, dihydrochloride, (3.alpha.,5.beta.,12.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

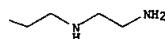
L41 ANSWER 18 OF 40 USPATFULL (Continued)

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●2 HCl

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RN 206439-89-6 USPATFULL
 CN Cholan-24-amide, N-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

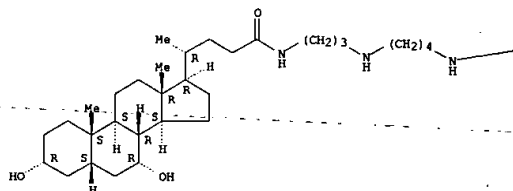
CH 1

CRN 174180-24-6
 CHF C34 H64 N4 O3
 CDES 4:3A,5B,7A.CHOL

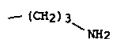
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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CH 2

CRN 76-05-1
 CHF C2 H F3 O2

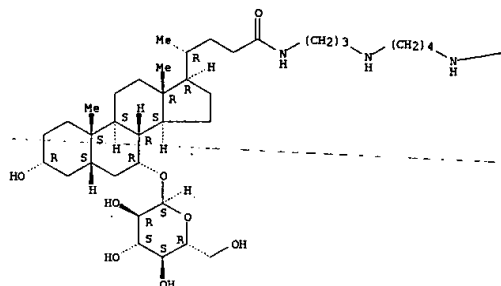


RN 206553-50-6 USPATFULL
 CN Cholan-24-amide, N-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)]- (9CI) (CA INDEX NAME)

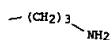
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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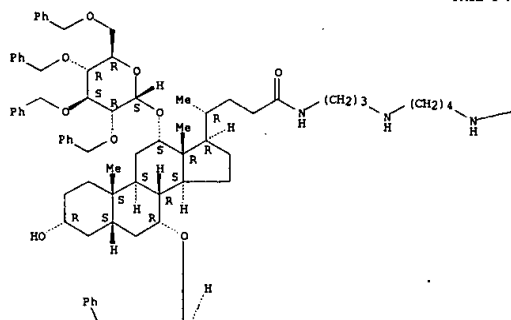


IT 174069-02-4P 174069-18-2P 174069-20-6P
 206439-86-3P 206439-87-4P
 (prepn. of steroidal polyamine conjugates for treatment of infection)
 RN 174069-02-4 USPATFULL
 CN Cholan-24-amide, N-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, 7,12-bis-[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)]- (9CI) (CA INDEX NAME)

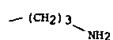
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

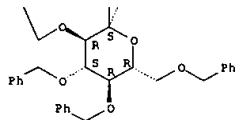
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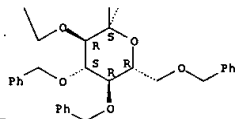
PAGE 2-A



RN 174069-18-2 USPATFULL

L41 ANSWER 18 OF 40 USPATFULL (Continued)

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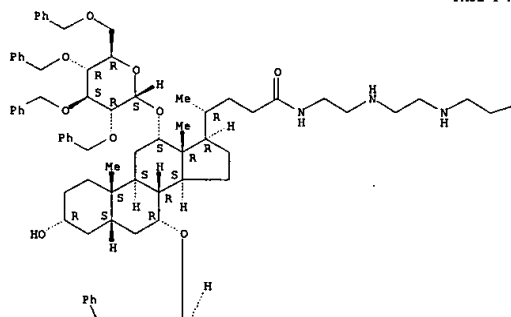


RN 174069-20-6 USPATFULL

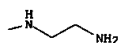
CN Cholan-24-amide, N-[2-[[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-α-D-glucopyranosyl]oxy]-, (3.α.,5.β.,7.α.,12.α.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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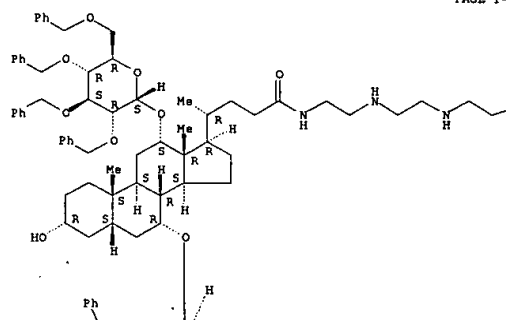


L41 ANSWER 18 OF 40 USPATFULL (Continued)

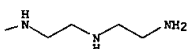
CN Cholan-24-amide, N-[14-amino-3,6,9,12-tetraazatetradec-1-yl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-α-D-glucopyranosyl]oxy]-, (3.α.,5.β.,7.α.,12.α.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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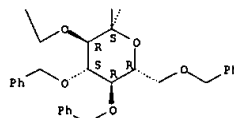


PAGE 1-B



L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 2-A

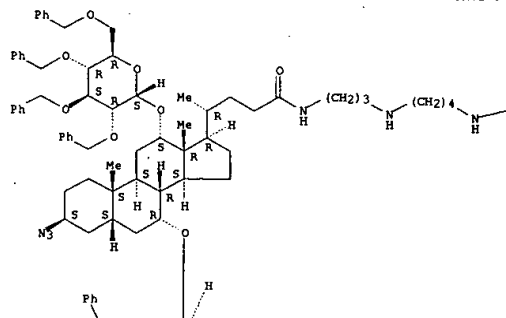


RN 206439-86-3 USPATFULL

CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-α-D-glucopyranosyl]oxy]-, (3.β.,5.β.,7.α.,12.α.)- (9CI) (CA INDEX NAME)

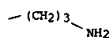
Absolute stereochemistry.

PAGE 1-A

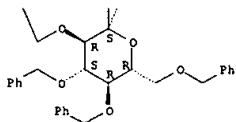


L41 ANSWER 18 OF 40 USPATFULL (Continued)

PAGE 1-B



PAGE 2-A

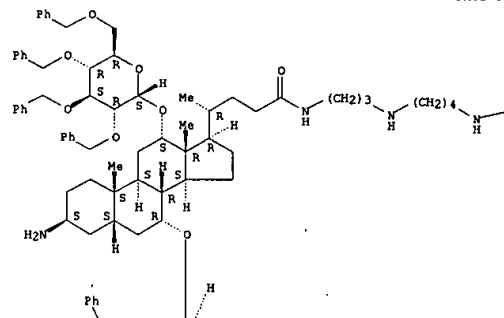


RN 206439-87-4 USPATFULL
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl
]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-
 glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA
 INDEX NAME)

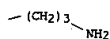
Absolute stereochemistry.

L41 ANSWER 18 OF 40 USPATFULL (Continued)

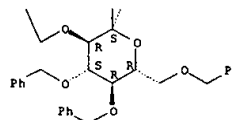
PAGE 1-A



PAGE 1-B



PAGE 2-A



L41 ANSWER 18 OF 40 USPATFULL (Continued)

L41 ANSWER 19 OF 40 USPATFULL

ACCESSION NUMBER: 1998:33921 USPATFULL
 TITLE: Method for treating infection using steroid based
 pharmaceutical compositions
 INVENTOR(S): Frye, Leah L., Ravena, NY, United States
 Zasloff, Michael A., Merion Station, PA, United States
 Kinney, William A., Churchill, PA, United States
 Moriarty, Robert, Oak Park, IL, United States
 Collins, Delwood C., Lexington, KY, United States
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA,
 United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5733899		19980331
	WO 9524415		19950914
APPLICATION INFO.:	US 1995-416883		19950420 (8)
	WO 1994-US10265		19940913
			19950420 PCT 371 date
RELATED APPL. INFO.:			19950420 PCT 102(e) date
	Continuation of Ser. No. US 1993-29018, filed on 10 Mar 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Dees, Jose G.		
ASSISTANT EXAMINER:	Badio, Barbara		
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner		
NUMBER OF CLAIMS:	9		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1620		

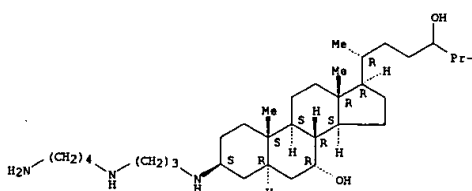
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a bacterial or fungal infection in a patient by administering an effective amount of a compound of Formula (III):
 ##STR1## wherein, the substituents are as defined in the specification.

IT 159791-14-7P 160348-64-1P 160348-65-2P
 160348-66-3P 160348-67-4P 160348-70-9P
 160348-90-3P 160348-91-4P

(prepn. of polyaminosteroids as bactericides and antifungals)
 RN 159791-14-7 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
 (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

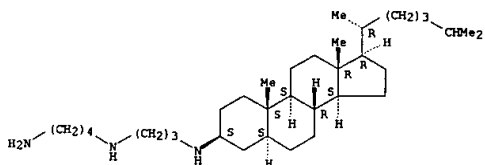
Absolute stereochemistry.



RN 160348-64-1 USPATFULL

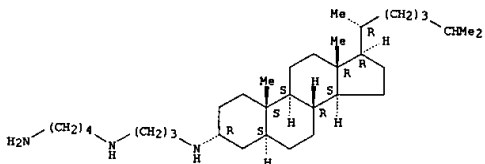
L41 ANSWER 19 OF 40 USPATFULL (Continued)
 CN 1,4-Butanediamine, N-[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-65-2 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-
 (9CI) (CA INDEX NAME)

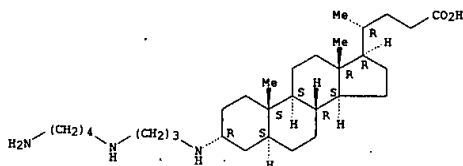
Absolute stereochemistry.



RN 160348-66-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
 (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

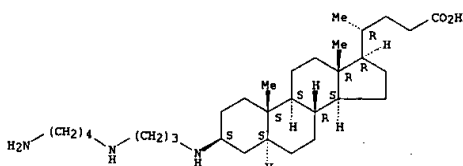
Absolute stereochemistry.

L41 ANSWER 19 OF 40 USPATFULL (Continued)



RN 160348-67-4 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
 (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

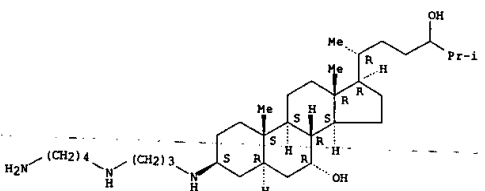
Absolute stereochemistry.



RN 160348-70-9 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-,
 trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

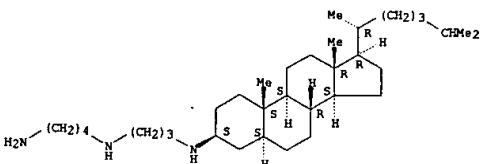
L41 ANSWER 19 OF 40 USPATFULL (Continued)



● 3 HCl

RN 160348-90-3 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-,
 trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

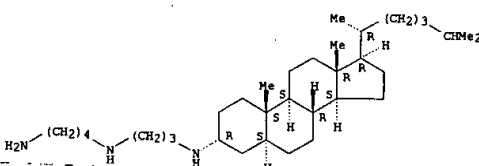


● 3 HCl

RN 160348-91-4 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-,
 trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

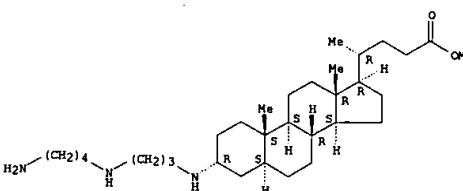
L41 ANSWER 19 OF 40 USPATFULL (Continued)



● 3 HCl

IT 160348-77-6P 160348-78-7P
 (prepn. of polyaminosteroids as bactericides and antifungals)
 RN 160348-77-6 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl
 ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

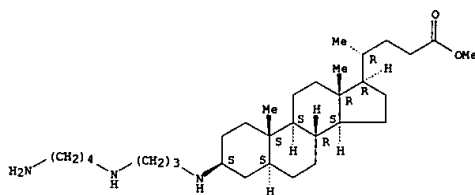
Absolute stereochemistry.



RN 160348-78-7 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl
 ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 19 OF 40 USPATFULL (Continued)



L41 ANSWER 20 OF 40 USPATFULL

1998:19695 USPATFULL
 ACCESSION NUMBER: 1998:19695 USPATFULL
 TITLE: Method for inhibiting angiogenesis using squalamine and squalamine steroid derivatives
 INVENTOR(S): Frye, Leah L., Ravena, NY, United States
 Zaslloff, Michael A., Merion Station, PA, United States
 Kinney, William A., Churchill, PA, United States
 Moriarty, Robert, Oak Park, IL, United States
 Collins, Delwood C., Lexington, KY, United States
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5721226		19980224
US 1995-478763		19950607 (8)

PATENT INFORMATION: US 5721226 19980224
 APPLICATION INFO.: US 1995-478763 19950607 (8)
 RELATED APPL. INFO.: Continuation of Ser. No. US 1995-416883, filed on 20 Apr 1995 And a continuation-in-part of Ser. No. US 1994-290826, filed on 18 Aug 1994, now patented, Pat. No. US 5637691 And a continuation-in-part of Ser. No. US 1993-29018, filed on 10 Mar 1993, now abandoned

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Prior, Kimberly J.
 LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett, & Dunner, L.L.P.
 NUMBER OF CLAIMS: 12
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1659

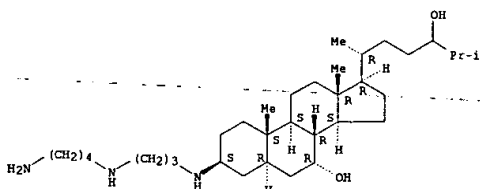
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of inhibiting angiogenesis in a patient includes administering to the patient an effective amount of squalamine or a pharmaceutically acceptable salt of squalamine. Alternatively, a compound according to the following Formula (III) (or a pharmaceutically acceptable salt thereof) can be administered: ##STR1## wherein Z.sub.5 is .alpha.-H or .beta.-H; each of the substituents Z.sub.7 is selected from the group of --H, --OH, --SH, --NH.sub.2, --F, --(C.sub.1-C.sub.3)-alkyl, and --(C.sub.1-C.sub.3)-alkoxy; and one of the substituents Z.sub.12 is --H and the other is --H or --OH. X' is a polyamine side chain of the formula --X.sub.1--(CH.sub.2).sub.p--X.sub.2--(CH.sub.2).sub.q--N(R.sub.II)(R.sub.III), wherein one of X.sub.1 and X.sub.2 is --N(R.sub.IV) and the other is selected from the group of --N(R.sub.V), --O, --S, and --CH.sub.2. R.sub.IV and R.sub.V are each --H or --(C.sub.1-C.sub.3)-alkyl, p and q are each an integer of from 0 to 5 (but both are not 0). R.sub.II and R.sub.III in the formula for X' are each --H, --(C.sub.1-C.sub.3)-alkyl, or --(CH.sub.2).sub.r--N(R.sub.10)(R.sub.11) wherein r is an integer from 2 to 5 and R.sub.10 and R.sub.11 are each --H or --(C.sub.1-C.sub.3)-alkyl. R' in Formula (III) is --H or --(C.sub.1-C.sub.3)-alkyl, and Y' is --(C.sub.1-C.sub.10)-alkyl, unsubstituted or substituted with --CO.sub.2 H, --OH, --NH--SO.sub.2 CF.sub.3, --SO.sub.3 H, --PO.sub.3 H.sub.2, --OSO.sub.3 H, --CF.sub.3, --F, ##STR2##

IT 159791-14-7P 160348-64-1P 160348-65-2P
 160348-66-3P 160348-67-4P 160348-70-9P
 160348-90-3P 160348-91-4P
 (prepn. of polyaminosteroids as bactericides and antifungals)
 RN 159791-14-7 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

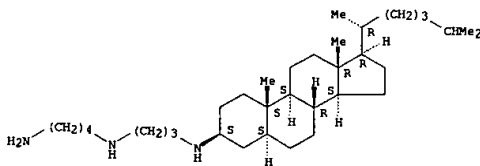
L41 ANSWER 20 OF 40 USPATFULL (Continued)
 (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



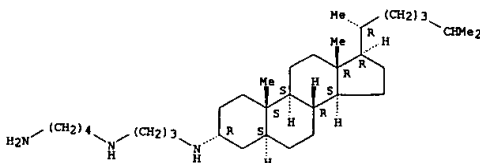
RN 160348-64-1 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-65-2 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]- (9CI) (CA INDEX NAME)

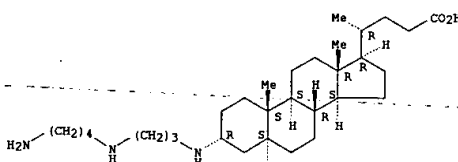
Absolute stereochemistry.



L41 ANSWER 20 OF 40 USPATFULL (Continued)

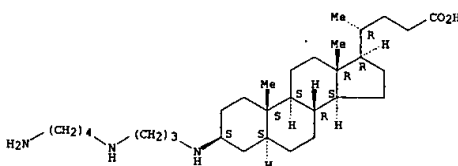
RN 160348-66-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-67-4 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

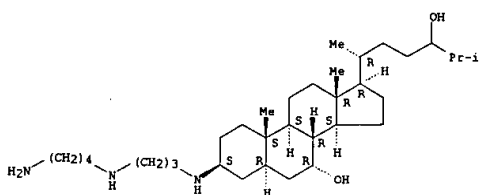
Absolute stereochemistry.



RN 160348-70-9 USPATFULL
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

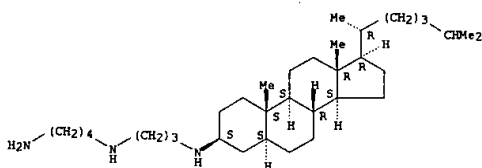
L41 ANSWER 20 OF 40 USPATFULL (Continued)



● 3 HCl

RN 160348-90-3 USPATFULL
 CN 1,4-Butanediamine, N-[3-[[[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

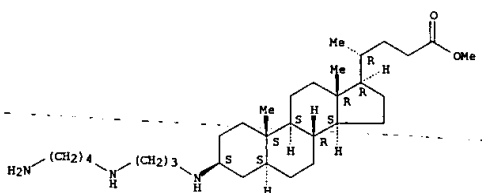


● 3 HCl

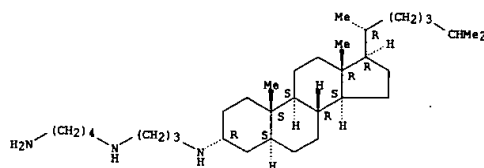
RN 160348-91-4 USPATFULL
 CN 1,4-Butanediamine, N-[3-[[[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 20 OF 40 USPATFULL (Continued)



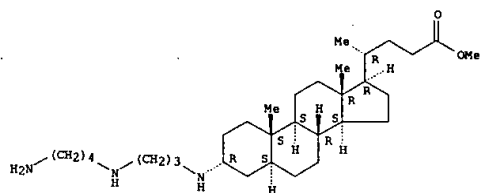
L41 ANSWER 20 OF 40 USPATFULL (Continued)



● 3 HCl

IT 160348-77-6P 160348-78-7P
 (prepn. of polyaminosteroids as bactericides and antifungals)
 RN 160348-77-6 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-78-7 USPATFULL
 CN Cholan-24-oic acid, 3-[[3-[[[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL

1998:12995 USPATFULL
 ACCESSION NUMBER:
 TITLE: Cationic amphiphiles containing dialkylamine lipophilic groups for intracellular delivery of therapeutic molecules
 INVENTOR(S): Harris, David J., Lexington, MA, United States
 Lee, Edward R., Quincy, MA, United States
 Siegel, Craig S., Woburn, MA, United States
 Cheng, Seng H., Wellesley, MA, United States
 Eastman, Simon J., Marlboro, MA, United States
 Marshall, John, Milford, MA, United States
 Schuele, Ronald K., Hopkinton, MA, United States
 Genzyme Corporation, Framingham, MA, United States
 (U.S. corporation)

NUMBER	KIND	DATE
US 5719131		19980217
US 1995-546110		19951020 (8)
RELATED APPLM. INFO.:	Continuation-in-part of Ser. No. US 1995-540867, filed on 11 Oct 1995 which is a continuation-in-part of Ser. No. US 1994-352479, filed on 9 Dec 1994	

NUMBER	DATE
US 1995-4344P	19950926 (60)
US 1995-4399P	19950927 (60)
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Low, Christopher S.F.
ASSISTANT EXAMINER:	Nguyen, Dave T.
NUMBER OF CLAIMS:	24
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	26 Drawing Figure(s); 22 Drawing Page(s)
LINE COUNT:	2966

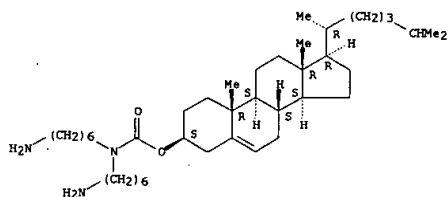
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active (therapeutic) molecules into cells. The amphiphiles contain lipophilic groups derived from steroids, from mono or dialkylamines, or from alkyl or acyl groups; and cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells. With respect to therapeutic compositions for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. Novel and highly effective plasmid constructs are also disclosed, including those that are particularly effective at providing gene therapy for clinical conditions complicated by inflammation. Additionally, targeting of organs for gene therapy by intravenous administration of therapeutic compositions is described.

IT 179075-32-2P
 (cationic amphiphiles contg. dialkylamine lipophilic groups for intracellular delivery of therapeutic mols.)
 RN 179075-32-2 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl)carbamate (9CI) (CA INDEX

L41 ANSWER 21 OF 40 USPATFULL (Continued)
NAME)

Absolute stereochemistry.



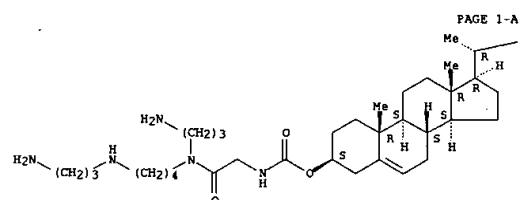
IT 179075-04-8P 179075-25-3P 179075-30-0P
179075-31-1P 179075-36-6P 179075-37-7P
179075-40-2P 179075-41-3P 179075-45-7P
179075-48-0P

(cationic amphiphiles contg. dialkylamine lipophilic groups for intracellular delivery of therapeutic mols.)

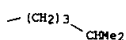
RN 179075-04-8 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [2-((3-aminopropyl)amino)butyl]amino-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

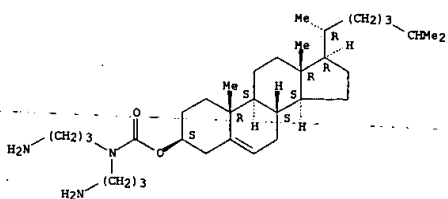


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PAGE 1-B

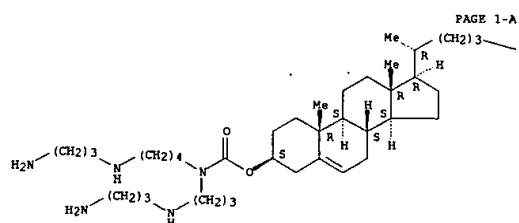
L41 ANSWER 21 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



RN 179075-36-6 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [4-((3-aminopropyl)amino)butyl][3-((3-aminopropyl)amino)propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

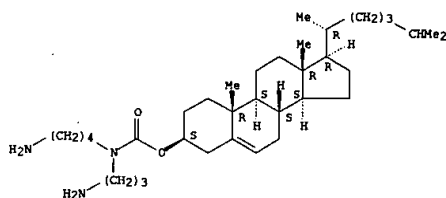
RN 179075-37-7 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [3-((4-aminobutyl)(3-aminopropyl)amino)propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL (Continued)
RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

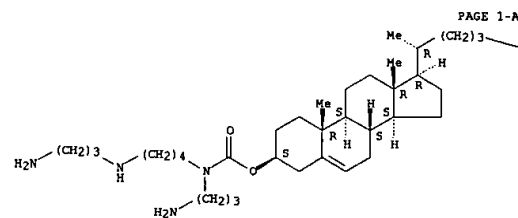
Absolute stereochemistry.



RN 179075-30-0 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-((3-aminopropyl)amino)butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

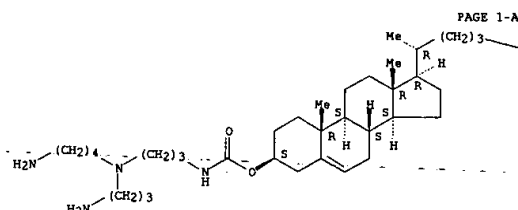


PAGE 1-B

RN 179075-31-1 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl)carbamate (9CI) (CA INDEX NAME)

L41 ANSWER 21 OF 40 USPATFULL (Continued)



PAGE 1-A

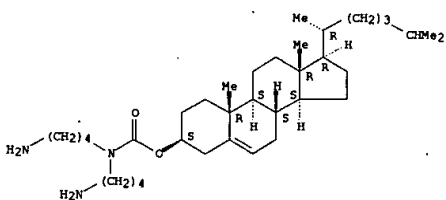


PAGE 1-B

RN 179075-40-2 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, bis(4-aminobutyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

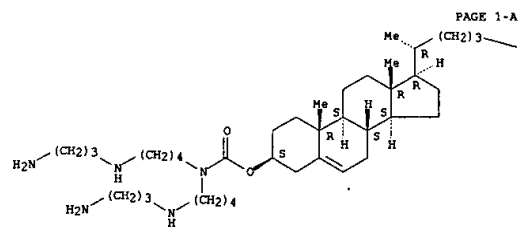


RN 179075-41-3 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, bis[4-((3-aminopropyl)amino)butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 21 OF 40 USPATFULL (Continued)

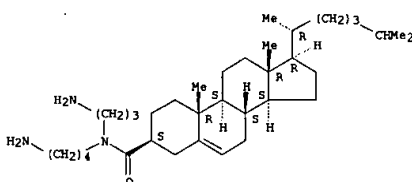


PAGE 1-B

CHMe2

RN 179075-45-7 USPATFULL
 CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-,
 (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179075-48-0 USPATFULL
 CN Cholest-5-ene-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 22 OF 40 USPATFULL

ACCESSION NUMBER: 97:76010 USPATFULL
 TITLE: Self-assembling polynucleotide delivery system
 comprising dendrimer polycations
 INVENTOR(S): Szoka, Jr., Francis C., 45 Mendosa Ave., San Francisco,
 CA, United States 94116
 Haensler, Jean, 117, Rue Principale, 57540
 Petite-Rosselle, France

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5661025		19970826
APPLICATION INFO.:	US 1995-480463		19950607 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-92200, filed on 14 Jul 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-913669, filed on 14 Jul 1992 which is a continuation-in-part of Ser. No. US 1992-864876, filed on 3 Apr 1992, now abandoned		

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Robinson, Douglas W.
 ASSISTANT EXAMINER: Wai, Thanda
 NUMBER OF CLAIMS: 6
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 13 Drawing Figure(s); 8 Drawing Page(s)
 LINE COUNT: 2060

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

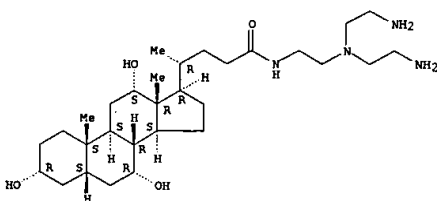
AB A self-assembling polynucleotide delivery system comprises a dendrimer polycation aiding in the delivery of the polynucleotide to a desired address, and optionally other agents such as DNA masking agents, cell recognition agents, charge-neutralization agents, membrane-permeabilization agents, and subcellular-localization agents.

IT 153001-97-9P

(prepn. and use as membrane permeabilizing component of self-assembling polynucleotide delivery system of)

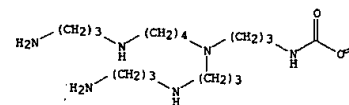
RN 153001-97-9 USPATFULL
 CN Cholan-24-amide, N-[2-[[bis(2-aminoethyl)amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

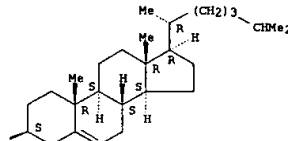


L41 ANSWER 21 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L41 ANSWER 23 OF 40 USPATFULL

ACCESSION NUMBER: 97:63707 USPATFULL
 TITLE: Cationic amphiphiles for intracellular delivery of
 therapeutic molecules
 INVENTOR(S): Harris, David J., Lexington, MA, United States
 Lee, Edward R., Quincy, MA, United States
 Siegel, Craig S., Woburn, MA, United States
 Cheng, Seng H., Wellesley, MA, United States
 Eastman, Simon J., Marlboro, MA, United States
 Marshall, John, Milford, MA, United States
 Genzyme Corporation, Cambridge, MA, United States (U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5650096		19970722
APPLICATION INFO.:	US 1994-352479		19941209 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lovering, Richard D.		
LEGAL REPRESENTATIVE:	Donahue, E. Victor		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1,2		
NUMBER OF DRAWINGS:	22 Drawing Figure(s); 14 Drawing Page(s)		
LINE COUNT:	1137		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cationic amphiphiles are provided that facilitate transport of biologically active molecules into cells. Typically, the amphiphiles contain lipophilic groups derived from steroids or from mono or dialkylamines, and two cationic groups, protonatable at physiological pH, derived from amines, alkylamines or polyalkylamines. There are provided also therapeutic compositions prepared typically by contacting a dispersion of one or more cationic amphiphiles, with or without colipids, and therapeutic molecules. Therapeutic molecules that can be delivered into cells according to the practice of the invention include DNA, RNA, polypeptides and low molecular weight organic compounds. Representative uses of the therapeutic compositions of the invention include providing gene therapy, and delivery of antisense polynucleotides or biologically active polypeptides to cells.

IT 179074-99-8 179075-00-4 179075-01-5

179075-02-6 179075-03-7 179075-04-8

179075-09-3 179075-25-3 179075-28-6

179075-29-7 179075-30-0 179075-31-1

179075-32-2 179075-33-3 179075-34-4

179075-36-4 179075-37-7 179075-38-8

179075-39-9 179075-40-2 179075-41-3

179075-42-4 179075-43-5 179075-45-7

179075-48-0 179075-50-4

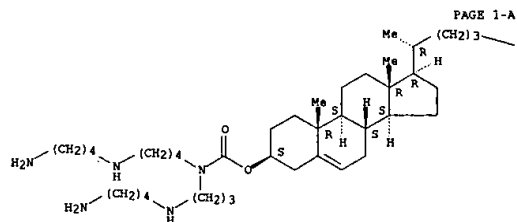
(transfection-enhancing agent; cationic amphiphiles and plasmids for intracellular delivery of therapeutic mols.)

RN 179074-99-8 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [4-[[4-aminobutyl]amino]butyl][3-[[4-aminobutyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

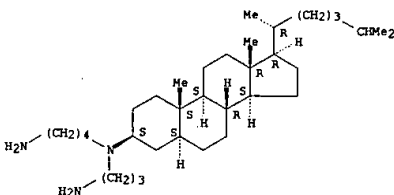


PAGE 1-B

 CHMe_2

RN 179075-00-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.,5.alpha.)-cholestan-3-yl]-
(9CI) (CA INDEX NAME)

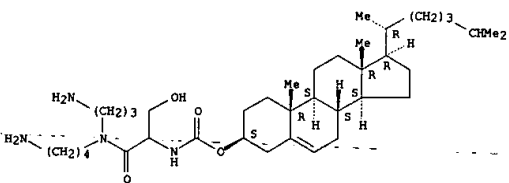
Absolute stereochemistry.



RN 179075-01-5 USPATFULL
CN Cholest-5-ene-3-carboxamide, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-, (3.beta.)- [9CI] (CA INDEX NAME)

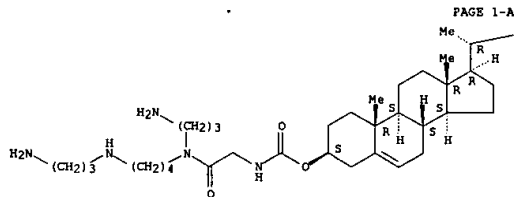
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-04-8 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]amino]-2-oxoethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

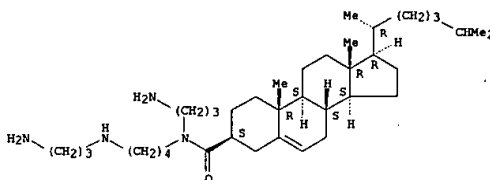
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RW 179075-09-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-aminobutyl](3-aminopropyl)amino]-1-
  [[4-aminobutyl](3-aminopropyl)amino]carbonyl]-3-oxopropyl]carbamate
  (9CI) (CA INDEX NAME)

```

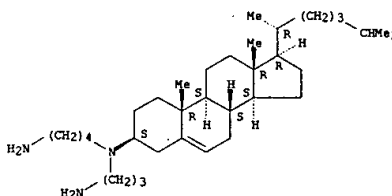
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-02-6 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl)-
(9CI) (CA INDEX NAME)

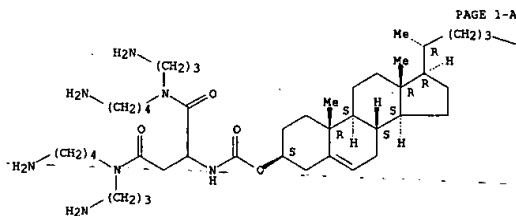
Absolute stereochemistry.



RN 179075-03-7 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, {2-[(4-aminobutyl) (3-aminopropyl) amino]-1-(hydroxymethyl)-2-oxoethyl}carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

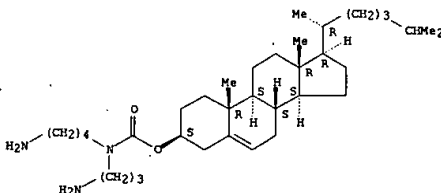


PAGE 1-B

 —CHMe_2

RN 179075-25-3 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl)(3-aminopropyl)carbamate (9CI)
(CA INDEX NAME)

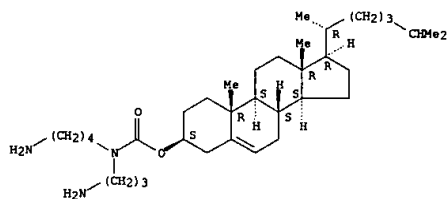
Absolute stereochemistry.



RN 179075-28-6 USPATFULL
CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl) (3-aminopropyl) carbamate,
dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

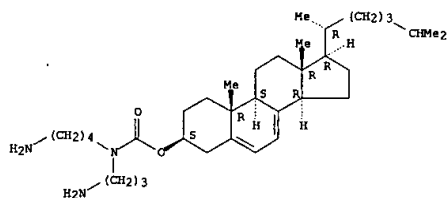
L41 ANSWER 23 OF 40 USPATFULL (Continued)



●2 HCl

RN 179075-29-7 USPATFULL
 CN Cholesta-5,7-dien-1-ol, (4-aminobutyl) (3-aminopropyl) carbamate, (3.beta.)- (9CI) (CA INDEX NAME)

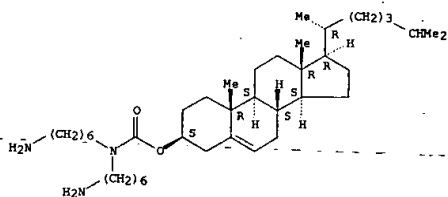
Absolute stereochemistry.



RN 179075-30-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl) [4-[(3-aminopropyl)amino]butyl] carbamate (9CI) (CA INDEX NAME)

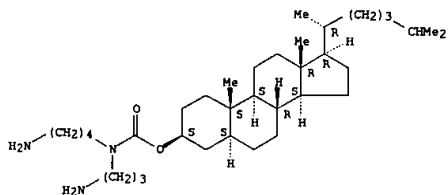
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-33-3 USPATFULL
 CN Cholestan-3-ol, (4-aminobutyl) (3-aminopropyl) carbamate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

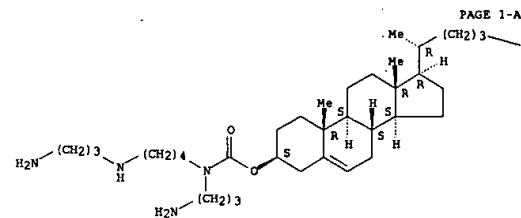
Absolute stereochemistry.



RN 179075-34-4 USPATFULL
 CN Cholan-24-oic acid, 3-[[[(4-aminobutyl) (3-aminopropyl) amino] carbonyl]oxy]-, methyl ester, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



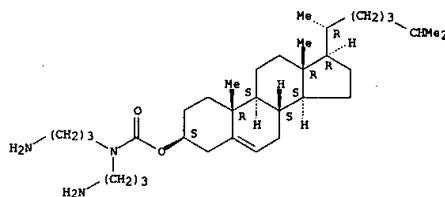
PAGE 1-A

PAGE 1-B

CHMe2

RN 179075-31-1 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis(3-aminopropyl) carbamate (9CI) (CA INDEX NAME)

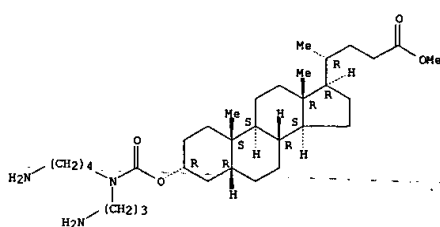
Absolute stereochemistry.



RN 179075-32-2 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis(6-aminohexyl) carbamate (9CI) (CA INDEX NAME)

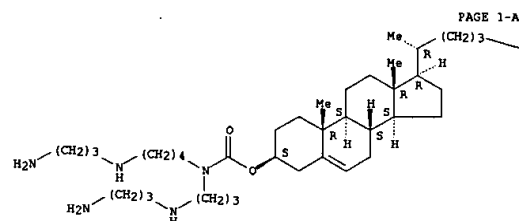
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)



RN 179075-36-6 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [4-[(3-aminopropyl)amino]butyl] [3-[(3-aminopropyl)amino]propyl] carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

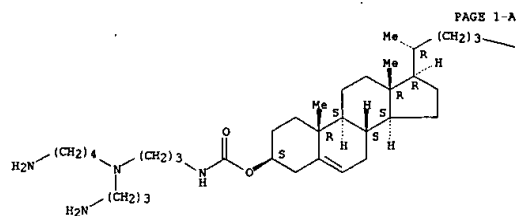
PAGE 1-B

CHMe2

RN 179075-37-7 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl) (3-aminopropyl) amino] propyl] carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

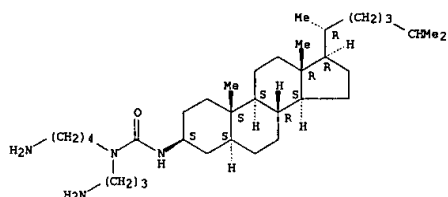


PAGE 1-B

CHMe2

RN 179075-38-8 USPATFULL
 CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

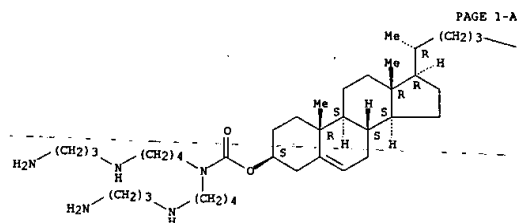
Absolute stereochemistry.



RN 179075-39-9 USPATFULL
 CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.,5.alpha.)-cholestan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

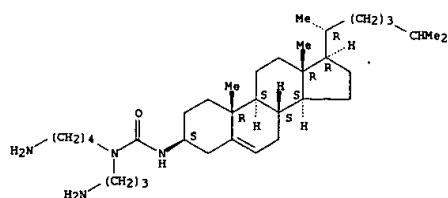


PAGE 1-B

CHMe2

RN 179075-42-4 USPATFULL
 CN Urea, N-(4-aminobutyl)-N-(3-aminopropyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

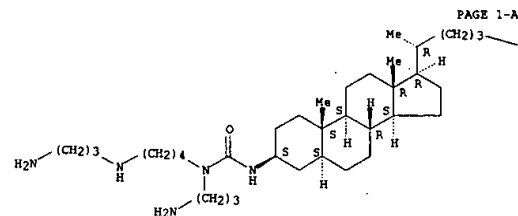
Absolute stereochemistry.



RN 179075-43-5 USPATFULL
 CN Urea, N-(3-aminopropyl)-N-[4-[(3-aminopropyl)amino]butyl]-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

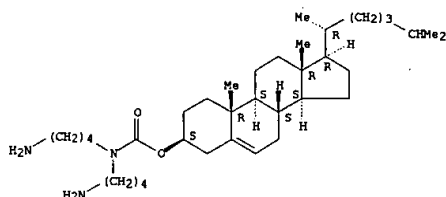


PAGE 1-B

CHMe2

RN 179075-40-2 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

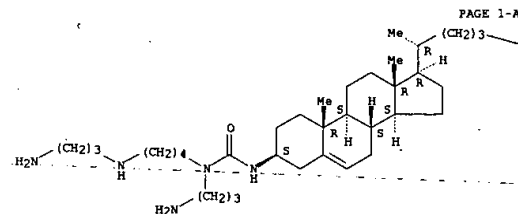
Absolute stereochemistry.



RN 179075-41-3 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, bis[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

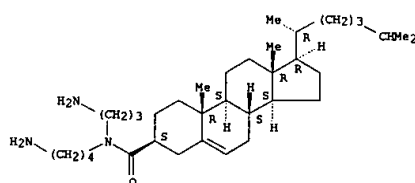


PAGE 1-B

CHMe2

RN 179075-45-7 USPATFULL
 CN Cholest-5-ene-3-carboxamide, N-(4-aminobutyl)-N-(3-aminopropyl)-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

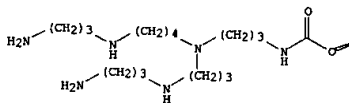


RN 179075-48-0 USPATFULL
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-[(3-aminopropyl)amino]butyl][3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

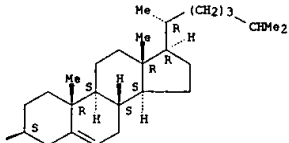
Absolute stereochemistry.

L41 ANSWER 23 OF 40 USPATFULL (Continued)

PAGE 1-A

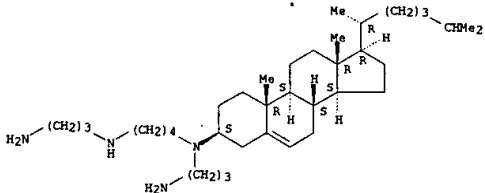


PAGE 1-B



RN 179075-50-4 USPATFULL
 CN 1,4-Butanediylbis(3-aminopropyl)-N-[(3.beta.)-cholest-5-en-3-yl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 24 OF 40 USPATFULL

ACCESSION NUMBER: 97149738 USPATFULL
 TITLE: Steroid derivatives, pharmaceutical compositions
 containing them, and their use as antibiotics or
 disinfectants
 INVENTOR(S): Frye, Leah L., Ravenna, NY, United States
 Zasloff, Michael A., Merion Station, PA, United States
 Kinney, William A., Churchville, PA, United States
 Moriarty, Robert, Oak Park, IL, United States
 PATENT ASSIGNEE(S): Magainin Pharmaceuticals, Inc., Plymouth Meeting, PA,
 United States (U.S. corporation)

NUMBER	KIND	DATE
PATENT INFORMATION:	US 5637691	19970610
	WO 9420520	19940915
APPLICATION INFO.:	US 1994-290826	19940818 (8)
	WO 1994-US2397	19940316
		19940818 PCT 371 date
		19940818 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1993-29018, filed on 10 Mar 1993, now abandoned	
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Cook, Rebecca	
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1576	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having a broad range of antimicrobial activity generally have
 a structure including steroid nucleus with a cationic, preferably
 polyamine, side chain (X) and an anionic side chain (Y). The invention
 is also directed to compounds of the Formula III: ~~##STR1##~~ preferably
 where the steroid ring nucleus is saturated; the steroid ring
 substituent 2.sub.5 is .alpha.-H; one 2.sub.7 is .beta.-H and the other is
 .alpha.-H or .alpha.-OH; both substituents 2.sub.12 are hydrogen; X'
 is a polyamine side chain of the formula --NH--(CH.sub.2).sub.p
 --NH--(CH.sub.2).sub.q--N(R.sub.II)(R.sub.III) where p and q are each
 independently 3 or 4, and R.sub.II and R.sub.III are each independently
 hydrogen or methyl; R' is methyl; and Y' is (C.sub.1-C.sub.10)-alkyl
 substituted with a group such as --CO.sub.2 H or --SO.sub.3 H.

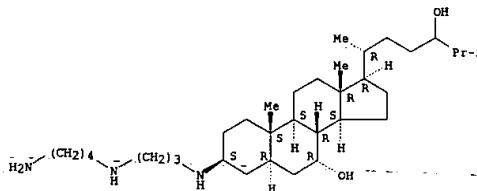
IT 159791-14-7P 160348-64-1P 160348-65-2P
 160348-66-3P 160348-67-4P 160348-70-9P
 160348-90-3P 160348-91-4P
 (prepn. of polyaminosteroids as bactericides and antifungals)

RN 159791-14-7 USPATFULL
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-
 (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

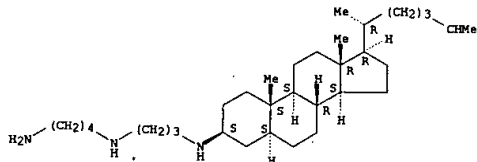
L41 ANSWER 23 OF 40 USPATFULL (Continued)

L41 ANSWER 24 OF 40 USPATFULL (Continued)



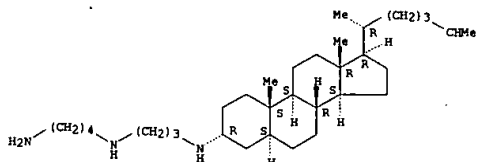
RN 160348-64-1 USPATFULL
 CN 1,4-Butanediylbis(3-aminopropyl)-N-[[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160348-65-2 USPATFULL
 CN 1,4-Butanediylbis(3-aminopropyl)-N-[[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-
 (9CI) (CA INDEX NAME)

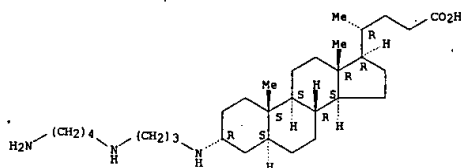
Absolute stereochemistry.



RN 160348-66-3 USPATFULL
 CN Cholan-24-oic acid, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-
 (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

L41 ANSWER 24 OF 40 USPATFULL (Continued)

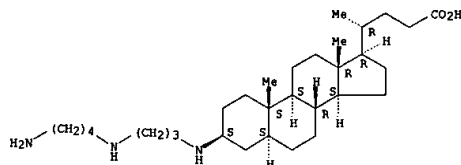
Absolute stereochemistry.



RN 160348-67-4 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

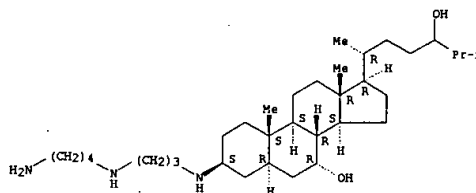


RN 160348-70-9 USPATFULL

CN Cholestan-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, trihydrochloride, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 24 OF 40 USPATFULL (Continued)

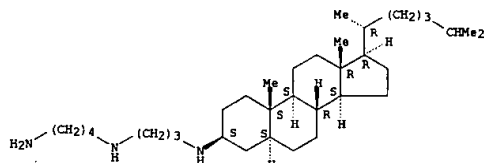


● 3 HCl

RN 160348-90-3 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.beta.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



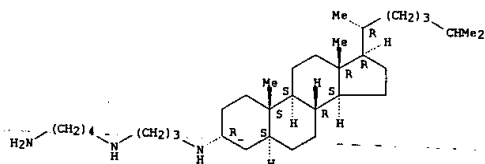
● 3 HCl

RN 160348-91-4 USPATFULL

CN 1,4-Butanediamine, N-[3-[[3-[(3.alpha.,5.alpha.)-cholestan-3-yl]amino]propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 24 OF 40 USPATFULL (Continued)



● 3 HCl

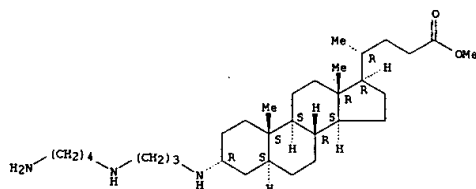
IT 160348-77-6P 160348-78-7P

(prepn. of polyaminosteroids as bactericides and antifungals)

RN 160348-77-6 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

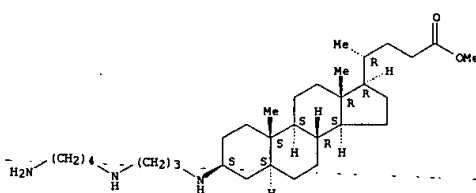


RN 160348-78-7 USPATFULL

CN Cholan-24-oic acid, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, methyl ester, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 24 OF 40 USPATFULL (Continued)



L41 ANSWER 25 OF 40 USPATFULL

ACCESSION NUMBER: 97:47392 USPATFULL
 TITLE: Amphipathic, micellar delivery systems for biologically active polyions
 INVENTOR(S): Wolff, Jon A., 1122 University Bay Dr., Madison, WI, United States 53705
 Budker, Vladimir, 204 N. Segoe Rd. #513, Madison, WI, United States 53705
 Gurevich, Vladimir, 2113 E. Johnson St., Madison, WI, United States 53704

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5635487		19970603
APPLICATION INFO.:	US 1994-368150		19941229 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Stone, Jacqueline M.		
ASSISTANT EXAMINER:	Twomey, Patrick		
LEGAL REPRESENTATIVE:	Dressler, Rockey, Milnamow & Katz, Ltd.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1186		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a composition comprising a population of micelles wherein each micelle comprises at least one amphipathic compound layer that surrounds a non-aqueous core that contains a polyion. Also provided are a method of preparing such a composition and the uses of such compositions for delivering biologically active polyions to cells.

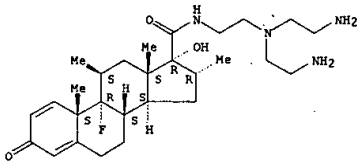
IT 191990-42-8P

(prepn. of glycolipid amphipathic micellar delivery systems for DNA and RNA biol. active polyions)

RN 191990-42-8 USPATFULL

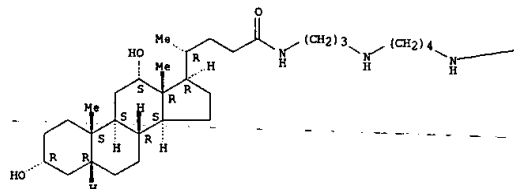
CN Androsta-1,4-diene-17-carboxamide, N-[2-[[bis(2-aminoethyl)amino]ethyl]-9-fluoro-17-hydroxy-11,16-dimethyl-3-oxo-, (11.beta.,16.alpha.,17.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

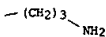


L41 ANSWER 26 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B



L41 ANSWER 26 OF 40 USPATFULL

ACCESSION NUMBER: 97:38613 USPATFULL
 TITLE: Glycosylated steroid derivatives for transport across biological membranes and process for making and using same
 INVENTOR(S): Kahne, Daniel E., Princeton, NJ, United States
 Kahne, Suzanne W., Princeton, NJ, United States
 Sofia, Michael J., Laurenceville, NJ, United States
 Hatzenbuehler, Nicole T., Kendall Park, NJ, United States
 PATENT ASSIGNEE(S): Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)
 Transcell Technologies, Inc., Monmouth Junction, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5627270		19970506
APPLICATION INFO.:	US 1994-264488		19940623 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-230685, filed on 20 Apr 1994 which is a continuation-in-part of Ser. No. US 1992-989667, filed on 14 Dec 1992 which is a continuation-in-part of Ser. No. US 1991-806985, filed on 13 Dec 1991, now patented, Pat. No. US 5338837		

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Knight, John
 ASSISTANT EXAMINER: Lee, Howard C.
 LEGAL REPRESENTATIVE: Lowe, Price, LeBlanc & Becker
 NUMBER OF CLAIMS: 7
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 22 Drawing Figure(s); 22 Drawing Page(s)
 LINE COUNT: 3296

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel glycosylated steroid derivatives for facilitating the transport of compounds across biological membranes, either in admixture or as conjugates, are disclosed. A novel process for efficient synthesis of these glycosylated steroid derivatives, using activated glycosyl sulfonide intermediates is provided. Methods for the permeabilization of membranes and the enhancement of the activity of predetermined compounds are also provided.

IT 174068-84-9P

(prepn. glycosylated steroid oligodeoxyribonucleotides for transport across biol. membranes)

RN 174068-84-9 USPATFULL

CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL

ACCESSION NUMBER: 97:31841 USPATFULL
 TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
 INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
 Bundy, Gordon L., Portage, MI, United States
 Youngdale, Gilbert A., Portage, MI, United States
 Morton, Douglas R., Portage, MI, United States
 Wallach, deceased, Donald P., late of Kalamazoo, MI, United States
 Wallach, legal representative, Vera M., Richland, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5621123		19970415
APPLICATION INFO.:	US 1994-247169		19940520 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-976751, filed on 16 Nov 1992, now patented, Pat. No. US 5334712, issued on 2 Aug 1994 which is a division of Ser. No. US 1991-657721, filed on 20 Feb 1991, now patented, Pat. No. US 5196542, issued on 23 Mar 1993 which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Shah, Mukund J.
 ASSISTANT EXAMINER: Sripada, Pavanaram K.
 LEGAL REPRESENTATIVE: Wootton, Thomas A.
 NUMBER OF CLAIMS: 4
 EXEMPLARY CLAIM: 1
 LINE COUNT: 368

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT 112663-41-9P

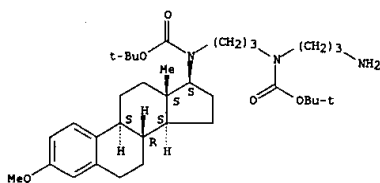
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

RN 112663-41-9 USPATFULL

CN Carbanic acid, (3-aminopropyl)[3-[[[1,1-dimethylethoxy]carbonyl]([17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

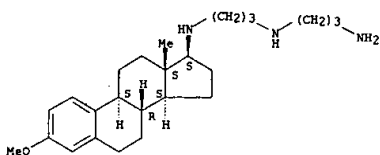
Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL (Continued)



IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-0P 112647-81-1P 112647-83-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
 RN 112647-74-2 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



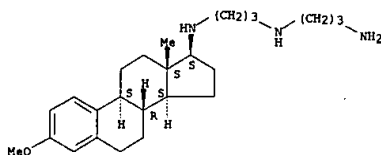
RN 112647-76-4 USPATFULL
 CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
 CMF C25 H41 N3 O
 CDES 4:17B.ESTR

Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL (Continued)



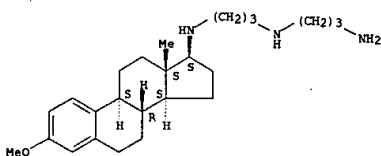
CM 2

CRN 110-15-6
 CMF C4 H6 O4

HO₂C-CH₂-CH₂-CO₂H

RN 112647-77-5 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

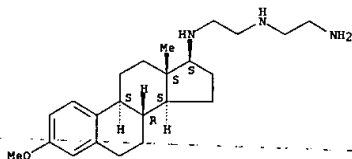


● 3 HCl

RN 112647-80-0 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

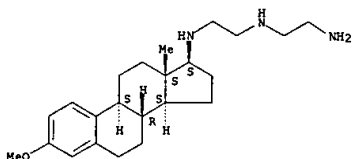
Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

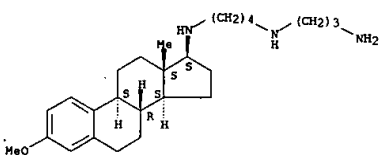
Absolute stereochemistry.



● 3 HCl

RN 112647-83-3 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

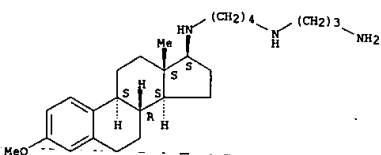
Absolute stereochemistry.



RN 112647-84-4 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L41 ANSWER 27 OF 40 USPATFULL (Continued)

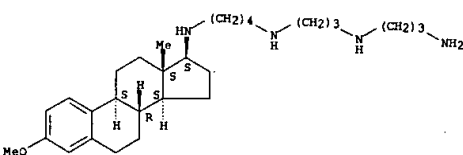
Absolute stereochemistry.



● 3 HCl

RN 112647-85-5 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

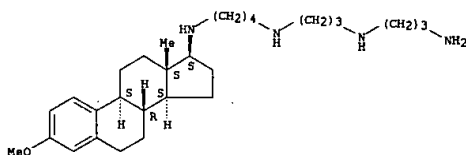
Absolute stereochemistry.



RN 112647-86-6 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 27 OF 40 USPATFULL (Continued)



●4 HCl

L41 ANSWER 28 OF 40 USPATFULL

ACCESSION NUMBER: 97:25017 USPATFULL
 TITLE: Amphipathic nucleic acid transporter
 INVENTOR(S): Chaudhary, Milabb, The Woodlands, TX, United States
 Jayaraman, Krishna, The Woodlands, TX, United States
 Bodepudi, Veerasha, The Woodlands, TX, United States
 Hogan, Michael E., The Woodlands, TX, United States
 PATENT ASSIGNEE(S): Aronex Pharmaceuticals, Inc., The Woodlands, TX, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5614503		19970325
US 1995-467114		19950606 (S)
PATENT INFORMATION: Continuation of Ser. No. US 1994-303554, filed on 8 Sep 1994, now abandoned which is a continuation of Ser. No. US 1993-152544, filed on 12 Nov 1993, now abandoned		
DOCUMENT TYPE: Utility		
FILE SEGMENT: Granted		
PRIMARY EXAMINER: Campbell, Bruce R.		
LEGAL REPRESENTATIVE: McDaniel, C. StevenConley, Rose & Tayon, P.C.		
NUMBER OF CLAIMS: 9		
EXEMPLARY CLAIM: 1		
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT: 328		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A nucleic acid transporter to deliver a nucleic acids into cells, comprising a cationic compound having a cationic head group for binding the nucleic acid and a lipid tail for association with the membrane. A cationic compound usually is a polyamine or a short basic peptide. The lipid tail is usually selected from the group consisting of plant steroid, animal steroid, isoprenoid compound, aliphatic lipid, pore forming protein, pore forming peptides and fusogenic peptides. The cationic head and the lipid tail are linked through a carbamate linkage. When polyamine is used, it is preferably either spermidine or spermine and the nucleic acid can be any of a variety, including triplex forming oligonucleotides, antisense oligonucleotide, aptamers, ribozymes, plasmids and DNA for gene therapy. Also described is a method for treating individuals using the transporter linked to a therapeutic nucleic acid.

IT 165673-46-1 173738-32-4

(amphipathic nucleic acid transporter)

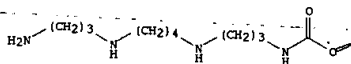
RN 165673-46-1 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [[3-[(4-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

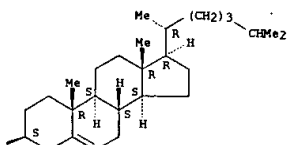
Absolute stereochemistry.

L41 ANSWER 28 OF 40 USPATFULL (Continued)

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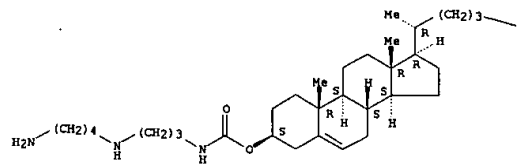
RN 173738-32-4 USPATFULL

CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 28 OF 40 USPATFULL (Continued)

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PAGE 1-B

CHMe2

L41 ANSWER 29 OF 40 USPATFULL
 ACCESSION NUMBER: 97:17918 USPATFULL
 TITLE: Compositions and methods for enhanced drug delivery
 INVENTOR(S): Hale, Ron L., Woodside, CA, United States
 Lu, Amy, Los Altos, CA, United States
 Solas, Dennis, San Francisco, CA, United States
 Selick, Harold E., Belmont, CA, United States
 Oldenburg, Kevin R., Fremont, CA, United States
 Zaffaroni, Alejandro C., Atherton, CA, United States
 PATENT ASSIGNEE(S): Affymax Technologies N.V., Middlesex, England (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5607691		19970304
APPLICATION INFO.:	US 1995-449188		19950524 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-164293, filed on 9 Dec 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-77296, filed on 14 Jun 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-898219, filed on 12 Jun 1992, now abandoned And a continuation-in-part of Ser. No. US 1993-9463, filed on 27 Jan 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Levy, Neil S.		
LEGAL REPRESENTATIVE:	Stevens, Lauren L.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
LINE COUNT:	5349		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods of delivering pharmaceutical agents across membranes, including the skin layer or mucosal membranes of a patient. A pharmaceutical agent is covalently bonded to a chemical modifier, via a physiologically cleavable bond, such that the membrane transport and delivery of the agent is enhanced.

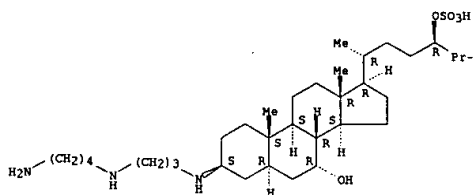
IT 148717-90-2D, Squalamine, drug conjugates (through physiol. cleavable bond, drug enhanced transport across membranes in relation to)

RN 148717-90-2 USPATFULL

CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 29 OF 40 USPATFULL (Continued)



L41 ANSWER 30 OF 40 USPATFULL
 ACCESSION NUMBER: 96:114033 USPATFULL
 TITLE: Antimicrobial sterol conjugates
 INVENTOR(S): Regen, Steven L., Allentown, PA, United States
 PATENT ASSIGNEE(S): Lehigh University, Bethlehem, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5583239		19961210
APPLICATION INFO.:	US 1995-452846		19950530 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Prior, Kimberly J.		
LEGAL REPRESENTATIVE:	Yahwak & Associates		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
LINE COUNT:	478		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention discloses steroid conjugates having the following structure: ##STR1## where Y is NHCH.sub.2 CH.sub.2 CH.sub.2 CH.sub.2 NH.sub.2, NH(CH.sub.2).sub.2).sub.3 NH(CH.sub.2).sub.2).sub.4 NH(CH.sub.2).sub.2).sub.3 NH.sub.2, or NHCH.sub.2 CH.sub.2 NHCH.sub.2 CH.sub.2 NHCH.sub.2 CH.sub.2 NHCH.sub.2, and each of R.sub.1, R.sub.2, R.sub.3 and R.sub.4 is individually H, OH and OSO.sub.3 H. These conjugates possess antimicrobial properties and are, therefore, useful as antibiotics.

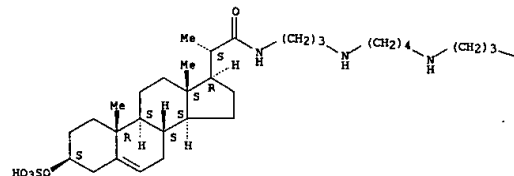
IT 165336-10-7P 174068-84-9P 174068-99-6P
 185307-17-9P 185307-23-7P 185307-24-8P
 185307-25-9P 185307-26-0P 185307-28-2P
 (prepn. of sterol polyamine conjugates with antimicrobial activity)

RN 165336-10-7 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.alpha.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



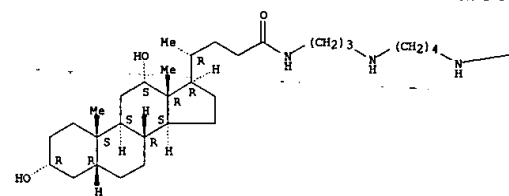
PAGE 1-B

NH2

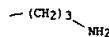
L41 ANSWER 30 OF 40 USPATFULL (Continued)
 RN 174068-84-9 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.alpha.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



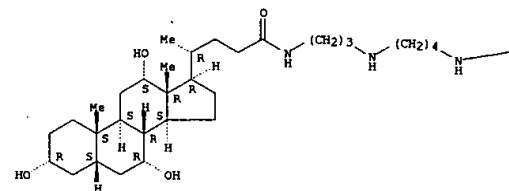
PAGE 1-B



RN 174068-99-6 USPATFULL
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.alpha.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

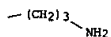
Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 30 OF 40 USPATFULL (Continued)

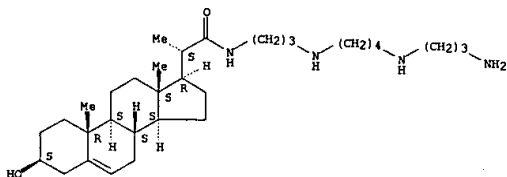
PAGE 1-B



RN 185307-17-9 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

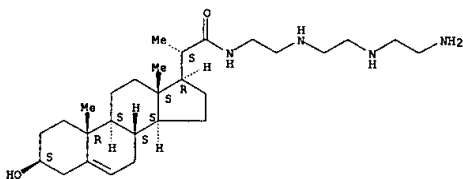
Absolute stereochemistry.



RN 185307-23-7 USPATFULL

CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



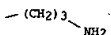
RN 185307-24-8 USPATFULL

CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 30 OF 40 USPATFULL (Continued)

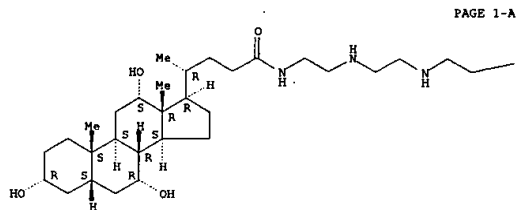
PAGE 1-B



RN 185307-26-0 USPATFULL

CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



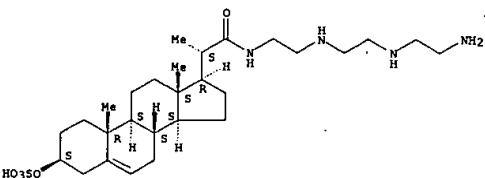
PAGE 1-B



RN 185307-28-2 USPATFULL

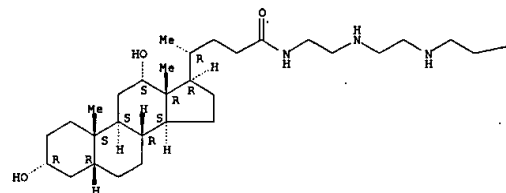
CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-(sulfoxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 30 OF 40 USPATFULL (Continued)

PAGE 1-A



PAGE 1-B

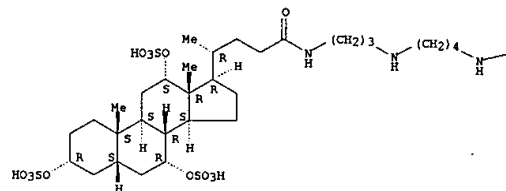


RN 185307-25-9 USPATFULL

CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-tris(sulfoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L41 ANSWER 30 OF 40 USPATFULL (Continued)

L41 ANSWER 31 OF 40 USPATFULL
 94:109016 USPATFULL
 TITLE: Steroid compounds
 INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
 Bundy, Gordon L., Portage, MI, United States
 Youngdale, Gilbert A., Portage, MI, United States
 Morton, Douglas R., Portage, MI, United States
 Wallach, deceased, Donald P., late of Richland, MI,
 United States by Vera M. Wallach, legal representative
 The Upjohn Company, Kalamazoo, MI, United States (U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5373095		19941213
APPLICATION INFO.:	US 1993-126153		19930923 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-972693, filed on 6 Nov 1992, now patented, Pat. No. US 5274089 which is a division of Ser. No. US 1991-793486, filed on 13 Nov 1991, now patented, Pat. No. US 5187299 which is a continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 9 Oct 1985, now abandoned		

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Richter, Johann
 ASSISTANT EXAMINER: Cook, Rebecca
 LEGAL REPRESENTATIVE: Wootton, Thomas A.
 NUMBER OF CLAIMS: 2
 EXEMPLARY CLAIM: 1

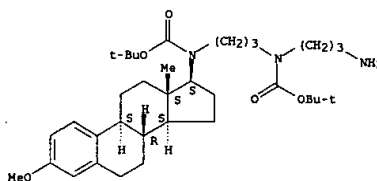
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT 112663-41-99 (prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
 RN 112663-41-9 USPATFULL
 CN Carbanic acid, (3-aminopropyl) [3-[[[1,1-dimethylethoxy]carbonyl] [(17.beta.) 1,3,5(10)-trien-17-yl] amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

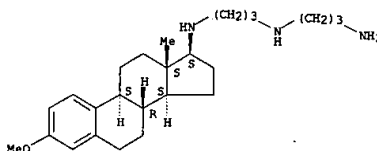
Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)



IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-0P 112647-81-1P 112647-83-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
 RN 112647-74-2 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



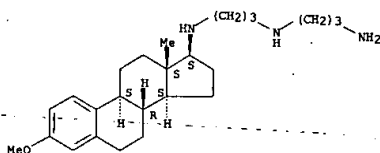
RN 112647-76-4 USPATFULL
 CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CH 1

CRN 112647-74-2
 CMF C25 H41 N3 O
 CDES 4:17B.ESTR

Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)



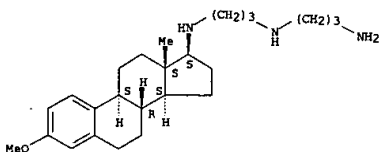
CH 2

CRN 110-15-6
 CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

RN 112647-77-5 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

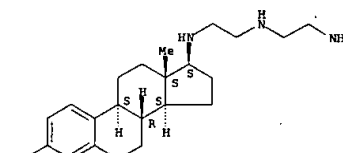


● 3 HCl

RN 112647-80-0 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

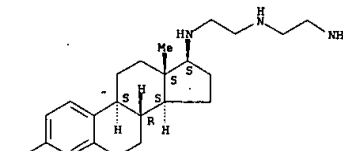
Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

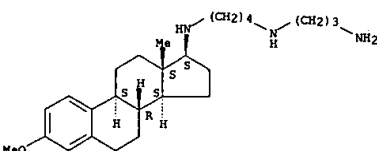
Absolute stereochemistry.



● 3 HCl

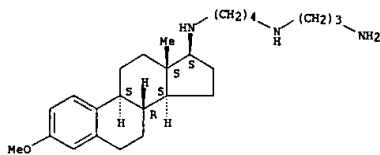
RN 112647-83-3 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

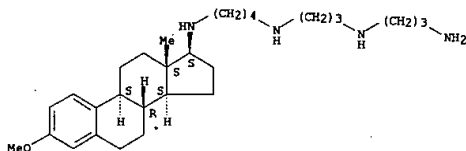
L41 ANSWER 31 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



● 3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 32 OF 40 USPATFULL

ACCESSION NUMBER: 94:66602 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Richland, MI, United States by Vera M. Wallach, Legal Representative
The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

PATENT ASSIGNEE(S):

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5334712		19940802
APPLICATION INFO.:	US 1992-976751		19921116 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1991-657721, filed on 20 Feb 1991, now patented, Pat. No. US 5196524, issued on 23 Mar 1993 which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shahi, Mukund J.
ASSISTANT EXAMINER: Sripada, P. K.
LEGAL REPRESENTATIVE: Wootton, Thomas A.
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 4587

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

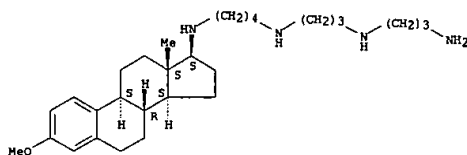
IT 112663-41-9P (prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

RN 112663-41-9 USPATFULL

CN Carbamic acid, (3-aminopropyl)[3-[(1,1-dimethylethoxy)carbonyl]-(17.beta.)-1,3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

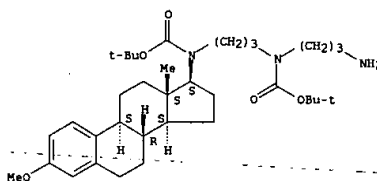
Absolute stereochemistry.

L41 ANSWER 31 OF 40 USPATFULL (Continued)



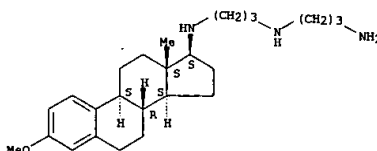
● 4 HCl

L41 ANSWER 32 OF 40 USPATFULL (Continued)



IT 112647-74-2P 112647-76-4P 112647-77-5P
112647-80-0P 112647-81-1P 112647-82-3P
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-76-4 USPATFULL

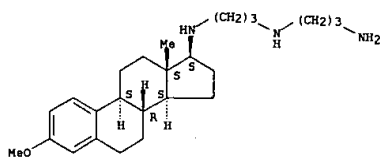
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
CMF C25 H41 N3 O
CDES 4:17B. ESTR

Absolute stereochemistry.

L41 ANSWER 32 OF 40 USPATFULL (Continued)



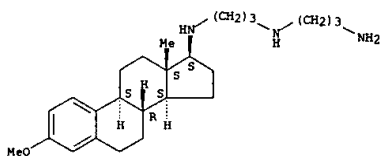
CM 2

CRN 110-15-6
CHF C4 H6 O4HO₂C-CH₂-CH₂-CO₂H

RN 112647-77-5 USPATFULL

CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

RN 112647-80-0 USPATFULL

CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

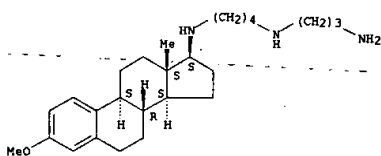
Absolute stereochemistry.

L41 ANSWER 32 OF 40 USPATFULL (Continued)

RN 112647-84-4 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

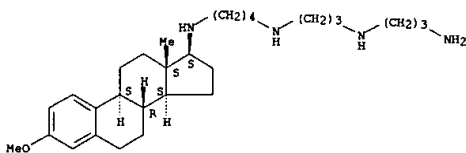


●3 HCl

RN 112647-85-5 USPATFULL

CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

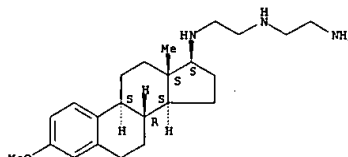


RN 112647-86-6 USPATFULL

CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

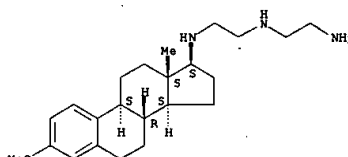
L41 ANSWER 32 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL

CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

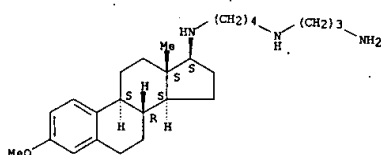


●3 HCl

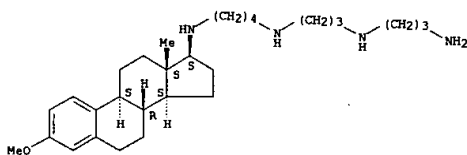
RN 112647-83-3 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 32 OF 40 USPATFULL (Continued)



●4 HCl

L41 ANSWER 33 OF 40 USPATFULL

ACCESSION NUMBER: 93:109187 USPATFULL
 TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
 INVENTOR(S): Bundy, Gordon L., Kalamazoo, MI, United States
 Wallach, deceased, Donald P., late of Richland, MI,
 United States by Vera M. Wallach, legal representative
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S.
 corporation)

NUMBER	KIND	DATE
US 5274089		19931228
US 1992-972693		19921106 (7)

PATENT INFORMATION: Division of Ser. No. US 1991-793486, filed on 13 Nov 1991, now patented, Pat. No. US 5187299 which is a continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation of Ser. No. US 1986-102116, filed on 7 Oct 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Cincina, Marianne M.
 ASSISTANT EXAMINER: Kestler, Kimberly J.
 LEGAL REPRESENTATIVE: Wootton, Thomas A.
 NUMBER OF CLAIMS: 3
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4555

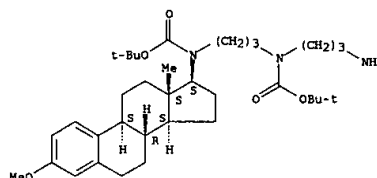
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT 112663-41-9P (prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
 RN 112663-41-9 USPATFULL
 CN Carbamic acid, (3-aminopropyl) [3-[[[1,1-dimethylethoxy]carbonyl] [(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

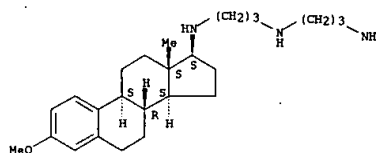
Absolute stereochemistry.

L41 ANSWER 33 OF 40 USPATFULL (Continued)



IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-0P 112647-81-1P 112647-83-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
 RN 112647-74-2 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



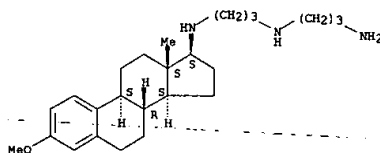
RN 112647-76-4 USPATFULL
 CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CH 1

CRN 112647-74-2
 CMF C25 H41 N3 O
 CDES 4:17B. ESTR

Absolute stereochemistry.

L41 ANSWER 33 OF 40 USPATFULL (Continued)



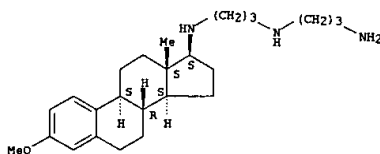
CH 2

CRN 110-15-6
 CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

RN 112647-77-5 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

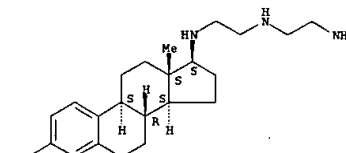


● 3 HCl

RN 112647-80-0 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

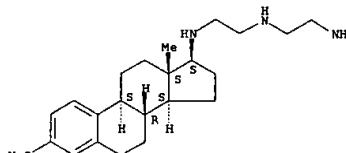
Absolute stereochemistry.

L41 ANSWER 33 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

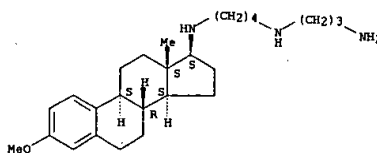
Absolute stereochemistry.



● 3 HCl

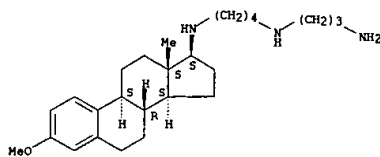
RN 112647-83-3 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



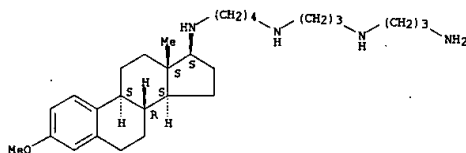
RN 112647-84-4 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L41 ANSWER 33 OF 40 USPATFULL (Continued)
Absolute stereochemistry.



● 3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L41 ANSWER 34 OF 40 USPATFULL
ACCESSION NUMBER: 93122826 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Richland, MI, United States by Vera M. Wallach, legal representative
The Upjohn Company, Kalamazoo, MI, United States (U.S. Corporation)
PATENT ASSIGNEE(S):

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5196542		19930323
APPLICATION INFO.:	US 1991-657721		19910220 (7)
RELATED APPL. INFO.:	Division of Ser. No. US 1989-394396, filed on 15 Aug 1989 which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bond, Robert T.
LEGAL REPRESENTATIVE: Wright, Debbie K., Wootton, Thomas A.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 4544

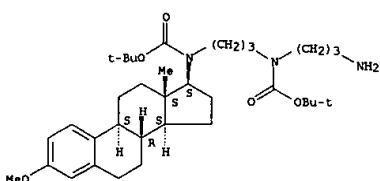
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.

IT 112663-41-9P
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)

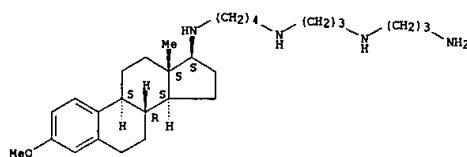
RN 112663-41-9 USPATFULL
CN Carbamic acid, (3-aminopropyl) [3-[(1,1-dimethylethoxy)carbonyl]-(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 112647-74-2P 112647-76-4P 112647-77-5P
112647-80-0P 112647-81-1P 112647-83-3P

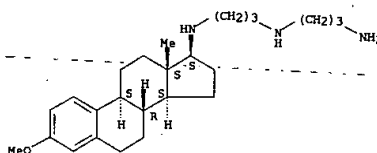
L41 ANSWER 33 OF 40 USPATFULL (Continued)



● 4 HCl

L41 ANSWER 34 OF 40 USPATFULL (Continued)
112647-84-4P 112647-85-5P 112647-86-6P
(prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
RN 112647-74-2 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

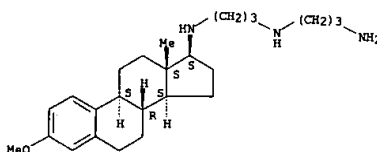


RN 112647-76-4 USPATFULL
CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CH 1

CRN 112647-74-2
CHF C25 H41 N3 O
CDES 4:17B. ESTR

Absolute stereochemistry.



CH 2

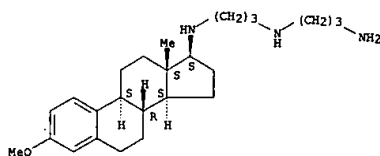
CRN 110-15-6
CHF C4 H6 O4

HO2C-CH2-CH2-CO2H

RN 112647-77-5 USPATFULL
CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

L41 ANSWER 34 OF 40 USPATFULL (Continued)

Absolute stereochemistry.

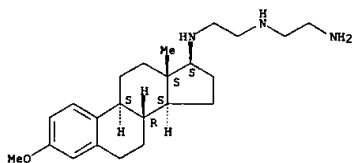


●3 HCl

RN 112647-80-0 USPATFULL

CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

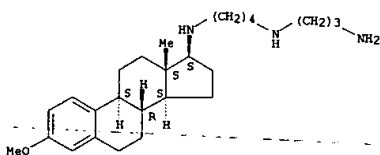


RN 112647-81-1 USPATFULL

CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 34 OF 40 USPATFULL (Continued)

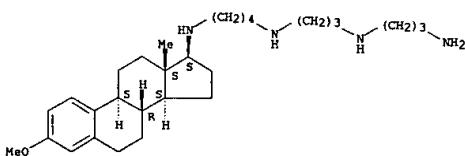


●3 HCl

RN 112647-85-5 USPATFULL

CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

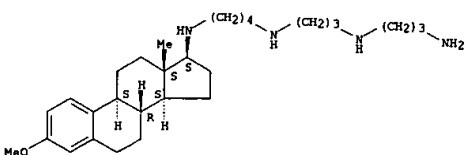
Absolute stereochemistry.



RN 112647-86-6 USPATFULL

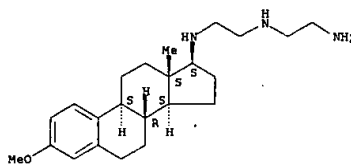
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

L41 ANSWER 34 OF 40 USPATFULL (Continued)

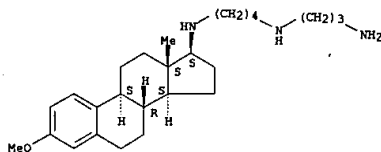


●3 HCl

RN 112647-83-3 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL

CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 34 OF 40 USPATFULL (Continued)

L41 ANSWER 35 OF 40 USPATFULL
 ACCESSION NUMBER: 93:18662 USPATFULL
 TITLE: Aminosterol antibiotic
 INVENTOR(S): Zaslloff, Michael, Merion, PA, United States
 Moore, Karen, Lansdowne, PA, United States
 Wehrli, Suzanne, Bala Cynwyd, PA, United States
 PATENT ASSIGNEE(S): The Children's Hospital of Pennsylvania, Philadelphia, PA, United States (U.S. corporation)

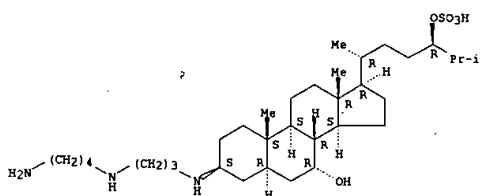
NUMBER	KIND	DATE
US 5192756		19930309
US 1992-053634		19920318 (7)

PATENT INFORMATION:
 APPLICATION INFO.:
 DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Mars, Howard T.
 ASSISTANT EXAMINER: Kestler, Kimberly J.
 LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz Mackiewicz & Norris
 NUMBER OF CLAIMS: 4
 EXEMPLARY CLAIM: 1, 4
 NUMBER OF DRAWINGS: 9 Drawing Figure(s); 5 Drawing Page(s)
 LINE COUNT: 461

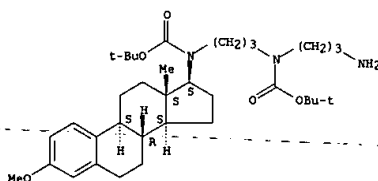
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB An aminosterol antibiotic, (3.beta.(N-[3-aminopropyl]-1,4-butanediamine)-7.alpha.,24.zeta.-dihydroxy-5.alpha.-cholestane 24-sulfate), which can be isolated from the stomach of the common dogfish shark, *Squalus acanthias*, is disclosed.

IT 148717-90-2, Squalamine
 (isolation of, from shark stomach)
 RN 148717-90-2 USPATFULL
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

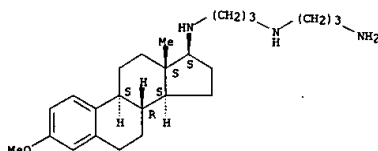


L41 ANSWER 36 OF 40 USPATFULL (Continued)



IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-0P 112647-81-1P 112647-83-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
 RN 112647-74-2 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-76-4 USPATFULL
 CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CN 1

CRN 112647-74-2
 CMF C25 H41 N3 O
 CDES 4:17B. ESTRA

Absolute stereochemistry.

L41 ANSWER 36 OF 40 USPATFULL
 ACCESSION NUMBER: 93:12656 USPATFULL
 TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
 INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
 Bundy, Gordon L., Portage, MI, United States
 Youngdale, Gilbert A., Portage, MI, United States
 Morton, Douglas R., Portage, MI, United States
 Wallach, deceased, Donald P., late of Portage, MI, United States
 Wallach, Legal Representative, by Vera M., Richland, MI, United States
 PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
US 5187299		19930216
US 1991-793486		19911113 (7)

PATENT INFORMATION:
 APPLICATION INFO.:
 RELATED APPLN. INFO.: Continuation of Ser. No. US 1991-657729, filed on 20 Feb 1991, now abandoned which is a division of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Cintihs, Marianne M.
 ASSISTANT EXAMINER: Kestler, Kimberly J.
 LEGAL REPRESENTATIVE: Koivuniemi, Paul J., Wright, Debbie K., Wootton, Thomas A.

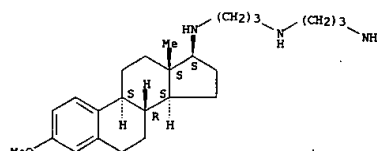
NUMBER OF CLAIMS: 5
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4473

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain.

IT 112663-41-9P
 (prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
 RN 112663-41-9 USPATFULL
 CN Carbamic acid, (3-aminopropyl)[3-[[[(1,1-dimethylethoxy)carbonyl]-(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 36 OF 40 USPATFULL (Continued)



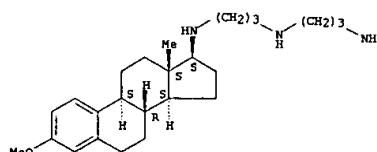
CN 2

CRN 110-15-6
 CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

RN 112647-77-5 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

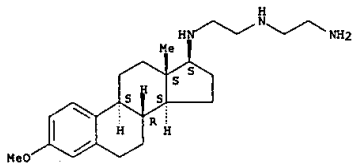


● 3 HCl

RN 112647-80-0 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

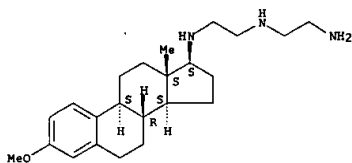
Absolute stereochemistry.

L41 ANSWER 36 OF 40 USPATFULL (Continued)



RN 112647-81-1 USPATFULL
CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

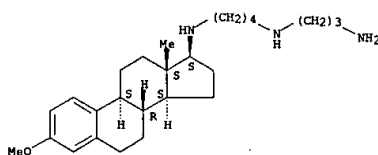
Absolute stereochemistry.



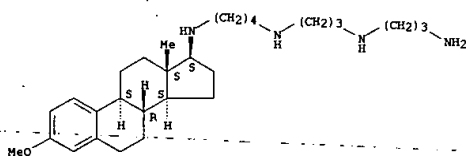
● 3 HCl

RN 112647-83-3 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 36 OF 40 USPATFULL (Continued)

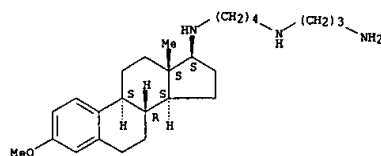


● 4 HCl

L41 ANSWER 36 OF 40 USPATFULL (Continued)

RN 112647-84-4 USPATFULL
CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

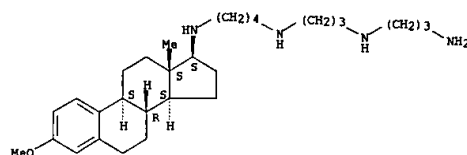
Absolute stereochemistry.



● 3 HCl

RN 112647-85-5 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 USPATFULL
CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

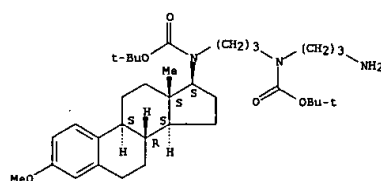
Absolute stereochemistry.

L41 ANSWER 37 OF 40 USPATFULL

ACCESSION NUMBER: 92:74640 USPATFULL
TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
Bundy, Gordon L., Portage, MI, United States
Youngdale, Gilbert A., Portage, MI, United States
Morton, Douglas R., Portage, MI, United States
Wallach, deceased, Donald P., late of Kalamazoo, MI, United States
Wallach, legal representative, by Vera H., Richland, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

NUMBER	KIND	DATE
PATENT INFORMATION:		
US 5145874		19920908
APPLICATION INFO:		
US 1991-663037		19910225 (7)
RELATED APPL. INFO:		
Continuation of Ser. No. US 1989-394396, filed on 15 Aug 1989, now abandoned which is a division of Ser. No. US 1987-117851, filed on 16 Jun 1987, now patented, Pat. No. US 4917826 which is a continuation-in-part of Ser. No. US 1986-843120, filed on 24 Mar 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-788995, filed on 18 Oct 1985, now abandoned		
DOCUMENT TYPE:		
Utility		
FILE SEGMENT:		
Granted		
PRIMARY EXAMINER:		
Richter, Johann		
LEGAL REPRESENTATIVE:		
Wootton, Thomas A., Wright, Debbie K., Koivunemi, Paul J.		
NUMBER OF CLAIMS:		
8		
EXEMPLARY CLAIM:		
1		
LINE COUNT:		
4780		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.		
IT 112663-41-9P		
(prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)		
RN 112663-41-9 USPATFULL		
CN Carbamic acid, (3-aminopropyl)[3-[(1,1-dimethylethoxy)carbonyl]-(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)		

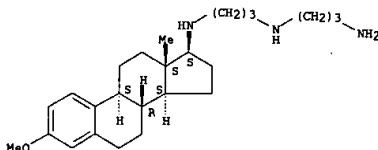
Absolute stereochemistry.



L41 ANSWER 37 OF 40 USPATFULL (Continued)

IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-0P 112647-81-1P 112647-83-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)
 RN 112647-74-2 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

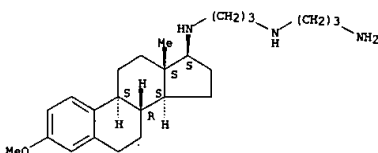


RN 112647-76-4 USPATFULL
 CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 112647-74-2
 CMF C25 H41 N3 O
 CDES 4:17B.ESTR

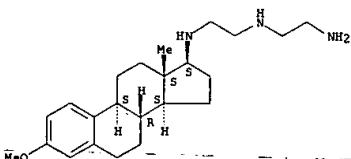
Absolute stereochemistry.



CM 2

CRN 110-15-6
 CMF C4 H6 O4

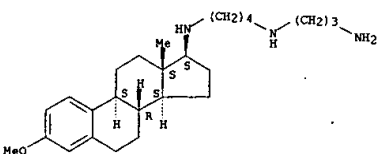
L41 ANSWER 37 OF 40 USPATFULL (Continued)



● 3 HCl

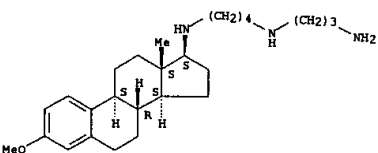
RN 112647-83-3 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-84-4 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



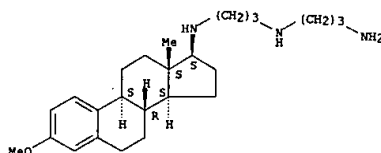
● 3 HCl

L41 ANSWER 37 OF 40 USPATFULL (Continued)

HO₂C-CH₂-CH₂-CO₂H

RN 112647-77-5 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

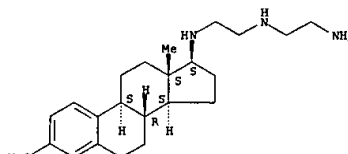
Absolute stereochemistry.



● 3 HCl

RN 112647-80-0 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



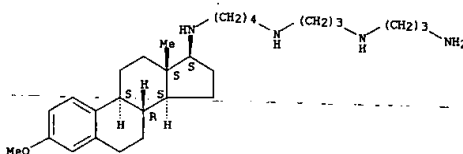
RN 112647-81-1 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 37 OF 40 USPATFULL (Continued)

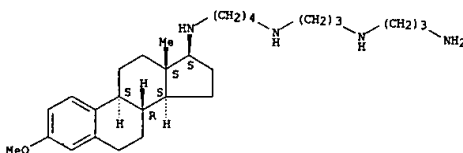
RN 112647-85-5 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



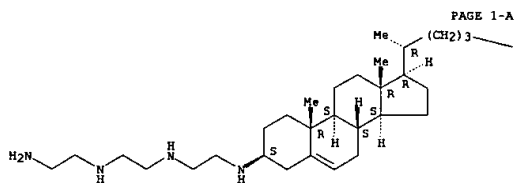
● 4 HCl

L41 ANSWER 38 OF 40 USPATFULL
 ACCESSION NUMBER: 90:89127 USPATFULL
 TITLE: Lamellar vesicles formed of cholesterol derivatives
 INVENTOR(S): Li, Ming P., Pasadena, CA, United States
 Baldeschwieler, John D., Pasadena, CA, United States
 PATENT ASSIGNEE(S): California Institute of Technology, Pasadena, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4971803		19901120
APPLICATION INFO.:	US 1988-259453		19881017 (7)
RELATED APPLM. INFO.:	Continuation of Ser. No. US 1985-720957, filed on 8 Apr 1985, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Robinson, Douglas W.		
ASSISTANT EXAMINER:	Weddington, Kevin		
LEGAL REPRESENTATIVE:	Jacobs, Marvin E.		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	5 Drawing Figure(s); 2 Drawing Page(s)		
LINE COUNT:	947		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Closed, unilamellar vesicles are spontaneously formed by adding a cholesteryl compound substituted with a hydroxyl terminated polyethylene oxide containing 1 to 4 ethylene oxide groups to a polar liquid. Multilamellar vesicles are formed by sonicating a cholesteryl compound containing polyethylene oxide or polyamine side-chains. The vesicles can be utilized to dispense polar, non-polar or amphiphilic compounds.
 IT 96860-17-2 118573-50-5 (liposomes, for drug encapsulation)
 RN 96860-17-2 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[2-[(3.beta.)-cholest-5-en-3-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



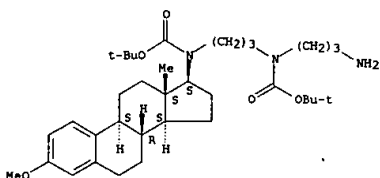
L41 ANSWER 39 OF 40 USPATFULL
 ACCESSION NUMBER: 90:29778 USPATFULL
 TITLE: Cyclic hydrocarbons with an aminoalkyl sidechain
 INVENTOR(S): Johnson, Roy A., Kalamazoo, MI, United States
 Bundy, Gordon L., Portage, MI, United States
 Youngdale, Gilbert A., Portage, MI, United States
 Morton, Douglas R., Portage, MI, United States
 Wallach, deceased, Donald P., late of Kalamazoo, MI, United States by Vera M. Wallach, legal representative
 The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4917826		19900417
APPLICATION INFO.:	WO 8702367		19870423
	US 1987-117851		19870616 (7)
	WO 1986-US2116		19861007
			19870616 PCT 371 date
			19870616 PCT 102(e) date

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Lee, Mary C.
 ASSISTANT EXAMINER: Richter, J.
 LEGAL REPRESENTATIVE: Koivuniemi, Paul J.
 NUMBER OF CLAIMS: 3
 EXEMPLARY CLAIM: 1
 LINE COUNT: 4514

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Provided are cyclic hydrocarbons of Formula I ##STR1## with an aminoalkyl sidechain that are useful for treating phospholipase A2 mediated conditions, diabetes, and obesity.
 IT 112663-41-9P (prepn. and reaction of, in synthesis of phospholipase A2-inhibiting amino steroids and analogs)
 RN 112663-41-9 USPATFULL
 CN Carbamic acid, (3-aminopropyl)[3-[[[(1,1-dimethylethoxy)carbonyl][(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 112647-74-2P 112647-76-4P 112647-77-5P
 112647-80-9P 112647-81-1P 112647-83-3P
 112647-84-4P 112647-85-5P 112647-86-6P
 (prepn. of, as phospholipase A2 inhibitor and/or antidiabetic agent)

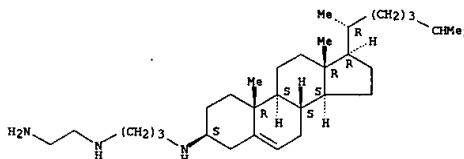
L41 ANSWER 38 OF 40 USPATFULL (Continued)

PAGE 1-B

CHMe2

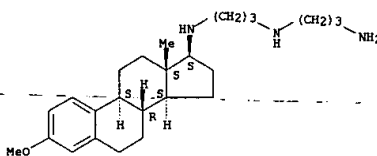
RN 118573-50-5 USPATFULL
 CN 1,3-Propanediamine, N-(2-aminoethyl)-N'-[(3.beta.)-cholest-5-en-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L41 ANSWER 39 OF 40 USPATFULL (Continued)
 RN 112647-74-2 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

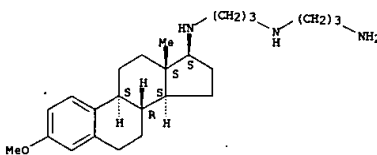
Absolute stereochemistry.



RN 112647-76-4 USPATFULL
 CN Butanedioic acid, compd. with N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-1,3-propanediamine (3:1) (9CI) (CA INDEX NAME)

CH 1
 CRN 112647-74-2
 CMF C25 H41 N3 O
 CDES 4:17B.ESTR

Absolute stereochemistry.



CH 2

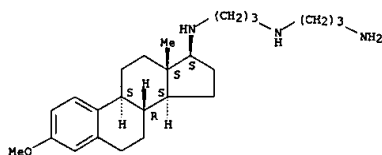
CRN 110-15-6
 CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

RN 112647-77-5 USPATFULL
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

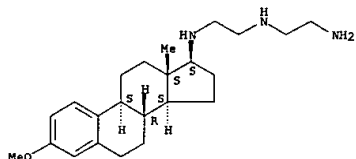
L41 ANSWER 39 OF 40 USPATFULL (Continued)



● 3 HCl

RN 112647-80-0 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

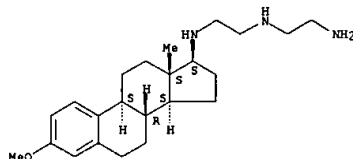
Absolute stereochemistry.



RN 112647-81-1 USPATFULL
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

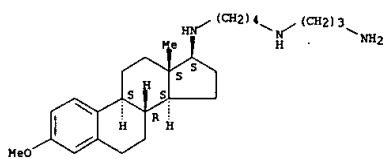
L41 ANSWER 39 OF 40 USPATFULL (Continued)



● 3 HCl

RN 112647-83-3 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

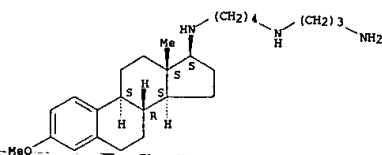
Absolute stereochemistry.



RN 112647-84-4 USPATFULL
 CN 1,4-Butanediamine, N-(3-aminopropyl)-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

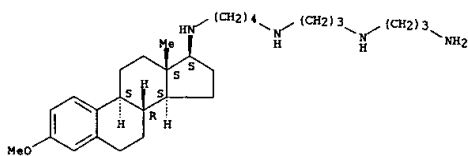
L41 ANSWER 39 OF 40 USPATFULL (Continued)



● 3 HCl

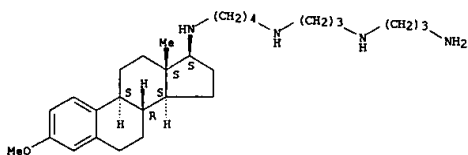
RN 112647-85-5 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112647-86-6 USPATFULL
 CN 1,4-Butanediamine, N-[3-[(3-aminopropyl)amino]propyl]-N'-[(17.beta.)-3-methoxyestra-1,3,5(10)-trien-17-yl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 4 HCl

L41 ANSWER 40 OF 40 USPATFULL
 ACCESSION NUMBER: 86:23267 USPATFULL
 TITLE: Process for preparing Estracyt compounds having a carcinostatic bound thereto
 INVENTOR(S): Yoshida, Masaru, Gunma, Japan
 Asano, Masaharu, Gunma, Japan
 Kaetsu, Isao, Gunma, Japan
 Yamanaka, Hidetoshi, Gunma, Japan
 Nakai, Katsuyuki, Gunma, Japan
 Yuasa, Hisako, Gunma, Japan
 Shida, Keizo, Gunma, Japan
 PATENT ASSIGNEE(S): Japan Atomic Energy Research Institute, Tokyo, Japan
 (non-U.S. government)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4584136		19860422
APPLICATION INFO.:	US 1985-707219		19850301 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1984-127117	19840620
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Roberts, Elbert L.	
LEGAL REPRESENTATIVE:	Browdy & Neimark	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	180	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An Estracyt compound having a carcinostatic bound thereto is obtained by reacting an Estracyt compound with a carcinostatic having one or more radicals selected from among COOH, Cl, NH.sub.2 and OH, either directly or after reaction with an amine to replace one or both Cl groups in the nitrogen mustard portion in the Estracyt compound with a NH.sub.2 group, in the presence or absence of a catalyst. The resulting compound is more effective in cancer control than the Estracyt compound associated substance.

IT 104448-80-8P

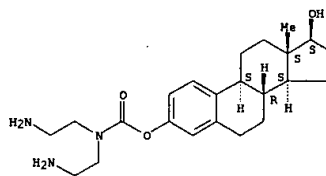
(prepn. and reaction of, with anticancer agent)

RN 104448-80-8 USPATFULL

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-[bis(2-aminoethyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

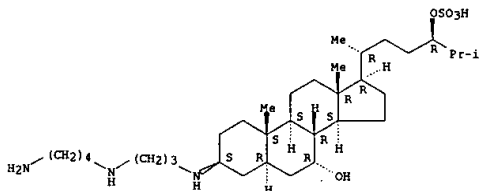
L41 ANSWER 40 OF 40 USPATFULL (Continued)



=> d ibib ab hitstr 1-28

L43 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:695252 CAPLUS
 DOCUMENT NUMBER: 134:193618
 TITLE: The synthesis, characterization and biological testing of new squalamine analogs
 AUTHOR(S): Shawakfeh, Khaled Q.
 CORPORATE SOURCE: Temple University, USA
 SOURCE: (1998) 205 pp. Avail.: UMI, Order No. DA9955883
 From: Diss. Abstr. Int., B 2000, 61(1), 274
 DOCUMENT TYPE: Dissertation
 LANGUAGE: English
 AB Unavailable
 IT 148717-90-2DP, Squalamine, analogs
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis, characterization and biol. testing of new squalamine analogs)
 RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

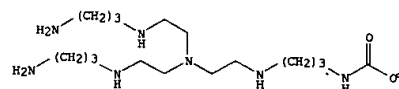
Absolute stereochemistry.



L43 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:379312 CAPLUS
 DOCUMENT NUMBER: 131:189589
 TITLE: Cholesteryl derivatives of oligoethylenimine as mediators of eucaryotic cells transfection in gene therapy
 AUTHOR(S): Zhdanov, R. I.; Kutsenko, N. G.; Podobed, O. V.; Buneva, O. A.; Tsvetkova, T. A.; Konevets, D. N.; Vlasov, V. V.
 CORPORATE SOURCE: Inst. Biomed. Khim., Ross. Akad. Nauk, Moscow, Russia
 SOURCE: Doklady Akademii Nauk (1998), 361(5), 695-699
 CODEN: DAKNEG; ISSN: 0869-5652
 PUBLISHER: MAIK Nauka
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB The authors studied the possibility of transfection HeLa cells and neuroblastoma cells by plasmid pCSEAP complexed with various cholesteryl derivatives of oligoethylenimine in gene therapy. The results showed that plasmid pCSEAP complexed with various cholesteryl derivatives of oligoethylenimine is the effective way of gene delivery.
 IT 239810-50-5P 239810-51-5P
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (cholesteryl derivatives of oligoethylenimine as mediators of eucaryotic cells transfection in gene therapy)
 RN 239810-50-5 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, 15-amino-9-[2-[(3-aminopropyl)amino]ethyl]-, 2,6,9,12-tetraazapentadecanoate (9CI) (CA INDEX NAME)

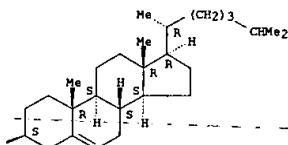
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

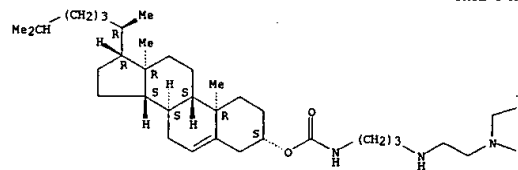
PAGE 1-B



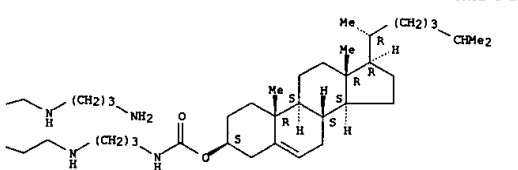
RN 239810-51-6 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, 9-[2-[(3-aminopropyl)amino]ethyl]-, 2,6,9,12,16-pentazapentadecanoate (2:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



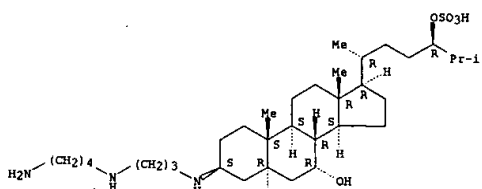
PAGE 1-B



L43 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:329896 CAPLUS
 DOCUMENT NUMBER: 131:110951
 TITLE: Differential inhibition of AE1 and AE2 anion exchangers by oxonol dyes and by novel polyaminosterol analogs of the shark antibiotic squalamine
 AUTHOR(S): Alper, Seth L.; Chernova, Marina N.; Williams, Jon; Zasloff, Michael; Law, Foon-Yee; Knauf, Philip A.
 CORPORATE SOURCE: Molecular Medicine and Renal Units, Beth Israel Deaconess Medical Center, and Departments of Medicine and Cell Biology, Harvard Medical School, Boston, MA, 02215, USA
 SOURCE: Biochemistry and Cell Biology (1998), 76(5), 799-806
 CODEN: BCBIEQ; ISSN: 0829-8211
 PUBLISHER: National Research Council of Canada
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Oxonol and polyaminosterol drugs were examd. as inhibitors of recombinant mouse AE1 and AE2 anion exchangers expressed in Xenopus laevis oocytes and were compared as inhibitors of AE1-mediated anion flux in red cells and in HL-60 cells that express AE2. The oxonols WV-781, diBA(5)C4, and diBA(3)C4 inhibited HL-60 cell Cl-/Cl- exchange with IC50 values from 1 to 7 .mu.M, 100-1000 times less potent than their IC50 values for red cell Cl-/anion exchange. In Xenopus oocytes, diBA(5)C4 inhibited AE1-mediated Cl- efflux several hundred times more potently than that mediated by AE2. Several novel squalamine-related polyaminosterols were also evaluated as anion exchange inhibitors. In contrast to diBA(5)C4, polyaminosterol 1361 inhibited oocyte-expressed AE2 8-fold more potently than AE1 (IC50 0.6 vs. 5.2 .mu.M). The 3-fold less potent desulfo-analog, 1360, showed similar preference for AE2. It was found that 1361 also partially inhibited Cl- efflux from red cells, whereas neither polyaminosterol inhibited Cl- efflux from HL60 cells. Thus, the oxonol diBA(5)C4 is >100-fold more potent as an inhibitor of AE1 than of AE2, whereas the polyaminosterols 1360 and 1361 are 8-fold more potent as inhibitors of AE2 than of AE1. Assay conditions and cell type influenced IC50 values for both classes of compds.
 IT 148717-90-2, Squalamine 186139-08-2 186139-11-7
 232613-79-5
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (differential inhibition of AE1 and AE2 anion exchangers by oxonol dyes and by novel polyaminosterol analogs of shark antibiotic squalamine)
 RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

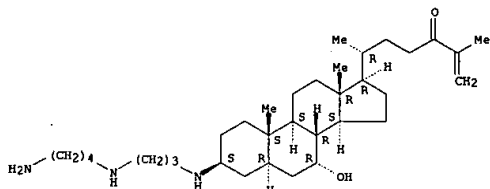
Absolute stereochemistry.

L43 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 186139-08-2 CAPLUS
 CN Cholest-25-en-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

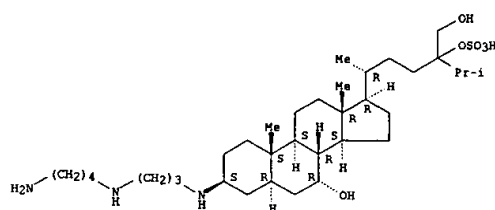
Absolute stereochemistry.



RN 186139-11-7 CAPLUS
 CN Ergostane-7,24,28-triol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24.xi.)- (9CI) (CA INDEX NAME)

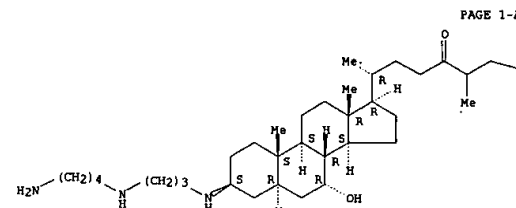
Absolute stereochemistry.

L43 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 232613-79-5 CAPLUS
 CN Cholest-24-one, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-7-hydroxy-26-(sulfoxy)-, (3.beta.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

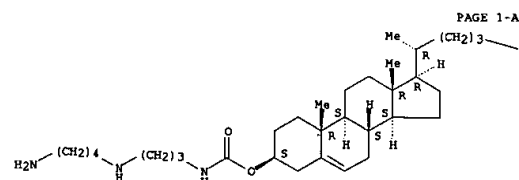
OSO₃H

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:9451 CAPLUS
 DOCUMENT NUMBER: 130:242218
 TITLE: Cationic lipid formulations for intracellular gene delivery of cystic fibrosis transmembrane conductance regulator to airway epithelia
 AUTHOR(S): Cheng, Seng Hing; Marshall, John; Scheule, Ronald K.; Smith, Alan E.
 CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701, USA
 SOURCE: Methods in Enzymology (1998), 292 (ABC Transporters: Biochemical, Cellular, and Molecular Aspects), 697-717
 CODEN: MENZAU; ISSN: 0076-6879
 PUBLISHER: Academic Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Procedures and example expts. are described for optimization of cationic lipid:pDNA formulations for in vitro transfection with cystic fibrosis transmembrane conductance regulator, identifying factors affecting efficiency of gene transfer in vitro, optimization of cationic lipid formulations for in vivo gene delivery, and identifying factors affecting efficacy of gene transfer in vivo. Four different cationic lipids are studied. (c) 1998 Academic Press.
 IT 173738-32-4 179075-25-3
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (cationic lipid formulations for intracellular gene delivery of cystic fibrosis transmembrane conductance regulator to airway epithelia)
 RN 173738-32-4 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(4-aminobutyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



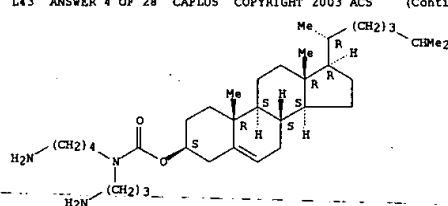
PAGE 1-B

CHMe₂

RN 179075-25-3 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (4-aminobutyl) (3-aminopropyl) carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 130:66662 CAPLUS
 DOCUMENT NUMBER:
 TITLE: Synthesis of Squalamine Utilizing a Readily Accessible Spermidine Equivalent
 AUTHOR(S): Zhang, Xuehai; Rao, Meenakshi N.; Jones, Stephen R.; Shao, Bin; Feibush, Penina; McGuigan, Melissa; Tzodikov, Nathan; Feibush, Binyamin; Sharkansky, Ilya; Snyder, Brad; Mallis, Larry M.; Sarkisian, Ani; Wilder, Susan; Turse, Joshua E.; Kinney, William A.; Kjørgaard, Hans Jørgen; Michalak, Ronald S.
 CORPORATE SOURCE: Magainin Pharmaceuticals Inc., Plymouth Meeting, PA, 19462, USA
 SOURCE: Journal of Organic Chemistry (1998), 63(23), 8599-8603
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 130:66662

AB Squalamine was efficiently prep'd. in five steps (37% overall yield) from steroid (I) utilizing the latent spermidine reagent NC(CH₂)₃NH(CH₂)₃NH₂ which is easily prep'd., is stable to reductive amination conditions and is easily converted to spermidine under weakly acidic conditions.

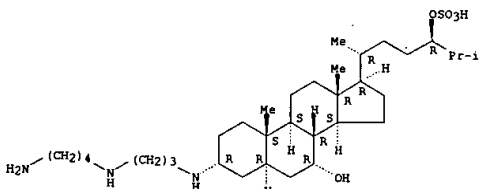
IT 217809-92-2P 217809-93-3P
 RL: BYP (Byproduct); SPN (Synthetic preparation); PREP (Preparation) (synthesis of squalamine utilizing a readily accessible spermidine equiv.)

RN 217809-92-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.alpha.,5.alpha.,7.alpha.,24R)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 171252-30-5
 CMF C34 H65 N3 O5 S

Absolute stereochemistry.



CM 2

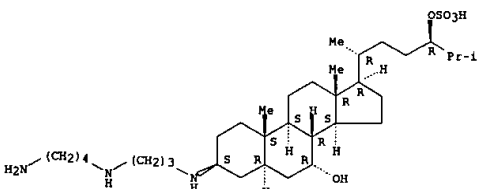
L43 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (synthesis of squalamine utilizing a readily accessible spermidine equiv.)

RN 217809-85-3 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 148717-90-2
 CMF C34 H65 N3 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 76-05-1
 CMF C2 H F3 O2

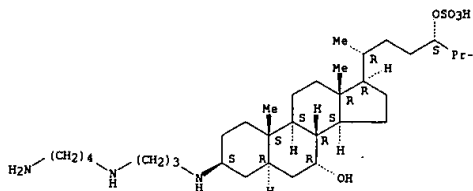


RN 217809-93-3 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24S)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 167076-10-0
 CMF C34 H65 N3 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



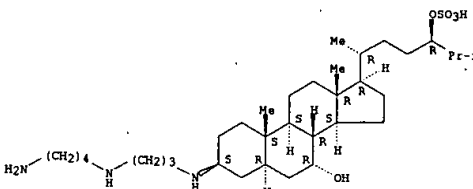
IT 217809-85-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)

L43 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:613444 CAPLUS
 DOCUMENT NUMBER: 129:265466
 TITLE: Spray formulations of antihyperalgesic opiates and method of treating topical hyperalgesic conditions therewith
 INVENTOR(S): Maycock, Alan L.; Chang, An-chih; Farrar, John J.; Balogh, Imre
 PATENT ASSIGNEE(S): Adolor Corp., USA
 SOURCE: U.S., 8 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5811078	A	19980922	US 1997-818559	19970314
US 5798093	A	19980825	US 1997-892389	19970714
PRIORITY APPL. INFO.			US 1997-818559	A2 19970314

OTHER SOURCE(S): MARPAT 129:265466
 AB Spray formulations of anti-hyperalgesic opiates comprise an anti-hyperalgesic opiate having a peripheral selectivity of 251 to 1,280 in an aq. alc. mixt. contg. up to 15% ethanol, propanol, and/or isopropanol. Thus, 100 g of 4-(p-chlorophenyl)-4-hydroxy-N,N-dimethyl-.alpha.,.alpha.-diphenyl-1-piperidinebutyramide was dissolved in 2 L of a 5% ethanol/95% water mixt. with agitation and the soln. was transferred to a pump action spray bottle.
 IT 148717-90-2, Squalamine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (topical sprays contg. anti-hyperalgesic opiates and active ingredients to promote wound healing)
 RN 148717-90-2 CAPLUS
 CN Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:607505 CAPLUS

DOCUMENT NUMBER: 129:341558

TITLE: Enhanced in vitro and in vivo gene delivery using cationic agent complexed retrovirus vectors

AUTHOR(S): Themis, M.; Forbes, S. J.; Chan, L.; Cooper, R. G.; Etheridge, C. J.; Miller, A. D.; Hodgson, H. J. F.; Coutelle, C.

CORPORATE SOURCE: Division of Biomedical Sciences, Imperial College School of Medicine, London, W2 1PG, UK

SOURCE: Gene Therapy (1998), 5(9), 1180-1186

CODEN: GETHEC; ISSN: 0969-7128

PUBLISHER: Stockton Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Retroviruses are, at present, the most efficient integrative vectors available for gene delivery. These viruses are still limited by relatively low titers. Although several protocols exist to improve virus titer most of them are time-consuming and unable to provide sufficient virus for in vivo applications. Virus titer can be enhanced by polybrene and other cationic agents. By investigating a broad range of cationic agents for their ability to enhance virus infectivity the authors found that both ecotropic and amphotropic retrovirus infection could be increased. The lipopolyamine dioctadecylamidoglycylspermine (DOGS) gave .1toresq. 1 order of magnitude enhancement above polybrene-mediated infection without cytotoxicity. To increase virus infectivity further the authors combined the enhancing effect of DOGS on virus infectivity with concn. of virus particles by ultrafiltration to reach titers of 1 .times. 109 IU/mL. The in vivo transduction of regenerating rat liver, by an amphotropic retrovirus was increased approx. 5-fold by the addn. of DOGS compared with virus alone. There was no animal toxicity obsd. following the administration of DOGS. The improved transduction efficiency seen both in vitro and in vivo following the co-administration of DOGS/virus complexes may be useful for future gene therapy applications.

IT 179075-30-0 200337-52-6 200337-57-1
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (combined with DOPE) enhanced gene delivery using cationic agent complexed retrovirus vectors)

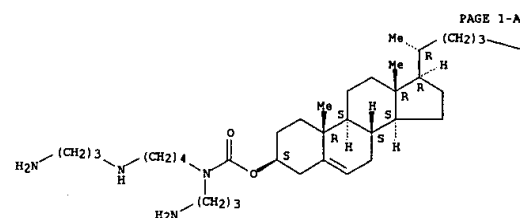
RN 179075-30-0 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS

(Continued)



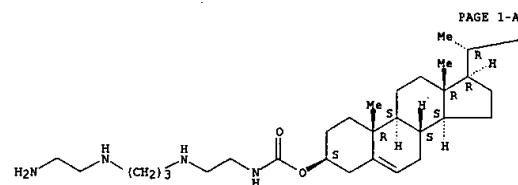
PAGE 1-B

-CHMe2

RN 200337-52-6 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

-(CH2)3-CHMe2

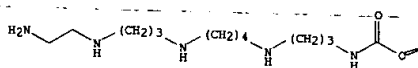
RN 200337-57-1 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, 17-amino-2,6,11,15-tetraazaheptadecanoate (9CI) (CA INDEX NAME)

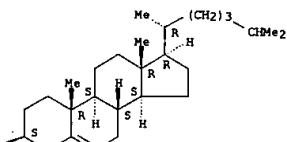
L43 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

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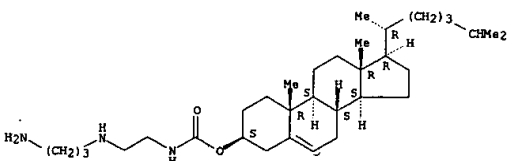
IT 200337-43-5

RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (enhanced gene delivery using cationic agent complexed retrovirus vectors)

RN 200337-43-5 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

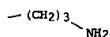
L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:564193 CAPLUS
 DOCUMENT NUMBER: 129:185060
 TITLE: Bile acid derivatives for use in liposome-mediated transformation
 INVENTOR(S): Kahne, Suzanne Walker
 PATENT ASSIGNEE(S): Trustees of Princeton University, USA
 SOURCE: U.S., 28 pp., Cont.-in-part of U. S. 5,627,270.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5795870	A	19980818	US 1994-336675	19941107
US 5338837	A	19940816	US 1991-806985	19911213
US 5571795	A	19961105	US 1992-989667	19921214
US 5693769	A	19971202	US 1994-230685	19940420
US 5627270	A	19970506	US 1994-264488	19940623
WO 9529186	A1	19951102	WO 1995-US4806	19950420
V: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2188320	AA	19951102	CA 1995-2188320	19950420
AU 9523582	A1	19951116	AU 1995-23582	19950420
AU 687557	B2	19980226		
ZA 9503207	A	19960311	ZA 1995-3207	19950420
EP 756601	A1	19970205	EP 1995-917587	19950420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512270	T2	19971209	JP 1995-527736	19950420
US 5780444	A	19980714	US 1995-425118	19950420
PRIORITY APPLN. INFO.:				
US 1991-806985 19911213				
US 1992-989667 19921214				
US 1994-230685 19940420				
US 1994-264488 19940623				
US 1994-336675 19941107				
WO 1995-US4806 19950420				

OTHER SOURCE(S): MARPAT 129:185060
 AB Bile acid derivs. that can be used in combination with amines or polyamines such as spermine to form complexes with nucleic acids that can be used in the transformation of animal cells are described. The bile acid derivs. are preferably polyhydroxylated or polyglycosylated and the amine may be part of a side chain of the deriv. Synthesis of a series of bile acid derivs. is described.
 IT 174069-05-7 174180-24-6 206439-79-4
 RI: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (liposome preps. using: bile acid derivs. for use in liposome-mediated transformation)
 RN 174069-05-7 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-

L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

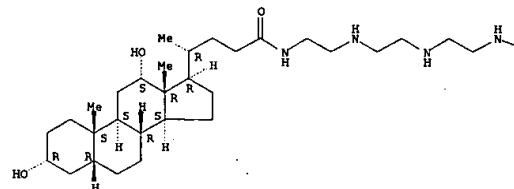
PAGE 1-B



RN 206439-79-4 CAPLUS
 CN Cholan-24-amide, N-[2-[[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME).

Absolute stereochemistry.

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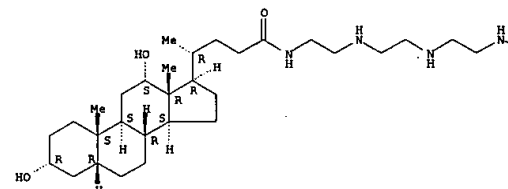
IT 174069-02-4P 206439-86-3P 206439-87-4P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reactions of, in prepn. glycosylated bile acid derivs.; bile acid derivs. for use in liposome-mediated transformation)
 RN 174069-02-4 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)]-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

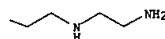
L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
 dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



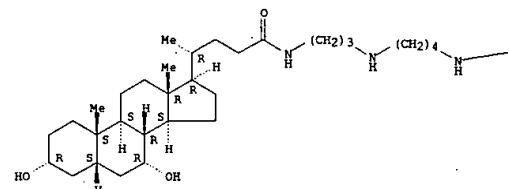
PAGE 1-B



RN 174180-24-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

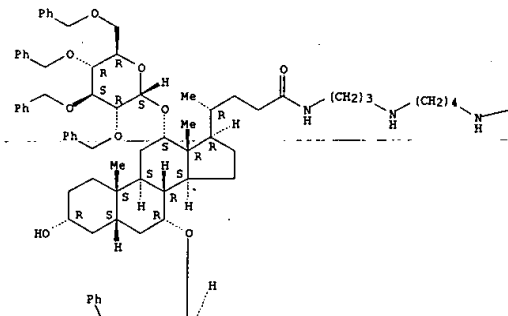
Absolute stereochemistry.

PAGE 1-A

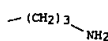


L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

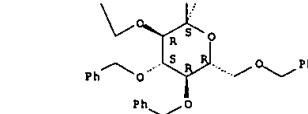
PAGE 1-A



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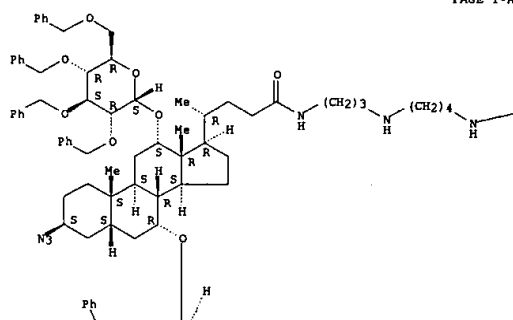
PAGE 2-A



RN 206439-86-3 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)]-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

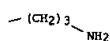
L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

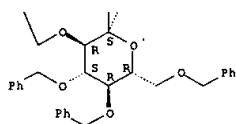


PAGE 1-A

PAGE 1-B

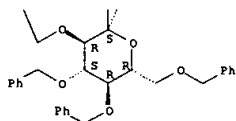


PAGE 2-A



L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

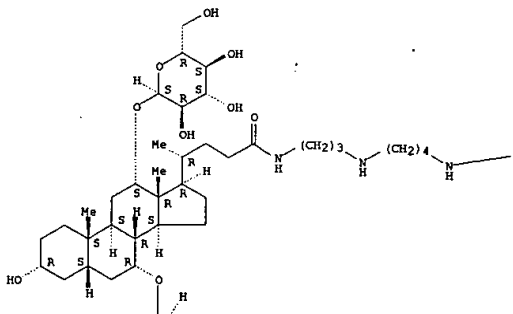
PAGE 2-A



IT 174068-86-1P 174068-99-6P 206439-78-3P
 206553-50-6P 210174-02-0P
 Rb: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, liposome prepn. using; bile acid derivs. for use in
 liposome-mediated transformation)
 RN 174068-86-1 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-
 bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12
 .alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

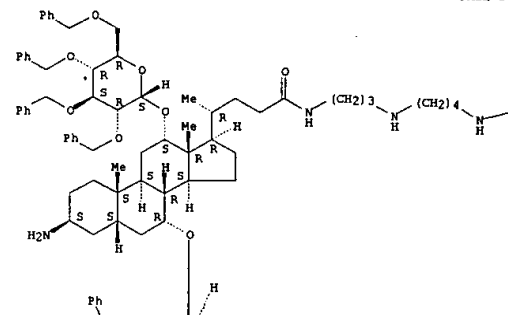


L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

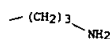
RN 206439-87-4 CAPLUS
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl
]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-
 glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

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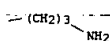


PAGE 1-B

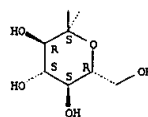


L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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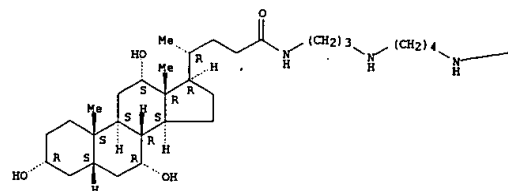
PAGE 2-A



RN 174068-99-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-
 trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

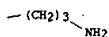
Absolute stereochemistry.

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L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

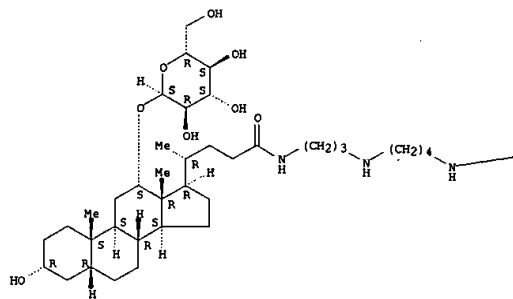
PAGE 1-B



RN 206439-78-3 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-
 (.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)-
 (9CI) (CA INDEX NAME)

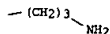
Absolute stereochemistry.

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L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

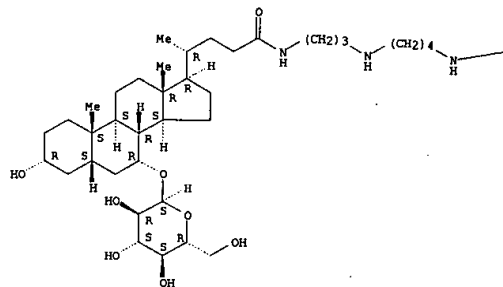
PAGE 1-B



RN 206553-50-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-
 (.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-
 (9CI) (CA INDEX NAME)

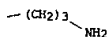
Absolute stereochemistry.

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L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

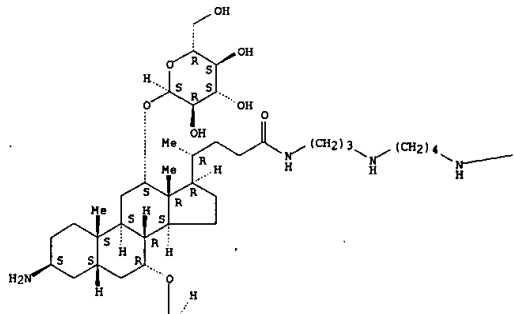
PAGE 1-B



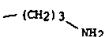
RN 210174-02-0 CAPLUS
 CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl
]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, tetrahydrochloride,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

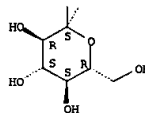


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L43 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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●4 HCl

REFERENCE COUNT:

119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:497241 CAPLUS

DOCUMENT NUMBER: 129:245328

TITLE: Synthesis of cholesterol-polyamine carbamates: pKa studies and condensation of calf thymus DNA. [Erratum to document cited in CA129:161759]

AUTHOR(S): Geall, Andrew J.; Taylor, Richard J.; Earll, Mark E.; Eaton, Michael A. W.; Blagbrough, Ian S.

CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK

SOURCE: Chemical Communications (Cambridge) (1998), (15), 1607

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Structures 2-5 were published incorrectly with an aminopropyl group rather than the correct aminoethyl group. The correct structures are given.

IT 165673-46-1P 200337-52-6P 204061-30-3P

211319-45-8P 211319-46-9P 211319-47-0P

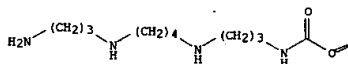
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PRP (Preparation) (synthesis and pKa studies of cholesterol-polyamine carbamates and condensation of calf thymus DNA (Erratum))

RN 165673-46-1 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

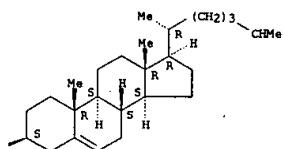
PAGE 1-A



L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS

(Continued)

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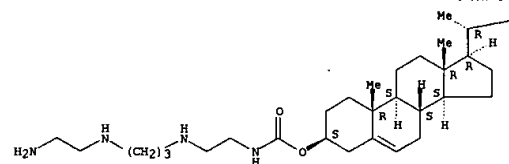


RN 200337-52-6 CAPLUS

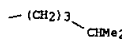
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 204061-30-3 CAPLUS

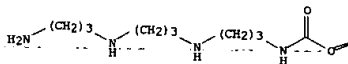
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

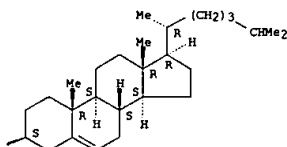
L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS

(Continued)

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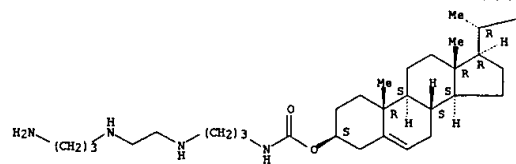


RN 211319-45-8 CAPLUS

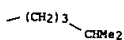
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[2-[(3-aminopropyl)amino]ethyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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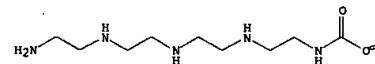
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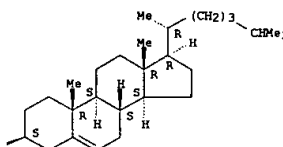
CN Cholest-5-en-3-ol (3.beta.)-, 13-amino-2,5,8,11-tetraazatridecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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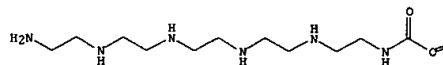


RN 211319-47-0 CAPLUS

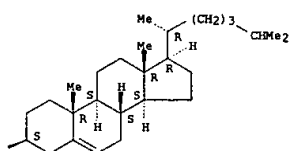
CN Cholest-5-en-3-ol (3.beta.)-, 16-amino-2,5,8,11,14-pentaazahexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L43 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



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L43 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS

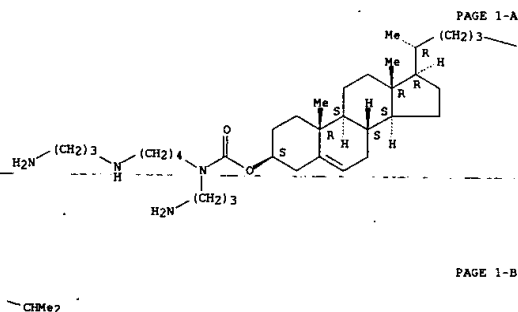
ACCESSION NUMBER: 1998:491280 CAPLUS
 DOCUMENT NUMBER: 129:23547
 TITLE: Efficiency of cationic lipid-mediated transfection of polarized and differentiated airway epithelial cells in vitro and in vivo
 AUTHOR(S): Jiang, Canwen; O'Connor, Sean P.; Fang, Shaona L.; Wang, Kathryn X.; Marshall, John; Williams, Jennifer L.; Wilburn, Brian; Echelard, Yann; Cheng, Seng H.
 CORPORATE SOURCE: Genzyme Corporation, Framingham, MA, 01701, USA
 SOURCE: Human Gene Therapy (1998), 9(11), 1531-1542
 CODEN: HGTHJ3, ISSN: 1043-0342
 PUBLISHER: Mary Ann Liebert, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Systematic anal. of a large no. of different cationic lipids has led to the identification of novel structures (GL-67) and formulations of cationic lipid:plasmid DNA (pDNA) complexes that facilitate high levels of gene expression in lungs of mice. However, despite significant improvement in gene transfer activity, we show here that the efficiency of GL-67-mediated gene transduction of intact airway epithelia is still relatively low. Administration of GL-67:pCF1-CFTR (encoding the cystic fibrosis transmembrane conductance regulator) complexes into the nasal epithelium of cystic fibrosis (CF) transgenic mice resulted only in marginal correction of the ion transport defects. Measurements of nasal potential differences (PD) showed no correction of the sodium (Na⁺) transport defect, and only partial restitution of the chloride (Cl⁻) transport defect was achieved in a small proportion of the animals after perfusion of the nasal epithelium with the complexes. Furthermore, in contrast to results obtained following instillation of GL-67:pDNA complexes into the lungs of mice, perfusion of GL-67:pDNA into the nasal epithelium resulted only in a moderate enhancement of gene transduction activity relative to that attained with naked pDNA alone. To det. the basis for this low efficiency of transfection, a series of studies was conducted to identify some of the barriers governing cationic lipid-mediated gene transfer to the airway epithelium. We show here that the transfection activity of GL-67 was affected by the polarization, differentiation, and proliferative state of the cells. Diminished transfection activity was obsd. with nonmitotic, highly polarized and differentiated airway epithelial cells. This obsd. redn. in gene expression with nonmitotic cells was detd. to be due in part to inefficient nuclear translocation of the pDNA from the cytoplasm. Together these data indicate that much improvement in the ability of cationic lipids to transfect polarized and differentiated airway epithelial cells is a necessary prerequisite for effective cationic lipid-mediated gene therapy of airway diseases such as CF.

IT RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (efficiency of cationic lipid-mediated transfection of polarized and differentiated airway epithelial cells in vitro and in vivo)
 RN 179075-30-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



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REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:497849 CAPLUS
 DOCUMENT NUMBER: 129:122837
 TITLE: Preparation of steroid glycosides for study of compositions and methods for cell transformation
 INVENTOR(S): Kahne, Suzanne Walker
 PATENT ASSIGNEE(S): Trustees of Princeton University, USA
 SOURCE: U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 336,675.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780444	A	19980714	US 1995-425118	19950420
US 5338837	A	19940816	US 1991-806985	19911213
US 5571795	A	19961105	US 1992-989667	19921214
US 5693769	A	19971202	US 1994-230685	19940420
US 5627270	A	19970506	US 1994-264488	19940623
US 5795870	A	19980818	US 1994-336675	19941107
PRIORITY APPLN. INFO.:			US 1991-806985	19911213
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			US 1994-264488	19940623
			US 1994-336675	19941107

OTHER SOURCE(S): MARPAT 129:122837
 AB The present invention relates to methods and compns. for the transformation of cells. In particular, compns. and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid mols. I (R1 = H, OH, sugar, NH2, substituted amine or imine; R2, R3 = H, OH, sugar; R4 = CONH2, amide, CH2NH2, aminoalkyl, CO2YNH2; Y = alkylene group; m = 0-10). Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-contg. side chain. Thus, I (R1 = OH; R2, R3 = O-, alpha-D-glucopyranosyl; R4 = CO2Me; m = 2) was prepd. and used in the transportation study of pSV.beta.plasmid for expressing .beta.-galactosidase gene in cos-7 cells.

IT 174068-84-9P 174068-92-9P 174068-99-6P
 174069-03-5P 174069-05-7P 174069-15-9P
 174069-19-3P 174069-21-7P 174180-24-6P
 193901-99-4P 206439-78-3P 206439-79-4P
 206439-80-7P 206439-81-8P 206439-89-6P
 206553-50-6P 210174-02-0P 210174-03-1P
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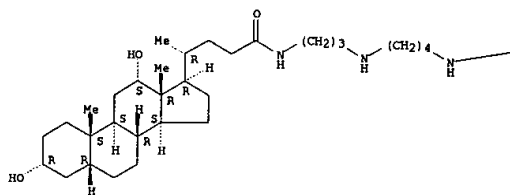
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of steroid glycosides for study of compns. and methods for cell transformation)

RN 174068-84-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

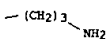
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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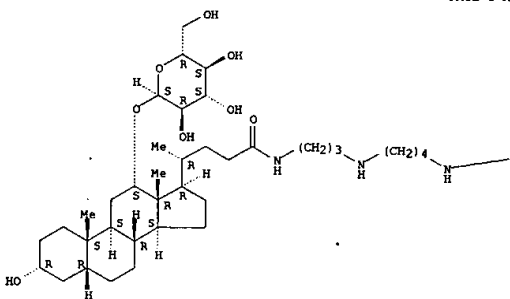
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RN 174068-92-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-((3.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

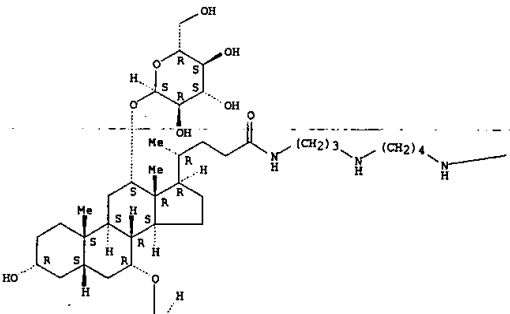
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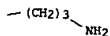
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

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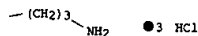


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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

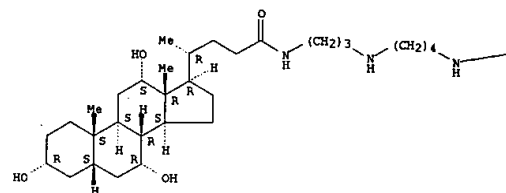
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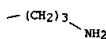
RN 174068-99-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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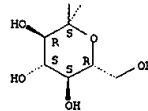
PAGE 1-B



RN 174069-03-5 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis((3.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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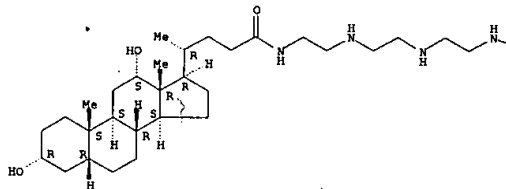


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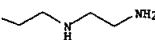
RN 174069-05-7 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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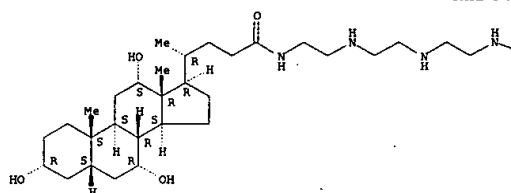


RN 174069-15-9 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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● 5 HCl

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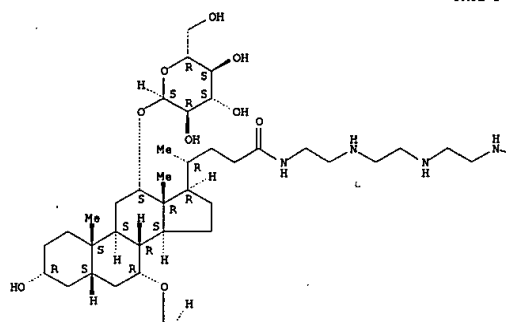


RN 174069-19-3 CAPLUS
 CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

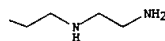
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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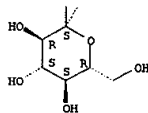


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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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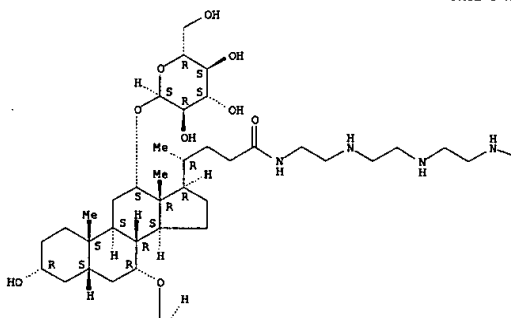


● 4 HCl

RN 174069-21-7 CAPLUS
 CN Cholan-24-amide, N-[2-[[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

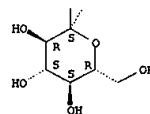
Absolute stereochemistry.

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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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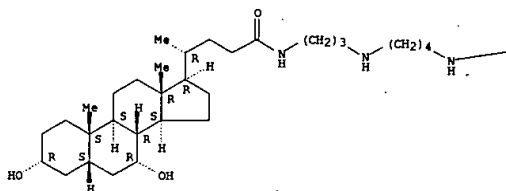


● 3 HCl

RN 174180-24-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

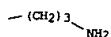
Absolute stereochemistry.

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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

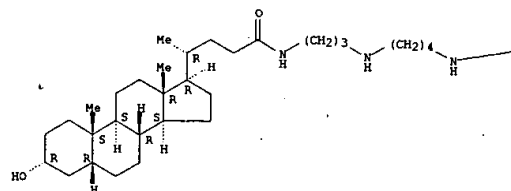
PAGE 1-B



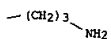
RN 193901-99-4 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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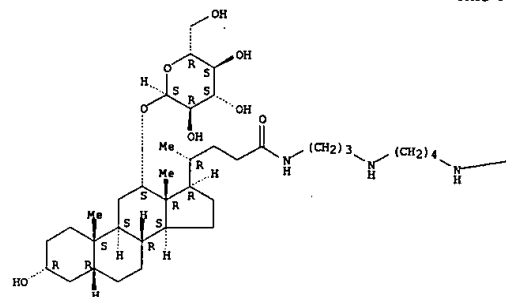


RN 206439-78-3 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-[(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

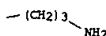
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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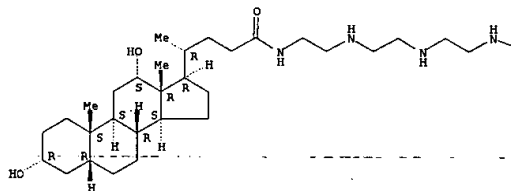


RN 206439-79-4 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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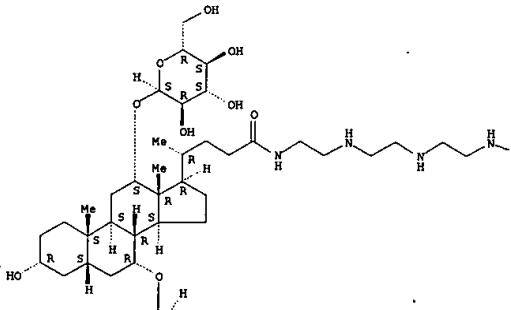
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RN 206439-80-7 CAPLUS
 CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

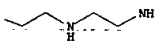
Absolute stereochemistry.

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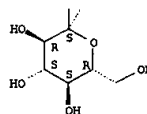


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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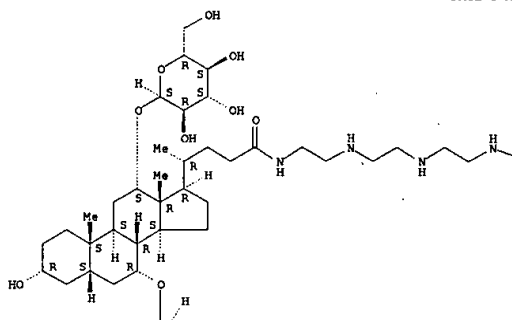


RN 206439-81-8 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

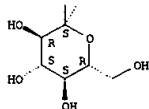
PAGE 1-A



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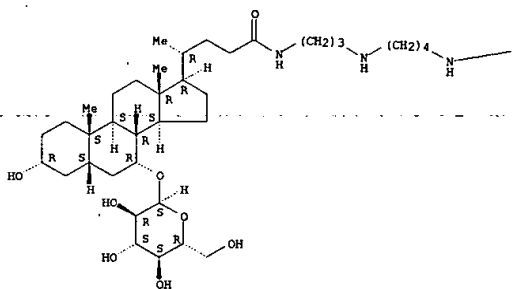


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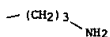
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 210174-02-0 CAPLUS
CN Cholan-24-amide, 3-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(alpha-D-glucopyranosyloxy)-, tetrahydrochloride, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

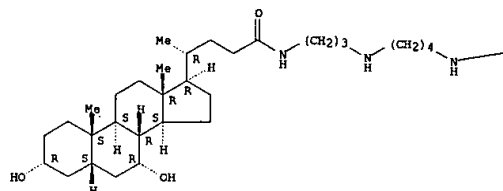
RN 206439-89-6 CAPLUS
CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

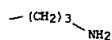
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Absolute stereochemistry.

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CM 2

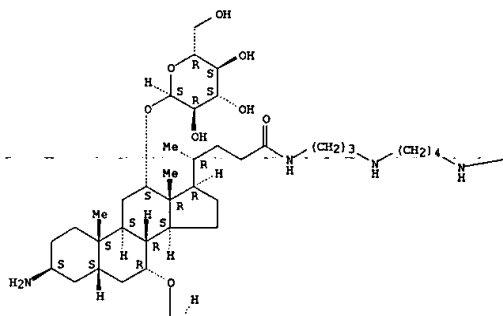
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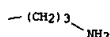
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CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-(alpha-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.)-

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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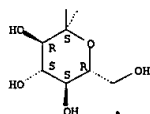


PAGE 1-B



L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A



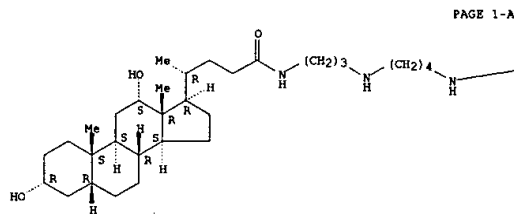
● 4 HCl

RN 210174-03-1 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxymethyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

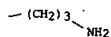
CRN 174068-84-9
 CHF C34 H64 N4 O3

Absolute stereochemistry.



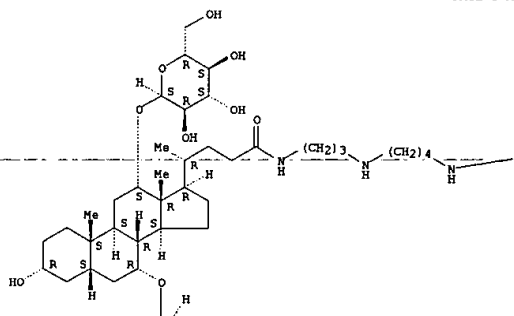
PAGE 1-A

PAGE 1-B

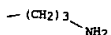


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

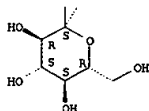
PAGE 1-A



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PAGE 2-A



CM 2

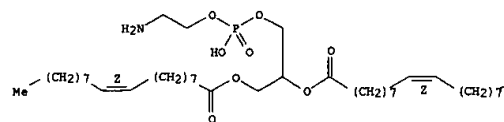
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 2462-63-7
 CHF C41 H78 N O8 P

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

RN 210174-04-2 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxymethyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 174068-86-1
 CHF C46 H84 N4 O14

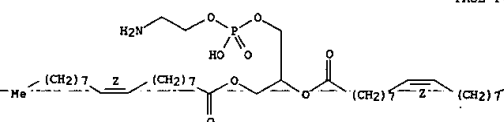
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 2462-63-7
 CHF C41 H78 N O8 P

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

Me

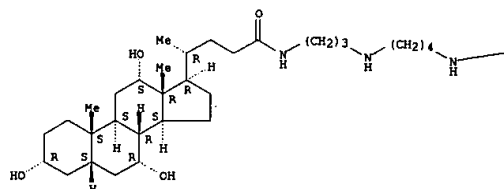
RN 210174-10-0 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxymethyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 174068-99-6
 CHF C34 H64 N4 O4

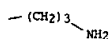
Absolute stereochemistry.

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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

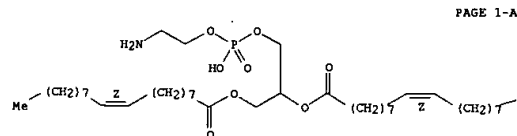


CM 2

CRN 2462-63-7

CMF C41 H78 N 08 P

Double bond geometry as shown.



PAGE 1-A

PAGE 1-B

Me

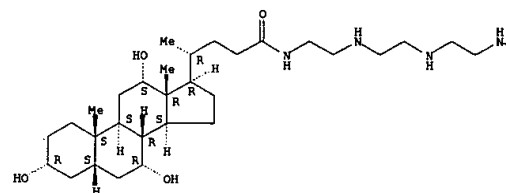
RN 210174-12-2 CAPLUS

CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, heptahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

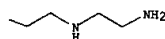
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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● 7 HCl

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RN 210174-13-3 CAPLUS

CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, (3.alpha.,5.beta.)-, pentaacetate (salt) (9CI) (CA INDEX NAME)

CM 1

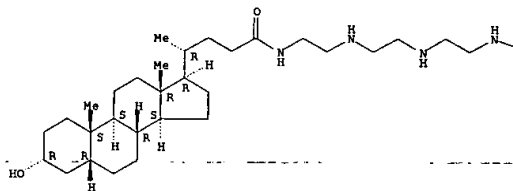
CRN 175089-98-2

CMF C34 H66 N6 O2

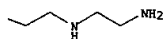
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 210174-14-4 CAPLUS

CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, triacetate (salt) dihydrochloride (9CI) (CA INDEX NAME)

CM 1

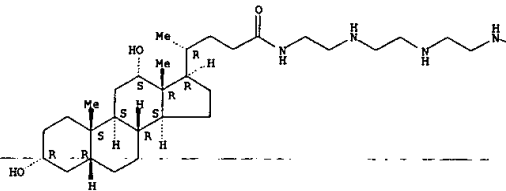
CRN 174069-05-7

CMF C34 H66 N6 O3

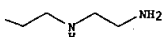
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B



CM 2

CRN 64-19-7

CMF C2 H4 O2



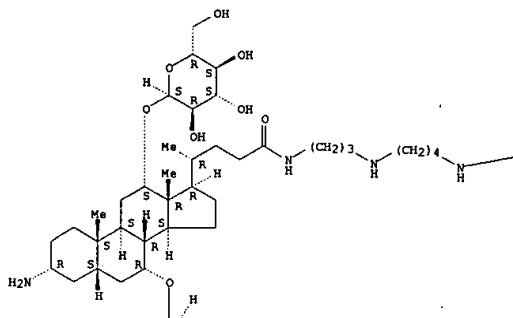
RN 210174-15-5 CAPLUS

CN Cholan-24-amide, N-[2-[(2-[(2-aminoethyl)amino]ethyl)amino]ethyl]amino]-3,12-dihydroxy-, dihydrochloride, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

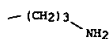
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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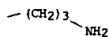


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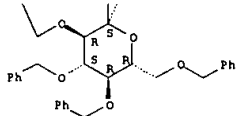


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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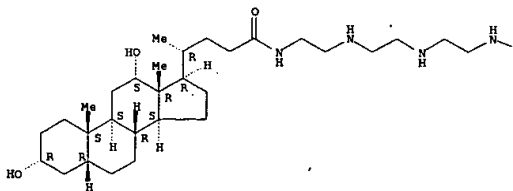
PAGE 2-A



RN 174069-04-6 CAPLUS
 CN Cholan-24-amide, N-[2-[[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

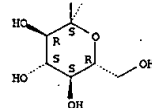
PAGE 1-A



●3 HCl

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

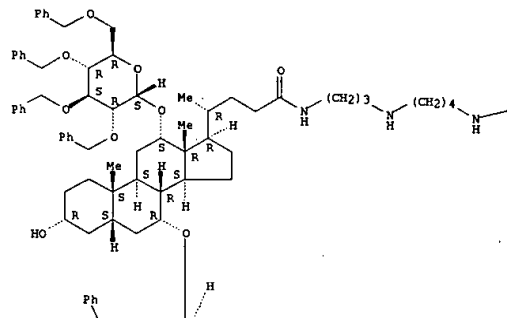
PAGE 2-A



IT 174069-02-4P 174069-04-6P 174069-13-7P
 174069-14-8P 174069-18-2P 174069-20-6P
 206439-86-3P 206439-87-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of steroid glycosides for study of compns. and methods for cell transformation)
 RN 174069-02-4 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

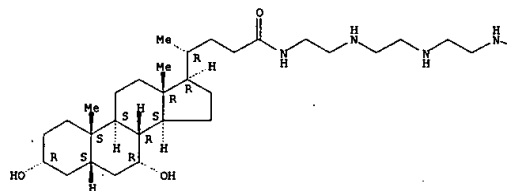
PAGE 1-B



RN 174069-13-7 CAPLUS
 CN Cholan-24-amide, N-[2-[[[2-[[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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●4 HCl

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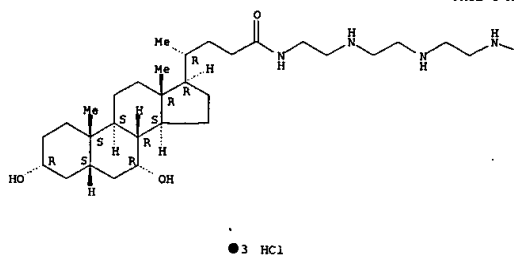


RN 174069-14-8 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)-(9CI) (CA INDEX NAME)

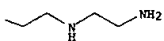
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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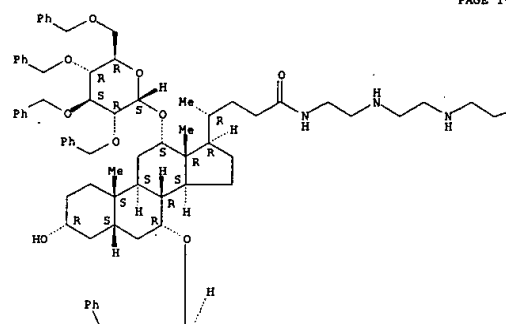
RN 174069-18-2 CAPLUS

CN Cholan-24-amide, N-[[[4-[[[2-[[[2-[[2-aminoethyl]amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)]- (9CI) (CA INDEX NAME)

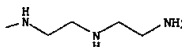
Absolute stereochemistry.

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

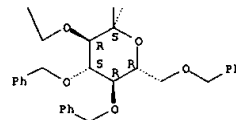
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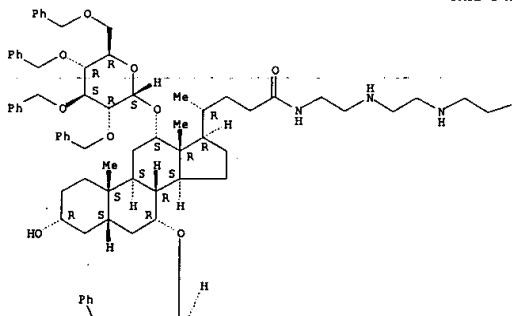
RN 174069-20-6 CAPLUS

L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

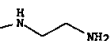
CN Cholan-24-amide, N-[[[4-[[[2-[[[2-[[2-aminoethyl]amino]ethyl]amino]ethyl]amino]ethyl]-3-hydroxy-7,12-bis[[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

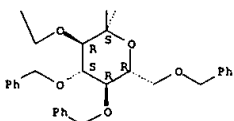
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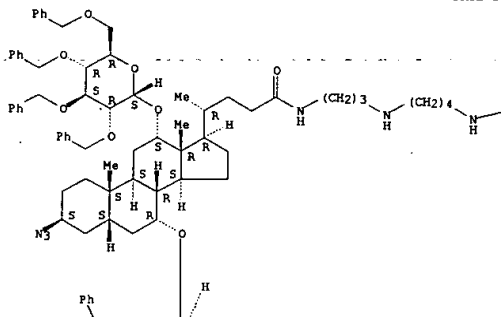
L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 206439-86-3 CAPLUS

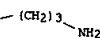
CN Cholan-24-amide, N-[[[4-[[[3-[[[3-aminopropyl]amino]butyl]amino]propyl]-3-azido-7,12-bis[[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

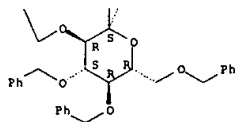


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L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

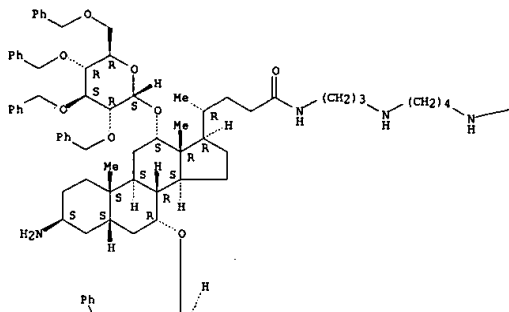
PAGE 2-A



RN 206439-87-4 CAPLUS
 CN Cholan-24-amide, 3-amino-N-[[4-[(3-aminopropyl)amino]butyl]amino]propyl
]-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-
 glucopyranosyl]oxy]-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA
 INDEX NAME)

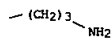
Absolute stereochemistry.

PAGE 1-A

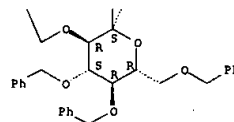


L43 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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REFERENCE COUNT:

36

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1398:454951 CAPLUS

DOCUMENT NUMBER: 129:170216

TITLE:

Squalamine inhibits angiogenesis and solid tumor
 growth in vivo and perturbs embryonic vasculature
 Sills, Allen K., Jr.; Williams, Jon I.; Tyler, Betty
 M.; Epstein, Darin S.; Sipos, Eric P.; Davis, John D.;
 McLane, Michael P.; Pitchford, Simon; Cheshire,
 Kimberly; Gannon, Francis H.; Kinney, William A.;
 Chao, Tessa L.; Donowitz, Mack; Laterra, John;
 Zaslloff, Michael; Bress, Henry

CORPORATE SOURCE:

Hunterian Neurosurgical Laboratory, Department of
 Neurosurgery, The Johns Hopkins University School of
 Medicine, Baltimore, MD, 21205, USA

SOURCE:

Cancer Research (1998), 58(13), 2784-2792

PUBLISHER:

CODEN: CNREAS; ISSN: 0008-5472

DOCUMENT TYPE:

American Association for Cancer Research

LANGUAGE:

Journal

AB

The novel aminosterol, squalamine, inhibits angiogenesis and tumor growth
 in multiple animal models. This effect is mediated, at least in part, by
 blocking mitogen-induced proliferation and migration of endothelial cells,
 thus preventing neovascularization of the tumor. Squalamine has no
 observable effect on unstimulated endothelial cells, is not directly
 cytotoxic to tumor cells, does not alter mitogen prodn. by tumor cells,
 and has no obvious effects on the growth of newborn vertebrates.
 Squalamine was also found to have remarkable effects on the primitive
 vascular bed of the chick chorioallantoic membrane, which has striking
 similarities to tumor capillaries. Squalamine may thus be well suited for
 treatment of tumors and other diseases characterized by neovascularization
 in humans.

IT

148717-90-2, Squalamine

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
 effector, except adverse); BSU (Biological study, unclassified); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)

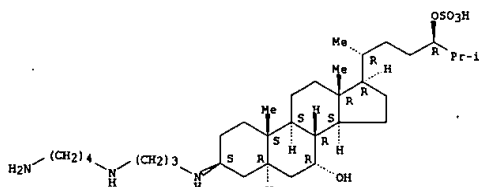
(squalamine inhibits angiogenesis and solid tumor growth in vivo and
 perturbs embryonic vasculature)

RN

148717-90-2 CAPLUS

CN Cholestane-7,24-diol, 3-[[[3-[(4-aminobutyl)amino]propyl]amino]-
 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



REFERENCE COUNT:

35

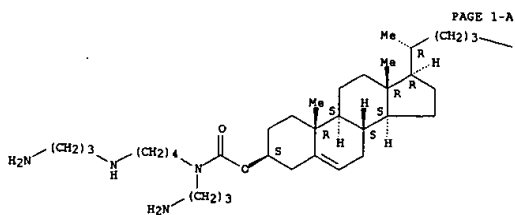
THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

L43 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

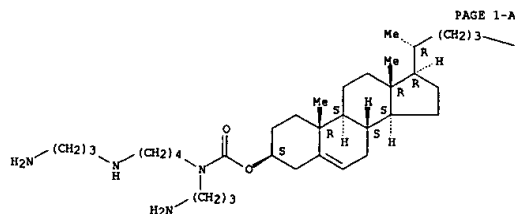
L43 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:454320 CAPLUS
 DOCUMENT NUMBER: 129:197698
 TITLE: Novel chemically modified oligonucleotides provide potent inhibition of P-glycoprotein expression
 AUTHOR(S): Alahari, Suresh K.; Delong, Robert; Fisher, Michael H.; Dean, Nicholas M.; Villet, Pierre; Juliano, R. L.
 CORPORATE SOURCE: Department of Pharmacology, University of North Carolina School of Medicine, Chapel Hill, NC, USA
 SOURCE: Journal of Pharmacology and Experimental Therapeutics (1998), 286(1), 419-428
 CODEN: JPETAB; ISSN: 0022-3565
 PUBLISHER: Williams & Wilkins
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB One major form of multiple drug resistance (MDR) to cancer therapeutic agents is mediated by overexpression of P-glycoprotein, a membrane ATPase that serves as a drug efflux pump. In humans, this protein is the product of the MDR1 gene. The authors have used chem. modified antisense oligonucleotides to reduce expression of P-glycoprotein in multidrug-resistant fibroblasts and colon carcinoma cells. Although several types of oligonucleotides were tested, compds. having a phosphorothioate backbone and a methoxyethoxy (ME) group at the 2' position of the ribose ring proved to have the greatest potency. Thus, phosphorothioate 2'-ME oligonucleotides directed against either the AUG codon region or the stop codon region of the MDR1 message produced substantial (50-70%) inhibition of P-glycoprotein expression at concns. of 10-50 nM. In addn., such treatment resulted in augmented drug uptake as measured by flow cytometry. Unmodified phosphorothioate compds. of the same sequence were active only in the micromolar range. The authors also tested the ability of several potential delivery agents to enhance the pharmacol. effectiveness of anti-MDR1 oligonucleotides. Both com. Lipofectin, a well known liposomal transfection agent, and a liposomal prep. based on a novel "facial amphiphile" were effective in enhancing their pharmacol. effects of antisense oligonucleotides. A Starburst dendrimer, a type of cationic polymer, was also effective in oligonucleotide delivery. This report emphasizes that significant improvements in antisense pharmacol. can be made through judicious use of both chem. modifications of oligonucleotides and appropriate delivery systems.
 IT 211069-94-2
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (novel chem. modified antisense oligonucleotides to MDR1 gene provide potent inhibition of P-glycoprotein expression in multidrug-resistant cancer cells in relation to structure and antitumor drug transport and delivery systems)
 RN 211069-94-2 CAPLUS
 CN Cholan-24-amide, N-[4-[[4-[(3-aminopropyl)amino]butyl]amino]butyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L43 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:451988 CAPLUS
 DOCUMENT NUMBER: 129:201853
 TITLE: Adenovirus complexed with polyethylene glycol and cationic lipid is shielded from neutralizing antibodies in vitro
 AUTHOR(S): Chillon, M.; Lee, J. H.; Fasbender, A.; Welsh, M. J.
 CORPORATE SOURCE: Howard Hughes Med. Inst., Dep. Internal Med. and Physiology and Biophysics, Univ. Iowa College Med., Iowa City, IA, USA
 SOURCE: Gene Therapy (1998), 5(7), 995-1002
 CODEN: GETHEC; ISSN: 0969-7128
 PUBLISHER: Stockton Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Development of neutralizing antibodies is an important hindrance that limits repeated administration of adenoviral vectors for gene transfer. One way to avoid this problem would be to coat the virus with a substance that could shield it from antibodies. To develop such a system, we coated neg.-charged adenovirus with the cationic liq. GL-67 and included polyethylene glycol (PEG) in the complex as dioleoylphosphatidylethanolamine-PEG (DOPE-PEG). This complex enhanced gene transfer to cells that were difficult to infect both in vitro and in vivo. GL-67/DOPE-PEG coated the virus and prevented antibody binding. As a result, 50-fold higher concns. of immune plasma were required for neutralization than with adenovirus alone. However, use of the complex provided no appreciable protection from neutralization when vector was delivered in vivo to immunized animals. These data are the first to suggest that formation of a complex around adenovirus can partially shield it from immune plasma in vitro. Despite the lack of protection in vivo, these results suggest the feasibility of developing a system in which the virus is effectively shielded from neutralizing antibodies and capable of repeat administration.
 IT 179075-30-0
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (adenovirus complexed with polyethylene glycol and cationic lipid is shielded from neutralizing antibodies in vitro)
 RN 179075-30-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



L43 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
 PAGE 1-A
 PAGE 1-B
 REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

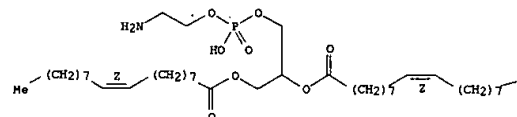
L43 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)
 PAGE 1-B
 IT 212009-03-5DP, polyethylene conjugates
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (adenovirus complexed with polyethylene glycol and cationic lipid is shielded from neutralizing antibodies in vitro)
 RN 212009-03-5 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, (3-aminopropyl)[4-[(3-aminopropyl)amino]butyl]carbamate, mxt. with 1-[[[(2-aminooxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl di-(9Z)-9-octadecenoate (9CI) (CA INDEX NAME)
 CM 1
 CRN 179075-30-0
 CMF C38 H70 N4 O2
 Absolute stereochemistry.



PAGE 1-B
 CM 2
 CRN 2462-63-7
 CMF C41 H78 N O8 P
 Double bond geometry as shown.

L43 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B

Me

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:433479 CAPLUS

DOCUMENT NUMBER: 129:161759

TITLE:

Synthesis of cholesterol-polyamine carbamates: pKa studies and condensation of calf thymus DNA

AUTHOR(S):

Geall, Andrew J.; Blagbrough, Ian S.; Geall, Andrew J.; Taylor, Richard J.; Earll, Mark E.; Eaton, Michael A. W.

CORPORATE SOURCE:

Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK

SOURCE:

Chemical Communications (Cambridge) (1998), (13), 1403-1404

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

English

AB Novel cholesterol-polyamine carbamates have been prepd. and their pKa values detd. potentiometrically for conjugates substituted with up to five amino functional groups and the binding affinity for calf thymus DNA has also been detd.; these polyamine carbamates are models for lipoplex formation with respect to gene delivery (lipofection), a key first step in gene therapy.

IT 165673-46-1P 200337-52-6P 204061-30-3P

211319-45-8P 211319-46-8P 211319-47-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

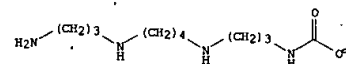
(synthesis and pKa studies of cholesterol-polyamine carbamates and condensation of calf thymus DNA)

RN 165673-46-1 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [[3-[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

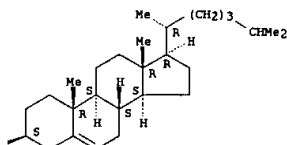
Absolute stereochemistry.

PAGE 1-A



L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



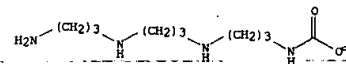
RN 200337-52-6 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

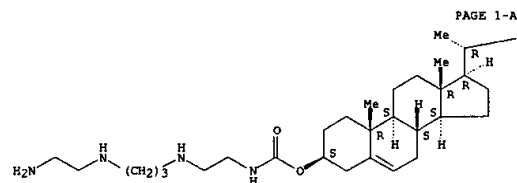
Absolute stereochemistry.

L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

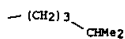
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PAGE 1-B



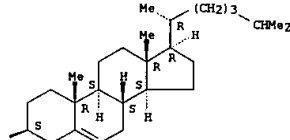
PAGE 1-B



RN 204061-30-3 CAPLUS

CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

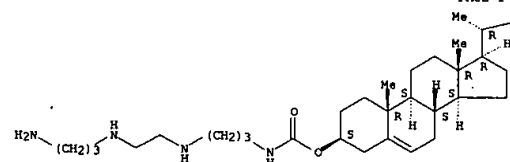


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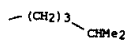
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[2-[(3-aminopropyl)amino]ethyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

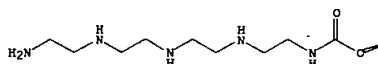


L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

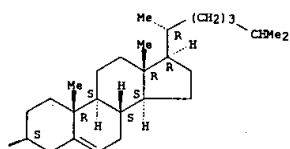
RN 211319-46-9 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, 13-amino-2,5,8,11-tetraazatridecanoate (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

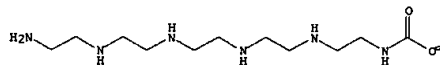


RN 211319-47-0 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, 16-amino-2,5,8,11,14-pentaazahexadecanoate (9CI)
 (CA INDEX NAME)

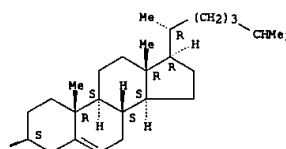
Absolute stereochemistry.

L43 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

35

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:400309 CAPLUS
 DOCUMENT NUMBER: 129:170489
 TITLE: Basic studies on N"-ursodeoxycholyldiethylenetriamine-N,N,N'-triacetic acid for the dissolution of calcified gallstones
 AUTHOR(S): Takahashi, Makoto; Konishi, Toshio; Maeda, Yoriobu; Fukuzawa, Masataka; Nishida, Toshihiro; Ohya, Toshihide; Katayama, Kouji; Kakehi, Norihiko; Sakakura, Hiroo; Takagi, Atsushi; Maeda, Minoru; Ohama, Hirobumi
 CORPORATE SOURCE: Department of Surgery, Chugoku Rosai Hospital, Hiroshima, 737-01, Japan
 SOURCE: Biological & Pharmaceutical Bulletin (1998), 21(6), 551-557
 CODEN: BPBLEO; ISSN: 0918-6158
 PUBLISHER: Pharmaceutical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English

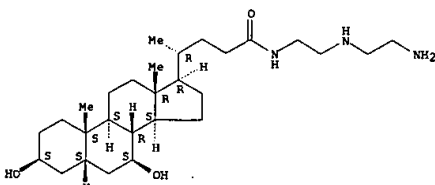
AB A novel calcium-chelating agent, N"-ursodeoxycholyldiethylenetriamine-N,N,N'-triacetic acid (UDCA-DTTA), was synthesized to study its ability to dissolve calcified gallstones. The chelating activity of the compd. was demonstrated by dissolving calcium carbonate in vitro at a high dissoln. rate. In the presence of the agent, sliced human gallstone with a compn. of more than 50% calcium bilirubinate was thoroughly dissolved, indicating that calcium bilirubinate was dissolved from the gallstone. The ability to dissolve calcium was comparable to that of EDTA. However, the laminar structure of the sliced gallstone did not disappear in the presence of EDTA, whereas the structure disappeared in the presence of UDCA-DTTA. All these results indicate that UDCA-DTTA is an interesting compd. as a parent substance for developing a prodrug for an oral or i.v. agent to dissolve calcium-contg. gallstones.

IT 142271-84-WP, N-Ursodeoxycholyldiethylenetriamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction; ursodeoxycholyldiethylenetriamine triacetic acid for calcified gallstone dissoln., and prepn. thereof)

RN 142271-84-9 CAPLUS

CN Cholan-24-amide, N-[2-[(2-aminoethyl)amino]ethyl]-3,7-dihydroxy-, (3.beta.,5.beta.,7.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

45

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

L43 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1998:267824 CAPLUS

DOCUMENT NUMBER:

129:62451

TITLE:

Expression of the K54 and O4 specific antigen has opposite effects on the bactericidal activity of squalamine against an extraintestinal isolate of *Escherichia coli*

AUTHOR(S):

Russo, Thomas A.; Mylotte, Daniel

CORPORATE SOURCE:

Division of Infectious Diseases, Department of Medicine, SUNY at Buffalo, Buffalo, NY, 14214, USA
FEMS Microbiology Letters (1998), 162(2), 311-315
CODEN: FMLED7; ISSN: 0378-1097

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB

Squalamine is a novel cationic steroid that possesses potent, broad spectrum, antimicrobial activity. Recent data suggests that squalamine or related compds. may be present and important in host resistance to infection in the urinary tract. Therefore, the role of the K54 capsule and the O4 specific antigen moiety of the lipopolysaccharide in protecting an extraintestinal isolate of *Escherichia coli* against the bactericidal activity of this novel antimicrobial compd. was studied. The O4 specific antigen was important for protection against squalamine. Surprisingly, in contrast, the presence of the K54 antigen enhanced the bactericidal activity of squalamine. This is the first example, to our knowledge, in which an established virulence trait, the K54 capsule, may be detrimental to an infecting pathogen under certain circumstances.

IT

148717-90-2, Squalamine

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

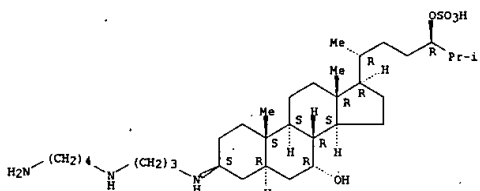
(expression of the K54 and O4 specific antigen has opposite effects on bactericidal activity of squalamine against extraintestinal isolate of *Escherichia coli*)

RN 148717-90-2 CAPLUS

CN

Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1998:180042 CAPLUS

DOCUMENT NUMBER:

129:163

TITLE:

The aminosterol antibiotic squalamine permeabilizes large unilamellar phospholipid vesicles

AUTHOR(S):

Selinsky, Barry S.; Zhou, Zhao; Fojtik, Krstin G.; Jones, Stephen R.; Dollahan, Norman R.; Shinnar, Ann E.

CORPORATE SOURCE:

Department of Chemistry, Villanova University, Villanova, PA, 19085-1699, USA

SOURCE:

Biochimica et Biophysica Acta (1998), 1370(2), 218-234
CODEN: BBACAQ; ISSN: 0006-3002

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB

The ability of the shark antimicrobial aminosterol squalamine to induce the leakage of polar fluorescent dyes from large unilamellar phospholipid vesicles (LUVs) has been measured. Micromolar squalamine causes leakage of carboxyfluorescein (CF) from vesicles prep. from the anionic phospholipids phosphatidylglycerol (PG), phosphatidylserine (PS), and cardiolipin. Binding analyses based on the leakage data show that squalamine has its highest affinity to phosphatidylglycerol membranes, followed by phosphatidylserine and cardiolipin membranes. Squalamine will also induce the leakage of CF from phosphatidylcholine (PC) LUVs at low phospholipid concns. At high phospholipid concns., the leakage of CF from PC LUVs deviates from a simple dose-response relationship, and it appears that some of the squalamine can no longer cause leakage. Fluorescent dye leakage generated by squalamine is graded, suggesting the formation of a discrete membrane pore rather than a generalized disruption of vesicular membranes. By using fluorescently labeled dextrans of different mol. wt., material with mol. wt. >100,000 g/mol is released from vesicles by squalamine, but material with mol. wt. <10,000 is retained. Neg. stain electron microscopy of squalamine-treated LUVs shows that squalamine decreases the av. vesicular size in a concn.-dependent manner. Squalamine decreases the size of vesicles contg. anionic phospholipid at a lower squalamine/lipid molar ratio than pure PC LUVs. In a centrifugation assay, squalamine solubilizes phospholipid, but only at significantly higher squalamine/phospholipid ratios than required for either dye leakage or vesicle size redn. Squalamine solubilizes PC at lower squalamine/phospholipid ratios than PG. We suggest that squalamine complexes with phospholipid to form a discrete structure within the bilayers of LUVs, resulting in the transient leakage of small encapsulated mols. At higher squalamine/phospholipid ratios, these structures release from the bilayers and aggregate to form either new vesicles or squalamine/phospholipid mixed micelles.

IT

148717-90-2, Squalamine

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(the aminosterol antibiotic squalamine permeabilizes large unilamellar phospholipid vesicles)

RN 148717-90-2 CAPLUS

CN

Cholestane-7,24-diol, 3-[[3-[(4-aminobutyl)amino]propyl]amino]-, 24-(hydrogen sulfate), (3.beta.,5.alpha.,7.alpha.,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 21 OF 28 CAPLUS

REFERENCE COUNT:

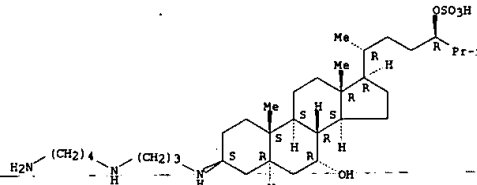
16

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L43 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS

(Continued)



REFERENCE COUNT:

35

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:63476 CAPLUS

DOCUMENT NUMBER: 128:201474

TITLE: Cationic lipids for gene therapy. 1. Polyamine analogs of 3.β.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol (DC-chol) as agents for gene delivery

AUTHOR(S): Copper, Robert G.; Etheridge, Christopher J.; Stewart, Luisa; Marshall, John; Rudginsky, Samantha; Cheng, Seng H.; Miller, Andrew D.

CORPORATE SOURCE: Imperial College of Science, Technology & Medicine, Department of Chemistry, South Kensington, London, SW7 2AZ, UK

SOURCE: Chemistry--A European Journal (1998), 4(1), 137-151
CODEN: CEUJED; ISSN: 0947-6539

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cationic liposomes are rapidly proving very effective at mediating the delivery of genes to cells in vitro. Moreover, the use of cationic liposomes for gene delivery in vivo is now under consideration. In previous work, we were able to demonstrate that cationic liposomes, formulated from 3.β.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol (DC-Chol) and the neutral phospholipid, dioleoyl L-α-phosphatidylethanolamine (DOPE), were able to transfect the lungs of mice in vivo. However, it rapidly became apparent that substantial improvements in the gene delivery efficiency, by approx. two orders of magnitude, would be needed for human lung transfection to be possible. In the following paper we describe the synthesis of a range of polyamine analogs of DC-Chol, which were formulated into cationic liposomes with DOPE and evaluated for efficiency of gene delivery in vitro and in vivo in mice. We report that cationic liposomes formulated from DOPE and the novel pentamine N15-cholesterylloxycarbonyl-3,7,12-triazapentadecane-1,15-diamine (CTAP) were 100 times more efficient than DC-Chol/DOPE liposomes at gene delivery in vivo (500 times more effective than DNA alone). Therefore, we believe that CTAP/DOPE cationic liposomes should have clinical applications in human gene therapy approaches to the treatment of lung disorders as well as to other clinical conditions.

IT 165673-46-1P 200337-46-8P 200337-52-6P

200337-53-7P 200337-55-9P 200337-56-0P

200337-57-1P 204061-29-0P 204061-30-3P

204061-38-1P

RI: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polyamine analogs of 3.β.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol as cationic lipid agents for gene delivery)

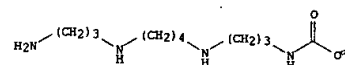
RN 165673-46-1 CAPLUS

CN Cholest-5-en-3-ol (3.β.)-, [3-[[3-[(2-aminoethyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

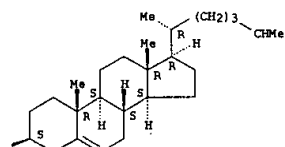
Absolute stereochemistry.

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



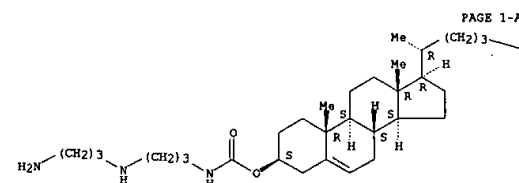
PAGE 1-B



RN 200337-46-8 CAPLUS

CN Cholest-5-en-3-ol (3.β.)-, [3-[[3-[(3-aminopropyl)amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

-CHMe2

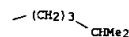
RN 200337-52-6 CAPLUS

CN Cholest-5-en-3-ol (3.β.)-, [2-[[3-[(2-aminoethyl)amino]propyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

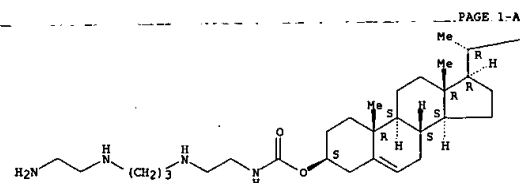
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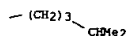
RN 200337-55-9 CAPLUS

CN Cholest-5-en-3-ol (3.β.)-, [2-[[3-[(3-aminopropyl)amino]ethyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



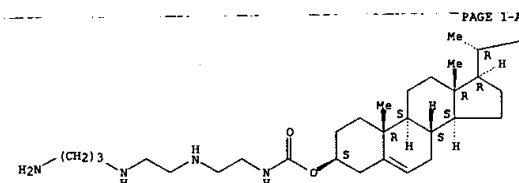
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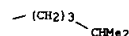
RN 200337-53-7 CAPLUS

CN Cholest-5-en-3-ol (3.β.)-, [3-[[3-[(2-aminoethyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



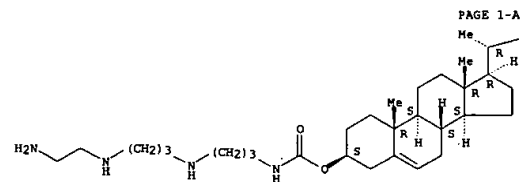
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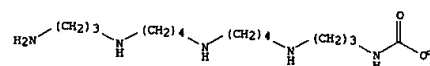
RN 200337-56-0 CAPLUS

CN Cholest-5-en-3-ol (3.β.)-, 19-amino-2,6,11,16-tetraazanonadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

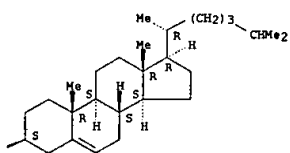


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L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

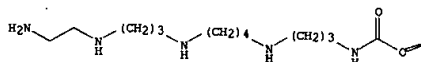
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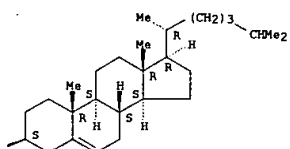
RN 200337-57-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 17-amino-2,6,11,15-tetraazaheptadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



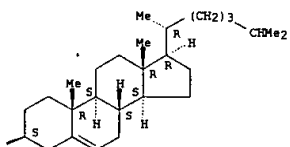
PAGE 1-B



RN 204061-29-0 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[[4-[(3-aminopropyl)amino]butyl]amino]ethyl]carbamate (9CI) (CA INDEX NAME)

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

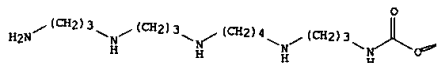
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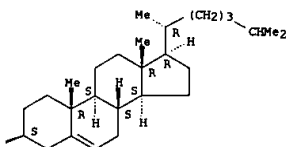
RN 204061-38-1 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, 18-amino-2,6,11,15-tetraazaoctadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



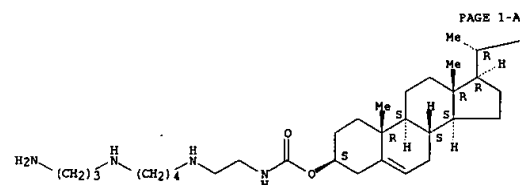
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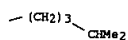
IT 173738-32-4P 200337-42-4P 200337-43-5P
200337-44-6P 200337-45-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyamine analogs of 3.beta.-[N-(N',N'-dimethylaminoethane)carbamoyl]cholesterol as cationic lipid agents for gene delivery)
RN 173738-32-4 CAPLUS

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



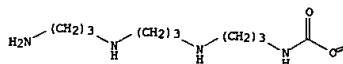
PAGE 1-B



RN 204061-30-3 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [3-[[3-[(3-aminopropyl)amino]propyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

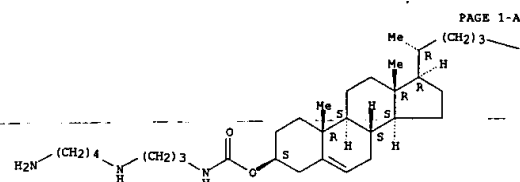
PAGE 1-A



L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN Cholest-5-en-3-ol (3.beta.)-, [3-[[4-aminobutyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

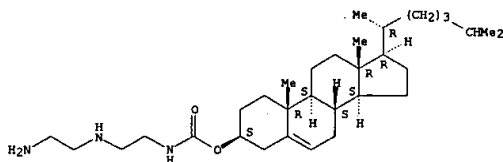


PAGE 1-B



RN 200337-42-4 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(2-aminoethyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



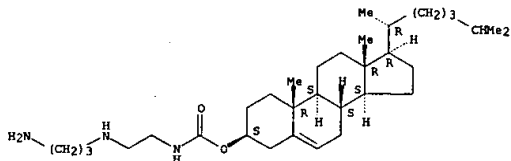
RN 200337-43-5 CAPLUS
CN Cholest-5-en-3-ol (3.beta.)-, [2-[(3-aminopropyl)amino]ethyl]carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

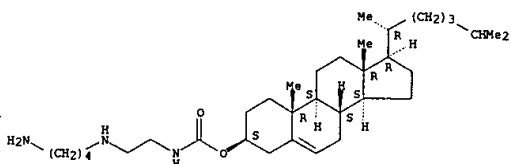
L43 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



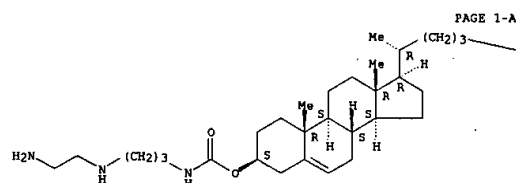
RN 200337-44-6 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [2-[(4-aminobutyl)amino]ethyl]carbamate
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 200337-45-7 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [3-[(2-aminoethyl)amino]propyl]carbamate
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L43 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS

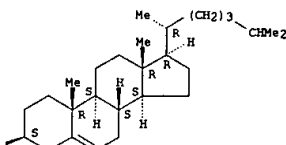
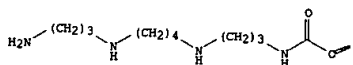
L43 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

ACCESSION NUMBER: 1998:50067 CAPLUS
 DOCUMENT NUMBER: 128:140556
 TITLE: Practical synthesis of unsymmetrical polyamine amides
 AUTHOR(S): Blagbrough, Ian S.; Geall, Andrew J.
 CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
 SOURCE: Tetrahedron Letters (1998), 39(5/6), 439-442
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Desymmetrization of readily available sym. polyamines is an important first step in the synthesis of many polyamine contg. natural products. This desymmetrization is also important in the synthesis of polyamine amides which are potentially useful for gene delivery and as neuroprotectants, based on channel blocking toxins found in certain wasp and spider venoms. The application of trifluoroacetyl as a protecting group allows unsym. polyamine amides, e.g., 1 (R = Cbz, BOC) to be easily prepd. on a gram scale.
 IT 202145-95-7P
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of unsym. polyamine amides using the trifluoroacetyl protecting group)
 RN 202145-95-7 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [[3-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate, trifluoroacetate (9CI) (CA INDEX NAME)
 CM 1
 CRN 165673-46-1
 CMF C38 H70 N4 O2

Absolute stereochemistry.

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CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:542458 CAPLUS
 DOCUMENT NUMBER: 127:225277
 TITLE: Nucleic acid transfer vector containing a pharmaceutical lipopolyamine compound
 INVENTOR(S): Bischoff, Rainer; Cordier, Yves
 PATENT ASSIGNEE(S): Transgene S.A., Fr.; Bischoff, Rainer; Cordier, Yves
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

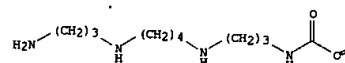
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729118	A1	19970814	WO 1997-FR225	19970205
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2744453	A1	19970808	FR 1996-1347	19960205
FR 2744453	B1	19980710		
AU 9717281	A1	19970828	AU 1997-17281	19970205
PRIORITY APPLN. INFO.:			FR 1996-1347	19960205
			WO 1997-FR225	19970205

OTHER SOURCE(S): MARPAT 127:225277
 AB A compd. of formula $\text{HRIN}-[(\text{CH}_2)_p\text{-NR}_2]_n\text{H}$, wherein R1 is hydrogen and R2 is hydrogen or, for only one of its n substituents, a deoxy residue in the 3 position of cholesterol or a mono- or polyvalent residue of a cholesterol deriv. having one or more reactive groupings, resp., said groupings being capable of reacting with a secondary amine group of a polyamine mol.; n is an integer from 2 to 6; and p is an integer from 2 to 6 and identical or different in the $[(\text{CH}_2)_p\text{-NR}_2]_n$ fragments. The compd. can be used in transfection of DNA into muscle cells.
 IT 165673-46-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic Use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (nucleic acid transfer vector contg. a pharmaceutical lipopolyamine compd.)
 RN 165673-46-1 CAPLUS
 CN Cholest-5-en-3-ol (3.beta.)-, [[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]carbamate (9CI) (CA INDEX NAME)

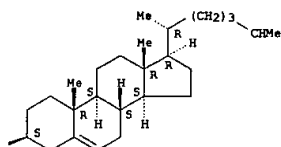
Absolute stereochemistry.

L43 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B



L43 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:344809 CAPLUS
 DOCUMENT NUMBER: 127:34478
 TITLE: Preparation glycosylated steroid oligodeoxynucleotides for transport across biological membranes
 INVENTOR(S): Kahne, Daniel E.; Kahne, Suzanne W.; Sofia, Michael J.; Hatzenbuehler, Nicole T.
 PATENT ASSIGNEE(S): Princeton University, USA; Transcell Technologies, Inc.
 SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 230,685.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

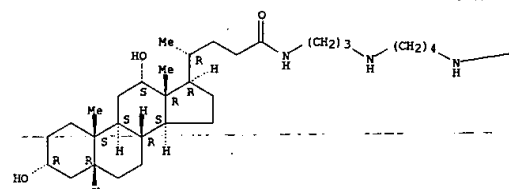
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627270	A	19970506	US 1994-264488	19940623
US 5338837	A	19940816	US 1991-806985	19911213
US 5671795	A	19961105	US 1992-989667	19921214
US 5693769	A	19971202	US 1994-230685	19940420
US 5795870	A	19980818	US 1994-336675	19941107
WO 9529186	A1	19951102	WO 1995-US4806	19950420
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2188320	AA	19951102	CA 1995-2188320	19950420
AU 9523582	A1	19951116	AU 1995-23582	19950420
AU 687557	B2	19980226		
EP 756601	A1	19970205	EP 1995-917587	19950420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512270	T2	19971209	JP 1995-527736	19950420
US 5780444	A	19980714	US 1995-425118	19950420
PRIORITY APPLN. INFO.:			US 1991-806985	19911213
			US 1992-989667	19921214
			US 1994-230685	19940420
			US 1994-264488	19940623
			US 1994-336675	19941107
			WO 1995-US4806	19950420

OTHER SOURCE(S): MARPAT 127:34478
 AB Novel glycosylated steroids I (X = H, O, OH, glycosyloxy; Y = carboxyl, ether, CONH2, amide, thio ester; R, R1 = oligodeoxynucleotide; R2, R3 = H, OH, glycosyloxy) were prepd. using activated glycosyl sulfonide intermediates for bactericidal activity study and facilitating the transport of compds. across biol. membranes, either in admixt. or as conjugates. Methods for the permeabilization of membranes and the enhancement of the activity of predest. compds. are also provided.
 IT 174068-84-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. glycosylated steroid oligodeoxynucleotides for transport across biol. membranes)
 RN 174068-84-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

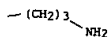
L43 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

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L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:768 CAPLUS
 DOCUMENT NUMBER: 126:75140
 TITLE: Preparation of sterol polyamine conjugates with antimicrobial activity
 INVENTOR(S): Regen, Steven L.
 PATENT ASSIGNEE(S): Lehigh University, USA
 SOURCE: U.S., 8 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5583239	A	19961210	US 1995-452846	19950530
WO 9638464	A1	19961205	WO 1996-US4851	19960410

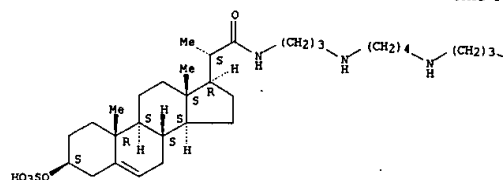
W: CA, JP
 RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 US 5834453 A 19981110 US 1997-877618 19970617
 PRIORITY APPLN. INFO.: US 1995-452846 19950530
 US 1996-711161 19960909

OTHER SOURCE(S): MARPAT 126:75140
 AB Comps. of formulas I and II [Y = NH(CH₂)₄NH₂, NH(CH₂)₃NH(CH₂)₄NH(CH₂)₃NH₂, NH(CH₂)₂NH(CH₂)₂NH(CH₂)₂NH₂; R₁, R₂, R₃, R₄ = H, OH, OSO₃H] are prep. as antibiotics. Thus, spermine was added to deoxycholic acid N-hydroxysuccinimidyl ester to give [I; Y = NH(CH₂)₃NH(CH₂)₄NH(CH₂)₃NH₂, R₁ = R₂ = .alpha.-OH, R₃ = R₄ = H] (III). III exhibited potent antimicrobial activity against a broad spectrum of microorganisms.
 IT 165336-10-7P 174068-84-9P 174068-99-6P
 185307-17-9P 185307-23-7P 185307-24-8P
 185307-25-9P 185307-26-0P 185307-28-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of sterol polyamine conjugates with antimicrobial activity)
 RN 165336-10-7 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(sulfoxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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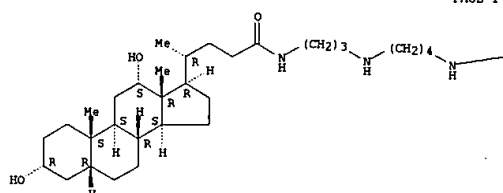


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NH₂
 RN 174068-84-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

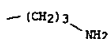
Absolute stereochemistry.

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L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

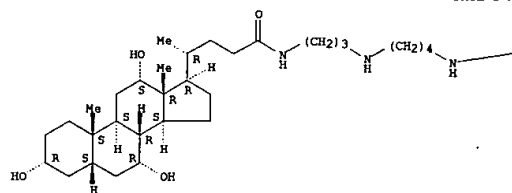
PAGE 1-B



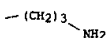
RN 174068-99-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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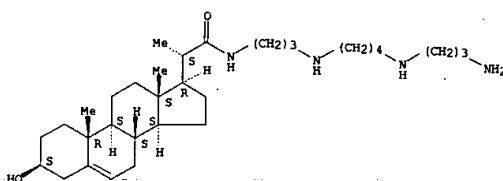
PAGE 1-B



RN 185307-17-9 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

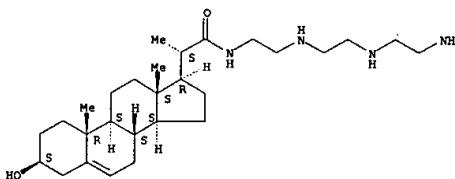
Absolute stereochemistry.

L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 185307-23-7 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3-hydroxy-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

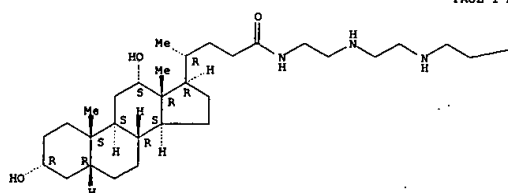
Absolute stereochemistry.



RN 185307-24-8 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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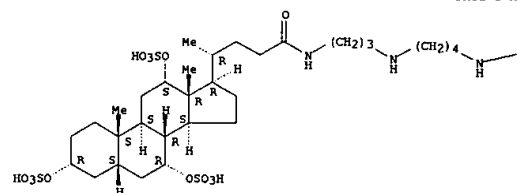
L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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—NH₂

RN 185307-25-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-tris(sulfooxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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(CH₂)₃—NH₂

RN 185307-26-0 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:998309 CAPLUS
 DOCUMENT NUMBER: 124:195954
 TITLE: Polyhydroxylated and polyglycosylated steroid derivatives and their use in enhancement of cell transformation
 INVENTOR(S): Kahne, Suzanne Walker; Kahne, Daniel E.
 PATENT ASSIGNEE(S): Trustees of Princeton University, USA
 SOURCE: PCT Int. Appl., 109 pp.
 CODEN: FIXXD2
 Patent:
 DOCUMENT TYPE:
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT-NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9529186	A1	19951102	WO 1995-US4806	19950420
V: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5693769	A	19971202	US 1994-230685	19940420
US 5627270	A	19970506	US 1994-264488	19940623
US 5795870	A	19980818	US 1994-336675	19941107
AU 9523582	A1	19951116	AU 1995-23582	19950420
AU 687557	B2	19980226		
EP 756601	A1	19970205	EP 1995-917587	19950420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512270	T2	19971209	JP 1995-527736	19950420
PRIORITY APPLN. INFO.:			US 1994-230685	19940420
			US 1994-264488	19940623
			US 1994-336675	19941107
			US 1991-806985	19911213
			US 1992-989667	19921214
			WO 1995-US4806	19950420

OTHER SOURCE(S):

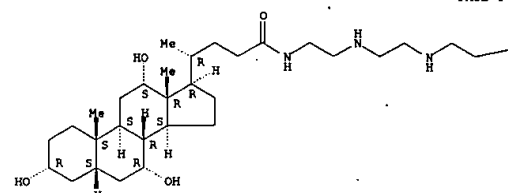
MARPAT 124:195954

AB The present invention relates to methods and compns. for the transformation of cells. In particular, compns. and methods are disclosed which include combinations of the nucleic acid of interest and polyhydroxylated or polyglycosylated steroid mols. I [R1=H, OH, OR5, NH2, NHR6, NR6R7], R2, R3=H, OH, OR5; R4=CONH2, CONHR6, CONR6R7, CH2NH 2, CH2NHR6, CH2NR6R7, CO2YNH2, CO2YNHR6, CO2YNR6R7; R5=(protected)glycosyl contg. 1-10 monosaccharide units; R6, R7=C1-15-hydrocarbon contg. .gtoreq.1 (substituted) amino groups; Y=(branched)C1-10-alkylene group; n=0-10]. Most preferably, exogenous or endogenous nucleic acid is contacted with the cell in the presence of a bile acid (e.g., cholic acid) derivatized with an amine-contg. side chain. Polyamine derivs. of cholic acid, deoxycholic acid, chenodeoxycholic acid, lithocholic acid, and various mono- and bis-glycosylated derivs. thereof were synthesized. Cholic acid or bis-glucosyl cholic acid derivs. contg. a spermidine side chain, when mixed with dioleoyl phosphatidylethanolamine and DNA, promoted transfection at frequencies that approached and in some cases exceeded that obsd. for lipofectin and cationic/neutral lipid mixts.

IT 174068-84-9P 174068-86-1P 174068-92-9P
 174068-98-5P 174068-99-6P 174069-03-5P
 174069-11-5P 174069-13-7P 174069-14-8P
 174069-15-9P 174069-16-0P 174069-17-1P

L43 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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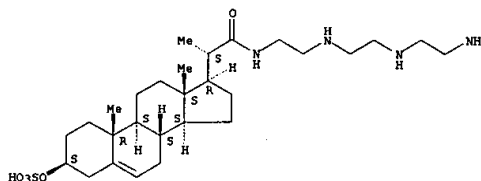


PAGE 1-B

—NH₂

RN 185307-28-2 CAPLUS
 CN Pregn-5-ene-20-carboxamide, N-[2-[[2-[(2-aminoethyl)amino]ethyl]amino]ethyl] 1]-3-(sulfooxy)-, (3.beta.,20S)- (9CI) (CA INDEX NAME)

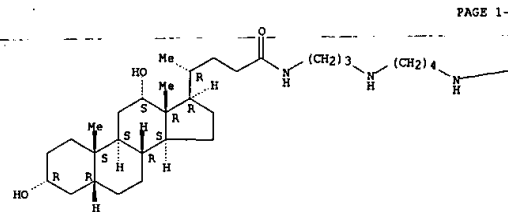
Absolute stereochemistry.



L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

174069-19-3P 174069-21-7P 174180-25-7P
 AL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (polyhydroxylated and polyglycosylated steroid derivs. and their use in enhancement of cell transformation)
 RN 174068-84-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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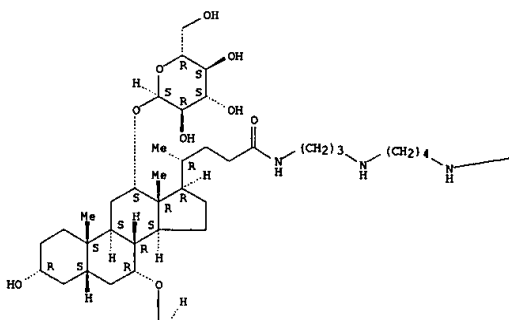
(CH₂)₃—NH₂

RN 174068-86-1 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

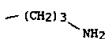
Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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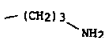


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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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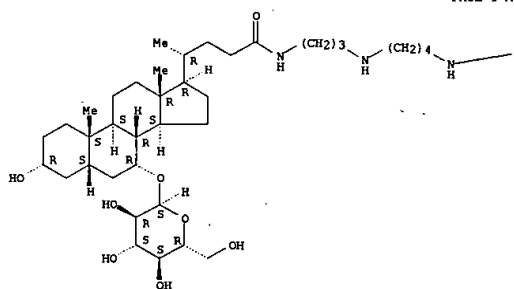


● 3 HCl

RN 174068-98-5 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7-
 (.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride,
 (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

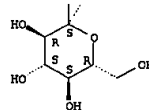
Absolute stereochemistry.

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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

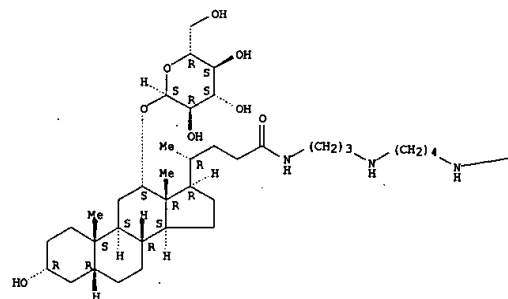
PAGE 2-A



RN 174068-92-9 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-12-
 (.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride,
 (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

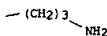
Absolute stereochemistry.

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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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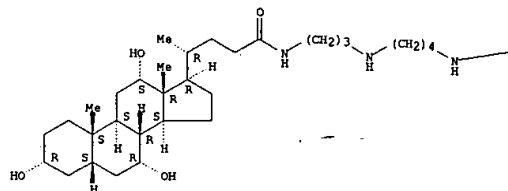


● 3 HCl

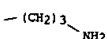
RN 174068-99-6 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7,12-
 trihydroxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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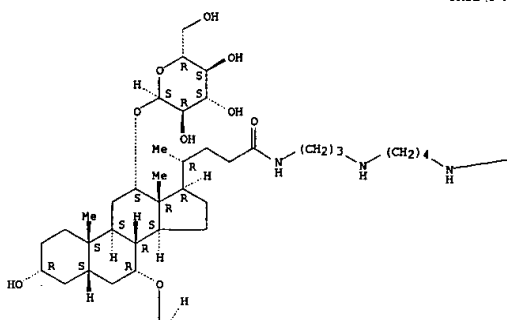


RN 174069-03-5 CAPLUS
 CN Cholan-24-amide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-
 bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

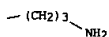
Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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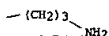


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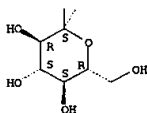


L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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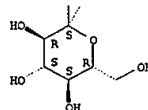
● 3 HCl

RN 174069-13-7 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-(2-aminoethyl)amino]ethyl]amino]ethyl]amino]ethyl]-3,7-dihydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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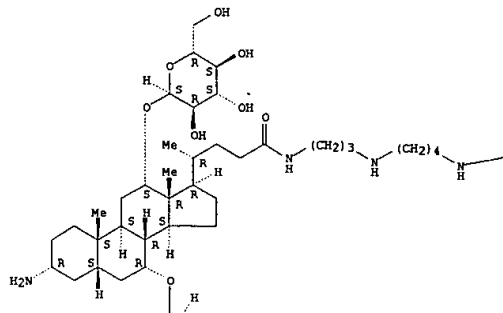


● 3 HCl

RN 174069-11-5 CAPLUS
 CN Cholan-24-amide, 3-amino-N-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

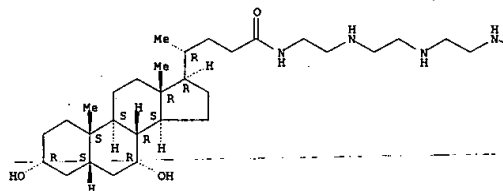
Absolute stereochemistry.

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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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● 4 HCl

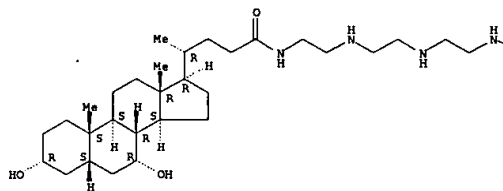
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RN 174069-14-8 CAPLUS
 CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7-dihydroxy]-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

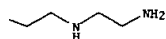
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● 3 HCl

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

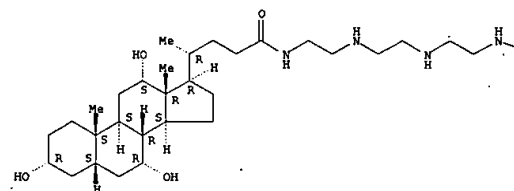
PAGE 1-B



RN 174069-15-9 CAPLUS
 CN Cholan-24-amide, N-[2-[[2-[[2-((2-aminoethyl)amino)ethyl]amino]ethyl]amino]ethyl]-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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● 5 HCl

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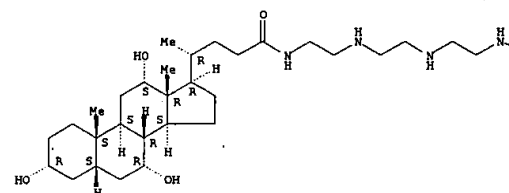


RN 174069-16-0 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,7,12-trihydroxy-, pentahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

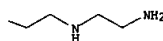
L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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● 5 HCl

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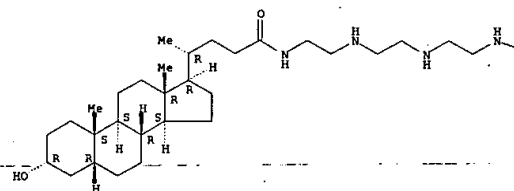


RN 174069-17-1 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-, pentahydrochloride, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

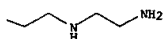
L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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● 5 HCl

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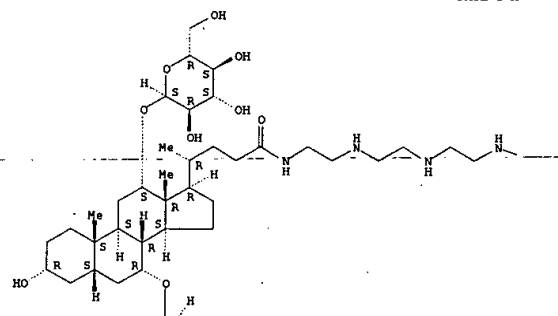


RN 174069-19-3 CAPLUS
 CN Cholan-24-amide, N-(14-amino-3,6,9,12-tetraazatetradec-1-yl)-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, tetrahydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

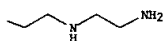
Absolute stereochemistry.

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

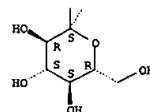
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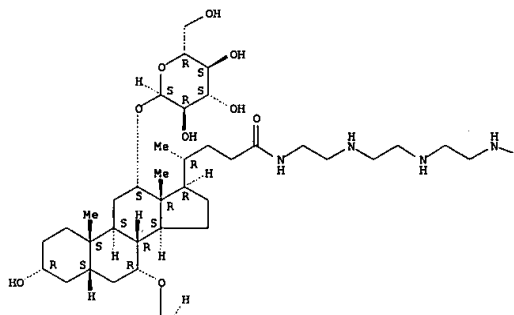
● 4 HCl

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 174069-21-7 CAPLUS
 CN Cholan-24-amide, N-[2-[[[2-[[[2-((2-aminoethyl)amino)ethyl]amino]ethyl]amino]ethyl]-7,12-bis(.alpha.-D-glucopyranosyloxy)-3-hydroxy-, trihydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

CRN 76-05-1
 CMF C2 H F3 O2



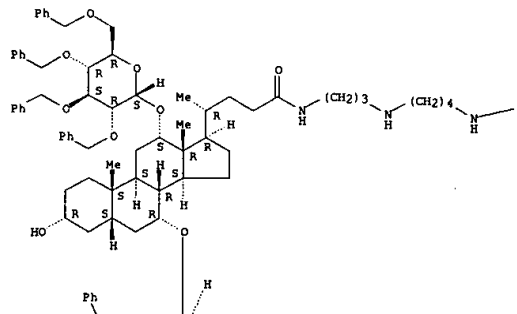
IT 174069-02-4P 174069-04-6P 174069-06-8P
 174069-09-1P 174069-10-4P 174069-18-2P
 174069-20-6P

RLi: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (polyhydroxylated and polyglycosylated steroid derivs. and their use in enhancement of cell transformation)

RN 174069-02-4 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyloxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

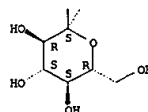
Absolute stereochemistry.

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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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●3 HCl

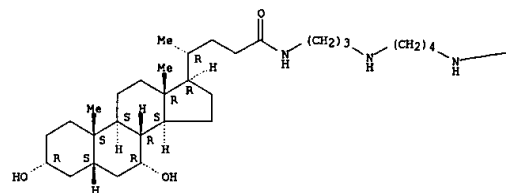
RN 174180-25-7 CAPLUS
 CN Cholan-24-amide, N-[3-[[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,7-dihydroxy-, (3.alpha.,5.beta.,7.alpha.)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

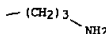
CRN 174180-24-6
 CMF C34 H64 N4 O3

Absolute stereochemistry.

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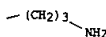
PAGE 1-B



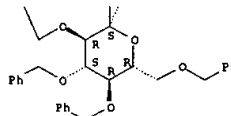
CM 2

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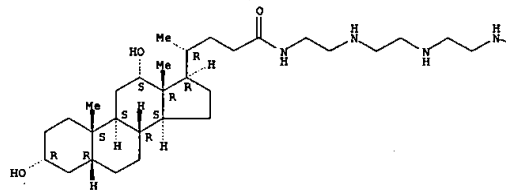
PAGE 2-A



RN 174069-04-6 CAPLUS
 CN Cholan-24-amide, N-[2-[[[2-[[[2-((2-aminoethyl)amino)ethyl]amino]ethyl]amino]ethyl]-3,12-dihydroxy-, trihydrochloride, (3.alpha.,5.beta.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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●3 HCl

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RN 174069-06-8 CAPLUS
 CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3,12-dihydroxy-, (3.alpha.,5.beta.,12.alpha.)-, monoacetate (salt) dihydrochloride (9CI) (CA INDEX NAME)]

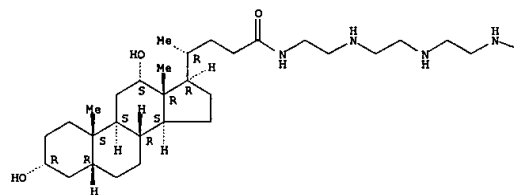
CM 1

CRN 174069-05-7

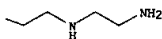
CMF C34 H66 N6 O3

Absolute stereochemistry.

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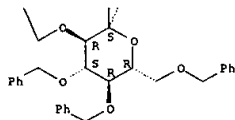
CM 2

CRN 64-19-7

CMF C2 H4 O2

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

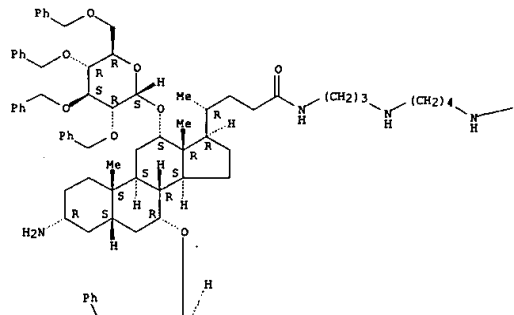
PAGE 2-A



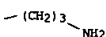
RN 174069-10-4 CAPLUS
 CN Cholan-24-amide, 3-amino-N-[[4-[(3-aminopropyl)amino]butyl]amino]propyl-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

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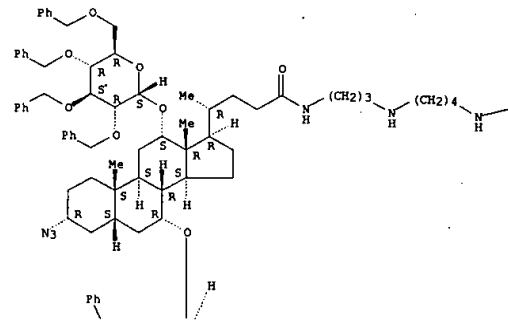
L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



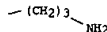
RN 174069-09-1 CAPLUS
 CN Cholan-24-amide, N-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-azido-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

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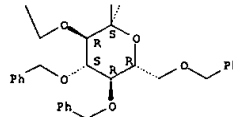


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L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

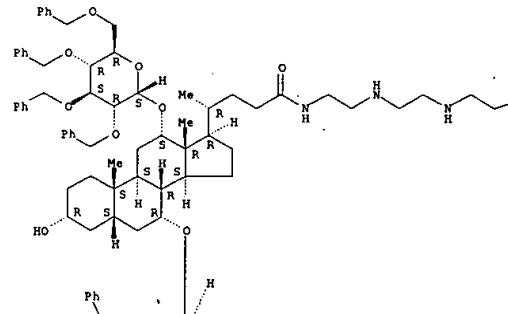
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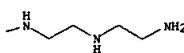
RN 174069-18-2 CAPLUS
 CN Cholan-24-amide, N-[(14-amino-3,6,9,12-tetraazatetradec-1-yl)-3-hydroxy-7,12-bis[[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

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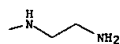
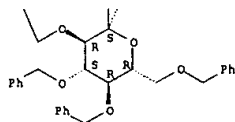


L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

L43 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

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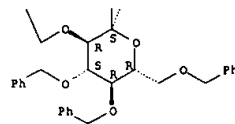
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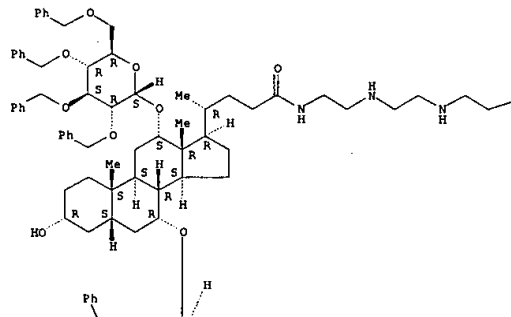
RN 174069-20-6 CAPLUS
CN Cholan-24-amide, N-[2-([2-([2-((2-aminoethyl)amino)ethyl]amino)ethyl]amino)ethyl]-3-hydroxy-7,12-bis([2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]oxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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=> dhis

DHIS IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d his

(FILE 'HOME' ENTERED AT 10:55:22 ON 01 MAY 2003)

FILE 'REGISTRY' ENTERED AT 10:55:29 ON 01 MAY 2003

L1 1 S PSORALEN/CN
L2 1 S SPERMIDINE/CN
L3 1 S SPERMINE/CN
L4 2 S POLYLYSINE/CN
L5 2 S LYSINE/CN
L6 0 S PROTAMINE/CN
L7 383 S PROTAMINE
L8 STRUCTURE UPLOADED
L9 0 S L8
L10 9 S L8 FULL

FILE 'CAPLUS' ENTERED AT 11:01:34 ON 01 MAY 2003

L11 3 S L10

FILE 'USPATFULL' ENTERED AT 11:03:39 ON 01 MAY 2003

L12 0 S L10

FILE 'MARPAT' ENTERED AT 11:03:47 ON 01 MAY 2003

L13 0 S L10

L14 0 S L10 FULL

FILE 'BEILSTEIN' ENTERED AT 11:04:00 ON 01 MAY 2003

L15 0 S L8

L16 0 S L8 FULL

FILE 'CAOLD' ENTERED AT 11:04:23 ON 01 MAY 2003

L17 0 S L10

FILE 'REGISTRY' ENTERED AT 11:06:06 ON 01 MAY 2003

L18 STRUCTURE UPLOADED

L19 44 S L18

L20 STRUCTURE UPLOADED

L21 0 S L20

L22 4 S L20 FULL

FILE 'CAPLUS' ENTERED AT 11:09:59 ON 01 MAY 2003

L23 5 S L22

FILE 'REGISTRY' ENTERED AT 11:11:47 ON 01 MAY 2003

L24 STRUCTURE UPLOADED

L25 0 S L24 FULL

FILE 'BEILSTEIN' ENTERED AT 11:12:24 ON 01 MAY 2003

L26 0 S L24 FULL

FILE 'REGISTRY' ENTERED AT 11:13:52 ON 01 MAY 2003

L27 STRUCTURE UPLOADED
L28 851 S L27 FULL
L29 681 S L28 AND 4-5/NR
L30 STRUCTURE UPLOADED
L31 0 S L30 FULL SUB=L28
L32 STRUCTURE UPLOADED
L33 5 S L32 FULL SUB=L28

FILE 'CAPLUS' ENTERED AT 11:18:03 ON 01 MAY 2003
L34 2 S L33

FILE 'REGISTRY' ENTERED AT 11:19:30 ON 01 MAY 2003
L35 STRUCTURE UPLOADED
L36 11 S L35
L37 502 S L35 FULL

FILE 'CAPLUS' ENTERED AT 11:20:34 ON 01 MAY 2003
L38 233 S L37
L39 37 S L38 NOT PY>=1998

FILE 'USPATFULL' ENTERED AT 11:26:28 ON 01 MAY 2003
L40 110 S L37
L41 40 S L40 NOT PY>=1999

FILE 'CAPLUS' ENTERED AT 11:34:32 ON 01 MAY 2003
L42 65 S L38 NOT PY>=1999
L43 28 S L42 NOT L39

(FILE 'HOME' ENTERED AT 12:48:35 ON 10 NOV 2003)

FILE 'REGISTRY' ENTERED AT 12:49:11 ON 10 NOV 2003

L1 1 S URETHANE/CN

FILE 'REGISTRY' ENTERED AT 12:58:20 ON 10 NOV 2003

L2 STRUCTURE UPLOADED

L3 1 S PSORALEN/CN

L4 18 S L2

L5 416 S L2 FULL

L6 407 S L5/COM

L7 0 S C39H56FN3O15/MF

L8 0 S C39H56FN3O15

L9 0 S C39 H56 F N3 O15

L10 6 S L6 AND 39/C

L11 1 S L10 AND 15/O

L12 7 S L6 AND 43/C

L13 1 S L12 AND 12/O

L14 6 S L6 AND 72/C

L15 5 S L14 AND 8/O

L16 4 S L15 AND 2/N

L17 12 S L11 OR L12 OR L16

L18 6 S L11 OR L13 OR L16

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L19 0 S L18

FILE 'CAPLUS' ENTERED AT 14:00:49 ON 10 NOV 2003

L20 3 S L18

FILE 'MARPAT' ENTERED AT 14:03:56 ON 10 NOV 2003

FILE 'CAPLUS' ENTERED AT 14:05:59 ON 10 NOV 2003

L21 182 S L6

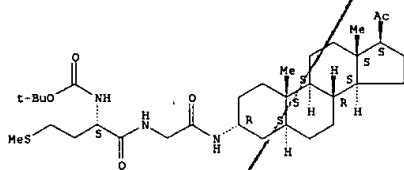
L22 66 S L21 NOT PY>=1997

L12 ANSWER 1 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:556104 CAPLUS
DOCUMENT NUMBER: 137:109489
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933708	20010822
PRIORITY APPLN. INFO.:			US 2000-247556P	P 20001114
			US 2000-247558P	P 20001114
			US 2000-247559P	P 20001114
			US 2000-247560P	P 20001114
			US 2000-247561P	P 20001114
			US 2000-247594P	P 20001114
			US 2000-247595P	P 20001114
			US 2000-247606P	P 20001114
			US 2000-247607P	P 20001114
			US 2000-247608P	P 20001114
			US 2000-247609P	P 20001114
			US 2000-247610P	P 20001114
			US 2000-247611P	P 20001114
			US 2000-247612P	P 20001114
			US 2000-247620P	P 20001114
			US 2000-247621P	P 20001114
			US 2000-247634P	P 20001114
			US 2000-247635P	P 20001114
			US 2000-247698P	P 20001114
			US 2000-247699P	P 20001114
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			US 2000-247701P	P 20001114
			US 2000-247702P	P 20001114
			US 2000-247797P	P 20001114
			US 2000-247798P	P 20001114
			US 2000-247799P	P 20001114
			US 2000-247800P	P 20001114
			US 2000-247801P	P 20001114
			US 2000-247802P	P 20001114
			US 2000-247803P	P 20001114
			US 2000-247804P	P 20001114
			US 2000-247805P	P 20001114
			US 2000-247807P	P 20001114
			US 2000-247832P	P 20001114
			US 2000-247833P	P 20001114
			US 2000-247926P	P 20001114
			US 2000-247927P	P 20001114
			US 2000-247928P	P 20001114
			US 2000-247929P	P 20001114

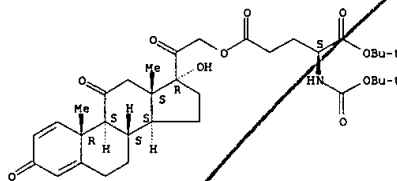
L12 ANSWER 2 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:552650 CAPLUS
DOCUMENT NUMBER: 137:311080
TITLE: Synthesis of methionyl-glycyl-funtumin by the anhydride method
AUTHOR(S): Do, Hong Quang; Dao, Kim Chi
CORPORATE SOURCE: The Hanoi Pharmaceutical University, Vietnam
SOURCE: Tap Chi Duoc Hoc (2002), (3), 6-8
CODEN: TCDDHJ; ISSN: 0258-6967
PUBLISHER: Bo Y Te Xuat Ban
DOCUMENT TYPE: Journal
LANGUAGE: Vietnamese
AB Methionyl-glycyl-funtumin (I) was synthesized using a mixed anhydride method with the hope of attaining a more active immunostimulant (no biol. testing data presented). The structure of I was detd. by IR, 1HMR, and 13CNMR spectra.
IT 469904-09-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
RN 469904-09-4 CAPLUS
CN Carbanic acid, [(1S)-3-(methylthio)-1-[[[(2-oxo-2-[[[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]amino]ethyl]amino]carbonyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)]

Absolute stereochemistry.



L12 ANSWER 1 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)
US 2000-247930P P 20001114
AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBu)NCA and cephalixin hydrochloride.
IT 420824-79-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(compns. comprising a polypeptide and an active agent)
RN 420824-79-9 CAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-[(1,1-dimethylethyl) 5-(17-hydroxy-3,11,20-trioxopregna-1,4-dien-21-yl) ester (9CI) (CA INDEX NAME)]

Absolute stereochemistry.



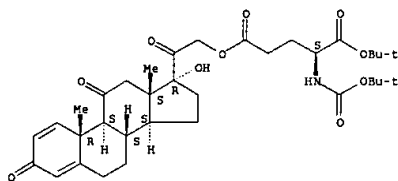
L12 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:332011 CAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXJ2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
PRIORITY APPLN. INFO.:			US 2000-642820	A 20000822
			WO 2001-US26142	W 20010822
			US 2000-642820	A 20000822
			WO 2001-US26142	W 20010822

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBu)NCA and cephalixin hydrochloride.
IT 420824-79-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(compns. comprising a polypeptide and an active agent)
RN 420824-79-9 CAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-[(1,1-dimethylethyl) 5-(17-hydroxy-3,11,20-trioxopregna-1,4-dien-21-yl) ester (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

L12 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:10498 CAPLUS

DOCUMENT NUMBER: 136:53939

TITLE: Preparation of 9-chloro-6-fluoro-11,17-dihydroxy-16-methyl-3-oxo-androsta-1,4-dien-17-carboxylic acid-Me ester derivatives as anti-inflammatory agents

INVENTOR(S): Cuenoud, Bernard; Beattie, David; Keller, Thomas Hugo; Pilgrim, Gaynor Elizabeth; Sandham, David Andrew; Watson, Simon James

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erdingen

SOURCE: Verwaltungsgesellschaft m.b.H.

PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000679	A2	20020103	WO 2001-EP7249	20010626
WO 2002000679	A3	20020329		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001083891 A5 20020108 AU 2001-83891 20010626

PRIORITY APPLN. INFO.: GB 2000-15876 A 20000628

WO 2001-EP7249 W 20010626

OTHER SOURCE(S): MARPAT 136:53939

AB 9-Chloro-6-fluoro-11,17-dihydroxy-16-methyl-3-oxo-androsta-1,4-diene-17-carboxylic acid-Me ester derivs., such as I (R1 = monovalent cyclic org. group having from 3 to 15 atoms in the ring system; C3-C6-cycloalkyl; partially satd. heterocyclic group of which one or more ring hetero atoms selected from N, O, S; Ph or naphthyl optionally substituted by one or more substituents; R2 = Me) were prepd. for their use as anti-inflammatory agents. Thus, 9,11-epoxyandrosta-1,4-dien-17-carboxylic acid, on reaction with 3-methylthiophene-2-carbonyl chloride, yielded an ester II (R1 = 3-methylthiophene-2-carbonyl, R2 = H) which was methylated and subsequently hydrochlorinated to afford I (R1 = 3-methylthienyl; R2 = Me (III)). III (3mg/kg) showed 90% redn. in eosinophil count compared to vehicle control.

IT 382631-34-7P 382631-35-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

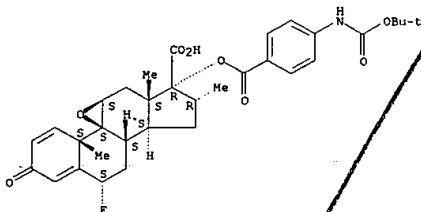
(prepn. of 9-chloro-6-fluoro-11,17-dihydroxy-16-methyl-androsta-1,4-diene-17-carboxylic acid-Me ester derivs. as anti-inflammatory agents)

RN 382631-34-7 CAPLUS

CN Androsta-1,4-diene-17-carboxylic acid, 17-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]benzoyl]oxy]-9,11-epoxy-6-fluoro-16-methyl-3-oxo-, (6.alpha.,9.beta.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

L12 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.

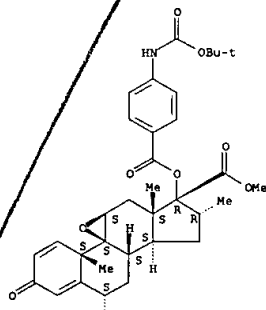


RN 382631-35-8 CAPLUS

CN Androsta-1,4-diene-17-carboxylic acid, 17-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]benzoyl]oxy]-9,11-epoxy-6-fluoro-16-methyl-3-oxo-, (6.alpha.,9.beta.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L12 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

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L12 ANSWER 5 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:909867 CAPLUS

DOCUMENT NUMBER: 136:364762

TITLE: Selective enhancement of gene transfer by steroid-mediated gene delivery

AUTHOR(S): Rebuffat, Alexandre; Bernasconi, Alessio; Ceppi, Maurizio; Wehrli, Hans; Verca, Stefano Brenz; Ibrahim, Merdol; Frey, Brigitte M.; Frey, Felix J.; Rusconi, Sandro

CORPORATE SOURCE: Division of Nephrology, Inselspital, Bern, Switzerland

SOURCE: Nature Biotechnology (2001), 19(12), 1155-1161

CODEN: NABIF9; ISSN: 1087-0156

PUBLISHER: Nature America Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The incorporation of transgenes into the host cells' nuclei is problematic using conventional nonviral gene delivery technologies. Here we describe a strategy called steroid-mediated gene delivery (SMGD), which uses steroid receptors as shuttles to facilitate the uptake of transfected DNA into the nucleus. We use glucocorticoid receptors (GRs) as a model system with which to test the principle of SMGD. To this end, we synthesized and tested several bifunctional steroid derivs., finally focusing on a compd. named DR9NP, consisting of a dexamethasone backbone linked to a psoralen moiety using a nine-atom chem. spacer. DR9NP binds to the GR in either its free or DNA-crosslinked form, inducing the translocation of the GR to the nucleus. The expression of transfected DR9NP-decorated reporter plasmids is enhanced in dividing cells: expression of steroid-decorated reporter plasmids depends on the presence of the GR, is independent of the transactivation potential of the GR, and correlates with enhanced nuclear accumulation of the transgene in GR-pos. cells. The SMGD effect is also obsd. in cells naturally expressing GRs and is significantly increased in nondividing cell cultures. We propose that getting of transgenes in nonviral somatic gene transfer.

IT 423119-97-5

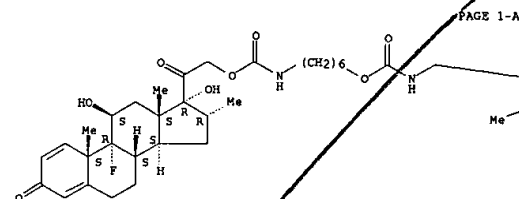
RL: BSU (Biological study, unclassified); BIOL (Biological study) (Selective enhancement of gene transfer by steroid-mediated gene delivery)

RN 423119-97-5 CAPLUS

CN Carbanic acid, [(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-yl)methyl]-, 6-[[[[(11.beta.,16.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-yl]oxy]carbonyl]amino]hexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 5 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:864501 CAPLUS

DOCUMENT NUMBER: 136:216923

TITLE: Coupling of biologically active steroids to conjugating arms through ether linkages for use in immunochemistry

AUTHOR(S): Kohl, Michel J.; Lejeune, Robert G. Institut de Pharmacie, Service de Chimie Analytique, Universite de Liege, Liege, B-4000, Belg.

CORPORATE SOURCE: Steroids (2002), 67(1), 71-75

SOURCE: Steroids (2002), 67(1), 71-75

CODEN: STEDAM; ISSN: 0039-128X

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Conjugation of haptens through ether linkages avoids leakage problems in immunoassays, but this procedure is not easily applied to most steroids that bear low reacting hydroxyls. A new technique allowing the ether coupling of biol. active steroids with conjugating arms in mild conditions compatible with thermosensitive protecting groups is presented. In the first step, the solvent (an arom. hydrocarbon) was dehydrated by azeotropic distn. in a soxhlet app. using a cartridge filled with 0.3 nm and 0.4 nm mol. sieves. In this protected medium, a thallium steroid alkoxide was completely formed by reaction of the steroid with thallium ethoxide and by the continuous elimination of ethanol. The halogenated chain was then introduced into the same medium and reacted in the absence of moisture to give the ether. 17.beta.-Hydroxy and 11.alpha.-hydroxy derivs. were involved in this reaction. The coupling was effective for all of the compds. tested after 2-36 h of reaction time and at temps. between 80 and 140.degree.C. The conjugates were at least 95% pure, and yields ranged from 15 to 95%.

IT 401917-67-7F

RL: SPN (Synthetic preparation); PREP (Preparation) (etherification of biol. active hydroxy steroids with conjugating for possible use in immunochem.)

RN 401917-67-7 CAPLUS

CN Carbanic acid, [3-[[[(11.alpha.)-3,20-dioxopregna-4-en-11-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:615179 CAPLUS

DOCUMENT NUMBER: 136:20184

TITLE: Synthesis of a dexamethasone-21-maleimido-linked derivative as a potential molecule for specific gene delivery

AUTHOR(S): Bernasconi, A.; Rebuffat, A.; Bigler, P.; Frey, F. J.; Frey, B. M.

CORPORATE SOURCE: Department of Clinical Research, Division of Nephrology and Hypertension, University of Berne, Inselspital, Bern, Switz.

SOURCE: Tetrahedron Letters (2001), 42(37), 6511-6513

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:20184

AB The synthesis of the dexamethasone-21-maleimido-linked deriv. (I) is described for the first time. The two principal steps of this synthesis are (1) the formation of a stable urethane and (2) the introduction of a reactive maleimido group via a linker to get I. This novel compd. I is designed to examine the interaction of the steroid with other relevant mol. or functional groups, via the formation of conjugates. The structure of I was proven by NMR, taking advantage of a newly developed method (HMSC).

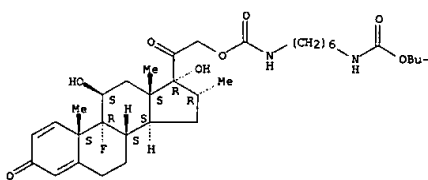
IT 378795-33-6F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Synthesis of a dexamethasone-21-maleimido-linked deriv.)

RN 378795-33-6 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 21-[[[6-[[[(1,1-dimethylethoxy)carbonyl]amino]hexyl]amino]carbonyl]oxy]-9-fluoro-11,17-dihydroxy-16-methyl-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

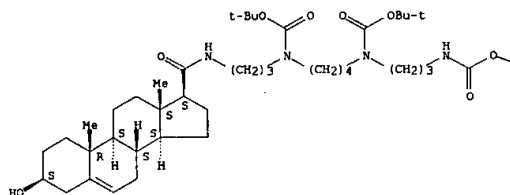
L12 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:592935 CAPLUS
 DOCUMENT NUMBER: 135:331574
 TITLE: A new bio-compatible pH cleavable linker for solid-phase synthesis of a squalamine analogue
 AUTHOR(S): Chitkul, B.; Atrash, B.; Bradley, M.
 CORPORATE SOURCE: Department of Chemistry, University of Southampton, Southampton, SO17 1BJ, UK
 SOURCE: Tetrahedron Letters (2001), 42(35), 6211-6214
 CODEN: TETLEY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:331574
 AB Linkers that can be cleaved directly within the biol. assay offer some advantages over traditional linkers in the range of direct screening applications that the assoc. libraries can be utilized for. The 1,6-elimination process is an efficient method of cleaving compds. from substituted 4-hydroxymethyl phenols, although giving rise to quinone methide byproducts. Here, we report on a linker that uses an in-built amine 'activator' to cleave a phenoxycy ester and hence to activate the linker to 1,6-elimination. An analog of the antibacterial agent squalamine was synthesized and released using this linker strategy.
 IT 369366-17-6DP, resin-supported
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (solid-phase synthesis of a squalamine analog via a pH cleavable linker system)
 RN 369366-17-6 CAPLUS
 CN 2,6,11,15-tetraazahexadecanoic acid, 6,11-bis[(1,1-dimethylethoxy)carbonyl]-16-[(3.beta.,17.beta.)-3-hydroxyandrost-5-en-17-yl]-16-oxo-, [4-(acetyloxy)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

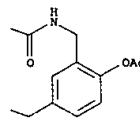
PAGE 1-A

t-BuO-



L12 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B



REFERENCE COUNT:

21

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2003 ACS

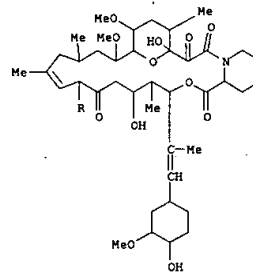
ACCESSION NUMBER: 2000:850022 CAPLUS
 DOCUMENT NUMBER: 135:147811
 TITLE: Yeast three-hybrid system for detecting ligand-receptor interactions
 AUTHOR(S): Griffith, Eric C.; Licitra, Edward J.; Liu, Jun O.
 CORPORATE SOURCE: Center for Cancer Research, Departments of Biology and Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
 SOURCE: Methods in Enzymology (2000), 328(Applications of Chimeric Genes and Hybrid Proteins, Pt. C), 89-103
 CODEN: MENZAU; ISSN: 0076-6879
 PUBLISHER: Academic Press
 DOCUMENT TYPE: Journal: General Review
 LANGUAGE: English

AB A review with 23 refs. Classical biochem. approaches for detecting ligand-protein receptor interactions have been used in drug discovery, identification of proteins that are drug targets, receptor discovery, structure-function studies, and studies of cellular processes and regulation. However, the classical biochem. approaches for studying ligand-protein interactions have some limitations, including a lack of information about interactions in vivo. The yeast three-hybrid system now provides a general and rapid method for detecting ligand-protein interactions in yeast cells. The three-hybrid system consists of two pairs of ligand-receptor interactions in which the first ligand-receptor pair is a known high-affinity interaction and the second ligand-receptor pair is a known high-affinity interaction and the second ligand contains one unknown component, either the ligand or the receptor. The ligands or "baits" are joined to make a hybrid mol. for identification of new receptors for an "orphan" ligand. The authors provide a practical guide to the yeast three-hybrid system by using the interaction between the immunosuppressive drug FK506 and its binding protein FKBP12 as an example. In this example, the known ligand-receptor pair is dexamethasone and glucocorticoid receptor and a dexamethasone-FK506 hybrid ligand must be synthesized and tested for feasibility. Other applications of the three-hybrid system are in identifying small mols. or peptides which block ligand-protein interactions and in optimizing a potential lead compd. (c) 2000 Academic Press.

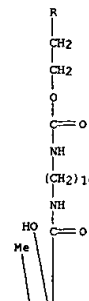
IT 199191-60-1P
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (use of yeast three-hybrid system to detect FK506-FKBP12 ligand-receptor interaction)
 RN 199191-60-1 CAPLUS
 CN Carbanic acid, [10-[[[(11.beta.,16.alpha.,17.alpha.)-9-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrost-1,4-dien-17-yl]carbonyl]amino]decyl]-, 2-[(3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)-1,4,5,6,7,8,11,12,13,14,15,16,17,18,19,20,21,23,24,25,26,26a-docosahydro-5,19-dihydroxy-2-[(1E)-2-[(1R,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-1,7,20,21-tetraoxo-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxazacyclotricosin-8-yl]ethyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

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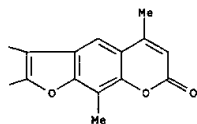


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L12 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

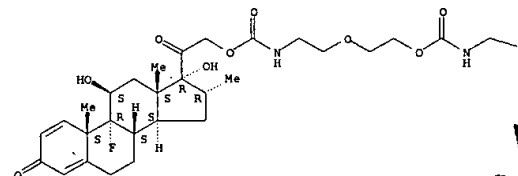
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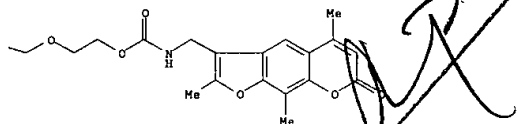
RN 259815-97-9 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-
 [[1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-
 yl)-5,8,13,16-tetraoxa-2,10,18-triazanonadec-1-yl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



IT 259815-98-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of conjugates of DNA interacting groups with steroid hormones
 for use as nucleic acid transfection agents)

L12 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999194025 CAPLUS
 DOCUMENT NUMBER: WO/223592
 TITLE: Preparation of reduced peptide derivatives for prevention and treatment of diabetic retinopathy
 INVENTOR(S): Bodor, Nicholas Stephen; Grant, Maria Bartolomeo
 PATENT ASSIGNEE(S): University of Florida, USA
 SOURCE: PCT Int. Appl., 186 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912572	A1	19990318	WO 1998-US17987	19980901
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GR, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9890400	A1	19990329	AU 1998-90400	19980901
US 6440933	B1	20020827	US 1998-144991	19980901
PRIORITY APPLN. INFO.:			US 1997-58423P	P 19970910
			WO 1998-US17987	W 19980901

OTHER SOURCE(S):

MARFAT 130:223592

AB The invention provides reduced peptide derivs. I [R1 = C1-7 alkyl, C1-7 haloalkyl, C712 aralkyl; R2, R3 = independently H, halo, CN, C1-7 alkyl, C1-7 alkoxy, C2-8 alkoxy carbonyl, C2-8 alkanoyloxy, C1-7 haloalkyl, C1-7 alkylthio, C1-7 alkylsulfinyl, C1-7 alkylsulfonyl, CH₂NOR13, CONR11R12; R11, R12, R13 = independently H, C1-7 alkyl; one of R2 and R3 may form (un)substituted fused benzene ring; spacer = L-amino acid, dipeptide, tripeptide; peptide = peptide residue contg. 2-20 amino acid residues; R4 = NH2, OCH2p-C6-30 polycycloalkyl, OCH2p-C6-30 polycycloalkenyl, amino alc. residue; p = 0-3; with provision] designed to deliver peptides having growth factor inhibitory activity, esp. somatostatin analogs, to the retina by sequential metab. The peptide derivs., which comprise a dihydropyridine dblarcv. pyridinium salt-type redox targetor moiety, a bulky lipophilic function and an amino acid/dipeptide/tripeptide spacer, are used in the prevention and treatment of diabetic retinopathy. Thus, lys side chain protection of somatostatin, followed by peptide coupling with N-nicotinoylprolylproline, deprotection, amidation with cholesteryl chloroformate, and pyridine N-methylation gave pyridinium salt II (Threo = L-threonine; chol = cholesteryl). Selective reduct. of II with 1-benzyl-1,2-dihydroisonicotinamide gave the corresponding 1,4-dihydropyridine deriv. without reducing the disulfide bond.

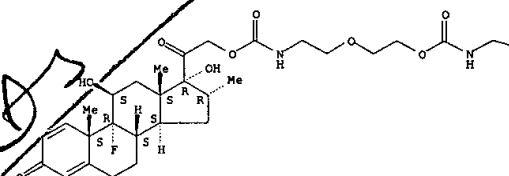
IT 221133-56-0P 221133-74-0P
 RL: RAC (Biological activity of effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of reduced peptide derivs. for prevention and treatment of diabetic retinopathy)
 RN 221133-56-8 CAPLUS
 CN L-Cysteinamide, 1-[[1,4-dihydro-1-methyl-3-pyridinyl]carbonyl]-L-prolyl-L-prolyl-D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-[[1,1-dimethylethoxy]carbonyl]-L-lysyl-L-threonyl-N-[[1R,2R]-2-hydroxy-1-[[[(11.beta.,17.alpha.)-11-hydroxy-17-methoxy-3-oxoandro-4-en-17-yl]carbonyl]oxy]methyl]propyl]-, cyclic (4.fwdarw.9)-disulfide (9CI) (CA INDEX NAME)

L12 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

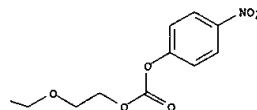
RN 259815-98-0 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11,17-dihydroxy-16-methyl-21-
 [[1,9,17-trioxo-19-(2,5,9-trimethyl-7-oxo-7H-furo[3,2-g][1]benzopyran-3-
 yl]oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

9

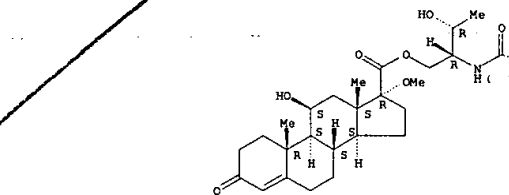
THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2003 ACS (Continued)

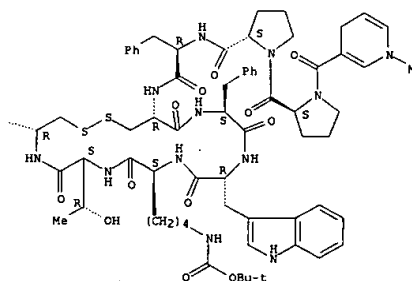
yl]carbonyl]oxy)methyl]propyl]-, cyclic (4.fwdarw.9)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 221133-74-0 CAPLUS
 CN L-Cysteinamide, 1-[[1-methylpyridinium-3-yl]carbonyl]-L-prolyl-L-prolyl-D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-N6-[[1,1-dimethylethoxy]carbonyl]-L-lysyl-L-threonyl-N-[[1R,2R]-2-hydroxy-1-[[[(11.beta.,17.alpha.)-11-hydroxy-17-methoxy-3-oxoandro-4-en-17-yl]carbonyl]oxy]methyl]propyl]-, cyclic (4.fwdarw.9)-disulfide (9CI) (CA INDEX NAME)